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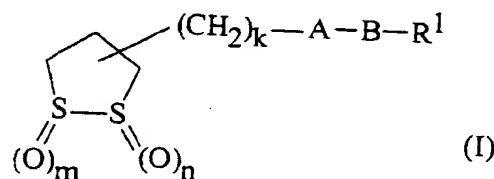
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(54) Dithiolan derivatives, their preparation and their therapeutic effect

(57) Compounds of formula (I):



[in which: one of \underline{m} and \underline{n} is 0, and the other is 0, 1 or 2; \underline{k} is 0 or an integer of from 1 to 12; R^1 is hydrogen, one of substituents α , defined below, or an optionally substituted alkyl group; A is a single bond, an oxygen atom, a carbonyl group or a group of formula $-N(R^2)CO-$, $-N(R^2)CS-$, $-N(R^2)SO_2-$, $-CON(R^2)N(R^3)CO-$, $-CON(R^2)CO-$, $-CON(R^2)CS-$, $-CON(R^2)SO_2-$, $-O-CO-$, $-ON(R^2)CO-$, $-ON(R^2)SO_2-$, $-O-CON(R^2)N(R^3)CO-$, $-O-CON(R^2)CO-$, $-O-CON(R^2)SO_2-$, $-CO-$, $-CO-CO-$, $-CO-CON(R^2)N(R^3)CO-$, $-CO-CON(R^2)CO-$, $-CO-CON(R^2)SO_2-$, $-N(R^2)O-$, $-N(R^2)COCO-$, $-N(R^2)N(R^3)CO-$, $-N(R^2)N(R^3)SO_2-$, $-N(R^2)CON(R^3)N(R^4)CO-$, $-N(R^2)CON(R^3)CO-$, $-N(R^2)CON(R^3)SO_2-$ or $-N(R^2)CON(R^3)SO_2N(R^4)CO-$ in which R^2 , R^3 and R^4 are the same or different and each is hydrogen, alkyl, aralkyl, acyl or one of substituents α ; B is a single bond, or a group of formula $-N(R^5)-$ or $-N(R^5)N(R^6)-$ in which R^5 and R^6 are the same or different and each is hydrogen, alkyl, aralkyl, acyl or one of substituents α , or R^5 , together with R^1 and the nitrogen atom to which they are bonded, may form a heterocyclic ring having from 5 to 7 ring atoms; or R^1 may represent a group of formula $-OR^7$, in which R^7 is alkyl, alkenyl, aralkyl or one of substituents α ; or R^1 may represent a hydroxy group or a group of formula $-OR^7$; and said substituents α are selected from aryl groups and heterocyclic groups] and pharmaceutically acceptable salts thereof have an excellent ability to enhance the activity of glutathione reductase and can therefore be used for the treatment and prevention of a variety of diseases including cataracts.

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D description

The present invention relates to a series of new dithiolan derivatives having an excellent ability to enhance the activity of glutathione reductase. The invention also provides a process for preparing these compounds and methods and compositions using them.

Glutathione is found throughout the tissues of the living body, is a major reducing agent in cells, and plays a very important role in the oxidation-reduction metabolic processes. In particular, reduced glutathione (GSH), thanks to the presence of a thiol group, plays a key role in various cellular defence and repair mechanisms. Glutathione peroxidase catalyses the reactions involved in these mechanisms, and is an important enzyme in the antioxidant system, in which peroxides (e.g. hydrogen peroxide, lipid peroxides and so on) are reduced by GSH. On the other hand, glutathione reductase is an enzyme which reduces oxidized glutathione (oxidized-type glutathione: GSSG) in the presence of NADPH to regenerate GSH.

The antioxidant system comprising these materials and enzymes protects cells from the harmful effects of oxidising materials (e.g. above described peroxides, free radicals and so on). Oxidative stress occurs when the balance between oxidising materials and the antioxidant mechanisms is shifted in favour of the former [J. Appl. Physiol. 1996 Nov., 81 (5), 2199-2202]. It has been reported that oxidative stress is associated with various diseases, such as coronary heart disease, cataracts, pulmonary diseases (e.g., idiopathic pulmonary fibrosis, adult respiratory distress syndrome, emphysema, asthma, bronchopulmonary dysplasia and interstitial pulmonary fibrosis), chronic renal failure, disorders of the nervous system including the peripheral nervous system and the central nervous system (e.g., Parkinson's disease, schizophrenia, Alzheimer's disease, epilepsy, amyotrophic lateral sclerosis and cerebral ischaemia), gastric ulcers, diabetes, hepatocyte necrosis and apoptosis including ethanol-induced hepatopathy, viral diseases (including influenza, hepatitis B and HIV), and colorectal cancer [J. Appl. Physiol. 1996 Nov., 81(5), 2199-2202; Free Radical Biology & Medicine, Vol. 21 No. 6, 845-853 (1996); Free Radical Biology & Medicine, Vol. 20 No. 7, 925-931 (1996); Gastroenterology, 112, 855-863 (1997); Free Radical Biology & Medicine, Vol. 34, 161-165 (1996); Lancet, 338, 215-216 (1991); Diabetologia, 39, 357-363 (1996); Eur. J. Cancer, 1996 Jan, 32A(1), 30-38; Am. J. Med., 1991 Sep 30, 91(3c), 95s-105s; Alcohol. Clin. Exp. Res., 1996 Dec. 20(9 Suppl), 340A-346A; Free Radical Biology & Medicine, Vol. 21 No. 5, 641-649 (1996); Pharmacol. Toxicol., 1997 Apr, 80(4), 159-166; Cell. Mol. Biol. (Noisy-le-grand) 1996 Feb, 42(1), 17-26; Prostaglandins. Leukot. Essent. Fatty Acids, 1996 Aug, 55(1-2), 33-43; FASEB J., 1995 Sep, 9(12), 1173-1182].

In addition to the above, oxidative stress is thought to be a factor in Down's syndrome, nephritis, pancreatitis, dermatitis, fatigue, rheumatism, various malformations (e.g. Duchenne muscular dystrophy, Becker dystrophy, Dubin-Johnson-Spring syndrome, favism and so on), Fanconi's anemia, canceration and metastases, septicemia, enhanced permeability of the blood vessels, leukocyte adherence, retinopathy of prematurity, siderosis, toxic effects of medicines (e.g. carcinostatics including platinum chelate, antibiotics, antiparasitics, paraquat, carbon tetrachloride and halothane) and radiogenic damages [Yoshihiko Oyanagi, Superoxide dismutase and agents controlling active oxygen species].

In WO94/12527, it is disclosed that compounds which enhance the synthesis of endogenous GSH are suitable for human therapy, in particular for the treatment of various diseases induced by glutathione deficiency, such as the pathological states related to oxidative tissue damage, in particular when resulting from an excess of free radicals. Some examples of such diseases are: intracellular oxidative state disequilibrium following alcohol abuse, exposure to xenobiotic agents, damage caused by radiation, hepatic diseases, intoxication from drugs and chemical agents, poisoning by heavy metals, physiological brain ageing (e.g. Parkinson's disease), brain degeneration due to decreased glutathione levels caused by altered antioxidant defence mechanisms, such as acute and chronic neurodegenerative diseases (e.g., acute pathologies such as: acute ischaemic states, in particular cerebral ictus, hypoglycaemia, and epileptic attacks; chronic pathologies such as: amyotrophic lateral sclerosis, Alzheimer's disease, Huntington's chorea), diseases related to altered functionality of the immune system, in particular resulting from tumour immunotherapy, and infertility, in particular male infertility. It is also disclosed that the compounds are suitable for organ reperfusion following ischaemic events mainly imputable to free radicals.

Furthermore, in Japanese patent publication Kokai Showa 64-26516, it is disclosed that a compound which increases glutathione levels is useful for the treatment and prevention of various diseases including cataracts, hepatic disorders, nephritic disorders.

At this time, lipoic acid (thioctic acid), which has dithiolan ring in its molecule, is known to influence the biosynthesis and regeneration of reduced glutathione [I. Maitra *et al.*, Free Radical Biology & Medicine, Vol. 18 No. 4, 823-829 (1995)]. In this literature, it is reported that the total glutathione (oxidized and reduced glutathione) level is decreased by administering buthionine sulfoximine (BSO), which is an inhibitor of glutathione synthetase, to newborn rats, that the decrease is prevented by administering lipoic acid together with BSO, and that cataract formation is suppressed. In addition, the literature describes a test on the effects on glutathione reductase achieved by administering only BSO or by administering both BSO and lipoic acid. Considering these results, it is understood that the activity of glutathione reductase does not change when BSO is simply administered by itself, and that the activity of glutathione reductase also does not increase when lipoic acid is administered in addition to BSO.

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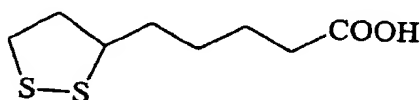
It can, therefore, be deduced from this literature that the total glutathione level will be increased and that disorders can be treated when lipoic acid is administered to a patient who is suffering from a disease caused by a deficiency of glutathione synthesis, but lipoic acid is not thought to provide sufficient effect against diseases which occur in spite of enough glutathione synthesis since it is understood not to increase glutathione reductase activity.

On the contrary, if the activity of glutathione reductase can be increased, then whether glutathione synthesis is or is not adequate, diseases which occur in spite of enough glutathione synthesis and which are caused by oxidative stress can be prevented or treated since the supply of reduced glutathione is increased.

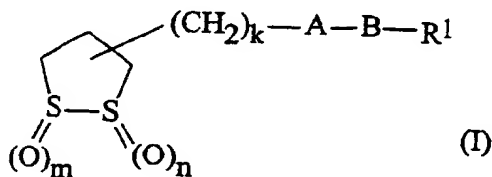
Furthermore, in general, in the case of ophthalmologic diseases, such as cataracts, topical application to the eyes is preferred to oral administration. However, since lipoic acid is a powerful stimulant, it is impossible to administer it to the eyes.

We have now discovered a series of dithiolan derivatives, which have the ability to cause a significant increase in the activity of glutathione reductase and which also remove peroxides. Moreover, the compounds of the present invention are less stimulating to the eyes than lipoic acid and similar known compounds are thus especially suitable for topical application.

For the avoidance of doubt, the compounds of the present invention are named following the IUPAC Rules, using, as appropriate, lipoic acid (also known as thioctic acid) as the parent compound. This compound has the formula:



The compounds of the present invention are those compounds of formula (I):



in which:

one of \underline{m} and \underline{n} represents 0, and the other represents 0, 1 or 2;

\underline{k} represents 0 or an integer of from 1 to 12;

R^1 represents:

a hydrogen atom,

one of substituents α , defined below, or

an alkyl group having from 1 to 12 carbon atoms which is unsubstituted or is substituted by from 1 to 3 of substituents α and/or substituents γ or such a substituted or unsubstituted alkyl group in which the carbon chain is interrupted by an oxygen atom and/or a sulphur atom;

A represents a single bond, an oxygen atom, a carbonyl group or a group of formula $-N(R^2)CO-$, $-N(R^2)CS-$, $-N(R^2)SO_2-$, $-CON(R^2)N(R^3)CO-$, $-CON(R^2)CO-$, $-CON(R^2)CS-$, $-CON(R^2)SO_2-$, $-O-CO-$, $-ON(R^2)CO-$, $-ON(R^2)SO_2-$, $-O-CON(R^2)N(R^3)CO-$, $-O-CON(R^2)CO-$, $-O-CON(R^2)SO_2-$, $-CO-O-$, $-CO-CO-$, $-CO-CON(R^2)N(R^3)CO-$, $-CO-CON(R^2)CO-$, $-CO-CON(R^2)SO_2-$, $-N(R^2)O-$, $-N(R^2)COCO-$, $-N(R^2)N(R^3)CO-$, $-N(R^2)N(R^3)SO_2-$, $-N(R^2)CON(R^3)N(R^4)CO-$, $-N(R^2)CON(R^3)CO-$, $-N(R^2)CON(R^3)SO_2-$ or $-N(R^2)CON(R^3)SO_2N(R^4)CO-$.

in which R^2 , R^3 and R^4 are the same or different and each represents a hydrogen atom, an alkyl group having from 1 to 12 carbon atoms, an aralkyl group, an aralkyl group of which the aryl moiety is substituted with from 1 to 3

1. Wstęp

2. Opis ogólny

3. Opis szczegółowy

4. Wnioski

5. Podsumowanie

6. Źródła

7. Wykaz literatury

8. Wykaz tabel

9. Wykaz rysunków

10. Wykaz załączników

11. Wykaz skrótów

12. Wykaz symboli

13. Wykaz jednostek

14. Wykaz symboli matematycznych

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16. Wykaz symboli chemicznych

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24. Wykaz symboli sportowych

25. Wykaz symboli rekreacyjnych

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28. Wykaz symboli naukowych

29. Wykaz symboli technicznych

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31. Wykaz symboli medycznych

32. Wykaz symboli farmaceutycznych

33. Wykaz symboli weterynaryjnych

34. Wykaz symboli rolniczych

35. Wykaz symboli leśniczych

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of substituents β , an acyl group or one of substituents α ;

B represents a single bond, or a group of formula $-N(R^5)-$ or $-N(R^6)N(R^5)-$

in which R^5 and R^6 are the same or different and each represents a hydrogen atom, an alkyl group having from 1 to 12 carbon atoms, an aralkyl group, an aralkyl group of which the aryl moiety is substituted with from 1 to 3 of substituents β , an acyl group or one of substituents α , or R^5 , together with R^1 and the nitrogen atom to which they are bonded, may form a heterocyclic ring having from 5 to 7 ring atoms;

or, where A represents a group of formula $-N(R^2)CO-$, $-N(R^2)CS-$, $-CON(R^2)N(R^3)CO-$, $-CON(R^2)CO-$, $-CON(R^2)CS-$, $-O-CO-$, $-ON(R^2)CO-$, $-O-CON(R^2)N(R^3)CO-$, $-O-CON(R^2)CO-$, $-CO-CON(R^2)N(R^3)CO-$, $-CO-CON(R^2)CO-$, $-N(R^2)N(R^3)CO-$, $-N(R^2)CON(R^3)N(R^4)CO-$ or $-N(R^2)CON(R^3)CO-$ [in which R^2 , R^3 and R^4 are as defined above] and B represents a single bond, R^1 may represent a group of formula $-OR^7$ (in which R^7 represents a lower alkyl group, a lower alkenyl group, an aralkyl group, an aralkyl group of which the aryl moiety is substituted with 1 to 3 of substituents β or one of substituents α);

or, where A represents a group of formula $-CON(R^2)SO_2-$, $-ON(R^2)SO_2-$, $-O-CON(R^2)SO_2-$, $-CO-CO-$, $-CO-CON(R^2)SO_2-$, $-N(R^2)COCO-$, $-N(R^2)N(R^3)SO_2-$ or $-N(R^2)CON(R^3)SO_2-$ [in which R^2 and R^3 are as defined above] and B represents a single bond, or, where A does not represent an oxygen atom, a group of formula $-CO-O-$ or $-N(R^6)O-$ and B represents $-N(R^5)-$ [in which R^5 is as defined above], R^1 may represent a hydroxy group or a group of formula $-OR^7$ (in which R^7 is as defined above);

Substituents α are selected from aryl groups, heterocyclic groups, aryl groups substituted with from 1 to 3 of substituents β , and heterocyclic groups substituted with from 1 to 3 of substituents β ;

Substituents β are selected from lower alkyl groups, halogenated lower alkyl groups, lower alkoxy groups, lower alkylthio groups, hydroxy groups, carboxy groups, carbamoyl groups of which the nitrogen atom may be substituted, lower alkoxy-carbonyl groups, halogen atoms, nitro groups, amine residues, sulpho groups, sulphamoyl groups, cyano groups, hydroxy-substituted lower alkyl groups;

Substituents γ are selected from lower alkoxy groups, lower alkylthio groups, hydroxy groups, nitrooxy groups, carboxy groups, lower alkoxy-carbonyl groups, halogen atoms, sulpho groups, sulphamoyl groups, amine residues, carbamoyl groups of which the nitrogen atom may be substituted;

PROVIDED THAT:

where A represents an oxygen atom, B represents a single bond or a group of formula $-N(R^5)-$ [in which R^5 is as defined above],

where A represents a group of formula $-CO-O-$ or $-N(R^2)O-$ [in which R^2 is as defined above], B represents a single bond, and

where k represents 4, the group of formula $-A-B-R^1$ does not represent a carboxyl group

and pharmaceutically acceptable salts thereof.

The present invention also provides the use of a compound of formula (I) or a pharmaceutically acceptable salt thereof for the manufacture of a medicament for enhancing the activity of glutathione reductase in a mammal, which may be human.

The present invention also provides the use of a compound of formula (I) or a pharmaceutically acceptable salt thereof for the manufacture of a medicament for the treatment or prevention of cataract in a mammal, which may be human.

In the compounds of the present invention, one of m and n represents 0, and the other represents 0, 1 or 2. Preferably, either both of m and n represent 0, or one of m and n represents 0 and the other represents 1. More preferably, both of m and n represent 0.

We prefer those compounds of formula (I) in which k represents 0 or an integer of from 1 to 6, more preferably an integer of from 2 to 6, and most preferably an integer of from 4 to 6.

Where R^1 or substituent a represents an aryl group, this is a carbocyclic aromatic hydrocarbon group having from 6 to 14 ring carbon atoms in one or more aromatic carbocyclic rings or is such a group which is fused to a cycloalkyl group having from 3 to 10 ring carbon atoms. Examples of carbocyclic aromatic hydrocarbon groups having from 6 to 14 ring carbon atoms in one or more aromatic carbocyclic rings include the phenyl, naphthyl (1- or 2- naphthyl), phen-

1. The first part of the document is a letter from the President of the United States to the Congress, dated January 3, 1862. It is a very long letter, and it contains a great deal of information about the state of the country at that time. The President talks about the war with Mexico, and about the relations with Great Britain and France. He also talks about the internal affairs of the country, and about the progress of the Union. The letter is written in a very formal and dignified style, and it is full of references to the Constitution and to the laws of the United States.

2. The second part of the document is a report from the Secretary of the Treasury, dated January 3, 1862. It is a very long report, and it contains a great deal of information about the state of the Treasury at that time. The Secretary talks about the revenue of the United States, and about the expenses of the government. He also talks about the public debt, and about the progress of the Union. The report is written in a very formal and dignified style, and it is full of references to the Constitution and to the laws of the United States.

3. The third part of the document is a report from the Secretary of the Interior, dated January 3, 1862. It is a very long report, and it contains a great deal of information about the state of the Interior at that time. The Secretary talks about the land of the United States, and about the progress of the Union. He also talks about the relations with the Indian tribes, and about the progress of the Union. The report is written in a very formal and dignified style, and it is full of references to the Constitution and to the laws of the United States.

4. The fourth part of the document is a report from the Secretary of the Navy, dated January 3, 1862. It is a very long report, and it contains a great deal of information about the state of the Navy at that time. The Secretary talks about the ships of the United States, and about the progress of the Union. He also talks about the relations with the other nations, and about the progress of the Union. The report is written in a very formal and dignified style, and it is full of references to the Constitution and to the laws of the United States.

5. The fifth part of the document is a report from the Secretary of the War, dated January 3, 1862. It is a very long report, and it contains a great deal of information about the state of the War at that time. The Secretary talks about the army of the United States, and about the progress of the Union. He also talks about the relations with the other nations, and about the progress of the Union. The report is written in a very formal and dignified style, and it is full of references to the Constitution and to the laws of the United States.

anthrenyl and anthracenyl groups. An example of a group in which an aromatic carbocyclic ring is fused to a cycloalkyl group is the 2-indanyl group.

Where R¹ or substituent a represents a heterocyclic group, this has from 5 to 7 ring atoms of which from 1 to 3 are sulphur and/or oxygen and/or nitrogen heteroatoms. The group may be saturated or it may be unsaturated and preferably aromatic.

Where the heterocyclic groups referred to herein have 3 hetero-atoms, we prefer that all three, two or one of these atoms are nitrogen atoms, and, correspondingly, none, one or two are sulphur and/or oxygen atoms.

Examples of such saturated heterocyclic groups include, for example, the pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, thiazolidinyl, isothiazolidinyl, dithiolanyl, thiadiazolidinyl, oxadiazolidinyl, dithiazolidinyl, piperidyl, piperazinyl, morpholinyl, thiomorpholinyl dioxanyl and homopiperazinyl groups. Of these groups, we particularly prefer those 5- to 7-membered saturated heterocyclic groups which have one or two nitrogen atoms or have one nitrogen atom and one sulphur atom or one oxygen atom, such as the pyrrolidinyl, thiazolidinyl, imidazolidinyl, piperidyl, morpholinyl, thiomorpholinyl and piperazinyl groups.

If desired, the above-described saturated heterocyclic groups may be substituted by one or two sulphur and/or oxygen atoms to form an oxo group and/or a thioxo group. Examples of such groups include the piperidonyl, pyrrolidonyl, thiazolidonyl, dioxothiazolidonyl, thioxodithiazolidonyl, dioximidazolidonyl and dioxooxazolidonyl groups.

Also, if desired, the above-described saturated heterocyclic group may be fused with another cyclic group, preferably having 3, 4, 5 or 6 ring atoms, and which may be carbocyclic or heterocyclic, most preferably a benzene ring. Examples of such fused ring groups include the benzodioxanyl, indolanyl, isoindolanyl, benzooxaziny, benzothiazolidinyl, benzothiazinyl, chromanyl, 6-acetoxy-2,5,7,8-tetramethylchroman-2-yl, and isoindol-1,3-dion-2-yl groups.

Examples of such aromatic heterocyclic groups include the furyl, thienyl, pyrrolyl, azepinyl, pyrazolyl, imidazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, oxadiazolyl, triazolyl, tetrazolyl, thiadiazolyl, pyranyl, pyridyl, pyridazinyl, pyrimidinyl and pyrazinyl groups. Of these, those 5- to 7-membered aromatic heterocyclic groups which have at least one nitrogen atom and may have an oxygen atom and/or a sulphur atom are preferred. Examples of such groups include the pyrrolyl, azepinyl, pyrazolyl, imidazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, oxadiazolyl, triazolyl, tetrazolyl, thiadiazolyl, pyridyl, pyridazinyl, pyrimidinyl and pyrazinyl groups. The pyridyl, imidazolyl, oxazolyl, pyrazinyl and thiazolyl groups are most preferred.

Also, if desired, the above-described aromatic heterocyclic group may be fused with another cyclic group, preferably having 3, 4, 5 or 6 ring atoms, and which may be carbocyclic or heterocyclic, most preferably a benzene ring. Examples of such fused ring groups include the indolyl, benzofuryl, benzothieryl, benzooxazolyl, benzoimidazolyl, quinolyl, isoquinolyl, quinoxalyl groups.

Also, the above-described aromatic heterocyclic groups may be substituted by one or two sulphur and/or oxygen atoms to form an oxo group and/or a thioxo group, and examples of such groups include the pyridonyl, oxazolonyl, pyrazolonyl, isoxazolonyl and thioxodithiazolyl groups.

If desired, any of the above aryl and heterocyclic groups may be substituted by one or more, preferably from 1 to 3, of substituents β , defined above and exemplified below.

Where R¹ represents an alkyl group having from 1 to 12 carbon atoms, this may be a straight or branched chain group which may be unsubstituted or may be substituted by from 1 to 3 of substituents γ , defined above and exemplified below. Examples of such unsubstituted alkyl groups include the methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl, t-butyl, pentyl, 2-pentyl, 3-pentyl, 2-methylbutyl, 3-methylbutyl, 1,1-dimethylpropyl, 1,2-dimethylpropyl, 2,2-dimethylpropyl, hexyl, 2-hexyl, 3-hexyl, 2-methylpentyl, 3-methylpentyl, 4-methylpentyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,2-dimethylbutyl, 2,3-dimethylbutyl, 3,3-dimethylbutyl, 1,1,2-trimethylpropyl, 1,2,2-trimethylpropyl, heptyl, 2-heptyl, 3-heptyl, 4-heptyl, 3,3-dimethylpentyl, octyl, 2-methylheptyl, 2-ethylhexyl, 1,1,3,3-tetramethylbutyl, nonyl, 2-nonyl, 3-nonyl, 4-nonyl, 5-nonyl, 2-methyloctyl, 3-methyloctyl, 4-methyloctyl, 5-methyloctyl, 6-methyloctyl, 7-methyloctyl, 8-methyloctyl, 6,6-dimethylheptyl, decyl, 2-decyl, 3-decyl, 4-decyl, 5-decyl, 2-methylnonyl, 3-methylnonyl, 4-methylnonyl, 6,6-dimethyloctyl, undecyl, 2-undecyl, 3-undecyl, 4-undecyl, 5-undecyl, 6-undecyl, 2-methyldecyl, 3-methyldecyl, 4-methyldecyl, 5-methyldecyl, 6-methyldecyl, 7-methyldecyl, 8-methyldecyl, 9-methyldecyl, 7-ethylnonyl, dodecyl, 2-dodecyl, 3-dodecyl, 4-dodecyl, 5-dodecyl, 6-dodecyl, 2-methylundecyl, 3-methylundecyl, 4-methylundecyl, 5-methylundecyl, 6-methylundecyl, 7-methylundecyl, 8-methylundecyl, 9-methylundecyl and 10-methylundecyl groups. Of these, straight or branched alkyl groups having from 1 to 6 carbon atoms are preferred, straight or branched alkyl groups having from 1 to 4 carbon atoms are more preferred, and the methyl, ethyl, propyl, isopropyl, butyl, isobutyl and t-butyl groups are most preferred.

Alternatively, R¹ may represent such an alkyl group in which the carbon chain is interrupted by one or more oxygen atoms and/or sulphur atoms. Examples of such groups include any of the above alkyl groups which are substituted by a single alkoxy or alkylthio group, which itself may be further substituted by an alkoxy or alkylthio group, the alkoxy and alkylthio groups being as exemplified below in relation to substituents β and γ . Specific examples of such groups include alkoxyalkyl groups having from 2 to 10 carbon atoms, alkylthioalkyl groups having from 2 to 10 carbon atoms, benzyloxyalkyl groups of which the alkyl part has from 1 to 5 carbon atoms and benzylthioalkyl groups of which the

alkyl part has from 1 to 5 carbon atoms (the benzyl part of the benzyloxyalkyl and benzythioalkyl groups may be unsubstituted or substituted with from 1 to 3 of substituents β) groups. Of these, the methoxymethyl, methoxyethyl, ethoxymethyl, methylthiomethyl, methylthioethyl, ethylthiomethyl, benzyloxymethyl, benzyloxyethyl, benzythiomethyl and 4-methoxybenzythiomethyl groups are preferred.

Where R^2 , R^3 , R^4 , R^5 or R^6 represents an alkyl group having from 1 to 12 carbon atoms, this may be a straight or branched chain group, as defined and exemplified above in relation to R^1 .

Where R^2 , R^3 , R^4 , R^5 or R^6 represents an aralkyl group, this is a lower alkyl group (preferably having from 1 to 6 carbon atoms, more preferably from 1 to 4 carbon atoms, still more preferably from 1 to 3 carbon atoms and most preferably 1 or 2 carbon atoms) which is substituted by from 1 to 3 aryl groups as defined and exemplified above in relation to R^1 . Specific examples of such aralkyl groups include the benzyl, 1-phenylethyl, 2-phenylethyl, α -naphthylmethyl, β -naphthylmethyl, diphenylmethyl, triphenylmethyl, α -naphthyl-diphenylmethyl and 9-anthrylmethyl groups. Of these, the benzyl, 1-phenylethyl and 2-phenylethyl groups are preferred. Any of the above groups may be unsubstituted or it may be substituted by from 1 to 3 of substituents γ defined and exemplified below.

Where R^2 , R^3 , R^4 , R^5 or R^6 represents an acyl group, this may be an aliphatic, aromatic or heterocyclic acyl group, for example:

an alkylcarbonyl group having from 1 to 30, preferably from 1 to 21 and more preferably from 1 to 8 carbon atoms, such as the formyl, acetyl, propionyl, butyryl, isobutyryl, pivaloyl, valeryl, isovaleryl, octanoyl, nonylcarbonyl, decylcarbonyl, 3-methylnonylcarbonyl, 8-methylnonylcarbonyl, 3-ethyloctylcarbonyl, 3,7-dimethyloctylcarbonyl, undecylcarbonyl, dodecylcarbonyl, tridecylcarbonyl, tetradecylcarbonyl, pentadecylcarbonyl, hexadecylcarbonyl, 1-methylpentadecylcarbonyl, 14-methylpentadecylcarbonyl, 13,13-dimethyltetradecylcarbonyl, heptadecylcarbonyl, 15-methylhexadecylcarbonyl, octadecylcarbonyl, 1-methylheptadecylcarbonyl, nonadecylcarbonyl, eicosylcarbonyl and heneicosylcarbonyl groups; of these, the groups having from 1 to 5 carbon atoms are most preferred;

a halogenated alkylcarbonyl group having from 2 to 6 carbon atoms, preferably 2 or 3 carbon atoms, such as the chloroacetyl, dichloroacetyl, trichloroacetyl and trifluoroacetyl groups;

a lower alkoxyalkylcarbonyl group in which the alkyl and alkoxy parts each preferably has from 1 to 4 carbon atoms, such as the methoxyacetyl group;

an unsaturated alkylcarbonyl group having from 3 to 6 carbon atoms, such as the acryloyl, propioloyl, methacryloyl, crotonoyl, allylcarbonyl, isocrotonoyl and (E)-2-methyl-2-butenoyl groups;

an arylcarbonyl group, such as the benzoyl, α -naphthoyl and β -naphthoyl groups;

a halogenated arylcarbonyl group, such as the 2-bromobenzoyl and 4-chlorobenzoyl groups;

a lower alkyl-substituted arylcarbonyl group, such as the 2,4,6-trimethylbenzoyl and 4-toluoyl groups;

a hydroxy-substituted arylcarbonyl group, such as the 3,5-dimethyl-4-hydroxybenzoyl and 3,5-di-*t*-butyl-4-hydroxybenzoyl groups;

a lower alkoxy-substituted arylcarbonyl group, such as the 4-anisoyl group;

a nitro-substituted arylcarbonyl group such as the 4-nitrobenzoyl and 2-nitrobenzoyl groups;

a lower alkoxy-carbonyl-substituted arylcarbonyl group, such as the 2-(methoxycarbonyl)benzoyl group;

an aryl-substituted arylcarbonyl group, such as the 4-phenylbenzoyl group;

a lower alkoxy-carbonyl group preferably having from 2 to 7 carbon atoms, such as the methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, butoxycarbonyl, sec-butoxycarbonyl, *t*-butoxycarbonyl and isobutoxycarbonyl groups;

a lower alkoxy-carbonyl group, preferably having from 2 to 7 carbon atoms, which is substituted with a halogen atom or a tri-lower alkylsilyl group, such as the 2,2,2-trichloroethoxycarbonyl and 2-trimethylsilylethoxycarbonyl groups;

an aralkylcarbonyl group, of which the aryl ring may be unsubstituted or may be substituted with 1 or 2 lower alkoxy

or nitro groups, such as the benzylcarbonyl, 4-methoxybenzylcarbonyl, 3,4-dimethoxybenzylcarbonyl, 2-nitrobenzylcarbonyl and 4-nitrobenzylcarbonyl groups;

a lower alkanesulphonyl group, preferably having from 1 to 6 carbon atoms, such as the methanesulphonyl, ethanesulphonyl and propanesulphonyl groups;

a halogenated lower alkanesulphonyl group, preferably having from 1 to 6 carbon atoms, such as the chloromethanesulphonyl, trifluoromethanesulphonyl and pentafluoroethanesulphonyl groups; and

an arylsulphonyl group, in which the aryl part is as defined and exemplified above in relation to R¹, such as the benzenesulphonyl and *p*-toluenesulphonyl group.

Of the above groups, we prefer the aliphatic acyl groups, the aromatic acyl groups, the alkoxycarbonyl groups and the lower alkanesulphonyl groups, more preferably the alkylcarbonyl groups and the lower alkoxycarbonyl groups.

Where R⁵, together with R¹ and the nitrogen atom to which they are attached forms a heterocyclic group, this has from 5 to 7, more preferably 5 or 6, ring atoms of which from 1 to 3 are sulphur and/or oxygen and/or nitrogen heteroatoms, at least one being a nitrogen atom. Preferably there are one or two nitrogen atoms and none or one oxygen atom or sulphur atom. Examples of such groups include the pyrrolidino, 3-thiazolidinyl, piperidino, piperazino, morpholino, thiomorpholino, homopiperazino, imidazolidinyl and imidazolyl groups. Such groups may be substituted or unsubstituted, preferably with one or two oxygen atoms and/or with 1 to 3 of substituents β , as defined above, and may be fused with another cyclic group, preferably having 3, 4, 5 or 6 ring atoms, and which may be carbocyclic or heterocyclic, most preferably a benzene ring. Examples of such groups are the *N*-methylpiperazino, *N*-t-butoxycarbonylpiperazino, 1-indolinyl, 2-carboxy-1-indolinyl, 2-methoxycarbonyl-1-indolinyl, 3,4-dimethyl-indolin-2,5-dione-1-yl and isoindol-1,3-dione-2-yl groups.

Where R⁷ or substituent β represents a lower alkyl group, this may be a straight or branched chain group having from 1 to 6, preferably from 1 to 4, carbon atoms, and examples include the methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl, t-butyl, pentyl, isopentyl, neopentyl, 2-methylbutyl, 1-ethylpropyl, hexyl, isohexyl, 4-methylpentyl, 3-methylpentyl, 2-methylpentyl, 1-methylpentyl, 3,3-dimethylbutyl, 2,2-dimethylbutyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,3-dimethylbutyl and 2-ethylbutyl groups. Of these, we prefer those alkyl groups having from 1 to 4 carbon atoms, particularly the methyl, ethyl, propyl, isopropyl, butyl, isobutyl and t-butyl groups, and most preferably the methyl group.

Where R⁷ represents a lower alkenyl group, this may be a straight or branched chain group having from 2 to 6, preferably 3 or 4, carbon atoms, and examples include the vinyl, allyl, methallyl, 1-propenyl, isopropenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 3-pentenyl, 4-pentenyl, 1-hexenyl, 2-hexenyl, 3-hexenyl and 4-hexenyl groups, of which the vinyl, allyl, methallyl, 1-propenyl, isopropenyl and butenyl groups are preferred, the allyl and 2-butenyl groups being most preferred.

Where R⁷ represents an aralkyl group, this may be any of the aralkyl groups defined and exemplified above in relation to R².

Where substituent β represents a halogenated lower alkyl group, this may be any of the above alkyl groups which is substituted by at least one halogen atom. Although there is no critical limitation on the number of halogen substituents, and the group may, if desired, be perhalogenated, in general, from 1 to 3 halogen atoms, selected from fluorine, chlorine, bromine and iodine atoms, are preferred. Examples of such haloalkyl groups include the chloromethyl, dichloromethyl, trichloromethyl, trifluoromethyl, 2-chloroethyl, 2-fluoroethyl, 2-bromoethyl, 2-iodoethyl, 2,2,2-trichloroethyl, 2,2,2-trifluoroethyl, 3-chloropropyl, 3-fluoropropyl, 3-bromopropyl, 3-iodopropyl, 3,3,3-trichloropropyl, 3,3,3-trifluoropropyl, 4-chlorobutyl, 4-fluorobutyl, 4-bromobutyl and 4-iodobutyl groups.

Where substituent β or substituent γ represents a lower alkoxy group, this may be a straight or branched chain group having from 1 to 6, preferably from 1 to 4, carbon atoms, and examples include the methoxy, ethoxy, propoxy, isopropoxy, butoxy, isobutoxy, sec-butoxy, t-butoxy, pentyloxy, isopentyloxy, neopentyloxy, 2-methylbutoxy, 1-ethylpropoxy, hexyloxy, isohexyloxy, 3-methylpentyloxy, 2-methylpentyloxy, 1-methylpentyloxy, 3,3-dimethylbutoxy, 2,2-dimethylbutoxy, 1,1-dimethylbutoxy, 1,2-dimethylbutoxy, 1,3-dimethylbutoxy, 2,3-dimethylbutoxy and 2-ethylbutoxy groups. Of these, we prefer those alkoxy groups having from 1 to 4 carbon atoms, particularly the methoxy, ethoxy, propoxy, isopropoxy, butoxy and t-butoxy groups, and most preferably the methoxy group.

Where substituent β or substituent γ represents a lower alkylthio group, this may be a straight or branched chain group having from 1 to 6, preferably from 1 to 4, carbon atoms, and examples include the methylthio, ethylthio, propylthio, isopropylthio, butylthio, isobutylthio, sec-butylthio, t-butylthio, pentylthio, isopentylthio, neopentylthio, 2-methylbutylthio, 1-ethylpropylthio, hexylthio, isohexylthio, 4-methylpentylthio, 3-methylpentylthio, 2-methylpentylthio, 1-methylpentylthio, 3,3-dimethylbutylthio, 2,2-dimethylbutylthio, 1,1-dimethylbutylthio, 1,2-dimethylbutylthio, 1,3-dimethylbutylthio, 2,3-dimethylbutylthio and 2-ethylbutylthio groups. Of these, we prefer those alkylthio groups

The first part of the paper discusses the importance of the research and the objectives of the study. The second part describes the methodology used in the study, including the data collection and analysis techniques. The third part presents the results of the study, and the fourth part discusses the conclusions and implications of the findings. The paper is organized as follows: Introduction, Methodology, Results, and Conclusion.

The study was conducted in a laboratory setting, and the data was collected using a series of experiments. The results of the study show that the proposed method is effective in achieving the desired objectives. The conclusions of the study indicate that the proposed method is a promising approach for the study of the topic.

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having from 1 to 4 carbon atoms, particularly the methylthio, ethylthio, propylthio, isopropylthio, butylthio and isobutylthio groups, and most preferably the methylthio group.

Where substituent β or substituent γ represents an amine residue, this is a group of formula $-NR^aR^b$, where R^a and R^b are the same or different and each represents a hydrogen atom, a lower alkyl group (as defined and exemplified above in relation to R^7 or substituent β), a cycloalkyl group having from 3 to 8, preferably 5 or 6, ring carbon atoms, an aryl group (as defined and exemplified above in relation to R^1), an aralkyl group (as defined and exemplified above in relation to R^2), a heterocyclic group (as defined and exemplified above in relation to R^1), or R^a and R^b together with the nitrogen atom to which they are attached represent a nitrogen-containing heterocyclic group (as defined and exemplified above in relation to R^5 and R^1). Examples of such groups include:

the amino group;

alkylamino and dialkylamino groups, such as the methylamino, ethylamino, isopropylamino, butylamino, dimethylamino, diethylamino, diisopropylamino and dibutylamino groups;

cycloalkylamino and dicycloalkylamino groups, such as the cyclopentylamino, cyclohexylamino, dicyclopentylamino and dicyclohexylamino groups;

saturated cyclic amino groups, that is heterocyclic groups having a nitrogen atom in the ring, such as the pyrrolidino, piperidino, piperazino, N-methylpiperazino, morpholino and thiomorpholino groups;

aryl- and aralkylamino groups of which the nitrogen atom may be substituted with a lower alkyl group, such as the anilino, benzylamino, N-methylanilino and N-methylbenzylamino groups; and

a heterocyclic-substituted amino group, in which the nitrogen atom may be substituted with a lower alkyl group, such as the pyridylamino, N-methylpyridylamino and N-ethylpyridylamino groups.

Of these, we prefer the amino group, mono- and di-alkylamino groups, saturated cyclic amino groups (such as the pyrrolidino, piperidino, piperazino, N-methylpiperazino, morpholino and thiomorpholino groups) and aryl- and aralkylamino groups of which the nitrogen atom may be substituted with a lower alkyl group (such as the anilino, benzylamino, N-methylanilino and N-methylbenzylamino groups).

Where substituent β or substituent γ represents a carbamoyl group of which the nitrogen atom may be substituted, this is a group of formula $-CONR^aR^b$, where R^a and R^b are the same or different and each represents any of the atoms or groups represented by R^a and R^b or a one of R^a and R^b represents a hydrogen atom and the other represents an acyl group (which may be any of the acyl groups defined and exemplified above in relation to R^2) or an aminosulphonyl group. Examples of such carbamoyl groups include:

the carbamoyl group;

alkylcarbamoyl and dialkylcarbamoyl groups, such as the methylcarbamoyl, ethylcarbamoyl, isopropylcarbamoyl, butylcarbamoyl, dimethylcarbamoyl, diethylcarbamoyl, diisopropylcarbamoyl and dibutylcarbamoyl groups;

cycloalkylcarbamoyl and dicycloalkylcarbamoyl groups, such as the cyclopentylcarbamoyl, cyclohexylcarbamoyl, dicyclopentylcarbamoyl and dicyclohexylcarbamoyl groups;

saturated cyclic aminocarbonyl groups, that is carbonyl groups attached to a heterocyclic group having a nitrogen atom in the ring, such as the pyrrolidinocarbonyl, piperidinocarbonyl, piperazinocarbonyl, N-methylpiperazinocarbonyl, morpholinocarbonyl and thiomorpholinocarbonyl groups;

aryl- and aralkylcarbamoyl groups of which the nitrogen atom may be substituted with a lower alkyl group, such as the phenylcarbamoyl, benzylcarbamoyl, N-methylphenylcarbamoyl and N-methylbenzylcarbamoyl groups;

a heterocyclic-substituted carbamoyl group, in which the nitrogen atom may be substituted with a lower alkyl group, such as the pyridylcarbamoyl, N-methylpyridylcarbamoyl and N-ethylpyridylcarbamoyl groups; and

acylcarbamoyl groups, especially alkanesulphonylaminocarbonyl groups, such as the methanesulphonylaminocarbonyl group and the aminosulphonylaminocarbonyl group.

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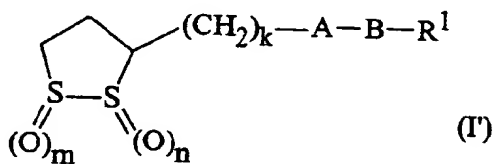
Of these, we prefer the carbamoyl group, mono- and di-alkylcarbamoyl groups, saturated cyclic carbamoyl groups (such as the pyrrolidinocarbonyl, piperidinocarbonyl, piperazinocarbonyl, N-methylpiperazinocarbonyl, morpholinocarbonyl and thiomorpholinocarbonyl groups), aryl- and aralkylcarbamoyl groups of which the nitrogen atom may be substituted with a lower alkyl group (such as the phenylcarbamoyl, benzylcarbamoyl, N-methylphenylcarbamoyl and N-methylbenzylcarbamoyl groups) and alkanesulphonylaminocarbonyl groups (such as the methanesulphonylamino-

Where substituent β or substituent γ represents a lower alkoxy carbonyl group, this may be a straight or branched chain group having from 1 to 6, preferably from 1 to 4, carbon atoms in the alkoxy part (i.e. from 2 to 7 carbon atoms in the alkoxy carbonyl part), and examples include the methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, isopropoxycarbonyl, butoxycarbonyl, isobutoxycarbonyl, sec-butoxycarbonyl, t-butoxycarbonyl, pentyloxycarbonyl, isopentyloxycarbonyl, neopentyloxycarbonyl, 2-methylbutoxycarbonyl, 1-ethylpropoxycarbonyl, hexyloxycarbonyl, isohexyloxycarbonyl, 4-methylpentyloxycarbonyl, 3-methylpentyloxycarbonyl, 2-methylpentyloxycarbonyl, 1-methylpentyloxycarbonyl, 3,3-dimethylbutoxycarbonyl, 2,2-dimethylbutoxycarbonyl, 1,1-dimethylbutoxycarbonyl, 1,2-dimethylbutoxycarbonyl, 1,3-dimethylbutoxycarbonyl, 2,3-dimethylbutoxycarbonyl and 2-ethylbutoxycarbonyl groups. Of these, we prefer those alkoxy carbonyl groups having from 1 to 4 carbon atoms, particularly the methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, isopropoxycarbonyl, butoxycarbonyl and t-butoxycarbonyl groups, and most preferably the methoxycarbonyl group.

Where substituent β or substituent γ represents a halogen atom, this may be a fluorine, chlorine, bromine or iodine atom, preferably a fluorine or chlorine atom.

Where substituent β represents a hydroxy-substituted lower alkyl group, this may be any of the lower alkyl groups defined and exemplified above in relation to R^2 which is substituted by one or more hydroxy groups. Examples of such groups include the hydroxymethyl, hydroxyethyl, hydroxypropyl and hydroxybutyl groups.

Of the compounds of the present invention, those compounds of formula (I) in which the group of formula $-(CH_2)_k-$ A-B- R^1 is bonded to the 3-position of the dithiolan ring are preferred. Such compounds may be represented by the formula (I'):



(in which A, B, R^1 , k , m and n are as defined above).

Where the compounds of the present invention possess a basic group, such as an amino or imino group, the compounds can form salts with acids. On the other hand, where the compounds of the present invention possess an acidic group, such as a carboxy group or an imido group, they can form salts with bases. There is no particular restriction on the nature of such salts, provided that, where they are intended for pharmaceutical use, they are pharmaceutically acceptable, that is they are not less active (or unacceptably less active) than the compound of formula (I) itself, and are not more toxic (or unacceptably more toxic) than the compound of formula (I) itself.

Examples of such salts formed between a basic group in the compound of the present invention and an acid include: salts with mineral acids, especially hydrohalic acids (such as hydrofluoric acid, hydrobromic acid, hydroiodic acid or perchloric acid), carbonic acid, sulphuric acid or phosphoric acid; salts with lower alkylsulphonic acids, such as methanesulphonic acid, trifluoromethanesulphonic acid or ethanesulphonic acid; salts with arylsulphonic acids, such as benzenesulphonic acid or p-toluenesulphonic acid; salts with organic carboxylic acids, such as acetic acid, fumaric acid, tartaric acid, oxalic acid, maleic acid, malic acid, succinic acid, benzoic acid, mandelic acid, ascorbic acid, lactic acid, gluconic acid or citric acid; and salts with amino acids, such as glycine, lysine, arginine, ornithine, glutamic acid or aspartic acid.

Examples of such salts formed between an acidic group in the compound of the present invention and a base include: salts with an alkali metal, such as sodium, potassium or lithium; salts with an alkaline earth metal, such as barium or calcium; salts with another metal, such as magnesium, aluminium or iron; ammonium salts; organic base salts, such as a salt with t-octylamine, dibenzylamine, morpholine, glucosamine, a phenylglycine alkyl ester, ethylenediamine, N-methylglucamine, guanidine, methylamine, dimethylamine, diethylamine, triethylamine, diisopropylamine, cyclohexylamine, dicyclohexylamine, N,N-dibenzylethylenediamine, chlorprocaine, procaine, diethanolamine, N-benzylphenethylamine, piperazine, tetramethylammonium, and tris(hydroxymethyl)aminomethane; and salts with an ami-

no acid, such as glycine, lysine, arginine, ornithine, glutamic acid or aspartic acid.

Also, when a compound of the present invention is allowed to stand in the air, it may absorb water to form a hydrate. Such hydrates also form a part of the present invention.

Where a compound of the present invention contains an asymmetric carbon atom in its molecule, it can form optical isomers which are in the R- or S-configuration. Although these are all represented herein by a single molecular formula, the present invention includes both the individual, isolated isomers and mixtures, including racemates thereof. Where stereospecific synthesis techniques are employed or optically active compounds are employed as starting materials, individual isomers may be prepared directly; on the other hand, if a mixture of isomers is prepared, the individual isomers may be obtained by conventional resolution techniques.

Of the compounds of the present invention, we prefer those compounds of formula (I) and salts thereof in which:

(A) one of m and n is 0, and the other is 0 or 1;

(B) k is 0 or an integer of from 1 to 8;

(C) R^1 represents a hydroxy group, an alkoxy group having from 1 to 5 carbon atoms, a heterocyclic group, an alkyl group having from 1 to 12 carbon atoms which is unsubstituted or is substituted by from 1 to 3 of substituents α and substituents γ or such a substituted or unsubstituted alkyl group in which the carbon chain is interrupted by an oxygen atom and/or a sulphur atom;

(D) A is a group of formula $-\text{CO}-$, $-\text{CON}(\text{R}^2)\text{SO}_2-$, $-\text{N}(\text{R}^2)\text{CO}-$, $-\text{N}(\text{R}^2)\text{CS}-$, $-\text{CON}(\text{R}^2)\text{CO}-$, $-\text{N}(\text{R}^2)\text{COCO}-$ or $-\text{N}(\text{R}^2)\text{SO}_2-$ [in which, R^2 is a hydrogen atom, an alkyl group having from 1 to 12 carbon atoms or a benzyl group], in particular a group of formula $-\text{CON}(\text{R}^2)\text{SO}_2-$, $-\text{N}(\text{R}^2)\text{CS}-$, $-\text{CON}(\text{R}^2)\text{CO}-$, $-\text{N}(\text{R}^2)\text{COCO}-$, or $-\text{N}(\text{R}^2)\text{SO}_2-$;

(E) B represents a single bond, or a group of formula $-\text{N}(\text{R}^5)-$ or $-\text{N}(\text{R}^5)\text{N}(\text{R}^6)-$ [in which R^5 and R^6 are the same or different and each represents a hydrogen atom, an alkyl group having from 1 to 12 carbon atoms or a benzyl group];

Of the above, we particularly prefer those compounds of formula (I) in which m and n are as defined in (A) above, k is as defined in (B) above, R^1 is as defined in (C) above, A is as defined in (D) above, and B is as defined in (E) above.

More preferred compounds of the present invention are those compounds of formula (I) and salts thereof in which:

(F) both of m and n are 0;

(G) k is an integer of from 2 to 6;

(H) R^1 represents an alkyl group having from 1 to 5 carbon atoms, an alkoxycarbonylalkyl group having from 3 to 8 carbon atoms, a carboxyalkyl group having from 2 to 7 carbon atoms, a hydroxyalkyl group having from 2 to 5 carbon atoms, a heterocyclic group, an alkoxy group having from 1 to 5 carbon atoms or a hydroxy group;

(I) A represents a group of formula $-\text{CO}-$, $-\text{CON}(\text{R}^2)\text{SO}_2-$, $-\text{N}(\text{R}^2)\text{CO}-$, $-\text{N}(\text{R}^2)\text{CS}-$, $-\text{CON}(\text{R}^2)\text{CO}-$, $-\text{N}(\text{R}^2)\text{COCO}-$ or $-\text{N}(\text{R}^2)\text{SO}_2-$ [in which, R^2 represents a hydrogen atom or an alkyl group having from 1 to 12 carbon atoms], in particular a group of formula $-\text{CON}(\text{R}^2)\text{SO}_2-$, $-\text{N}(\text{R}^2)\text{CS}-$, $-\text{CON}(\text{R}^2)\text{CO}-$, $-\text{N}(\text{R}^2)\text{COCO}-$, or $-\text{N}(\text{R}^2)\text{SO}_2-$;

(J) B represents a single bond, or a group of formula $-\text{N}(\text{R}^5)-$ or $-\text{N}(\text{R}^5)\text{N}(\text{R}^6)-$ [in which R^5 and R^6 are the same or different and each represents a hydrogen atom or an alkyl group having from 1 to 12 carbon atoms];

Of the above, we particularly prefer those compounds of formula (I) in which m and n are as defined in (F) above, k is as defined in (G) above, R^1 is as defined in (H) above, A is as defined in (I) above, and B is as defined in (J) above.

The most preferred compounds of the present invention are those compounds of formula (I) and salts thereof in which:

(K) both of m and n are 0;

(L) k is 4 or 5;

(M) R^1 represents an alkyl group having from 1 to 5 carbon atoms, an alkoxycarbonylalkyl group having from 3 to 8 carbon atoms, a carboxyalkyl group having from 2 to 7 carbon atoms, a hydroxyalkyl group having from 2 to 5

carbon atoms, a heterocyclic group or an alkoxy group having from 1 to 5 carbon atoms;

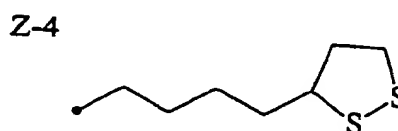
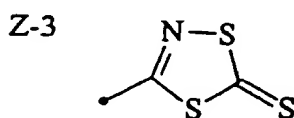
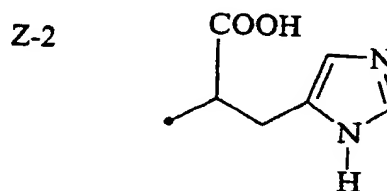
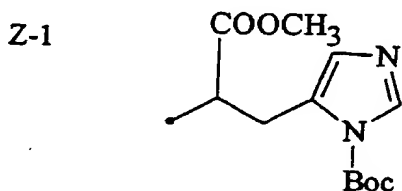
(N) A represents a group of formula $-\text{CONHSO}_2-$, $-\text{CONCH}_3\text{SO}_2-$, $-\text{NHCO}-$, $-\text{NHCS}-$, $-\text{CONHCO}-$, $-\text{NHCOCO}-$, $-\text{NHSO}_2-$ or $-\text{CO}-$, in particular a group of formula $-\text{CONHSO}_2-$, $-\text{CONCH}_3\text{SO}_2-$, $-\text{NHCS}-$, $-\text{CONHCO}-$, $-\text{NHCOCO}-$, or $-\text{NHSO}_2-$;

(O) B represents a single bond, or a group of formula $-\text{NH}-$, $-\text{NCH}_3-$ or $-\text{NHNCH}_3-$;

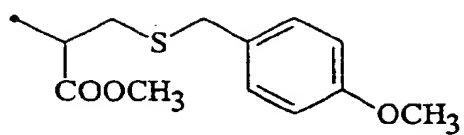
Of the above, we particularly prefer those compounds of formula (I) in which \underline{m} and \underline{n} are as defined in (K) above, \underline{k} is as defined in (L) above, R^1 is as defined in (M) above, A is as defined in (N) above, and B is as defined in (O) above. Specific examples of individual compounds of the present invention are shown in the following formulae (I-1), (I-2) and (I-3), in which the substituent groups are as shown in the corresponding one of Tables 1 to 3. In the Tables, the following abbreviations are used:

15	Ac	acetyl;
	Bu	butyl;
	iBu	isobutyl;
	sBu	sec-butyl;
	tBu	t-butyl;
20	Bz	benzyl;
	1,3-diox-1Ind	isoindol-1,3-dione-2-yl;
	3,4-diMe-2,5-diox-1-Imdd	3,4-dimethyl-imidazolidin-2,5-dione-1yl,
	Et	ethyl;
	Hx	hexyl;
25	Indn	indoliny;
	Me	methyl;
	Mor	morpholino;
	Ph	phenyl;
	Pipra	piperazino;
30	Pipri	piperidino;
	Pn	pentyl;
	iPn	isopentyl;
	Pr	propyl;
	iPr	isopropyl;
35	Py	pyridyl;
	Pyr	pyrrolidiny;
	Thiad	3-thiazolidiny;
	Thmor	thiomorpholino.

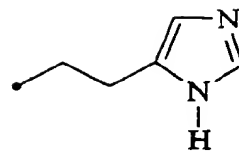
Also, in the Tables, the groups represented by Z-1 to Z-12 have the following formulae:



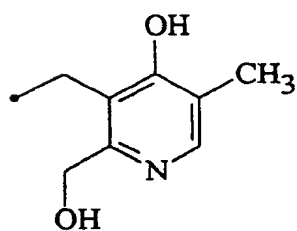
Z-5



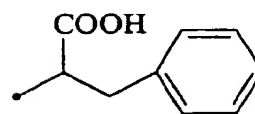
Z-6



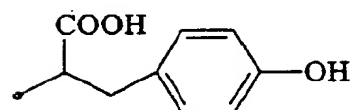
Z-7



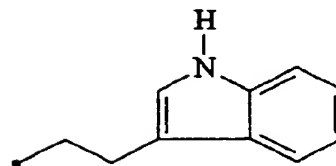
Z-8



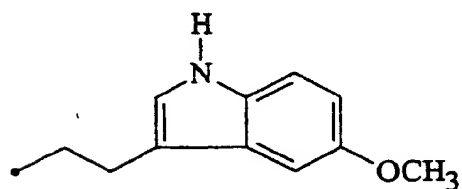
Z-9



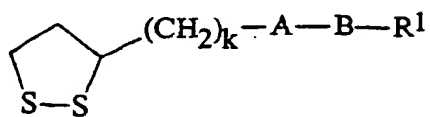
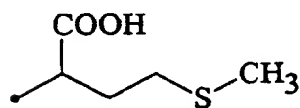
Z-10



Z-11



Z-12



(I-1)

Table 1

Cpd. No.	k	A	B	R ¹
1-1	4	CO	NH	H
1-2	4	CO	NH	Ph
1-3	4	CO	NH	2-Me-Ph
1-4	4	CO	NH	4-Me-Ph
1-5	4	CO	NH	2,4-diMe-Ph
1-6	4	CO	NH	3,4-diMe-Ph
1-7	4	CO	NH	2-(CF ₃)Ph
1-8	4	CO	NH	4-(CF ₃)Ph
1-9	4	CO	NH	2-MeOPh
1-10	4	CO	NH	4-MeOPh
1-11	4	CO	NH	2-EtOPh
1-12	4	CO	NH	4-EtOPh
1-13	4	CO	NH	2-HOPh
1-14	4	CO	NH	4-HOPh
1-15	4	CO	NH	2-(HOOC)Ph
1-16	4	CO	NH	4-(HOOC)Ph
1-17	4	CO	NH	2-(MeOOC)Ph
1-18	4	CO	NH	4-(MeOOC)Ph
1-19	4	CO	NH	2-(EtOOC)Ph
1-20	4	CO	NH	4-(EtOOC)Ph
1-21	4	CO	NH	2-(<i>t</i> BuOOC)Ph
1-22	4	CO	NH	4-(<i>t</i> BuOOC)Ph
1-23	4	CO	NH	2-Cl-Ph

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-24	4	CO	NH	4-Cl-Ph
1-25	4	CO	NH	2-Br-Ph
1-26	4	CO	NH	4-Br-Ph
1-27	4	CO	NH	2-I-Ph
1-28	4	CO	NH	4-I-Ph
1-29	4	CO	NH	2-NO ₂ -Ph
1-30	4	CO	NH	4-NO ₂ -Ph
1-31	4	CO	NH	2-NH ₂ -Ph
1-32	4	CO	NH	4-NH ₂ -Ph
1-33	4	CO	NH	2-(HO ₃ S)Ph
1-34	4	CO	NH	4-(HO ₃ S)Ph
1-35	4	CO	NH	2-(NH ₂ O ₂ S)Ph
1-36	4	CO	NH	4-(NH ₂ O ₂ S)Ph
1-37	4	CO	NH	2-CN-Ph
1-38	4	CO	NH	4-CN-Ph
1-39	4	CO	NH	2-(HOCH ₂)Ph
1-40	4	CO	NH	4-(HOCH ₂)Ph
1-41	4	CO	NH	Me
1-42	4	CO	NH	Et
1-43	4	CO	NH	Pr
1-44	4	CO	NH	<i>i</i> Pr
1-45	4	CO	NH	Bu
1-46	4	CO	NH	HOOCCH ₂ -
1-47	4	CO	NH	MeOOCCH ₂ -
1-48	4	CO	NH	MeCH(COOH)-
1-49	4	CO	NH	HOOC-(CH ₂) ₂ -

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-50	4	CO	NH	MeCH(COOMe)-
1-51	4	CO	NH	1-HOOC- <i>i</i> Bu
1-52	4	CO	NH	1-MeOOC- <i>i</i> Bu
1-53	4	CO	NH	1-HOOC- <i>i</i> Pn
1-54	4	CO	NH	1-MeOOC- <i>i</i> Pn
1-55	4	CO	NH	1-HOOC-2-Me-Bu
1-56	4	CO	NH	1-MeOOC-2-Me-Bu
1-57	4	CO	NH	CH ₂ CH ₂ SO ₃ H
1-58	4	CO	NH	OH
1-59	4	CO	NH	MeO
1-60	4	CO	NH	EtO
1-61	4	CO	NH	PrO
1-62	4	CO	NH	<i>i</i> PrO
1-63	4	CO	NH	BuO
1-64	4	CO	NH	<i>i</i> BuO
1-65	4	CO	NH	<i>s</i> BuO
1-66	4	CO	NH	<i>t</i> BuO
1-67	4	CO	NH	HxO
1-68	4	CO	NH	PhO
1-69	4	CO	NH	BzO
1-70	4	CO	NH	Z-1
1-71	4	CO	NH	Z-2
1-72	4	CO	NH	Z-3
1-73	4	CO	NH	Z-4
1-74	4	CO	NH	Z-5
1-75	4	CO	NH	Z-6
1-76	4	CO	NH	Z-7

1911-1912

The first part of the year was spent in the field, collecting specimens and making observations on the habits of the various species of birds and mammals. The second part of the year was spent in the laboratory, preparing the specimens for the museum and writing up the results of the field work.

The following table gives a summary of the results of the field work:

Species	Number collected	Number of nests	Number of eggs
Red-winged Blackbird	12	8	24
Blue Jay	15	10	30
White-throated Sparrow	20	12	40
Chipping Sparrow	18	10	36
Starling	25	15	60
House Wren	10	6	20
Robin	8	5	16
Goldfinch	12	7	24
Tree Toad	5	3	10
Common Frog	10	6	20
Snail	20	12	40
Slug	15	8	24
Beetle	30	18	72
Butterfly	10	6	20
Moth	12	7	24
Grasshopper	8	5	16
Cicada	5	3	10
Cricket	10	6	20
Locust	12	7	24
Ant	20	12	40
Termite	15	8	24
Wasp	10	6	20
Beetle	12	7	24
Butterfly	8	5	16
Moth	10	6	20
Grasshopper	12	7	24
Cicada	5	3	10
Cricket	10	6	20
Locust	12	7	24
Ant	20	12	40
Termite	15	8	24
Wasp	10	6	20

The following table gives a summary of the results of the laboratory work:

Species	Number of specimens	Number of eggs
Red-winged Blackbird	12	24
Blue Jay	15	30
White-throated Sparrow	20	40
Chipping Sparrow	18	36
Starling	25	60
House Wren	10	20
Robin	8	16
Goldfinch	12	24
Tree Toad	5	10
Common Frog	10	20
Snail	20	40
Slug	15	24
Beetle	30	72
Butterfly	10	20
Moth	12	24
Grasshopper	8	16
Cicada	5	10
Cricket	10	20
Locust	12	24
Ant	20	40
Termite	15	24
Wasp	10	20

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-77	4	CO	NH	Z-8
1-78	4	CO	NH	Z-9
1-79	4	CO	NH	Z-10
1-80	4	CO	NH	Z-11
1-81	4	CO	NH	Z-12
1-82	4	CO	NH	3-Py
1-83	4	CO	NH	4-Py
1-84	4	CO	N(Ac)	H
1-85	4	CO	N(Ac)	Ph
1-86	4	CO	N(Ac)	2-Me-Ph
1-87	4	CO	N(Ac)	4-Me-Ph
1-88	4	CO	N(Ac)	2,4-diMe-Ph
1-89	4	CO	N(Ac)	3,4-diMe-Ph
1-90	4	CO	N(Ac)	2-(CF ₃)Ph
1-91	4	CO	N(Ac)	4-(CF ₃)Ph
1-92	4	CO	N(Ac)	2-MeOPh
1-93	4	CO	N(Ac)	4-MeOPh
1-94	4	CO	N(Ac)	2-EtOPh
1-95	4	CO	N(Ac)	4-EtOPh
1-96	4	CO	N(Ac)	2-HOPh
1-97	4	CO	N(Ac)	4-HOPh
1-98	4	CO	N(Ac)	2-(HOOC)Ph
1-99	4	CO	N(Ac)	4-(HOOC)Ph
1-100	4	CO	N(Ac)	2-(MeOOC)Ph
1-101	4	CO	N(Ac)	4-(MeOOC)Ph
1-102	4	CO	N(Ac)	2-(EtOOC)Ph
1-103	4	CO	N(Ac)	4-(EtOOC)Ph

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-104	4	CO	N(Ac)	2-(<i>t</i> BuOOC)Ph
1-105	4	CO	N(Ac)	4-(<i>t</i> BuOOC)Ph
1-106	4	CO	N(Ac)	2-Cl-Ph
1-107	4	CO	N(Ac)	4-Cl-Ph
1-108	4	CO	N(Ac)	2-Br-Ph
1-109	4	CO	N(Ac)	4-Br-Ph
1-110	4	CO	N(Ac)	2-I-Ph
1-111	4	CO	N(Ac)	4-I-Ph
1-112	4	CO	N(Ac)	2-NO ₂ -Ph
1-113	4	CO	N(Ac)	4-NO ₂ -Ph
1-114	4	CO	N(Ac)	2-NH ₂ -Ph
1-115	4	CO	N(Ac)	4-NH ₂ -Ph
1-116	4	CO	N(Ac)	2-(HO ₃ S)Ph
1-117	4	CO	N(Ac)	4-(HO ₃ S)Ph
1-118	4	CO	N(Ac)	2-(NH ₂ O ₂ S)Ph
1-119	4	CO	N(Ac)	4-(NH ₂ O ₂ S)Ph
1-120	4	CO	N(Ac)	2-CN-Ph
1-121	4	CO	N(Ac)	4-CN-Ph
1-122	4	CO	N(Ac)	2-(HOCH ₂)Ph
1-123	4	CO	N(Ac)	4-(HOCH ₂)Ph
1-124	4	CO	N(Ac)	Me
1-125	4	CO	N(Ac)	Et
1-126	4	CO	N(Ac)	Pr
1-127	4	CO	N(Ac)	<i>i</i> Pr
1-128	4	CO	N(Ac)	Bu
1-129	4	CO	N(Ac)	HOOCCH ₂ -

The first part of the document discusses the importance of maintaining accurate records of all transactions. It emphasizes that proper record-keeping is essential for the integrity of the financial system and for the ability to detect and prevent fraud. The document also outlines the responsibilities of individuals involved in the process, including the need for transparency and accountability.

The second part of the document provides a detailed overview of the various methods used to collect and analyze data. It describes the different types of data sources, such as surveys, interviews, and focus groups, and explains how this information is used to identify trends and patterns. The document also discusses the challenges associated with data collection and analysis, such as ensuring the reliability and validity of the data.

The third part of the document focuses on the development of effective communication strategies. It discusses the importance of clear and concise communication and provides guidelines for writing reports and presentations. The document also outlines the different channels through which information can be disseminated, such as newsletters, websites, and social media.

The fourth part of the document discusses the role of technology in the financial system. It describes the various ways in which technology is being used to improve efficiency and reduce risk, such as through the use of automated systems and data analytics. The document also discusses the challenges associated with the use of technology, such as ensuring the security and privacy of the data.

The fifth part of the document discusses the importance of ongoing monitoring and evaluation. It emphasizes that the financial system must be regularly reviewed and updated to ensure that it remains effective and relevant. The document also outlines the different methods used to monitor and evaluate the system, such as through the use of key performance indicators and regular audits.

The sixth part of the document discusses the role of the public in the financial system. It emphasizes that the public has a right to know about the system and to participate in its development. The document also outlines the different ways in which the public can get involved, such as through public consultations and the formation of advisory committees.

The seventh part of the document discusses the importance of international cooperation. It emphasizes that the financial system is a global system and that it requires the cooperation of all countries to ensure its stability and integrity. The document also outlines the different ways in which countries can cooperate, such as through the use of international treaties and the formation of international organizations.

The eighth part of the document discusses the importance of education and training. It emphasizes that individuals must be educated and trained to participate effectively in the financial system. The document also outlines the different ways in which education and training can be provided, such as through the use of formal education and informal learning opportunities.

The ninth part of the document discusses the importance of research and innovation. It emphasizes that the financial system must be able to adapt to changing circumstances and that this requires ongoing research and innovation. The document also outlines the different ways in which research and innovation can be encouraged, such as through the use of grants and the formation of research centers.

The tenth part of the document discusses the importance of ethics and governance. It emphasizes that the financial system must be operated in a fair and transparent manner and that this requires strong ethical and governance standards. The document also outlines the different ways in which ethics and governance can be ensured, such as through the use of codes of conduct and the formation of oversight bodies.

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-130	4	CO	N(Ac)	MeOOCCH ₂ -
1-131	4	CO	N(Ac)	MeCH(COOH)
1-132	4	CO	N(Ac)	HOOC-(CH ₂) ₂ -
1-133	4	CO	N(Ac)	MeCH(COOMe)
1-134	4	CO	N(Ac)	1-HOOC- <i>i</i> Bu
1-135	4	CO	N(Ac)	1-MeOOC- <i>i</i> Bu
1-136	4	CO	N(Ac)	1-HOOC- <i>i</i> Pn
1-137	4	CO	N(Ac)	1-MeOOC- <i>i</i> Pn
1-138	4	CO	N(Ac)	1-HOOC-2-Me-Bu
1-139	4	CO	N(Ac)	1-MeOOC-2-Me-Bu
1-140	4	CO	N(Ac)	CH ₂ CH ₂ SO ₃ H
1-141	4	CO	N(Ac)	OH
1-142	4	CO	N(Ac)	MeO
1-143	4	CO	N(Ac)	EtO
1-144	4	CO	N(Ac)	PrO
1-145	4	CO	N(Ac)	<i>i</i> PrO
1-146	4	CO	N(Ac)	BuO
1-147	4	CO	N(Ac)	<i>i</i> BuO
1-148	4	CO	N(Ac)	<i>s</i> BuO
1-149	4	CO	N(Ac)	<i>t</i> BuO
1-150	4	CO	N(Ac)	HxO
1-151	4	CO	N(Ac)	PhO
1-152	4	CO	N(Ac)	BzO
1-153	4	CO	N(Ac)	Z-1
1-154	4	CO	N(Ac)	Z-2
1-155	4	CO	N(Ac)	Z-3
1-156	4	CO	N(Ac)	Z-4

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-157	4	CO	N(Ac)	Z-5
1-158	4	CO	N(Ac)	Z-6
1-159	4	CO	N(Ac)	Z-7
1-160	4	CO	N(Ac)	Z-8
1-161	4	CO	N(Ac)	Z-9
1-162	4	CO	N(Ac)	Z-10
1-163	4	CO	N(Ac)	Z-11
1-164	4	CO	N(Ac)	Z-12
1-165	4	CO	N(Ac)	3-Py
1-166	4	CO	N(Ac)	4-Py
1-167	4	COO	—	H
1-168	4	COO	—	Ph
1-169	4	COO	—	2-Me-Ph
1-170	4	COO	—	4-Me-Ph
1-171	4	COO	—	2,4-diMe-Ph
1-172	4	COO	—	3,4-diMe-Ph
1-173	4	COO	—	2-(CF ₃)Ph
1-174	4	COO	—	4-(CF ₃)Ph
1-175	4	COO	—	2-MeOPh
1-176	4	COO	—	4-MeOPh
1-177	4	COO	—	2-EtOPh
1-178	4	COO	—	4-EtOPh
1-179	4	COO	—	2-HOPh
1-180	4	COO	—	4-HOPh
1-181	4	COO	—	2-(HOOC)Ph
1-182	4	COO	—	4-(HOOC)Ph
1-183	4	COO	—	2-(MeOOC)Ph

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-184	4	COO	—	4-(MeOOC)Ph
1-185	4	COO	—	2-(EtOOC)Ph
1-186	4	COO	—	4-(EtOOC)Ph
1-187	4	COO	—	2-(<i>t</i> BuOOC)Ph
1-188	4	COO	—	4-(<i>t</i> BuOOC)Ph
1-189	4	COO	—	2-Cl-Ph
1-190	4	COO	—	4-Cl-Ph
1-191	4	COO	—	2-Br-Ph
1-192	4	COO	—	4-Br-Ph
1-193	4	COO	—	2-I-Ph
1-194	4	COO	—	4-I-Ph
1-195	4	COO	—	2-NO ₂ -Ph
1-196	4	COO	—	4-NO ₂ -Ph
1-197	4	COO	—	2-NH ₂ -Ph
1-198	4	COO	—	4-NH ₂ -Ph
1-199	4	COO	—	2-(HO ₃ S)Ph
1-200	4	COO	—	4-(HO ₃ S)Ph
1-201	4	COO	—	2-(NH ₂ O ₂ S)Ph
1-202	4	COO	—	4-(NH ₂ O ₂ S)Ph
1-203	4	COO	—	2-CN-Ph
1-204	4	COO	—	4-CN-Ph
1-205	4	COO	—	2-(HOCH ₂)Ph
1-206	4	COO	—	4-(HOCH ₂)Ph
1-207	4	COO	—	Me
1-208	4	COO	—	Et
1-209	4	COO	—	Pr

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-210	4	COO	—	<i>i</i> Pr
1-211	4	COO	—	Bu
1-212	4	COO	—	HOOCCH ₂ -
1-213	4	COO	—	HOOC-(CH ₂) ₂ -
1-214	4	COO	—	MeCH(COOMe)
1-215	4	COO	—	1-HOOC- <i>i</i> Bu
1-216	4	COO	—	1-HOOC- <i>i</i> Pn
1-217	4	COO	—	Z-1
1-218	4	COO	—	Z-2
1-219	4	COO	—	Z-3
1-220	4	COO	—	Z-4
1-221	4	COO	—	Z-5
1-222	4	COO	—	Z-6
1-223	4	COO	—	Z-7
1-224	4	COO	—	Z-8
1-225	4	COO	—	Z-9
1-226	4	COO	—	Z-10
1-227	4	COO	—	Z-11
1-228	4	COO	—	Z-12
1-229	4	COO	—	3-Py
1-230	4	COO	—	4-Py
1-231	4	CONHCO	—	H
1-232	4	CONHCO	—	Ph
1-233	4	CONHCO	—	2-Me-Ph
1-234	4	CONHCO	—	4-Me-Ph
1-235	4	CONHCO	—	2,4-diMe-Ph
1-236	4	CONHCO	—	3,4-diMe-Ph

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-237	4	CONHCO	—	2-(CF ₃)Ph
1-238	4	CONHCO	—	4-(CF ₃)Ph
1-239	4	CONHCO	—	2-MeOPh
1-240	4	CONHCO	—	4-MeOPh
1-241	4	CONHCO	—	2-EtOPh
1-242	4	CONHCO	—	4-EtOPh
1-243	4	CONHCO	—	2-HOPh
1-244	4	CONHCO	—	4-HOPh
1-245	4	CONHCO	—	2-(HOOC)Ph
1-246	4	CONHCO	—	4-(HOOC)Ph
1-247	4	CONHCO	—	2-(MeOOC)Ph
1-248	4	CONHCO	—	4-(MeOOC)Ph
1-249	4	CONHCO	—	2-(EtOOC)Ph
1-250	4	CONHCO	—	4-(EtOOC)Ph
1-251	4	CONHCO	—	2-(<i>t</i> BuOOC)Ph
1-252	4	CONHCO	—	4-(<i>t</i> BuOOC)Ph
1-253	4	CONHCO	—	2-Cl-Ph
1-254	4	CONHCO	—	4-Cl-Ph
1-255	4	CONHCO	—	2-Br-Ph
1-256	4	CONHCO	—	4-Br-Ph
1-257	4	CONHCO	—	2-I-Ph
1-258	4	CONHCO	—	4-I-Ph
1-259	4	CONHCO	—	2-NO ₂ -Ph
1-260	4	CONHCO	—	4-NO ₂ -Ph
1-261	4	CONHCO	—	2-NH ₂ -Ph
1-262	4	CONHCO	—	4-NH ₂ -Ph

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-263	4	CONHCO	—	2-(HO ₃ S)Ph
1-264	4	CONHCO	—	4-(HO ₃ S)Ph
1-265	4	CONHCO	—	2-(NH ₂ O ₂ S)Ph
1-266	4	CONHCO	—	4-(NH ₂ O ₂ S)Ph
1-267	4	CONHCO	—	2-CN-Ph
1-268	4	CONHCO	—	4-CN-Ph
1-269	4	CONHCO	—	2-(HOCH ₂)Ph
1-270	4	CONHCO	—	4-(HOCH ₂)Ph
1-271	4	CONHCO	—	Me
1-272	4	CONHCO	—	Et
1-273	4	CONHCO	—	Pr
1-274	4	CONHCO	—	<i>i</i> Pr
1-275	4	CONHCO	—	Bu
1-276	4	CONHCO	—	HOOCCH ₂ -
1-277	4	CONHCO	—	MeOOCCH ₂ -
1-278	4	CONHCO	—	MeCH(COOH)
1-279	4	CONHCO	—	HOOC-(CH ₂) ₂ -
1-280	4	CONHCO	—	MeCH(COOMe)
1-281	4	CONHCO	—	1-HOOC- <i>i</i> Bu
1-282	4	CONHCO	—	1-MeOOC- <i>i</i> Bu
1-283	4	CONHCO	—	1-HOOC- <i>i</i> Pn
1-284	4	CONHCO	—	1-MeOOC- <i>i</i> Pn
1-285	4	CONHCO	—	1-HOOC-2-Me-Bu
1-286	4	CONHCO	—	1-MeOOC-2-Me-Bu
1-287	4	CONHCO	—	CH ₂ CH ₂ SO ₃ H
1-288	4	CONHCO	—	Z-1

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-289	4	CONHCO	—	Z-2
1-290	4	CONHCO	—	Z-3
1-291	4	CONHCO	—	Z-4
1-292	4	CONHCO	—	Z-5
1-293	4	CONHCO	—	Z-6
1-294	4	CONHCO	—	Z-7
1-295	4	CONHCO	—	Z-8
1-296	4	CONHCO	—	Z-9
1-297	4	CONHCO	—	Z-10
1-298	4	CONHCO	—	Z-11
1-299	4	CONHCO	—	Z-12
1-300	4	CONHCO	—	3-Py
1-301	4	CONHCO	—	4-Py
1-302	4	CON(Ac)CO	—	H
1-303	4	CON(Ac)CO	—	Ph
1-304	4	CON(Ac)CO	—	2-Me-Ph
1-305	4	CON(Ac)CO	—	4-Me-Ph
1-306	4	CON(Ac)CO	—	2,4-diMe-Ph
1-307	4	CON(Ac)CO	—	3,4-diMe-Ph
1-308	4	CON(Ac)CO	—	2-(CF ₃)Ph
1-309	4	CON(Ac)CO	—	4-(CF ₃)Ph
1-310	4	CON(Ac)CO	—	2-MeOPh
1-311	4	CON(Ac)CO	—	4-MeOPh
1-312	4	CON(Ac)CO	—	2-EtOPh
1-313	4	CON(Ac)CO	—	4-EtOPh
1-314	4	CON(Ac)CO	—	2-HOPh
1-315	4	CON(Ac)CO	—	4-HOPh

1. *Phragmites australis* (Rostk & Schmidt) Bosc.

[illegible]

Trial	Control	MCI	AD
1	85	75	65
2	88	78	68
3	90	80	70
4	92	82	72
5	95	85	75

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10. *Journal of the American Medical Association*, 2000; 284: 1039-1044.

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Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-316	4	CON(Ac)CO	—	2-(HOOC)Ph
1-317	4	CON(Ac)CO	—	4-(HOOC)Ph
1-318	4	CON(Ac)CO	—	2-(MeOOC)Ph
1-319	4	CON(Ac)CO	—	4-(MeOOC)Ph
1-320	4	CON(Ac)CO	—	2-(EtOOC)Ph
1-321	4	CON(Ac)CO	—	4-(EtOOC)Ph
1-322	4	CON(Ac)CO	—	2-(<i>t</i> BuOOC)Ph
1-323	4	CON(Ac)CO	—	4-(<i>t</i> BuOOC)Ph
1-324	4	CON(Ac)CO	—	2-Cl-Ph
1-325	4	CON(Ac)CO	—	4-Cl-Ph
1-326	4	CON(Ac)CO	—	2-Br-Ph
1-327	4	CON(Ac)CO	—	4-Br-Ph
1-328	4	CON(Ac)CO	—	2-I-Ph
1-329	4	CON(Ac)CO	—	4-I-Ph
1-330	4	CON(Ac)CO	—	2-NO ₂ -Ph
1-331	4	CON(Ac)CO	—	4-NO ₂ -Ph
1-332	4	CON(Ac)CO	—	2-NH ₂ -Ph
1-333	4	CON(Ac)CO	—	4-NH ₂ -Ph
1-334	4	CON(Ac)CO	—	2-(HO ₃ S)Ph
1-335	4	CON(Ac)CO	—	4-(HO ₃ S)Ph
1-336	4	CON(Ac)CO	—	2-(NH ₂ O ₂ S)Ph
1-337	4	CON(Ac)CO	—	4-(NH ₂ O ₂ S)Ph
1-338	4	CON(Ac)CO	—	2-CN-Ph
1-339	4	CON(Ac)CO	—	4-CN-Ph
1-340	4	CON(Ac)CO	—	2-(HOCH ₂)Ph
1-341	4	CON(Ac)CO	—	4-(HOCH ₂)Ph

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-342	4	CON(Ac)CO	—	Me
1-343	4	CON(Ac)CO	—	Et
1-344	4	CON(Ac)CO	—	Pr
1-345	4	CON(Ac)CO	—	<i>i</i> Pr
1-346	4	CON(Ac)CO	—	Bu
1-347	4	CON(Ac)CO	—	HOOCCH ₂ -
1-348	4	CON(Ac)CO	—	MeOOCCH ₂ -
1-349	4	CON(Ac)CO	—	MeCH(COOH)
1-350	4	CON(Ac)CO	—	HOOC-(CH ₂) ₂ -
1-351	4	CON(Ac)CO	—	MeCH(COOME)
1-352	4	CON(Ac)CO	—	1-HOOC- <i>i</i> Bu
1-353	4	CON(Ac)CO	—	1-MeOOC- <i>i</i> Bu
1-354	4	CON(Ac)CO	—	1-HOOC- <i>i</i> Pn
1-355	4	CON(Ac)CO	—	1-MeOOC- <i>i</i> Pn
1-356	4	CON(Ac)CO	—	1-HOOC-2-Me-Bu
1-357	4	CON(Ac)CO	—	1-MeOOC-2-Me-Bu
1-358	4	CON(Ac)CO	—	CH ₂ CH ₂ SO ₃ H
1-359	4	CON(Ac)CO	—	Z-1
1-360	4	CON(Ac)CO	—	Z-2
1-361	4	CON(Ac)CO	—	Z-3
1-362	4	CON(Ac)CO	—	Z-4
1-363	4	CON(Ac)CO	—	Z-5
1-364	4	CON(Ac)CO	—	Z-6
1-365	4	CON(Ac)CO	—	Z-7
1-366	4	CON(Ac)CO	—	Z-8
1-367	4	CON(Ac)CO	—	Z-9

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-368	4	CON(Ac)CO	—	Z-10
1-369	4	CON(Ac)CO	—	Z-11
1-370	4	CON(Ac)CO	—	Z-12
1-371	4	CON(Ac)CO	—	3-Py
1-372	4	CON(Ac)CO	—	4-Py
1-373	4	CONHCO	NH	H
1-374	4	CONHCO	NH	Ph
1-375	4	CONHCO	NH	2-Me-Ph
1-376	4	CONHCO	NH	4-Me-Ph
1-377	4	CONHCO	NH	2,4-diMe-Ph
1-378	4	CONHCO	NH	3,4-diMe-Ph
1-379	4	CONHCO	NH	2-(CF ₃)Ph
1-380	4	CONHCO	NH	4-(CF ₃)Ph
1-381	4	CONHCO	NH	2-MeOPh
1-382	4	CONHCO	NH	4-MeOPh
1-383	4	CONHCO	NH	2-EtOPh
1-384	4	CONHCO	NH	4-EtOPh
1-385	4	CONHCO	NH	2-HOPh
1-386	4	CONHCO	NH	4-HOPh
1-387	4	CONHCO	NH	2-(HOOC)Ph
1-388	4	CONHCO	NH	4-(HOOC)Ph
1-389	4	CONHCO	NH	2-(MeOOC)Ph
1-390	4	CONHCO	NH	4-(MeOOC)Ph
1-391	4	CONHCO	NH	2-(EtOOC)Ph
1-392	4	CONHCO	NH	4-(EtOOC)Ph
1-393	4	CONHCO	NH	2-(<i>t</i> BuOOC)Ph
1-394	4	CONHCO	NH	4-(<i>t</i> BuOOC)Ph

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Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-395	4	CONHCO	NH	2-Cl-Ph
1-396	4	CONHCO	NH	4-Cl-Ph
1-397	4	CONHCO	NH	2-Br-Ph
1-398	4	CONHCO	NH	4-Br-Ph
1-399	4	CONHCO	NH	2-I-Ph
1-400	4	CONHCO	NH	4-I-Ph
1-401	4	CONHCO	NH	2-NO ₂ -Ph
1-402	4	CONHCO	NH	4-NO ₂ -Ph
1-403	4	CONHCO	NH	2-NH ₂ -Ph
1-404	4	CONHCO	NH	4-NH ₂ -Ph
1-405	4	CONHCO	NH	2-(HO ₃ S)Ph
1-406	4	CONHCO	NH	4-(HO ₃ S)Ph
1-407	4	CONHCO	NH	2-(NH ₂ O ₂ S)Ph
1-408	4	CONHCO	NH	4-(NH ₂ O ₂ S)Ph
1-409	4	CONHCO	NH	2-CN-Ph
1-410	4	CONHCO	NH	4-CN-Ph
1-411	4	CONHCO	NH	2-(HOCH ₂)Ph
1-412	4	CONHCO	NH	4-(HOCH ₂)Ph
1-413	4	CONHCO	NH	Me
1-414	4	CONHCO	NH	Et
1-415	4	CONHCO	NH	Pr
1-416	4	CONHCO	NH	iPr
1-417	4	CONHCO	NH	Bu
1-418	4	CONHCO	NH	HOOCCCH ₂ -
1-419	4	CONHCO	NH	MeOOCCH ₂ -
1-420	4	CONHCO	NH	MeCH(COOH)

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-421	4	CONHCO	NH	HOOC-(CH ₂) ₂ -
1-422	4	CONHCO	NH	MeCH(COOMe)
1-423	4	CONHCO	NH	1-HOOC- <i>i</i> Bu
1-424	4	CONHCO	NH	1-MeOOC- <i>i</i> Bu
1-425	4	CONHCO	NH	1-HOOC- <i>i</i> Pn
1-426	4	CONHCO	NH	1-MeOOC- <i>i</i> Pn
1-427	4	CONHCO	NH	1-HOOC-2-Me-Bu
1-428	4	CONHCO	NH	1-MeOOC-2-Me-Bu
1-429	4	CONHCO	NH	CH ₂ CH ₂ SO ₃ H
1-430	4	CONHCO	NH	HO
1-431	4	CONHCO	NH	MeO
1-432	4	CONHCO	NH	EtO
1-433	4	CONHCO	NH	PrO
1-434	4	CONHCO	NH	<i>i</i> PrO
1-435	4	CONHCO	NH	BuO
1-436	4	CONHCO	NH	<i>i</i> BuO
1-437	4	CONHCO	NH	<i>s</i> BuO
1-438	4	CONHCO	NH	<i>t</i> BuO
1-439	4	CONHCO	NH	HxO
1-440	4	CONHCO	NH	PhO
1-441	4	CONHCO	NH	BzO
1-442	4	CONHCO	NH	Z-1
1-443	4	CONHCO	NH	Z-2
1-444	4	CONHCO	NH	Z-3
1-445	4	CONHCO	NH	Z-4
1-446	4	CONHCO	NH	Z-5
1-447	4	CONHCO	NH	Z-6

Section 10

The first part of the section discusses the importance of maintaining accurate records of all transactions. It emphasizes that every entry, no matter how small, should be recorded to ensure the integrity of the financial data. This includes not only sales and purchases but also expenses and income. The text then moves on to describe the various methods used to collect and analyze this data, highlighting the role of technology in streamlining the process. It notes that while manual entry was once the norm, modern systems allow for much faster and more accurate data collection. The section also touches upon the challenges of data management, such as ensuring data security and maintaining a clear audit trail. Finally, it concludes by stating that thorough record-keeping is essential for making informed business decisions and for complying with regulatory requirements.

The second part of the section focuses on the importance of regular audits. It explains that audits are a critical component of any financial system, as they help to identify errors and prevent fraud. The text describes the different types of audits, including internal and external audits, and outlines the steps involved in conducting an audit. It stresses that audits should be performed regularly and that the results should be used to improve the financial system. The section also discusses the role of the auditor in providing an independent opinion on the financial statements. Finally, it concludes by stating that audits are a necessary part of any business's financial management.

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The tenth part of the section focuses on the importance of regular audits. It explains that audits are a critical component of any financial system, as they help to identify errors and prevent fraud. The text describes the different types of audits, including internal and external audits, and outlines the steps involved in conducting an audit. It stresses that audits should be performed regularly and that the results should be used to improve the financial system. The section also discusses the role of the auditor in providing an independent opinion on the financial statements. Finally, it concludes by stating that audits are a necessary part of any business's financial management.

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-448	4	CONHCO	NH	Z-7
1-449	4	CONHCO	NH	Z-8
1-450	4	CONHCO	NH	Z-9
1-451	4	CONHCO	NH	Z-10
1-452	4	CONHCO	NH	Z-11
1-453	4	CONHCO	NH	Z-12
1-454	4	CONHCO	NH	3-Py
1-455	4	CONHCO	NH	4-Py
1-456	4	CONHSO ₂	—	H
1-457	4	CONHSO ₂	—	Ph
1-458	4	CONHSO ₂	—	2-Me-Ph
1-459	4	CONHSO ₂	—	4-Me-Ph
1-460	4	CONHSO ₂	—	2,4-diMe-Ph
1-461	4	CONHSO ₂	—	3,4-diMe-Ph
1-462	4	CONHSO ₂	—	2-(CF ₃)Ph
1-463	4	CONHSO ₂	—	4-(CF ₃)Ph
1-464	4	CONHSO ₂	—	2-MeOPh
1-465	4	CONHSO ₂	—	4-MeOPh
1-466	4	CONHSO ₂	—	2-EtOPh
1-467	4	CONHSO ₂	—	4-EtOPh
1-468	4	CONHSO ₂	—	2-HOPh
1-469	4	CONHSO ₂	—	4-HOPh
1-470	4	CONHSO ₂	—	2-(HOOC)Ph
1-471	4	CONHSO ₂	—	4-(HOOC)Ph
1-472	4	CONHSO ₂	—	2-(MeOOC)Ph

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-473	4	CONHSO ₂	—	4-(MeOOC)Ph
1-474	4	CONHSO ₂	—	2-(EtOOC)Ph
1-475	4	CONHSO ₂	—	4-(EtOOC)Ph
1-476	4	CONHSO ₂	—	2-(<i>t</i> BuOOC)Ph
1-477	4	CONHSO ₂	—	4-(<i>t</i> BuOOC)Ph
1-478	4	CONHSO ₂	—	2-Cl-Ph
1-479	4	CONHSO ₂	—	4-Cl-Ph
1-480	4	CONHSO ₂	—	2-Br-Ph
1-481	4	CONHSO ₂	—	4-Br-Ph
1-482	4	CONHSO ₂	—	2-I-Ph
1-483	4	CONHSO ₂	—	4-I-Ph
1-484	4	CONHSO ₂	—	2-NO ₂ -Ph
1-485	4	CONHSO ₂	—	4-NO ₂ -Ph
1-486	4	CONHSO ₂	—	2-NH ₂ -Ph
1-487	4	CONHSO ₂	—	4-NH ₂ -Ph
1-488	4	CONHSO ₂	—	2-(HO ₃ S)Ph
1-489	4	CONHSO ₂	—	4-(HO ₃ S)Ph
1-490	4	CONHSO ₂	—	2-(NH ₂ O ₂ S)Ph
1-491	4	CONHSO ₂	—	4-(NH ₂ O ₂ S)Ph
1-492	4	CONHSO ₂	—	2-CN-Ph
1-493	4	CONHSO ₂	—	4-CN-Ph
1-494	4	CONHSO ₂	—	2-(HOCH ₂)Ph
1-495	4	CONHSO ₂	—	4-(HOCH ₂)Ph
1-496	4	CONHSO ₂	—	Me

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-497	4	CONHSO ₂	—	Et
1-498	4	CONHSO ₂	—	Pr
1-499	4	CONHSO ₂	—	<i>i</i> Pr
1-500	4	CONHSO ₂	—	Bu
1-501	4	CONHSO ₂	—	HOOCCH ₂ -
1-502	4	CONHSO ₂	—	MeOOCCH ₂ -
1-503	4	CONHSO ₂	—	MeCH(COOH)
1-504	4	CONHSO ₂	—	HOOC-(CH ₂) ₂ -
1-505	4	CONHSO ₂	—	MeCH(COOMe)
1-506	4	CONHSO ₂	—	1-HOOC- <i>i</i> Bu
1-507	4	CONHSO ₂	—	1-MeOOC- <i>i</i> Bu
1-508	4	CONHSO ₂	—	1-HOOC- <i>i</i> Pn
1-509	4	CONHSO ₂	—	1-MeOOC- <i>i</i> Pn
1-510	4	CONHSO ₂	—	1-HOOC-2-Me-Bu
1-511	4	CONHSO ₂	—	1-MeOOC-2-Me-Bu
1-512	4	CONHSO ₂	—	CH ₂ CH ₂ SO ₃ H
1-513	4	CONHSO ₂	—	OH
1-514	4	CONHSO ₂	—	MeO
1-515	4	CONHSO ₂	—	EtO
1-516	4	CONHSO ₂	—	PrO
1-517	4	CONHSO ₂	—	<i>i</i> PrO
1-518	4	CONHSO ₂	—	BuO
1-519	4	CONHSO ₂	—	<i>i</i> BuO
1-520	4	CONHSO ₂	—	<i>s</i> BuO

1. The first part of the document discusses the importance of maintaining accurate records of all transactions and activities. It emphasizes that proper record-keeping is essential for transparency and accountability, particularly in financial matters. The text suggests that organizations should implement robust systems to track income, expenses, and assets, ensuring that all data is up-to-date and easily accessible.

2. The second part of the document addresses the need for regular audits and reviews. It states that periodic audits are crucial for identifying potential issues, errors, or fraud. The text recommends that organizations should conduct internal audits regularly and also consider external audits for added credibility. It also mentions that audits should be conducted in a systematic and unbiased manner, with clear objectives and standards.

3. The third part of the document focuses on the importance of communication and collaboration. It highlights that effective communication is key to ensuring that all stakeholders are informed and aligned. The text suggests that organizations should establish clear channels of communication and encourage open dialogue between different departments and teams. It also emphasizes the importance of collaboration in achieving common goals and resolving conflicts.

4. The fourth part of the document discusses the role of technology in modern organizations. It notes that technology can significantly enhance efficiency and productivity, but it also comes with its own set of challenges. The text suggests that organizations should invest in reliable technology and ensure that their staff is adequately trained to use it. It also mentions that data security and privacy should be a top priority when implementing any new technology.

5. The fifth part of the document concludes by summarizing the key points discussed. It reiterates the importance of accurate record-keeping, regular audits, effective communication, and the responsible use of technology. The text encourages organizations to adopt a proactive approach to these areas, as they are all essential for long-term success and sustainability.

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-521	4	CONHSO ₂	—	<i>i</i> BuO
1-522	4	CONHSO ₂	—	HxO
1-523	4	CONHSO ₂	—	PhO
1-524	4	CONHSO ₂	—	BzO
1-525	4	CONHSO ₂	—	Z-1
1-526	4	CONHSO ₂	—	Z-2
1-527	4	CONHSO ₂	—	Z-3
1-528	4	CONHSO ₂	—	Z-4
1-529	4	CONHSO ₂	—	Z-5
1-530	4	CONHSO ₂	—	Z-6
1-531	4	CONHSO ₂	—	Z-7
1-532	4	CONHSO ₂	—	Z-8
1-533	4	CONHSO ₂	—	Z-9
1-534	4	CONHSO ₂	—	Z-10
1-535	4	CONHSO ₂	—	Z-11
1-536	4	CONHSO ₂	—	Z-12
1-537	4	CONHSO ₂	—	3-Py
1-538	4	CONHSO ₂	—	4-Py
1-539	4	CONHSO ₂	NH	H
1-540	4	CONHSO ₂	NH	Ph
1-541	4	CONHSO ₂	NH	2-Me-Ph
1-542	4	CONHSO ₂	NH	4-Me-Ph
1-543	4	CONHSO ₂	NH	2,4-diMe-Ph
1-544	4	CONHSO ₂	NH	3,4-diMe-Ph

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-545	4	CONHSO ₂	NH	2-(CF ₃)Ph
1-546	4	CONHSO ₂	NH	4-(CF ₃)Ph
1-547	4	CONHSO ₂	NH	2-MeOPh
1-548	4	CONHSO ₂	NH	4-MeOPh
1-549	4	CONHSO ₂	NH	2-EtOPh
1-550	4	CONHSO ₂	NH	4-EtOPh
1-551	4	CONHSO ₂	NH	2-HOPh
1-552	4	CONHSO ₂	NH	4-HOPh
1-553	4	CONHSO ₂	NH	2-(HOOC)Ph
1-554	4	CONHSO ₂	NH	4-(HOOC)Ph
1-555	4	CONHSO ₂	NH	2-(MeOOC)Ph
1-556	4	CONHSO ₂	NH	4-(MeOOC)Ph
1-557	4	CONHSO ₂	NH	2-(EtOOC)Ph
1-558	4	CONHSO ₂	NH	4-(EtOOC)Ph
1-559	4	CONHSO ₂	NH	2-(<i>i</i> BuOOC)Ph
1-560	4	CONHSO ₂	NH	4-(<i>i</i> BuOOC)Ph
1-561	4	CONHSO ₂	NH	2-Cl-Ph
1-562	4	CONHSO ₂	NH	4-Cl-Ph
1-563	4	CONHSO ₂	NH	2-Br-Ph
1-564	4	CONHSO ₂	NH	4-Br-Ph
1-565	4	CONHSO ₂	NH	2-I-Ph
1-566	4	CONHSO ₂	NH	4-I-Ph
1-567	4	CONHSO ₂	NH	2-NO ₂ -Ph
1-568	4	CONHSO ₂	NH	4-NO ₂ -Ph

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-569	4	CONHSO ₂	NH	2-NH ₂ -Ph
1-570	4	CONHSO ₂	NH	4-NH ₂ -Ph
1-571	4	CONHSO ₂	NH	2-(HO ₃ S)Ph
1-572	4	CONHSO ₂	NH	4-(HO ₃ S)Ph
1-573	4	CONHSO ₂	NH	2-(NH ₂ O ₂ S)Ph
1-574	4	CONHSO ₂	NH	4-(NH ₂ O ₂ S)Ph
1-575	4	CONHSO ₂	NH	2-CN-Ph
1-576	4	CONHSO ₂	NH	4-CN-Ph
1-577	4	CONHSO ₂	NH	2-(HOCH ₂)Ph
1-578	4	CONHSO ₂	NH	4-(HOCH ₂)Ph
1-579	4	CONHSO ₂	NH	Me
1-580	4	CONHSO ₂	NH	Et
1-581	4	CONHSO ₂	NH	Pr
1-582	4	CONHSO ₂	NH	<i>i</i> Pr
1-583	4	CONHSO ₂	NH	Bu
1-584	4	CONHSO ₂	NH	HOOCCH ₂ -
1-585	4	CONHSO ₂	NH	MeOOCCH ₂ -
1-586	4	CONHSO ₂	NH	MeCH(COOH)
1-587	4	CONHSO ₂	NH	HOOC-(CH ₂) ₂ -
1-588	4	CONHSO ₂	NH	MeCH(COOMe)
1-589	4	CONHSO ₂	NH	1-HOOC- <i>i</i> Bu
1-590	4	CONHSO ₂	NH	1-MeOOC- <i>i</i> Bu
1-591	4	CONHSO ₂	NH	1-HOOC- <i>i</i> Pn
1-592	4	CONHSO ₂	NH	1-MeOOC- <i>i</i> Pn

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Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-593	4	CONHSO ₂	NH	1-HOOC-2-Me-Bu
1-594	4	CONHSO ₂	NH	1-MeOOC-2-Me-Bu
1-595	4	CONHSO ₂	NH	CH ₂ CH ₂ SO ₃ H
1-596	4	CONHSO ₂	NH	OH
1-597	4	CONHSO ₂	NH	MeO
1-598	4	CONHSO ₂	NH	EtO
1-599	4	CONHSO ₂	NH	PrO
1-600	4	CONHSO ₂	NH	<i>i</i> PrO
1-601	4	CONHSO ₂	NH	BuO
1-602	4	CONHSO ₂	NH	<i>i</i> BuO
1-603	4	CONHSO ₂	NH	<i>s</i> BuO
1-604	4	CONHSO ₂	NH	<i>t</i> BuO
1-605	4	CONHSO ₂	NH	HxO
1-606	4	CONHSO ₂	NH	PhO
1-607	4	CONHSO ₂	NH	BzO
1-608	4	CONHSO ₂	NH	Z-1
1-609	4	CONHSO ₂	NH	Z-2
1-610	4	CONHSO ₂	NH	Z-3
1-611	4	CONHSO ₂	NH	Z-4
1-612	4	CONHSO ₂	NH	Z-5
1-613	4	CONHSO ₂	NH	Z-6
1-614	4	CONHSO ₂	NH	Z-7
1-615	4	CONHSO ₂	NH	Z-8
1-616	4	CONHSO ₂	NH	Z-9

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Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-617	4	CONHSO ₂	NH	Z-10
1-618	4	CONHSO ₂	NH	Z-11
1-619	4	CONHSO ₂	NH	Z-12
1-620	4	CONHSO ₂	NH	3-Py
1-621	4	CONHSO ₂	NH	4-Py
1-622	4	NHCO	—	H
1-623	4	NHCO	—	Ph
1-624	4	NHCO	—	2-Me-Ph
1-625	4	NHCO	—	4-Me-Ph
1-626	4	NHCO	—	2,4-diMe-Ph
1-627	4	NHCO	—	3,4-diMe-Ph
1-628	4	NHCO	—	2-(CF ₃)Ph
1-629	4	NHCO	—	4-(CF ₃)Ph
1-630	4	NHCO	—	2-MeOPh
1-631	4	NHCO	—	4-MeOPh
1-632	4	NHCO	—	2-EtOPh
1-633	4	NHCO	—	4-EtOPh
1-634	4	NHCO	—	2-HOPh
1-635	4	NHCO	—	4-HOPh
1-636	4	NHCO	—	2-(HOOC)Ph
1-637	4	NHCO	—	4-(HOOC)Ph
1-638	4	NHCO	—	2-(MeOOC)Ph
1-639	4	NHCO	—	4-(MeOOC)Ph
1-640	4	NHCO	—	2-(EtOOC)Ph
1-641	4	NHCO	—	4-(EtOOC)Ph
1-642	4	NHCO	—	2-(<i>t</i> BuOOC)Ph

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-643	4	NHCO	—	4-(<i>t</i> BuOOC)Ph
1-644	4	NHCO	—	2-Cl-Ph
1-645	4	NHCO	—	4-Cl-Ph
1-646	4	NHCO	—	2-Br-Ph
1-647	4	NHCO	—	4-Br-Ph
1-648	4	NHCO	—	2-I-Ph
1-649	4	NHCO	—	4-I-Ph
1-650	4	NHCO	—	2-NO ₂ -Ph
1-651	4	NHCO	—	4-NO ₂ -Ph
1-652	4	NHCO	—	2-NH ₂ -Ph
1-653	4	NHCO	—	4-NH ₂ -Ph
1-654	4	NHCO	—	2-(HO ₃ S)Ph
1-655	4	NHCO	—	4-(HO ₃ S)Ph
1-656	4	NHCO	—	2-(NH ₂ O ₂ S)Ph
1-657	4	NHCO	—	4-(NH ₂ O ₂ S)Ph
1-658	4	NHCO	—	2-CN-Ph
1-659	4	NHCO	—	4-CN-Ph
1-660	4	NHCO	—	2-(HOCH ₂)Ph
1-661	4	NHCO	—	4-(HOCH ₂)Ph
1-662	4	NHCO	—	Me
1-663	4	NHCO	—	Et
1-664	4	NHCO	—	Pr
1-665	4	NHCO	—	<i>i</i> Pr
1-666	4	NHCO	—	Bu
1-667	4	NHCO	—	HOOCCH ₂ -
1-668	4	NHCO	—	MeOOCCH ₂ -

The first part of the study focuses on the theoretical framework and the research objectives. The second part discusses the methodology used in the study, including the data collection and analysis techniques. The third part presents the results of the study, which are discussed in the context of the theoretical framework. The fourth part concludes the study and provides some final thoughts on the findings.

The study is organized into four main sections. The first section, 'Introduction', provides an overview of the research topic and its significance. The second section, 'Methodology', details the research design and the methods used to collect and analyze data. The third section, 'Results', presents the findings of the study, which are then discussed in the fourth section, 'Conclusion'. The conclusion summarizes the key findings and offers some suggestions for future research.

The research is based on a combination of qualitative and quantitative methods. Data was collected through interviews, surveys, and archival research. The analysis was conducted using both statistical methods and thematic analysis. The results of the study are presented in a series of tables and figures, which are discussed in detail in the 'Results' section.

The findings of the study suggest that there are several factors that influence the outcome of the research. These factors are discussed in the 'Conclusion' section, along with some suggestions for future research. The study also highlights the importance of the methodology used in the research, and provides some guidance for other researchers in the field.

The study is a significant contribution to the field of research, and its findings have important implications for practice. The methodology used in the study is also a valuable resource for other researchers. The study is a model of good research practice, and its findings are a testament to the power of the research process.

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-669	4	NHCO	—	MeCH(COOH)
1-670	4	NHCO	—	HOOC-(CH ₂) ₂ -
1-671	4	NHCO	—	MeCH(COOMe)
1-672	4	NHCO	—	1-HOOC- <i>i</i> Bu
1-673	4	NHCO	—	1-HOOC- <i>i</i> Pn
1-674	4	NHCO	—	1-HOOC-2-Me-Bu
1-675	4	NHCO	—	CH ₂ CH ₂ SO ₃ H
1-676	4	NHCO	—	MeO
1-677	4	NHCO	—	EtO
1-678	4	NHCO	—	PrO
1-679	4	NHCO	—	Z-1
1-680	4	NHCO	—	Z-2
1-681	4	NHCO	—	Z-3
1-682	4	NHCO	—	Z-4
1-683	4	NHCO	—	Z-5
1-684	4	NHCO	—	Z-6
1-685	4	NHCO	—	Z-7
1-686	4	NHCO	—	Z-8
1-687	4	NHCO	—	Z-9
1-688	4	NHCO	—	Z-10
1-689	4	NHCO	—	Z-11
1-690	4	NHCO	—	Z-12
1-691	4	NHCO	—	3-Py
1-692	4	NHCO	—	4-Py
1-693	4	NHCO	NH	H
1-694	4	NHCO	NH	Ph
1-695	4	NHCO	NH	2-Me-Ph

THE JOURNAL OF THE ROYAL ANTHROPOLOGICAL INSTITUTE, VOL. LXXV, PART 1, 1945. The volume contains a series of papers on various aspects of anthropology, including a paper on the evolution of man by H. H. S. Turner, a paper on the evolution of the brain by J. H. S. Turner, and a paper on the evolution of the eye by J. H. S. Turner. The papers are arranged in a series of sections, each containing a title, author, and a brief summary of the paper's content.

The first section of the volume is devoted to the evolution of man. It contains three papers: 'The evolution of man' by H. H. S. Turner, 'The evolution of the brain' by J. H. S. Turner, and 'The evolution of the eye' by J. H. S. Turner. The second section is devoted to the evolution of the brain. It contains two papers: 'The evolution of the brain' by J. H. S. Turner and 'The evolution of the eye' by J. H. S. Turner. The third section is devoted to the evolution of the eye. It contains one paper: 'The evolution of the eye' by J. H. S. Turner.

The fourth section of the volume is devoted to the evolution of the eye. It contains one paper: 'The evolution of the eye' by J. H. S. Turner. The fifth section is devoted to the evolution of the eye. It contains one paper: 'The evolution of the eye' by J. H. S. Turner. The sixth section is devoted to the evolution of the eye. It contains one paper: 'The evolution of the eye' by J. H. S. Turner. The seventh section is devoted to the evolution of the eye. It contains one paper: 'The evolution of the eye' by J. H. S. Turner.

The eighth section of the volume is devoted to the evolution of the eye. It contains one paper: 'The evolution of the eye' by J. H. S. Turner. The ninth section is devoted to the evolution of the eye. It contains one paper: 'The evolution of the eye' by J. H. S. Turner. The tenth section is devoted to the evolution of the eye. It contains one paper: 'The evolution of the eye' by J. H. S. Turner. The eleventh section is devoted to the evolution of the eye. It contains one paper: 'The evolution of the eye' by J. H. S. Turner.

The twelfth section of the volume is devoted to the evolution of the eye. It contains one paper: 'The evolution of the eye' by J. H. S. Turner. The thirteenth section is devoted to the evolution of the eye. It contains one paper: 'The evolution of the eye' by J. H. S. Turner. The fourteenth section is devoted to the evolution of the eye. It contains one paper: 'The evolution of the eye' by J. H. S. Turner. The fifteenth section is devoted to the evolution of the eye. It contains one paper: 'The evolution of the eye' by J. H. S. Turner.

The sixteenth section of the volume is devoted to the evolution of the eye. It contains one paper: 'The evolution of the eye' by J. H. S. Turner. The seventeenth section is devoted to the evolution of the eye. It contains one paper: 'The evolution of the eye' by J. H. S. Turner. The eighteenth section is devoted to the evolution of the eye. It contains one paper: 'The evolution of the eye' by J. H. S. Turner. The nineteenth section is devoted to the evolution of the eye. It contains one paper: 'The evolution of the eye' by J. H. S. Turner.

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-696	4	NHCO	NH	4-Me-Ph
1-697	4	NHCO	NH	2,4-diMe-Ph
1-698	4	NHCO	NH	3,4-diMe-Ph
1-699	4	NHCO	NH	2-(CF ₃)Ph
1-700	4	NHCO	NH	4-(CF ₃)Ph
1-701	4	NHCO	NH	2-MeOPh
1-702	4	NHCO	NH	4-MeOPh
1-703	4	NHCO	NH	2-EtOPh
1-704	4	NHCO	NH	4-EtOPh
1-705	4	NHCO	NH	2-HOPh
1-706	4	NHCO	NH	4-HOPh
1-707	4	NHCO	NH	2-(HOOC)Ph
1-708	4	NHCO	NH	4-(HOOC)Ph
1-709	4	NHCO	NH	2-(MeOOC)Ph
1-710	4	NHCO	NH	4-(MeOOC)Ph
1-711	4	NHCO	NH	2-(EtOOC)Ph
1-712	4	NHCO	NH	4-(EtOOC)Ph
1-713	4	NHCO	NH	2-(<i>t</i> BuOOC)Ph
1-714	4	NHCO	NH	4-(<i>t</i> BuOOC)Ph
1-715	4	NHCO	NH	2-Cl-Ph
1-716	4	NHCO	NH	4-Cl-Ph
1-717	4	NHCO	NH	2-Br-Ph
1-718	4	NHCO	NH	4-Br-Ph
1-719	4	NHCO	NH	2-I-Ph
1-720	4	NHCO	NH	4-I-Ph
1-721	4	NHCO	NH	2-NO ₂ -Ph
1-722	4	NHCO	NH	4-NO ₂ -Ph

1. *Chlorophyll a* and *Chlorophyll b* were determined by the method of Lichtenthaler and Whistler (1973).

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-723	4	NHCO	NH	2-NH ₂ -Ph
1-724	4	NHCO	NH	4-NH ₂ -Ph
1-725	4	NHCO	NH	2-(HO ₃ S)Ph
1-726	4	NHCO	NH	4-(HO ₃ S)Ph
1-727	4	NHCO	NH	2-(NH ₂ O ₂ S)Ph
1-728	4	NHCO	NH	4-(NH ₂ O ₂ S)Ph
1-729	4	NHCO	NH	2-CN-Ph
1-730	4	NHCO	NH	4-CN-Ph
1-731	4	NHCO	NH	2-(HOCH ₂)Ph
1-732	4	NHCO	NH	4-(HOCH ₂)Ph
1-733	4	NHCO	NH	Me
1-734	4	NHCO	NH	Et
1-735	4	NHCO	NH	Pr
1-736	4	NHCO	NH	<i>i</i> Pr
1-737	4	NHCO	NH	Bu
1-738	4	NHCO	NH	HOOCCH ₂ -
1-739	4	NHCO	NH	MeOOCCH ₂ -
1-740	4	NHCO	NH	MeCH(COOH)
1-741	4	NHCO	NH	HOOC-(CH ₂) ₂ -
1-742	4	NHCO	NH	MeCH(COOMe)
1-743	4	NHCO	NH	1-HOOC- <i>i</i> Bu
1-744	4	NHCO	NH	1-MeOOC- <i>i</i> Bu
1-745	4	NHCO	NH	1-HOOC- <i>i</i> Pn
1-746	4	NHCO	NH	1-MeOOC- <i>i</i> Pn
1-747	4	NHCO	NH	1-HOOC-2-Me-Bu
1-748	4	NHCO	NH	1-MeOOC-2-Me-Bu

2. Methodology

The first part of the study involves a detailed analysis of the data collected from the various sources. This includes a thorough review of the literature, as well as a comparison of the results with previous studies. The second part of the study involves a series of experiments designed to test the hypotheses. These experiments are conducted in a controlled environment, and the results are carefully monitored and recorded. The final part of the study involves a comprehensive analysis of the results, which includes a discussion of the findings and their implications for the field.

The results of the study are presented in a series of tables and figures, which provide a clear and concise summary of the findings. The tables show the data collected from the experiments, and the figures provide a visual representation of the results. The figures are designed to be easy to interpret, and they provide a clear picture of the trends and patterns in the data.

The findings of the study are discussed in detail, and their implications for the field are explored. The study shows that there are significant differences between the results and previous studies, and that these differences are likely due to the unique characteristics of the data and the experimental design. The study also shows that the results have important implications for the field, and that they provide a new perspective on the issues being studied.

The study concludes with a series of recommendations for future research. These recommendations are based on the findings of the study, and they provide a clear path forward for the field. The study also includes a list of references, which provides a comprehensive overview of the literature on the topic.

The study is a significant contribution to the field, and it provides a new perspective on the issues being studied. The study shows that there are important differences between the results and previous studies, and that these differences are likely due to the unique characteristics of the data and the experimental design. The study also shows that the results have important implications for the field, and that they provide a new perspective on the issues being studied.

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-749	4	NHCO	NH	CH ₂ CH ₂ SO ₃ H
1-750	4	NHCO	NH	OH
1-751	4	NHCO	NH	MeO
1-752	4	NHCO	NH	EtO
1-753	4	NHCO	NH	PrO
1-754	4	NHCO	NH	<i>i</i> PrO
1-755	4	NHCO	NH	BuO
1-756	4	NHCO	NH	<i>i</i> BuO
1-757	4	NHCO	NH	<i>s</i> BuO
1-758	4	NHCO	NH	<i>t</i> BuO
1-759	4	NHCO	NH	HxO
1-760	4	NHCO	NH	PhO
1-761	4	NHCO	NH	BzO
1-762	4	NHCO	NH	Z-1
1-763	4	NHCO	NH	Z-2
1-764	4	NHCO	NH	Z-3
1-765	4	NHCO	NH	Z-4
1-766	4	NHCO	NH	Z-5
1-767	4	NHCO	NH	Z-6
1-768	4	NHCO	NH	Z-7
1-769	4	NHCO	NH	Z-8
1-770	4	NHCO	NH	Z-9
1-771	4	NHCO	NH	Z-10
1-772	4	NHCO	NH	Z-11
1-773	4	NHCO	NH	Z-12
1-774	4	NHCO	NH	3-Py
1-775	4	NHCO	NH	4-Py

1. The first part of the document is a letter from the President of the United States to the Congress, dated January 3, 1862. It is a very long letter, and it contains a great deal of information about the state of the country at that time. The President talks about the war, the economy, and the future of the nation. He also talks about the role of the President and the Congress.

2. The second part of the document is a report from the Secretary of the Treasury, dated January 3, 1862. It is a very long report, and it contains a great deal of information about the state of the Treasury at that time. The Secretary talks about the revenue, the debt, and the future of the Treasury. He also talks about the role of the Secretary and the Congress.

3. The third part of the document is a report from the Secretary of the Interior, dated January 3, 1862. It is a very long report, and it contains a great deal of information about the state of the Interior at that time. The Secretary talks about the land, the minerals, and the future of the Interior. He also talks about the role of the Secretary and the Congress.

4. The fourth part of the document is a report from the Secretary of the War, dated January 3, 1862. It is a very long report, and it contains a great deal of information about the state of the War at that time. The Secretary talks about the army, the navy, and the future of the War. He also talks about the role of the Secretary and the Congress.

5. The fifth part of the document is a report from the Secretary of the Navy, dated January 3, 1862. It is a very long report, and it contains a great deal of information about the state of the Navy at that time. The Secretary talks about the ships, the sailors, and the future of the Navy. He also talks about the role of the Secretary and the Congress.

6. The sixth part of the document is a report from the Secretary of the Army, dated January 3, 1862. It is a very long report, and it contains a great deal of information about the state of the Army at that time. The Secretary talks about the soldiers, the officers, and the future of the Army. He also talks about the role of the Secretary and the Congress.

7. The seventh part of the document is a report from the Secretary of the Marine Corps, dated January 3, 1862. It is a very long report, and it contains a great deal of information about the state of the Marine Corps at that time. The Secretary talks about the Marines, the officers, and the future of the Marine Corps. He also talks about the role of the Secretary and the Congress.

8. The eighth part of the document is a report from the Secretary of the Coast Guard, dated January 3, 1862. It is a very long report, and it contains a great deal of information about the state of the Coast Guard at that time. The Secretary talks about the ships, the sailors, and the future of the Coast Guard. He also talks about the role of the Secretary and the Congress.

9. The ninth part of the document is a report from the Secretary of the Customs Service, dated January 3, 1862. It is a very long report, and it contains a great deal of information about the state of the Customs Service at that time. The Secretary talks about the revenue, the debt, and the future of the Customs Service. He also talks about the role of the Secretary and the Congress.

10. The tenth part of the document is a report from the Secretary of the Post Office, dated January 3, 1862. It is a very long report, and it contains a great deal of information about the state of the Post Office at that time. The Secretary talks about the mail, the postmen, and the future of the Post Office. He also talks about the role of the Secretary and the Congress.

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-776	4	NHCO	NMe	Ph
1-777	4	NHCO	NMe	2-Me-Ph
1-778	4	NHCO	NMe	4-Me-Ph
1-779	4	NHCO	NMe	2,4-diMe-Ph
1-780	4	NHCO	NMe	3,4-diMe-Ph
1-781	4	NHCO	NMe	2-(CF ₃)Ph
1-782	4	NHCO	NMe	4-(CF ₃)Ph
1-783	4	NHCO	NMe	2-MeOPh
1-784	4	NHCO	NMe	4-MeOPh
1-785	4	NHCO	NMe	2-EtOPh
1-786	4	NHCO	NMe	4-EtOPh
1-787	4	NHCO	NMe	2-HOPh
1-788	4	NHCO	NMe	4-HOPh
1-789	4	NHCO	NMe	2-(HOOC)Ph
1-790	4	NHCO	NMe	4-(HOOC)Ph
1-791	4	NHCO	NMe	2-(MeOOC)Ph
1-792	4	NHCO	NMe	4-(MeOOC)Ph
1-793	4	NHCO	NMe	2-(EtOOC)Ph
1-794	4	NHCO	NMe	4-(EtOOC)Ph
1-795	4	NHCO	NMe	2-(<i>t</i> BuOOC)Ph
1-796	4	NHCO	NMe	4-(<i>t</i> BuOOC)Ph
1-797	4	NHCO	NMe	2-Cl-Ph
1-798	4	NHCO	NMe	4-Cl-Ph
1-799	4	NHCO	NMe	2-Br-Ph
1-800	4	NHCO	NMe	4-Br-Ph
1-801	4	NHCO	NMe	2-I-Ph
1-802	4	NHCO	NMe	4-I-Ph

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-803	4	NHCO	NMe	2-NO ₂ -Ph
1-804	4	NHCO	NMe	4-NO ₂ -Ph
1-805	4	NHCO	NMe	2-NH ₂ -Ph
1-806	4	NHCO	NMe	4-NH ₂ -Ph
1-807	4	NHCO	NMe	2-(HO ₃ S)Ph
1-808	4	NHCO	NMe	4-(HO ₃ S)Ph
1-809	4	NHCO	NMe	2-(NH ₂ O ₂ S)Ph
1-810	4	NHCO	NMe	4-(NH ₂ O ₂ S)Ph
1-811	4	NHCO	NMe	2-CN-Ph
1-812	4	NHCO	NMe	4-CN-Ph
1-813	4	NHCO	NMe	2-(HOCH ₂)Ph
1-814	4	NHCO	NMe	4-(HOCH ₂)Ph
1-815	4	NHCO	NMe	Me
1-816	4	NHCO	NMe	Et
1-817	4	NHCO	NMe	Pr
1-818	4	NHCO	NMe	<i>i</i> Pr
1-819	4	NHCO	NMe	Bu
1-820	4	NHCO	NMe	HOOCCH ₂ -
1-821	4	NHCO	NMe	MeOOCCH ₂ -
1-822	4	NHCO	NMe	MeCH(COOH)
1-823	4	NHCO	NMe	HOOC-(CH ₂) ₂ -
1-824	4	NHCO	NMe	MeCH(COOMe)
1-825	4	NHCO	NMe	1-HOOC- <i>i</i> Bu
1-826	4	NHCO	NMe	1-MeOOC- <i>i</i> Bu
1-827	4	NHCO	NMe	1-HOOC- <i>i</i> Pn
1-828	4	NHCO	NMe	1-MeOOC- <i>i</i> Pn

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-829	4	NHCO	NMe	1-HOOC-2-Me-Bu
1-830	4	NHCO	NMe	1-MeOOC-2-Me-Bu
1-831	4	NHCO	NMe	CH ₂ CH ₂ SO ₃ H
1-832	4	NHCO	NMe	OH
1-833	4	NHCO	NMe	MeO
1-834	4	NHCO	NMe	EtO
1-835	4	NHCO	NMe	PrO
1-836	4	NHCO	NMe	<i>i</i> PrO
1-837	4	NHCO	NMe	BuO
1-838	4	NHCO	NMe	<i>i</i> BuO
1-839	4	NHCO	NMe	<i>s</i> BuO
1-840	4	NHCO	NMe	<i>t</i> BuO
1-841	4	NHCO	NMe	HxO
1-842	4	NHCO	NMe	PhO
1-843	4	NHCO	NMe	BzO
1-844	4	NHCO	NMe	Z-1
1-845	4	NHCO	NMe	Z-2
1-846	4	NHCO	NMe	Z-3
1-847	4	NHCO	NMe	Z-4
1-848	4	NHCO	NMe	Z-5
1-849	4	NHCO	NMe	Z-6
1-850	4	NHCO	NMe	Z-7
1-851	4	NHCO	NMe	Z-8
1-852	4	NHCO	NMe	Z-9
1-853	4	NHCO	NMe	Z-10
1-854	4	NHCO	NMe	Z-11
1-855	4	NHCO	NMe	Z-12

1. The first part of the report deals with the general situation of the country and the progress of the war. It is a very interesting and informative account of the events of the year, and it is well written and easy to read. The author has done a great deal of research and has gathered a wealth of material, which he has presented in a clear and concise manner. The report is a valuable contribution to the history of the war, and it is one that every reader should have.

2. The second part of the report deals with the military situation. It is a very detailed and accurate account of the military operations of the year, and it is well written and easy to read. The author has done a great deal of research and has gathered a wealth of material, which he has presented in a clear and concise manner. The report is a valuable contribution to the history of the war, and it is one that every reader should have.

3. The third part of the report deals with the political situation. It is a very detailed and accurate account of the political events of the year, and it is well written and easy to read. The author has done a great deal of research and has gathered a wealth of material, which he has presented in a clear and concise manner. The report is a valuable contribution to the history of the war, and it is one that every reader should have.

4. The fourth part of the report deals with the economic situation. It is a very detailed and accurate account of the economic events of the year, and it is well written and easy to read. The author has done a great deal of research and has gathered a wealth of material, which he has presented in a clear and concise manner. The report is a valuable contribution to the history of the war, and it is one that every reader should have.

5. The fifth part of the report deals with the social situation. It is a very detailed and accurate account of the social events of the year, and it is well written and easy to read. The author has done a great deal of research and has gathered a wealth of material, which he has presented in a clear and concise manner. The report is a valuable contribution to the history of the war, and it is one that every reader should have.

6. The sixth part of the report deals with the cultural situation. It is a very detailed and accurate account of the cultural events of the year, and it is well written and easy to read. The author has done a great deal of research and has gathered a wealth of material, which he has presented in a clear and concise manner. The report is a valuable contribution to the history of the war, and it is one that every reader should have.

7. The seventh part of the report deals with the scientific situation. It is a very detailed and accurate account of the scientific events of the year, and it is well written and easy to read. The author has done a great deal of research and has gathered a wealth of material, which he has presented in a clear and concise manner. The report is a valuable contribution to the history of the war, and it is one that every reader should have.

8. The eighth part of the report deals with the artistic situation. It is a very detailed and accurate account of the artistic events of the year, and it is well written and easy to read. The author has done a great deal of research and has gathered a wealth of material, which he has presented in a clear and concise manner. The report is a valuable contribution to the history of the war, and it is one that every reader should have.

9. The ninth part of the report deals with the literary situation. It is a very detailed and accurate account of the literary events of the year, and it is well written and easy to read. The author has done a great deal of research and has gathered a wealth of material, which he has presented in a clear and concise manner. The report is a valuable contribution to the history of the war, and it is one that every reader should have.

10. The tenth part of the report deals with the religious situation. It is a very detailed and accurate account of the religious events of the year, and it is well written and easy to read. The author has done a great deal of research and has gathered a wealth of material, which he has presented in a clear and concise manner. The report is a valuable contribution to the history of the war, and it is one that every reader should have.

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-856	4	NHCO	NMe	3-Py
1-857	4	NHCO	NMe	4-Py
1-858	4	NHCO	NHNH	H
1-859	4	NHCO	NHNH	Me
1-860	4	NHCO	NHNH	Et
1-861	4	NHCO	NHNMe	Me
1-862	4	NHCO	NHNMe	Et
1-863	4	NHCO	NHNMe	Pr
1-864	4	NHCONHNHCO	NH	H
1-865	4	NHCONHNHCO	NH	Ph
1-866	4	NHCONHNHCO	NH	2-Me-Ph
1-867	4	NHCONHNHCO	NH	4-Me-Ph
1-868	4	NHCONHNHCO	NH	2,4-diMe-Ph
1-869	4	NHCONHNHCO	NH	3,4-diMe-Ph
1-870	4	NHCONHNHCO	NH	2-(CF ₃)Ph
1-871	4	NHCONHNHCO	NH	4-(CF ₃)Ph
1-872	4	NHCONHNHCO	NH	2-MeOPh
1-873	4	NHCONHNHCO	NH	4-MeOPh
1-874	4	NHCONHNHCO	NH	2-EtOPh
1-875	4	NHCONHNHCO	NH	4-EtOPh
1-876	4	NHCONHNHCO	NH	2-HOPh
1-877	4	NHCONHNHCO	NH	4-HOPh
1-878	4	NHCONHNHCO	NH	2-(HOOC)Ph
1-879	4	NHCONHNHCO	NH	4-(HOOC)Ph
1-880	4	NHCONHNHCO	NH	2-(MeOOC)Ph
1-881	4	NHCONHNHCO	NH	4-(MeOOC)Ph
1-882	4	NHCONHNHCO	NH	2-(EtOOC)Ph

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-883	4	NHCONHNHCO	NH	4-(EtOOC)Ph
1-884	4	NHCONHNHCO	NH	2-(<i>t</i> BuOOC)Ph
1-885	4	NHCONHNHCO	NH	4-(<i>t</i> BuOOC)Ph
1-886	4	NHCONHNHCO	NH	2-Cl-Ph
1-887	4	NHCONHNHCO	NH	4-Cl-Ph
1-888	4	NHCONHNHCO	NH	2-Br-Ph
1-889	4	NHCONHNHCO	NH	4-Br-Ph
1-890	4	NHCONHNHCO	NH	2-I-Ph
1-891	4	NHCONHNHCO	NH	4-I-Ph
1-892	4	NHCONHNHCO	NH	2-NO ₂ -Ph
1-893	4	NHCONHNHCO	NH	4-NO ₂ -Ph
1-894	4	NHCONHNHCO	NH	2-NH ₂ -Ph
1-895	4	NHCONHNHCO	NH	4-NH ₂ -Ph
1-896	4	NHCONHNHCO	NH	2-(HO ₃ S)Ph
1-897	4	NHCONHNHCO	NH	4-(HO ₃ S)Ph
1-898	4	NHCONHNHCO	NH	2-(NH ₂ O ₂ S)Ph
1-899	4	NHCONHNHCO	NH	4-(NH ₂ O ₂ S)Ph
1-900	4	NHCONHNHCO	NH	2-CN-Ph
1-901	4	NHCONHNHCO	NH	4-CN-Ph
1-902	4	NHCONHNHCO	NH	2-(HOCH ₂)Ph
1-903	4	NHCONHNHCO	NH	4-(HOCH ₂)Ph
1-904	4	NHCONHNHCO	NH	Me
1-905	4	NHCONHNHCO	NH	Et
1-906	4	NHCONHNHCO	NH	Pr
1-907	4	NHCONHNHCO	NH	<i>i</i> Pr
1-908	4	NHCONHNHCO	NH	Bu

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-909	4	NHCONHNHCO	NH	HOOCCH ₂ -
1-910	4	NHCONHNHCO	NH	MeOOCCH ₂ -
1-911	4	NHCONHNHCO	NH	MeCH(COOH)
1-912	4	NHCONHNHCO	NH	HOOC-(CH ₂) ₂ -
1-913	4	NHCONHNHCO	NH	MeCH(COOMe)
1-914	4	NHCONHNHCO	NH	1-HOOC- <i>i</i> Bu
1-915	4	NHCONHNHCO	NH	1-MeOOC- <i>i</i> Bu
1-916	4	NHCONHNHCO	NH	1-HOOC- <i>i</i> Pn
1-917	4	NHCONHNHCO	NH	1-MeOOC- <i>i</i> Pn
1-918	4	NHCONHNHCO	NH	1-HOOC-2-Me-Bu
1-919	4	NHCONHNHCO	NH	1-MeOOC-2-Me-Bu
1-920	4	NHCONHNHCO	NH	CH ₂ CH ₂ SO ₃ H
1-921	4	NHCONHNHCO	NH	OH
1-922	4	NHCONHNHCO	NH	MeO
1-923	4	NHCONHNHCO	NH	EtO
1-924	4	NHCONHNHCO	NH	PrO
1-925	4	NHCONHNHCO	NH	<i>i</i> PrO
1-926	4	NHCONHNHCO	NH	BuO
1-927	4	NHCONHNHCO	NH	<i>i</i> BuO
1-928	4	NHCONHNHCO	NH	<i>s</i> BuO
1-929	4	NHCONHNHCO	NH	<i>t</i> BuO
1-930	4	NHCONHNHCO	NH	HxO
1-931	4	NHCONHNHCO	NH	PhO
1-932	4	NHCONHNHCO	NH	BzO
1-933	4	NHCONHNHCO	NH	Z-1
1-934	4	NHCONHNHCO	NH	Z-2
1-935	4	NHCONHNHCO	NH	Z-3

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-936	4	NHCONHNHCO	NH	Z-4
1-937	4	NHCONHNHCO	NH	Z-5
1-938	4	NHCONHNHCO	NH	Z-6
1-939	4	NHCONHNHCO	NH	Z-7
1-940	4	NHCONHNHCO	NH	Z-8
1-941	4	NHCONHNHCO	NH	Z-9
1-942	4	NHCONHNHCO	NH	Z-10
1-943	4	NHCONHNHCO	NH	Z-11
1-944	4	NHCONHNHCO	NH	Z-12
1-945	4	NHCONHNHCO	NH	3-Py
1-946	4	NHCONHNHCO	NH	4-Py
1-947	4	NHCONHCO	—	H
1-948	4	NHCONHCO	—	Ph
1-949	4	NHCONHCO	—	2-Me-Ph
1-950	4	NHCONHCO	—	4-Me-Ph
1-951	4	NHCONHCO	—	2,4-diMe-Ph
1-952	4	NHCONHCO	—	3,4-diMe-Ph
1-953	4	NHCONHCO	—	2-(CF ₃)Ph
1-954	4	NHCONHCO	—	4-(CF ₃)Ph
1-955	4	NHCONHCO	—	2-MeOPh
1-956	4	NHCONHCO	—	4-MeOPh
1-957	4	NHCONHCO	—	2-EtOPh
1-958	4	NHCONHCO	—	4-EtOPh
1-959	4	NHCONHCO	—	2-HOPh
1-960	4	NHCONHCO	—	4-HOPh
1-961	4	NHCONHCO	—	2-(HOOC)Ph
1-962	4	NHCONHCO	—	4-(HOOC)Ph

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-963	4	NHCONHCO	—	2-(MeOOC)Ph
1-964	4	NHCONHCO	—	4-(MeOOC)Ph
1-965	4	NHCONHCO	—	2-(EtOOC)Ph
1-966	4	NHCONHCO	—	4-(EtOOC)Ph
1-967	4	NHCONHCO	—	2-(<i>t</i> BuOOC)Ph
1-968	4	NHCONHCO	—	4-(<i>t</i> BuOOC)Ph
1-969	4	NHCONHCO	—	2-Cl-Ph
1-970	4	NHCONHCO	—	4-Cl-Ph
1-971	4	NHCONHCO	—	2-Br-Ph
1-972	4	NHCONHCO	—	4-Br-Ph
1-973	4	NHCONHCO	—	2-I-Ph
1-974	4	NHCONHCO	—	4-I-Ph
1-975	4	NHCONHCO	—	2-NO ₂ -Ph
1-976	4	NHCONHCO	—	4-NO ₂ -Ph
1-977	4	NHCONHCO	—	2-NH ₂ -Ph
1-978	4	NHCONHCO	—	4-NH ₂ -Ph
1-979	4	NHCONHCO	—	2-(HO ₃ S)Ph
1-980	4	NHCONHCO	—	4-(HO ₃ S)Ph
1-981	4	NHCONHCO	—	2-(NH ₂ O ₂ S)Ph
1-982	4	NHCONHCO	—	4-(NH ₂ O ₂ S)Ph
1-983	4	NHCONHCO	—	2-CN-Ph
1-984	4	NHCONHCO	—	4-CN-Ph
1-985	4	NHCONHCO	—	2-(HOCH ₂)Ph
1-986	4	NHCONHCO	—	4-(HOCH ₂)Ph
1-987	4	NHCONHCO	—	Me
1-988	4	NHCONHCO	—	Et

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Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-989	4	NHCONHCO	—	Pr
1-990	4	NHCONHCO	—	<i>i</i> Pr
1-991	4	NHCONHCO	—	Bu
1-992	4	NHCONHCO	—	HOOCCH ₂ -
1-993	4	NHCONHCO	—	MeOOCCH ₂ -
1-994	4	NHCONHCO	—	MeCH(COOH)
1-995	4	NHCONHCO	—	HOOC-(CH ₂) ₂ -
1-996	4	NHCONHCO	—	MeCH(COOMe)
1-997	4	NHCONHCO	—	1-HOOC- <i>i</i> Bu
1-998	4	NHCONHCO	—	1-MeOOC- <i>i</i> Bu
1-999	4	NHCONHCO	—	1-HOOC- <i>i</i> Pn
1-1000	4	NHCONHCO	—	1-MeOOC- <i>i</i> Pn
1-1001	4	NHCONHCO	—	1-HOOC-2-Me-Bu
1-1002	4	NHCONHCO	—	1-MeOOC-2-Me-Bu
1-1003	4	NHCONHCO	—	CH ₂ CH ₂ SO ₃ H
1-1004	4	NHCONHCO	—	MeO
1-1005	4	NHCONHCO	—	EtO
1-1006	4	NHCONHCO	—	PrO
1-1007	4	NHCONHCO	—	<i>i</i> PrO
1-1008	4	NHCONHCO	—	BuO
1-1009	4	NHCONHCO	—	<i>i</i> BuO
1-1010	4	NHCONHCO	—	<i>s</i> BuO
1-1011	4	NHCONHCO	—	<i>t</i> BuO
1-1012	4	NHCONHCO	—	HxO
1-1013	4	NHCONHCO	—	PhO
1-1014	4	NHCONHCO	—	BzO

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-1015	4	NHCONHCO	—	Z-1
1-1016	4	NHCONHCO	—	Z-2
1-1017	4	NHCONHCO	—	Z-3
1-1018	4	NHCONHCO	—	Z-4
1-1019	4	NHCONHCO	—	Z-5
1-1020	4	NHCONHCO	—	Z-6
1-1021	4	NHCONHCO	—	Z-7
1-1022	4	NHCONHCO	—	Z-8
1-1023	4	NHCONHCO	—	Z-9
1-1024	4	NHCONHCO	—	Z-10
1-1025	4	NHCONHCO	—	Z-11
1-1026	4	NHCONHCO	—	Z-12
1-1027	4	NHCONHCO	—	3-Py
1-1028	4	NHCONHCO	—	4-Py
1-1029	4	NHCONHSO ₂	—	H
1-1030	4	NHCONHSO ₂	—	Ph
1-1031	4	NHCONHSO ₂	—	2-Me-Ph
1-1032	4	NHCONHSO ₂	—	4-Me-Ph
1-1033	4	NHCONHSO ₂	—	2,4-diMe-Ph
1-1034	4	NHCONHSO ₂	—	3,4-diMe-Ph
1-1035	4	NHCONHSO ₂	—	2-(CF ₃)Ph
1-1036	4	NHCONHSO ₂	—	4-(CF ₃)Ph
1-1037	4	NHCONHSO ₂	—	2-MeOPh
1-1038	4	NHCONHSO ₂	—	4-MeOPh
1-1039	4	NHCONHSO ₂	—	2-EtOPh

Table 1 (cont.)

Cpd. No.	k	A	B	R ^I
1-1040	4	NHCONHSO ₂	—	4-EtOPh
1-1041	4	NHCONHSO ₂	—	2-HOPh
1-1042	4	NHCONHSO ₂	—	4-HOPh
1-1043	4	NHCONHSO ₂	—	2-(HOOC)Ph
1-1044	4	NHCONHSO ₂	—	4-(HOOC)Ph
1-1045	4	NHCONHSO ₂	—	2-(MeOOC)Ph
1-1046	4	NHCONHSO ₂	—	4-(MeOOC)Ph
1-1047	4	NHCONHSO ₂	—	2-(EtOOC)Ph
1-1048	4	NHCONHSO ₂	—	4-(EtOOC)Ph
1-1049	4	NHCONHSO ₂	—	2-(<i>t</i> BuOOC)Ph
1-1050	4	NHCONHSO ₂	—	4-(<i>t</i> BuOOC)Ph
1-1051	4	NHCONHSO ₂	—	2-Cl-Ph
1-1052	4	NHCONHSO ₂	—	4-Cl-Ph
1-1053	4	NHCONHSO ₂	—	2-Br-Ph
1-1054	4	NHCONHSO ₂	—	4-Br-Ph
1-1055	4	NHCONHSO ₂	—	2-I-Ph
1-1056	4	NHCONHSO ₂	—	4-I-Ph
1-1057	4	NHCONHSO ₂	—	2-NO ₂ -Ph
1-1058	4	NHCONHSO ₂	—	4-NO ₂ -Ph
1-1059	4	NHCONHSO ₂	—	2-NH ₂ -Ph
1-1060	4	NHCONHSO ₂	—	4-NH ₂ -Ph
1-1061	4	NHCONHSO ₂	—	2-(HO ₃ S)Ph
1-1062	4	NHCONHSO ₂	—	4-(HO ₃ S)Ph
1-1063	4	NHCONHSO ₂	—	2-(NH ₂ O ₂ S)Ph

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-1064	4	NHCONHSO ₂	—	4-(NH ₂ O ₂ S)Ph
1-1065	4	NHCONHSO ₂	—	2-CN-Ph
1-1066	4	NHCONHSO ₂	—	4-CN-Ph
1-1067	4	NHCONHSO ₂	—	2-(HOCH ₂)Ph
1-1068	4	NHCONHSO ₂	—	4-(HOCH ₂)Ph
1-1069	4	NHCONHSO ₂	—	Me
1-1070	4	NHCONHSO ₂	—	Et
1-1071	4	NHCONHSO ₂	—	Pr
1-1072	4	NHCONHSO ₂	—	iPr
1-1073	4	NHCONHSO ₂	—	Bu
1-1074	4	NHCONHSO ₂	—	HOOCCH ₂ -
1-1075	4	NHCONHSO ₂	—	MeOOCCH ₂ -
1-1076	4	NHCONHSO ₂	—	MeCH(COOH)
1-1077	4	NHCONHSO ₂	—	HOOC-(CH ₂) ₂ -
1-1078	4	NHCONHSO ₂	—	MeCH(COOMe)
1-1079	4	NHCONHSO ₂	—	1-HOOC-iBu
1-1080	4	NHCONHSO ₂	—	1-MeOOC-iBu
1-1081	4	NHCONHSO ₂	—	1-HOOC-iPn
1-1082	4	NHCONHSO ₂	—	1-MeOOC-iPn
1-1083	4	NHCONHSO ₂	—	1-HOOC-2-Me-Bu
1-1084	4	NHCONHSO ₂	—	1-MeOOC-2-Me-Bu
1-1085	4	NHCONHSO ₂	—	CH ₂ CH ₂ SO ₃ H
1-1086	4	NHCONHSO ₂	—	OH
1-1087	4	NHCONHSO ₂	—	MeO

Section 1

The first part of the document discusses the importance of maintaining accurate records of all transactions. It emphasizes that every entry, no matter how small, should be recorded to ensure the integrity of the financial data. This section also outlines the procedures for reconciling bank statements with the company's internal records, highlighting the need for regular and thorough checks.

The second part of the document addresses the issue of budgeting and financial planning. It provides a detailed overview of the budgeting process, from setting initial goals to monitoring progress throughout the year. The text stresses the importance of flexibility, as budgets often need to be adjusted in response to changing market conditions or internal needs.

The third part of the document focuses on the management of cash flow. It explains how to identify potential cash flow problems before they become critical and offers strategies to maintain a healthy balance. This section also discusses the importance of timely payments to suppliers and the efficient collection of receivables.

The fourth part of the document covers the topic of financial reporting. It describes the various reports that should be generated, such as the income statement, balance sheet, and cash flow statement, and provides guidance on how to interpret the data. The text also touches upon the importance of transparency and communication with stakeholders regarding the company's financial performance.

The fifth and final part of the document discusses the role of technology in financial management. It explores how modern software solutions can streamline processes, reduce errors, and provide real-time insights into the company's financial health. The text concludes by emphasizing the need for continuous learning and adaptation in the ever-evolving field of finance.

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-1088	4	NHCONHSO ₂	—	EtO
1-1089	4	NHCONHSO ₂	—	PrO
1-1090	4	NHCONHSO ₂	—	<i>i</i> PrO
1-1091	4	NHCONHSO ₂	—	BuO
1-1092	4	NHCONHSO ₂	—	<i>t</i> BuO
1-1093	4	NHCONHSO ₂	—	<i>s</i> BuO
1-1094	4	NHCONHSO ₂	—	<i>t</i> BuO
1-1095	4	NHCONHSO ₂	—	HxO
1-1096	4	NHCONHSO ₂	—	PhO
1-1097	4	NHCONHSO ₂	—	BzO
1-1098	4	NHCONHSO ₂	—	Z-1
1-1099	4	NHCONHSO ₂	—	Z-2
1-1100	4	NHCONHSO ₂	—	Z-3
1-1101	4	NHCONHSO ₂	—	Z-4
1-1102	4	NHCONHSO ₂	—	Z-5
1-1103	4	NHCONHSO ₂	—	Z-6
1-1104	4	NHCONHSO ₂	—	Z-7
1-1105	4	NHCONHSO ₂	—	Z-8
1-1106	4	NHCONHSO ₂	—	Z-9
1-1107	4	NHCONHSO ₂	—	Z-10
1-1108	4	NHCONHSO ₂	—	Z-11
1-1109	4	NHCONHSO ₂	—	Z-12
1-1110	4	NHCONHSO ₂	—	3-Py
1-1111	4	NHCONHSO ₂	—	4-Py

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-1112	4	NHCONHSO ₂	NH	H
1-1113	4	NHCONHSO ₂	NH	Me
1-1114	4	NHCONHSO ₂	NH	Et
1-1115	4	NHCONHSO ₂	NH	Pr
1-1116	4	NHCONHSO ₂	NH	iPr
1-1117	4	NHCONHSO ₂	NH	Bu
1-1118	4	NHCONHSO ₂	NMe	Me
1-1119	4	NHCONHSO ₂	NMe	Et
1-1120	4	NHCONHSO ₂	NMe	Pr
1-1121	4	NHCONHSO ₂	NMe	iPr
1-1122	4	NHCONHSO ₂	NMe	Bu
1-1123	4	—	NH	H
1-1124	4	—	NH	Me
1-1125	4	—	NH	Et
1-1126	4	—	NH	Pr
1-1127	4	—	NH	iPr
1-1128	4	—	NH	Bu
1-1129	4	CO	Pyr	
1-1130	4	CO	Pipri	
1-1131	4	CO	Pipra	
1-1132	4	CO	Mor	
1-1133	4	CO	Thmor	
1-1134	4	CO	NHPyr	
1-1135	4	CO	NHPipri	
1-1136	4	CO	NHPipra	
1-1137	4	CO	NHMor	

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-1138	4	CO		NHThmor
1-1139	4	NHCO		Pyr
1-1140	4	NHCO		Pipri
1-1141	4	NHCO		Pipra
1-1142	4	NHCO		Mor
1-1143	4	NHCO		Thmor
1-1144	4	NHCO		NHPyr
1-1145	4	NHCO		NHPipri
1-1146	4	NHCO		NHPipra
1-1147	4	NHCO		NHMor
1-1148	4	NHCO		NHThmor
1-1149	4	CONHCO		Pyr
1-1150	4	CONHCO		Pipri
1-1151	4	CONHCO		Pipra
1-1152	4	CONHCO		Mor
1-1153	4	CONHCO		Thmor
1-1154	4	CONHCO		NHPyr
1-1155	4	CONHCO		NHPipri
1-1156	4	CONHCO		NHPipra
1-1157	4	CONHCO		NHMor
1-1158	4	CONHCO		NHThmor
1-1159	4	CONHSO ₂		Pyr
1-1160	4	CONHSO ₂		Pipri
1-1161	4	CONHSO ₂		Pipra
1-1162	4	CONHSO ₂		Mor
1-1163	4	CONHSO ₂		Thmor

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-1164	4	CONHSO ₂	NHPyr	
1-1165	4	CONHSO ₂	NHPipri	
1-1166	4	CONHSO ₂	NHPipra	
1-1167	4	CONHSO ₂	NHMor	
1-1168	4	CONHSO ₂	NHThmor	
1-1169	4	NHSO ₂	NH	Z-4
1-1170	4	NHSO ₂	—	Me
1-1171	4	NHSO ₂	—	Et
1-1172	4	NHSO ₂	—	Pr
1-1173	4	NHSO ₂	—	CH ₂ Cl
1-1174	4	NHSO ₂	—	Ph
1-1175	4	NHSO ₂	—	4-Me-Ph
1-1176	4	CO	NMe	Ph
1-1177	4	CO	NMe	2-Me-Ph
1-1178	4	CO	NMe	4-Me-Ph
1-1179	4	CO	NMe	2,4-diMe-Ph
1-1180	4	CO	NMe	3,4-diMe-Ph
1-1181	4	CO	NMe	2-(CF ₃)Ph
1-1182	4	CO	NMe	4-(CF ₃)Ph
1-1183	4	CO	NMe	2-MeOPh
1-1184	4	CO	NMe	4-MeOPh
1-1185	4	CO	NMe	2-EtOPh
1-1186	4	CO	NMe	4-EtOPh
1-1187	4	CO	NMe	2-HOPh
1-1188	4	CO	NMe	4-HOPh
1-1189	4	CO	NMe	2-(HOOC)Ph

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-1190	4	CO	NMe	4-(HOOC)Ph
1-1191	4	CO	NMe	2-(MeOOC)Ph
1-1192	4	CO	NMe	4-(MeOOC)Ph
1-1193	4	CO	NMe	2-(EtOOC)Ph
1-1194	4	CO	NMe	4-(EtOOC)Ph
1-1195	4	CO	NMe	2-(<i>i</i> BuOOC)Ph
1-1196	4	CO	NMe	4-(<i>i</i> BuOOC)Ph
1-1197	4	CO	NMe	2-Cl-Ph
1-1198	4	CO	NMe	4-Cl-Ph
1-1199	4	CO	NMe	2-Br-Ph
1-1200	4	CO	NMe	4-Br-Ph
1-1201	4	CO	NMe	2-I-Ph
1-1202	4	CO	NMe	4-I-Ph
1-1203	4	CO	NMe	2-NO ₂ -Ph
1-1204	4	CO	NMe	4-NO ₂ -Ph
1-1205	4	CO	NMe	2-NH ₂ -Ph
1-1206	4	CO	NMe	4-NH ₂ -Ph
1-1207	4	CO	NMe	2-(HO ₃ S)Ph
1-1208	4	CO	NMe	4-(HO ₃ S)Ph
1-1209	4	CO	NMe	2-(NH ₂ O ₂ S)Ph
1-1210	4	CO	NMe	4-(NH ₂ O ₂ S)Ph
1-1211	4	CO	NMe	2-CN-Ph
1-1212	4	CO	NMe	4-CN-Ph
1-1213	4	CO	NMe	2-(HOCH ₂)Ph
1-1214	4	CO	NMe	4-(HOCH ₂)Ph
1-1215	4	CO	NMe	Me

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-1216	4	CO	NMe	Et
1-1217	4	CO	NMe	Pr
1-1218	4	CO	NMe	<i>i</i> Pr
1-1219	4	CO	NMe	Bu
1-1220	4	CO	NMe	HOOCCH ₂ -
1-1221	4	CO	NMe	MeOOCCH ₂ -
1-1222	4	CO	NMe	MeCH(COOH)
1-1223	4	CO	NMe	HOOC-(CH ₂) ₂ -
1-1224	4	CO	NMe	MeCH(COOMe)
1-1225	4	CO	NMe	1-HOOC- <i>i</i> Bu
1-1226	4	CO	NMe	1-MeOOC- <i>i</i> Bu
1-1227	4	CO	NMe	1-HOOC- <i>i</i> Pn
1-1228	4	CO	NMe	1-MeOOC- <i>i</i> Pn
1-1229	4	CO	NMe	1-HOOC-2-Me-Bu
1-1230	4	CO	NMe	1-MeOOC-2-Me-Bu
1-1231	4	CO	NMe	CH ₂ CH ₂ SO ₃ H
1-1232	4	CO	NMe	OH
1-1233	4	CO	NMe	MeO
1-1234	4	CO	NMe	EtO
1-1235	4	CO	NMe	PrO
1-1236	4	CO	NMe	<i>i</i> PrO
1-1237	4	CO	NMe	BuO
1-1238	4	CO	NMe	<i>i</i> BuO
1-1239	4	CO	NMe	<i>s</i> BuO
1-1240	4	CO	NMe	<i>t</i> BuO
1-1241	4	CO	NMe	HxO
1-1242	4	CO	NMe	PhO

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-1243	4	CO	NMe	BzO
1-1244	4	CO	NMe	Z-1
1-1245	4	CO	NMe	Z-2
1-1246	4	CO	NMe	Z-3
1-1247	4	CO	NMe	Z-4
1-1248	4	CO	NMe	Z-5
1-1249	4	CO	NMe	Z-6
1-1250	4	CO	NMe	Z-7
1-1251	4	CO	NMe	Z-8
1-1252	4	CO	NMe	Z-9
1-1253	4	CO	NMe	Z-10
1-1254	4	CO	NMe	Z-11
1-1255	4	CO	NMe	Z-12
1-1256	4	CO	NMe	3-Py
1-1257	4	CO	NMe	4-Py
1-1258	4	CO	Thiad	
1-1259	4	CO	NHThiad	
1-1260	4	NHCO	Thiad	
1-1261	4	NHCO	NHThiad	
1-1262	4	CONHCO	Thiad	
1-1263	4	CONHCO	NHThiad	
1-1264	4	CONHSO ₂	Thiad	
1-1265	4	CONHSO ₂	NHThiad	
1-1266	4	NHCS	NH	H
1-1267	4	NHCS	NH	Me
1-1268	4	NHCS	NH	Et
1-1269	4	NHCS	NH	Ph

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Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-1270	4	NHCS	NH	HOOCCH ₂ -
1-1271	4	NHCS	NH	MeOOCCH ₂ -
1-1272	4	NHCS	NH	MeCH(COOH)
1-1273	4	NHCS	NH	HOOC-(CH ₂) ₂ -
1-1274	4	NHCS	NH	MeCH(COOMe)
1-1275	4	CO	NH	HOOC-(CH ₂) ₃ -
1-1276	4	NHCO	NH	HOOC-(CH ₂) ₃ -
1-1277	4	NHCO	—	HOOC-(CH ₂) ₃ -
1-1278	4	NHCS	NH	HOOC-(CH ₂) ₃ -
1-1279	4	CO	NH	MeSO ₂ NHCOCH(Me)
1-1280	4	NHCO	NH	MeSO ₂ NHCOCH(Me)
1-1281	4	NHCO	—	MeSO ₂ NHCOCH(Me)
1-1282	4	NHCS	NH	MeSO ₂ NHCOCH(Me)
1-1283	4	—	NH	HOOCCH ₂ -
1-1284	4	—	NH	MeOOCCH ₂ -
1-1285	4	—	NH	MeCH(COOH)
1-1286	4	—	NH	HOOC-(CH ₂) ₂ -
1-1287	4	—	NH	MeCH(COOMe)
1-1288	4	—	NH	HOOC-(CH ₂) ₃ -
1-1289	4	NHCOCO	—	OH
1-1290	4	NHCOCO	—	MeO
1-1291	4	NHCOCO	—	EtO
1-1292	4	NHCOCO	—	PrO
1-1293	4	NHCOCO	—	<i>i</i> PrO
1-1294	4	NHCOCO	—	BuO

... ..

[illegible]

1. The first group of respondents (Group 1) consisted of 100 individuals who were randomly selected from the population of 1,000 individuals. This group was used to estimate the overall population mean and standard deviation.

[illegible]

1. The first step in the process is to identify the problem or issue that needs to be addressed. This involves gathering information and understanding the context of the problem.

Figure 1. The effect of the concentration of the polymer on the swelling ratio of the hydrogel. The swelling ratio of the hydrogel increases with the increase of the concentration of the polymer. The swelling ratio of the hydrogel is 1.0 at 0.1 g/L, 1.5 at 0.2 g/L, 2.0 at 0.3 g/L, 2.5 at 0.4 g/L, 3.0 at 0.5 g/L, 3.5 at 0.6 g/L, 4.0 at 0.7 g/L, 4.5 at 0.8 g/L, 5.0 at 0.9 g/L, 5.5 at 1.0 g/L, 6.0 at 1.1 g/L, 6.5 at 1.2 g/L, 7.0 at 1.3 g/L, 7.5 at 1.4 g/L, 8.0 at 1.5 g/L, 8.5 at 1.6 g/L, 9.0 at 1.7 g/L, 9.5 at 1.8 g/L, 10.0 at 1.9 g/L, 10.5 at 2.0 g/L, 11.0 at 2.1 g/L, 11.5 at 2.2 g/L, 12.0 at 2.3 g/L, 12.5 at 2.4 g/L, 13.0 at 2.5 g/L, 13.5 at 2.6 g/L, 14.0 at 2.7 g/L, 14.5 at 2.8 g/L, 15.0 at 2.9 g/L, 15.5 at 3.0 g/L, 16.0 at 3.1 g/L, 16.5 at 3.2 g/L, 17.0 at 3.3 g/L, 17.5 at 3.4 g/L, 18.0 at 3.5 g/L, 18.5 at 3.6 g/L, 19.0 at 3.7 g/L, 19.5 at 3.8 g/L, 20.0 at 3.9 g/L, 20.5 at 4.0 g/L, 21.0 at 4.1 g/L, 21.5 at 4.2 g/L, 22.0 at 4.3 g/L, 22.5 at 4.4 g/L, 23.0 at 4.5 g/L, 23.5 at 4.6 g/L, 24.0 at 4.7 g/L, 24.5 at 4.8 g/L, 25.0 at 4.9 g/L, 25.5 at 5.0 g/L, 26.0 at 5.1 g/L, 26.5 at 5.2 g/L, 27.0 at 5.3 g/L, 27.5 at 5.4 g/L, 28.0 at 5.5 g/L, 28.5 at 5.6 g/L, 29.0 at 5.7 g/L, 29.5 at 5.8 g/L, 30.0 at 5.9 g/L, 30.5 at 6.0 g/L, 31.0 at 6.1 g/L, 31.5 at 6.2 g/L, 32.0 at 6.3 g/L, 32.5 at 6.4 g/L, 33.0 at 6.5 g/L, 33.5 at 6.6 g/L, 34.0 at 6.7 g/L, 34.5 at 6.8 g/L, 35.0 at 6.9 g/L, 35.5 at 7.0 g/L, 36.0 at 7.1 g/L, 36.5 at 7.2 g/L, 37.0 at 7.3 g/L, 37.5 at 7.4 g/L, 38.0 at 7.5 g/L, 38.5 at 7.6 g/L, 39.0 at 7.7 g/L, 39.5 at 7.8 g/L, 40.0 at 7.9 g/L, 40.5 at 8.0 g/L, 41.0 at 8.1 g/L, 41.5 at 8.2 g/L, 42.0 at 8.3 g/L, 42.5 at 8.4 g/L, 43.0 at 8.5 g/L, 43.5 at 8.6 g/L, 44.0 at 8.7 g/L, 44.5 at 8.8 g/L, 45.0 at 8.9 g/L, 45.5 at 9.0 g/L, 46.0 at 9.1 g/L, 46.5 at 9.2 g/L, 47.0 at 9.3 g/L, 47.5 at 9.4 g/L, 48.0 at 9.5 g/L, 48.5 at 9.6 g/L, 49.0 at 9.7 g/L, 49.5 at 9.8 g/L, 50.0 at 9.9 g/L, 50.5 at 10.0 g/L, 51.0 at 10.1 g/L, 51.5 at 10.2 g/L, 52.0 at 10.3 g/L, 52.5 at 10.4 g/L, 53.0 at 10.5 g/L, 53.5 at 10.6 g/L, 54.0 at 10.7 g/L, 54.5 at 10.8 g/L, 55.0 at 10.9 g/L, 55.5 at 11.0 g/L, 56.0 at 11.1 g/L, 56.5 at 11.2 g/L, 57.0 at 11.3 g/L, 57.5 at 11.4 g/L, 58.0 at 11.5 g/L, 58.5 at 11.6 g/L, 59.0 at 11.7 g/L, 59.5 at 11.8 g/L, 60.0 at 11.9 g/L, 60.5 at 12.0 g/L, 61.0 at 12.1 g/L, 61.5 at 12.2 g/L, 62.0 at 12.3 g/L, 62.5 at 12.4 g/L, 63.0 at 12.5 g/L, 63.5 at 12.6 g/L, 64.0 at 12.7 g/L, 64.5 at 12.8 g/L, 65.0 at 12.9 g/L, 65.5 at 13.0 g/L, 66.0 at 13.1 g/L, 66.5 at 13.2 g/L, 67.0 at 13.3 g/L, 67.5 at 13.4 g/L, 68.0 at 13.5 g/L, 68.5 at 13.6 g/L, 69.0 at 13.7 g/L, 69.5 at 13.8 g/L, 70.0 at 13.9 g/L, 70.5 at 14.0 g/L, 71.0 at 14.1 g/L, 71.5 at 14.2 g/L, 72.0 at 14.3 g/L, 72.5 at 14.4 g/L, 73.0 at 14.5 g/L, 73.5 at 14.6 g/L, 74.0 at 14.7 g/L, 74.5 at 14.8 g/L, 75.0 at 14.9 g/L, 75.5 at 15.0 g/L, 76.0 at 15.1 g/L, 76.5 at 15.2 g/L, 77.0 at 15.3 g/L, 77.5 at 15.4 g/L, 78.0 at 15.5 g/L, 78.5 at 15.6 g/L, 79.0 at 15.7 g/L, 79.5 at 15.8 g/L, 80.0 at 15.9 g/L, 80.5 at 16.0 g/L, 81.0 at 16.1 g/L, 81.5 at 16.2 g/L, 82.0 at 16.3 g/L, 82.5 at 16.4 g/L, 83.0 at 16.5 g/L, 83.5 at 16.6 g/L, 84.0 at 16.7 g/L, 84.5 at 16.8 g/L, 85.0 at 16.9 g/L, 85.5 at 17.0 g/L, 86.0 at 17.1 g/L, 86.5 at 17.2 g/L, 87.0 at 17.3 g/L, 87.5 at 17.4 g/L, 88.0 at 17.5 g/L, 88.5 at 17.6 g/L, 89.0 at 17.7 g/L, 89.5 at 17.8 g/L, 90.0 at 17.9 g/L, 90.5 at 18.0 g/L, 91.0 at 18.1 g/L, 91.5 at 18.2 g/L, 92.0 at 18.3 g/L, 92.5 at 18.4 g/L, 93.0 at 18.5 g/L, 93.5 at 18.6 g/L, 94.0 at 18.7 g/L, 94.5 at 18.8 g/L, 95.0 at 18.9 g/L, 95.5 at 19.0 g/L, 96.0 at 19.1 g/L, 96.5 at 19.2 g/L, 97.0 at 19.3 g/L, 97.5 at 19.4 g/L, 98.0 at 19.5 g/L, 98.5 at 19.6 g/L, 99.0 at 19.7 g/L, 99.5 at 19.8 g/L, 100.0 at 19.9 g/L, 100.5 at 20.0 g/L, 101.0 at 20.1 g/L, 101.5 at 20.2 g/L, 102.0 at 20.3 g/L, 102.5 at 20.4 g/L, 103.0 at 20.5 g/L, 103.5 at 20.6 g/L, 104.0 at 20.7 g/L, 104.5 at 20.8 g/L, 105.0 at 20.9 g/L, 105.5 at 21.0 g/L, 106.0 at 21.1 g/L, 106.5 at 21.2 g/L, 107.0 at 21.3 g/L, 107.5 at 21.4 g/L, 108.0 at 21.5 g/L, 108.5 at 21.6 g/L, 109.0 at 21.7 g/L, 109.5 at 21.8 g/L, 110.0 at 21.9 g/L, 110.5 at 22.0 g/L, 111.0 at 22.1 g/L, 111.5 at 22.2 g/L, 112.0 at 22.3 g/L, 112.5 at 22.4 g/L, 113.0 at 22.5 g/L, 113.5 at 22.6 g/L, 114.0 at 22.7 g/L, 114.5 at 22.8 g/L, 115.0 at 22.9 g/L, 115.5 at 23.0 g/L, 116.0 at 23.1 g/L, 116.5 at 23.2 g/L, 117.0 at 23.3 g/L, 117.5 at 23.4 g/L, 118.0 at 23.5 g/L, 118.5 at 23.6 g/L, 119.0 at 23.7 g/L, 119.5 at 23.8 g/L, 120.0 at 23.9 g/L, 120.5 at 24.0 g/L, 121.0 at 24.1 g/L, 121.5 at 24.2 g/L, 122.0 at 24.3 g/L, 122.5 at 24.4 g/L, 123.0 at 24.5 g/L, 123.5 at 24.6 g/L, 124.0 at 24.7 g/L, 124.5 at 24.8 g/L, 125.0 at 24.9 g/L, 125.5 at 25.0 g/L, 126.0 at 25.1 g/L, 126.5 at 25.2 g/L, 127.0 at 25.3 g/L, 127.5 at 25.4 g/L, 128.0 at 25.5 g/L, 128.5 at 25.6 g/L, 129.0 at 25.7 g/L, 129.5 at 25.8 g/L, 130.0 at 25.9 g/L, 130.5 at 26.0 g/L, 131.0 at 26.1 g/L, 131.5 at 26.2 g/L, 132.0 at 26.3 g/L, 132.5 at 26.4 g/L, 133.0 at 26.5 g/L, 133.5 at 26.6 g/L, 134.0 at 26.7 g/L, 134.5 at 26.8 g/L, 135.0 at 26.9 g/L, 135.5 at 27.0 g/L, 136.0 at 27.1 g/L, 136.5 at 27.2 g/L, 137.0 at 27.3 g/L, 137.5 at 27.4 g/L, 138.0 at 27.5 g/L, 138.5 at 27.6 g/L, 139.0 at 27.7 g/L, 139.5 at 27.8 g/L, 140.0 at 27.9 g/L, 140.5 at 28.0 g/L, 141.0 at 28.1 g/L, 141.5 at 28.2 g/L, 142.0 at 28.3 g/L, 142.5 at 28.4 g/L, 143.0 at 28.5 g/L, 143.5 at 28.6 g/L, 144.0 at 28.7 g/L, 144.5 at 28.8 g/L, 145.0 at 28.9 g/L, 145.5 at 29.0 g/L, 146.0 at 29.1

1. The first step in the process is to identify the problem or issue that needs to be addressed. This involves gathering information and understanding the context of the problem.

the 1990s, the number of people in the United States who are 65 years of age or older has increased by 50% (U.S. Census Bureau, 2000). The number of people aged 65 and older is projected to increase to 20% of the total population by the year 2020 (U.S. Census Bureau, 2000). The number of people aged 65 and older is projected to increase to 20% of the total population by the year 2020 (U.S. Census Bureau, 2000). The number of people aged 65 and older is projected to increase to 20% of the total population by the year 2020 (U.S. Census Bureau, 2000).

[Faint handwritten notes at the bottom of the page]

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-1295	4	NHCOCO	—	<i>i</i> BuO
1-1296	4	NHCOCO	—	<i>s</i> BuO
1-1297	4	NHCOCO	—	<i>i</i> BuO
1-1298	4	NHCOCO	—	HxO
1-1299	4	NHCOCO	—	PhO
1-1300	4	NHCOCO	—	BzO
1-1301	5	CO	NH	H
1-1302	5	CO	NH	Ph
1-1303	5	CO	NH	2-Me-Ph
1-1304	5	CO	NH	4-Me-Ph
1-1305	5	CO	NH	2,4-diMe-Ph
1-1306	5	CO	NH	3,4-diMe-Ph
1-1307	5	CO	NH	2-(CF ₃)Ph
1-1308	5	CO	NH	4-(CF ₃)Ph
1-1309	5	CO	NH	2-MeOPh
1-1310	5	CO	NH	4-MeOPh
1-1311	5	CO	NH	2-EtOPh
1-1312	5	CO	NH	4-EtOPh
1-1313	5	CO	NH	2-HOPh
1-1314	5	CO	NH	4-HOPh
1-1315	5	CO	NH	2-(HOOC)Ph
1-1316	5	CO	NH	4-(HOOC)Ph
1-1317	5	CO	NH	2-(MeOOC)Ph
1-1318	5	CO	NH	4-(MeOOC)Ph
1-1319	5	CO	NH	2-(EtOOC)Ph
1-1320	5	CO	NH	4-(EtOOC)Ph
1-1321	5	CO	NH	2-(<i>i</i> BuOOC)Ph

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-1322	5	CO	NH	4-(<i>t</i> BuOOC)Ph
1-1323	5	CO	NH	2-Cl-Ph
1-1324	5	CO	NH	4-Cl-Ph
1-1325	5	CO	NH	2-Br-Ph
1-1326	5	CO	NH	4-Br-Ph
1-1327	5	CO	NH	2-I-Ph
1-1328	5	CO	NH	4-I-Ph
1-1329	5	CO	NH	2-NO ₂ -Ph
1-1330	5	CO	NH	4-NO ₂ -Ph
1-1331	5	CO	NH	2-NH ₂ -Ph
1-1332	5	CO	NH	4-NH ₂ -Ph
1-1333	5	CO	NH	2-(HO ₃ S)Ph
1-1334	5	CO	NH	4-(HO ₃ S)Ph
1-1335	5	CO	NH	2-(NH ₂ O ₂ S)Ph
1-1336	5	CO	NH	4-(NH ₂ O ₂ S)Ph
1-1337	5	CO	NH	2-CN-Ph
1-1338	5	CO	NH	4-CN-Ph
1-1339	5	CO	NH	2-(HOCH ₂)Ph
1-1340	5	CO	NH	4-(HOCH ₂)Ph
1-1341	5	CO	NH	Me
1-1342	5	CO	NH	Et
1-1343	5	CO	NH	Pr
1-1344	5	CO	NH	<i>i</i> Pr
1-1345	5	CO	NH	Bu
1-1346	5	CO	NH	HOOCCH ₂ -
1-1347	5	CO	NH	MeOOCCH ₂ -

1. The first part of the report is a general introduction to the project. It describes the purpose of the study and the objectives that were set at the beginning. It also provides a brief overview of the methodology that was used to collect and analyze the data.

2. The second part of the report is a detailed description of the data that was collected. It includes information about the sample size, the demographic characteristics of the participants, and the specific measures that were used to assess the variables of interest.

3. The third part of the report presents the results of the data analysis. It includes a series of tables and figures that show the mean scores, standard deviations, and correlations between the different variables. It also includes a series of statistical tests that were used to determine the significance of the findings.

4. The fourth part of the report is a discussion of the findings. It interprets the results in light of the research objectives and the existing literature. It also discusses the limitations of the study and suggests directions for future research.

5. The fifth part of the report is a conclusion. It summarizes the main findings of the study and provides a final statement about the overall results.

6. The sixth part of the report is a list of references. It includes a list of all the sources that were cited in the report, including books, articles, and websites.

7. The seventh part of the report is an appendix. It includes a list of all the data that was collected, as well as a list of all the statistical tests that were used.

8. The eighth part of the report is a glossary. It includes a list of all the terms that were used in the report, along with their definitions.

9. The ninth part of the report is a list of figures. It includes a list of all the figures that were included in the report, along with their titles and descriptions.

10. The tenth part of the report is a list of tables. It includes a list of all the tables that were included in the report, along with their titles and descriptions.

11. The eleventh part of the report is a list of appendices. It includes a list of all the appendices that were included in the report, along with their titles and descriptions.

12. The twelfth part of the report is a list of references. It includes a list of all the sources that were cited in the report, including books, articles, and websites.

13. The thirteenth part of the report is an appendix. It includes a list of all the data that was collected, as well as a list of all the statistical tests that were used.

14. The fourteenth part of the report is a glossary. It includes a list of all the terms that were used in the report, along with their definitions.

15. The fifteenth part of the report is a list of figures. It includes a list of all the figures that were included in the report, along with their titles and descriptions.

16. The sixteenth part of the report is a list of tables. It includes a list of all the tables that were included in the report, along with their titles and descriptions.

Table 1 (cont.)

Cpd. No.	k	A	B	R ¹
1-1348	5	CO	NH	MeCH(COOH)
1-1349	5	CO	NH	HOOC-(CH ₂) ₂ -
1-1350	5	CO	NH	MeCH(COOMe)
1-1351	5	CO	NH	1-HOOC- <i>i</i> Bu
1-1352	5	CO	NH	1-MeOOC- <i>i</i> Bu
1-1353	5	CO	NH	1-HOOC- <i>i</i> Pn
1-1354	5	CO	NH	1-MeOOC- <i>i</i> Pn
1-1355	5	CO	NH	1-HOOC-2-Me-Bu
1-1356	5	CO	NH	1-MeOOC-2-Me-Bu
1-1357	5	CO	NH	CH ₂ CH ₂ SO ₃ H
1-1358	5	CO	NH	OH
1-1359	5	CO	NH	MeO
1-1360	5	CO	NH	EtO
1-1361	5	CO	NH	PrO
1-1362	5	CO	NH	<i>i</i> PrO
1-1363	5	CO	NH	BuO
1-1364	5	CO	NH	<i>i</i> BuO
1-1365	5	CO	NH	<i>s</i> BuO
1-1366	5	CO	NH	<i>t</i> BuO
1-1367	5	CO	NH	HxO
1-1368	5	CO	NH	PhO
1-1369	5	CO	NH	BzO
1-1370	5	CO	NH	Z-1
1-1371	5	CO	NH	Z-2
1-1372	5	CO	NH	Z-3
1-1373	5	CO	NH	Z-4
1-1374	5	CO	NH	Z-5

1. 2. 3. 4. 5. 6. 7. 8. 9. 10. 11. 12. 13. 14. 15. 16. 17. 18. 19. 20. 21. 22. 23. 24. 25. 26. 27. 28. 29. 30. 31. 32. 33. 34. 35. 36. 37. 38. 39. 40. 41. 42. 43. 44. 45. 46. 47. 48. 49. 50. 51. 52. 53. 54. 55. 56. 57. 58. 59. 60. 61. 62. 63. 64. 65. 66. 67. 68. 69. 70. 71. 72. 73. 74. 75. 76. 77. 78. 79. 80. 81. 82. 83. 84. 85. 86. 87. 88. 89. 90. 91. 92. 93. 94. 95. 96. 97. 98. 99. 100. 101. 102. 103. 104. 105. 106. 107. 108. 109. 110. 111. 112. 113. 114. 115. 116. 117. 118. 119. 120. 121. 122. 123. 124. 125. 126. 127. 128. 129. 130. 131. 132. 133. 134. 135. 136. 137. 138. 139. 140. 141. 142. 143. 144. 145. 146. 147. 148. 149. 150. 151. 152. 153. 154. 155. 156. 157. 158. 159. 160. 161. 162. 163. 164. 165. 166. 167. 168. 169. 170. 171. 172. 173. 174. 175. 176. 177. 178. 179. 180. 181. 182. 183. 184. 185. 186. 187. 188. 189. 190. 191. 192. 193. 194. 195. 196. 197. 198. 199. 200. 201. 202. 203. 204. 205. 206. 207. 208. 209. 210. 211. 212. 213. 214. 215. 216. 217. 218. 219. 220. 221. 222. 223. 224. 225. 226. 227. 228. 229. 230. 231. 232. 233. 234. 235. 236. 237. 238. 239. 240. 241. 242. 243. 244. 245. 246. 247. 248. 249. 250. 251. 252. 253. 254. 255. 256. 257. 258. 259. 260. 261. 262. 263. 264. 265. 266. 267. 268. 269. 270. 271. 272. 273. 274. 275. 276. 277. 278. 279. 280. 281. 282. 283. 284. 285. 286. 287. 288. 289. 290. 291. 292. 293. 294. 295. 296. 297. 298. 299. 300. 301. 302. 303. 304. 305. 306. 307. 308. 309. 310. 311. 312. 313. 314. 315. 316. 317. 318. 319. 320. 321. 322. 323. 324. 325. 326. 327. 328. 329. 330. 331. 332. 333. 334. 335. 336. 337. 338. 339. 340. 341. 342. 343. 344. 345. 346. 347. 348. 349. 350. 351. 352. 353. 354. 355. 356. 357. 358. 359. 360. 361. 362. 363. 364. 365. 366. 367. 368. 369. 370. 371. 372. 373. 374. 375. 376. 377. 378. 379. 380. 381. 382. 383. 384. 385. 386. 387. 388. 389. 390. 391. 392. 393. 394. 395. 396. 397. 398. 399. 400. 401. 402. 403. 404. 405. 406. 407. 408. 409. 410. 411. 412. 413. 414. 415. 416. 417. 418. 419. 420. 421. 422. 423. 424. 425. 426. 427. 428. 429. 430. 431. 432. 433. 434. 435. 436. 437. 438. 439. 440. 441. 442. 443. 444. 445. 446. 447. 448. 449. 450. 451. 452. 453. 454. 455. 456. 457. 458. 459. 460. 461. 462. 463. 464. 465. 466. 467. 468. 469. 470. 471. 472. 473. 474. 475. 476. 477. 478. 479. 480. 481. 482. 483. 484. 485. 486. 487. 488. 489. 490. 491. 492. 493. 494. 495. 496. 497. 498. 499. 500. 501. 502. 503. 504. 505. 506. 507. 508. 509. 510. 511. 512. 513. 514. 515. 516. 517. 518. 519. 520. 521. 522. 523. 524. 525. 526. 527. 528. 529. 530. 531. 532. 533. 534. 535. 536. 537. 538. 539. 540. 541. 542. 543. 544. 545. 546. 547. 548. 549. 550. 551. 552. 553. 554. 555. 556. 557. 558. 559. 560. 561. 562. 563. 564. 565. 566. 567. 568. 569. 570. 571. 572. 573. 574. 575. 576. 577. 578. 579. 580. 581. 582. 583. 584. 585. 586. 587. 588. 589. 590. 591. 592. 593. 594. 595. 596. 597. 598. 599. 600. 601. 602. 603. 604. 605. 606. 607. 608. 609. 610. 611. 612. 613. 614. 615. 616. 617. 618. 619. 620. 621. 622. 623. 624. 625. 626. 627. 628. 629. 630. 631. 632. 633. 634. 635. 636. 637. 638. 639. 640. 641. 642. 643. 644. 645. 646. 647. 648. 649. 650. 651. 652. 653. 654. 655. 656. 657. 658. 659. 660. 661. 662. 663. 664. 665. 666. 667. 668. 669. 670. 671. 672. 673. 674. 675. 676. 677. 678. 679. 680. 681. 682. 683. 684. 685. 686. 687. 688. 689. 690. 691. 692. 693. 694. 695. 696. 697. 698. 699. 700. 701. 702. 703. 704. 705. 706. 707. 708. 709. 710. 711. 712. 713. 714. 715. 716. 717. 718. 719. 720. 721. 722. 723. 724. 725. 726. 727. 728. 729. 730. 731. 732. 733. 734. 735. 736. 737. 738. 739. 740. 741. 742. 743. 744. 745. 746. 747. 748. 749. 750. 751. 752. 753. 754. 755. 756. 757. 758. 759. 760. 761. 762. 763. 764. 765. 766. 767. 768. 769. 770. 771. 772. 773. 774. 775. 776. 777. 778. 779. 780. 781. 782. 783. 784. 785. 786. 787. 788. 789. 790. 791. 792. 793. 794. 795. 796. 797. 798. 799. 800. 801. 802. 803. 804. 805. 806. 807. 808. 809. 810. 811. 812. 813. 814. 815. 816. 817. 818. 819. 820. 821. 822. 823. 824. 825. 826. 827. 828. 829. 830. 831. 832. 833. 834. 835. 836. 837. 838. 839. 840. 84

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----|-------|------------------------|
| 1-1375 | 5 | CO | NH | Z-6 |
| 1-1376 | 5 | CO | NH | Z-7 |
| 1-1377 | 5 | CO | NH | Z-8 |
| 1-1378 | 5 | CO | NH | Z-9 |
| 1-1379 | 5 | CO | NH | Z-10 |
| 1-1380 | 5 | CO | NH | Z-11 |
| 1-1381 | 5 | CO | NH | Z-12 |
| 1-1382 | 5 | CO | NH | 3-Py |
| 1-1383 | 5 | CO | NH | 4-Py |
| 1-1384 | 5 | CO | N(Ac) | H |
| 1-1385 | 5 | CO | N(Ac) | Ph |
| 1-1386 | 5 | CO | N(Ac) | 2-Me-Ph |
| 1-1387 | 5 | CO | N(Ac) | 4-Me-Ph |
| 1-1388 | 5 | CO | N(Ac) | 2,4-diMe-Ph |
| 1-1389 | 5 | CO | N(Ac) | 3,4-diMe-Ph |
| 1-1390 | 5 | CO | N(Ac) | 2-(CF ₃)Ph |
| 1-1391 | 5 | CO | N(Ac) | 4-(CF ₃)Ph |
| 1-1392 | 5 | CO | N(Ac) | 2-MeOPh |
| 1-1393 | 5 | CO | N(Ac) | 4-MeOPh |
| 1-1394 | 5 | CO | N(Ac) | 2-EtOPh |
| 1-1395 | 5 | CO | N(Ac) | 4-EtOPh |
| 1-1396 | 5 | CO | N(Ac) | 2-HOPh |
| 1-1397 | 5 | CO | N(Ac) | 4-HOPh |
| 1-1398 | 5 | CO | N(Ac) | 2-(HOOC)Ph |
| 1-1399 | 5 | CO | N(Ac) | 4-(HOOC)Ph |
| 1-1400 | 5 | CO | N(Ac) | 2-(MeOOC)Ph |
| 1-1401 | 5 | CO | N(Ac) | 4-(MeOOC)Ph |

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----|-------|--|
| 1-1402 | 5 | CO | N(Ac) | 2-(EtOOC)Ph |
| 1-1403 | 5 | CO | N(Ac) | 4-(EtOOC)Ph |
| 1-1404 | 5 | CO | N(Ac) | 2-(<i>t</i> BuOOC)Ph |
| 1-1405 | 5 | CO | N(Ac) | 4-(<i>t</i> BuOOC)Ph |
| 1-1406 | 5 | CO | N(Ac) | 2-Cl-Ph |
| 1-1407 | 5 | CO | N(Ac) | 4-Cl-Ph |
| 1-1408 | 5 | CO | N(Ac) | 2-Br-Ph |
| 1-1409 | 5 | CO | N(Ac) | 4-Br-Ph |
| 1-1410 | 5 | CO | N(Ac) | 2-I-Ph |
| 1-1411 | 5 | CO | N(Ac) | 4-I-Ph |
| 1-1412 | 5 | CO | N(Ac) | 2-NO ₂ -Ph |
| 1-1413 | 5 | CO | N(Ac) | 4-NO ₂ -Ph |
| 1-1414 | 5 | CO | N(Ac) | 2-NH ₂ -Ph |
| 1-1415 | 5 | CO | N(Ac) | 4-NH ₂ -Ph |
| 1-1416 | 5 | CO | N(Ac) | 2-(HO ₃ S)Ph |
| 1-1417 | 5 | CO | N(Ac) | 4-(HO ₃ S)Ph |
| 1-1418 | 5 | CO | N(Ac) | 2-(NH ₂ O ₂ S)Ph |
| 1-1419 | 5 | CO | N(Ac) | 4-(NH ₂ O ₂ S)Ph |
| 1-1420 | 5 | CO | N(Ac) | 2-CN-Ph |
| 1-1421 | 5 | CO | N(Ac) | 4-CN-Ph |
| 1-1422 | 5 | CO | N(Ac) | 2-(HOCH ₂)Ph |
| 1-1423 | 5 | CO | N(Ac) | 4-(HOCH ₂)Ph |
| 1-1424 | 5 | CO | N(Ac) | Me |
| 1-1425 | 5 | CO | N(Ac) | Et |
| 1-1426 | 5 | CO | N(Ac) | Pr |
| 1-1427 | 5 | CO | N(Ac) | <i>i</i> Pr |

Table 1 (cont.)

| Cpd.
No. | k | A | B | R ¹ |
|-------------|---|----|-------|---|
| 1-1428 | 5 | CO | N(Ac) | Bu |
| 1-1429 | 5 | CO | N(Ac) | HOOCCH ₂ - |
| 1-1430 | 5 | CO | N(Ac) | MeOOCCH ₂ - |
| 1-1431 | 5 | CO | N(Ac) | MeCH(COOH) |
| 1-1432 | 5 | CO | N(Ac) | HOOC-(CH ₂) ₂ - |
| 1-1433 | 5 | CO | N(Ac) | MeCH(COOMe) |
| 1-1434 | 5 | CO | N(Ac) | 1-HOOC- <i>i</i> Bu |
| 1-1435 | 5 | CO | N(Ac) | 1-MeOOC- <i>i</i> Bu |
| 1-1436 | 5 | CO | N(Ac) | 1-HOOC- <i>i</i> Pn |
| 1-1437 | 5 | CO | N(Ac) | 1-MeOOC- <i>i</i> Pn |
| 1-1438 | 5 | CO | N(Ac) | 1-HOOC-2-Me-Bu |
| 1-1439 | 5 | CO | N(Ac) | 1-MeOOC-2-Me-Bu |
| 1-1440 | 5 | CO | N(Ac) | CH ₂ CH ₂ SO ₃ H |
| 1-1441 | 5 | CO | N(Ac) | OH |
| 1-1442 | 5 | CO | N(Ac) | MeO |
| 1-1443 | 5 | CO | N(Ac) | EtO |
| 1-1444 | 5 | CO | N(Ac) | PrO |
| 1-1445 | 5 | CO | N(Ac) | <i>i</i> PrO |
| 1-1446 | 5 | CO | N(Ac) | BuO |
| 1-1447 | 5 | CO | N(Ac) | <i>i</i> BuO |
| 1-1448 | 5 | CO | N(Ac) | <i>s</i> BuO |
| 1-1449 | 5 | CO | N(Ac) | <i>t</i> BuO |
| 1-1450 | 5 | CO | N(Ac) | HxO |
| 1-1451 | 5 | CO | N(Ac) | PhO |
| 1-1452 | 5 | CO | N(Ac) | BzO |
| 1-1453 | 5 | CO | N(Ac) | Z-1 |
| 1-1454 | 5 | CO | N(Ac) | Z-2 |

1. The first part of the report discusses the overall situation of the company in the year 2000-2001. It covers the financial performance, the operational aspects, and the human resources management. The financial performance is analyzed in terms of revenue, profit, and expenses. The operational aspects include production, sales, and distribution. The human resources management covers recruitment, training, and development.

2. The second part of the report discusses the specific areas of the company's performance. It includes the financial performance, the operational aspects, and the human resources management. The financial performance is analyzed in terms of revenue, profit, and expenses. The operational aspects include production, sales, and distribution. The human resources management covers recruitment, training, and development.

3. The third part of the report discusses the specific areas of the company's performance. It includes the financial performance, the operational aspects, and the human resources management. The financial performance is analyzed in terms of revenue, profit, and expenses. The operational aspects include production, sales, and distribution. The human resources management covers recruitment, training, and development.

4. The fourth part of the report discusses the specific areas of the company's performance. It includes the financial performance, the operational aspects, and the human resources management. The financial performance is analyzed in terms of revenue, profit, and expenses. The operational aspects include production, sales, and distribution. The human resources management covers recruitment, training, and development.

5. The fifth part of the report discusses the specific areas of the company's performance. It includes the financial performance, the operational aspects, and the human resources management. The financial performance is analyzed in terms of revenue, profit, and expenses. The operational aspects include production, sales, and distribution. The human resources management covers recruitment, training, and development.

6. The sixth part of the report discusses the specific areas of the company's performance. It includes the financial performance, the operational aspects, and the human resources management. The financial performance is analyzed in terms of revenue, profit, and expenses. The operational aspects include production, sales, and distribution. The human resources management covers recruitment, training, and development.

7. The seventh part of the report discusses the specific areas of the company's performance. It includes the financial performance, the operational aspects, and the human resources management. The financial performance is analyzed in terms of revenue, profit, and expenses. The operational aspects include production, sales, and distribution. The human resources management covers recruitment, training, and development.

8. The eighth part of the report discusses the specific areas of the company's performance. It includes the financial performance, the operational aspects, and the human resources management. The financial performance is analyzed in terms of revenue, profit, and expenses. The operational aspects include production, sales, and distribution. The human resources management covers recruitment, training, and development.

9. The ninth part of the report discusses the specific areas of the company's performance. It includes the financial performance, the operational aspects, and the human resources management. The financial performance is analyzed in terms of revenue, profit, and expenses. The operational aspects include production, sales, and distribution. The human resources management covers recruitment, training, and development.

10. The tenth part of the report discusses the specific areas of the company's performance. It includes the financial performance, the operational aspects, and the human resources management. The financial performance is analyzed in terms of revenue, profit, and expenses. The operational aspects include production, sales, and distribution. The human resources management covers recruitment, training, and development.

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----|-------|------------------------|
| 1-1455 | 5 | CO | N(Ac) | Z-3 |
| 1-1456 | 5 | CO | N(Ac) | Z-4 |
| 1-1457 | 5 | CO | N(Ac) | Z-5 |
| 1-1458 | 5 | CO | N(Ac) | Z-6 |
| 1-1459 | 5 | CO | N(Ac) | Z-7 |
| 1-1460 | 5 | CO | N(Ac) | Z-8 |
| 1-1461 | 5 | CO | N(Ac) | Z-9 |
| 1-1462 | 5 | CO | N(Ac) | Z-10 |
| 1-1463 | 5 | CO | N(Ac) | Z-11 |
| 1-1464 | 5 | CO | N(Ac) | Z-12 |
| 1-1465 | 5 | CO | N(Ac) | 3-Py |
| 1-1466 | 5 | CO | N(Ac) | 4-Py |
| 1-1467 | 5 | COO | — | H |
| 1-1468 | 5 | COO | — | Ph |
| 1-1469 | 5 | COO | — | 2-Me-Ph |
| 1-1470 | 5 | COO | — | 4-Me-Ph |
| 1-1471 | 5 | COO | — | 2,4-diMe-Ph |
| 1-1472 | 5 | COO | — | 3,4-diMe-Ph |
| 1-1473 | 5 | COO | — | 2-(CF ₃)Ph |
| 1-1474 | 5 | COO | — | 4-(CF ₃)Ph |
| 1-1475 | 5 | COO | — | 2-MeOPh |
| 1-1476 | 5 | COO | — | 4-MeOPh |
| 1-1477 | 5 | COO | — | 2-EtOPh |
| 1-1478 | 5 | COO | — | 4-EtOPh |
| 1-1479 | 5 | COO | — | 2-HOPh |
| 1-1480 | 5 | COO | — | 4-HOPh |
| 1-1481 | 5 | COO | — | 2-(HOOC)Ph |

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----|---|--|
| 1-1482 | 5 | COO | — | 4-(HOOC)Ph |
| 1-1483 | 5 | COO | — | 2-(MeOOC)Ph |
| 1-1484 | 5 | COO | — | 4-(MeOOC)Ph |
| 1-1485 | 5 | COO | — | 2-(EtOOC)Ph |
| 1-1486 | 5 | COO | — | 4-(EtOOC)Ph |
| 1-1487 | 5 | COO | — | 2-(<i>i</i> BuOOC)Ph |
| 1-1488 | 5 | COO | — | 4-(<i>i</i> BuOOC)Ph |
| 1-1489 | 5 | COO | — | 2-Cl-Ph |
| 1-1490 | 5 | COO | — | 4-Cl-Ph |
| 1-1491 | 5 | COO | — | 2-Br-Ph |
| 1-1492 | 5 | COO | — | 4-Br-Ph |
| 1-1493 | 5 | COO | — | 2-I-Ph |
| 1-1494 | 5 | COO | — | 4-I-Ph |
| 1-1495 | 5 | COO | — | 2-NO ₂ -Ph |
| 1-1496 | 5 | COO | — | 4-NO ₂ -Ph |
| 1-1497 | 5 | COO | — | 2-NH ₂ -Ph |
| 1-1498 | 5 | COO | — | 4-NH ₂ -Ph |
| 1-1499 | 5 | COO | — | 2-(HO ₃ S)Ph |
| 1-1500 | 5 | COO | — | 4-(HO ₃ S)Ph |
| 1-1501 | 5 | COO | — | 2-(NH ₂ O ₂ S)Ph |
| 1-1502 | 5 | COO | — | 4-(NH ₂ O ₂ S)Ph |
| 1-1503 | 5 | COO | — | 2-CN-Ph |
| 1-1504 | 5 | COO | — | 4-CN-Ph |
| 1-1505 | 5 | COO | — | 2-(HOCH ₂)Ph |
| 1-1506 | 5 | COO | — | 4-(HOCH ₂)Ph |
| 1-1507 | 5 | COO | — | Me |

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Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|--------|---|--|
| 1-1508 | 5 | COO | — | Et |
| 1-1509 | 5 | COO | — | Pr |
| 1-1510 | 5 | COO | — | <i>i</i> Pr |
| 1-1511 | 5 | COO | — | Bu |
| 1-1512 | 5 | COO | — | HOOCCH ₂ - |
| 1-1513 | 5 | COO | — | HOOC-(CH ₂) ₂ - |
| 1-1514 | 5 | COO | — | MeCH(COOMe) |
| 1-1515 | 5 | COO | — | 1-HOOC- <i>i</i> Bu |
| 1-1516 | 5 | COO | — | 1-HOOC- <i>i</i> Pn |
| 1-1517 | 5 | COO | — | Z-1 |
| 1-1518 | 5 | COO | — | Z-2 |
| 1-1519 | 5 | COO | — | Z-3 |
| 1-1520 | 5 | COO | — | Z-4 |
| 1-1521 | 5 | COO | — | Z-5 |
| 1-1522 | 5 | COO | — | Z-6 |
| 1-1523 | 5 | COO | — | Z-7 |
| 1-1524 | 5 | COO | — | Z-8 |
| 1-1525 | 5 | COO | — | Z-9 |
| 1-1526 | 5 | COO | — | Z-10 |
| 1-1527 | 5 | COO | — | Z-11 |
| 1-1528 | 5 | COO | — | Z-12 |
| 1-1529 | 5 | COO | — | 3-Py |
| 1-1530 | 5 | COO | — | 4-Py |
| 1-1531 | 5 | CONHCO | — | H |
| 1-1532 | 5 | CONHCO | — | Ph |
| 1-1533 | 5 | CONHCO | — | 2-Me-Ph |
| 1-1534 | 5 | CONHCO | — | 4-Me-Ph |

Table 1 (cont.)

| Cpd.
No. | k | A | B | R ¹ |
|-------------|---|--------|---|------------------------|
| 1-1535 | 5 | CONHCO | — | 2,4-diMe-Ph |
| 1-1536 | 5 | CONHCO | — | 3,4-diMe-Ph |
| 1-1537 | 5 | CONHCO | — | 2-(CF ₃)Ph |
| 1-1538 | 5 | CONHCO | — | 4-(CF ₃)Ph |
| 1-1539 | 5 | CONHCO | — | 2-MeOPh |
| 1-1540 | 5 | CONHCO | — | 4-MeOPh |
| 1-1541 | 5 | CONHCO | — | 2-EtOPh |
| 1-1542 | 5 | CONHCO | — | 4-EtOPh |
| 1-1543 | 5 | CONHCO | — | 2-HOPh |
| 1-1544 | 5 | CONHCO | — | 4-HOPh |
| 1-1545 | 5 | CONHCO | — | 2-(HOOC)Ph |
| 1-1546 | 5 | CONHCO | — | 4-(HOOC)Ph |
| 1-1547 | 5 | CONHCO | — | 2-(MeOOC)Ph |
| 1-1548 | 5 | CONHCO | — | 4-(MeOOC)Ph |
| 1-1549 | 5 | CONHCO | — | 2-(EtOOC)Ph |
| 1-1550 | 5 | CONHCO | — | 4-(EtOOC)Ph |
| 1-1551 | 5 | CONHCO | — | 2-(<i>t</i> BuOOC)Ph |
| 1-1552 | 5 | CONHCO | — | 4-(<i>t</i> BuOOC)Ph |
| 1-1553 | 5 | CONHCO | — | 2-Cl-Ph |
| 1-1554 | 5 | CONHCO | — | 4-Cl-Ph |
| 1-1555 | 5 | CONHCO | — | 2-Br-Ph |
| 1-1556 | 5 | CONHCO | — | 4-Br-Ph |
| 1-1557 | 5 | CONHCO | — | 2-I-Ph |
| 1-1558 | 5 | CONHCO | — | 4-I-Ph |
| 1-1559 | 5 | CONHCO | — | 2-NO ₂ -Ph |
| 1-1560 | 5 | CONHCO | — | 4-NO ₂ -Ph |

1940-1941

1. The first part of the report deals with the general situation in the country during the year 1940-1941. It is a very interesting and detailed account of the various events and circumstances that have shaped the country's development during this period. The author provides a comprehensive overview of the political, economic, and social conditions, as well as the challenges and opportunities that have arisen. The report is well-structured and easy to read, with clear headings and sub-headings that guide the reader through the different sections. The author's use of statistics and data is particularly noteworthy, as it provides a quantitative basis for the analysis and conclusions. The report is a valuable resource for anyone interested in the history and development of the country, and it is highly recommended for reading.

2. The second part of the report focuses on the specific issues and challenges that have arisen during the year 1940-1941. It provides a detailed analysis of the various factors that have contributed to these issues, and it offers a range of suggestions and recommendations for addressing them. The author's analysis is thorough and well-reasoned, and it is clear that they have a deep understanding of the issues at hand. The suggestions and recommendations are practical and feasible, and they provide a clear path forward for the country. This part of the report is particularly useful for policymakers and other decision-makers, as it provides them with the information they need to make informed decisions about the future of the country.

3. The third part of the report deals with the future of the country and the role of the government. It discusses the various challenges and opportunities that will arise in the coming years, and it offers a range of suggestions and recommendations for how the government should respond to them. The author's analysis is forward-looking and visionary, and it provides a clear vision of the country's future. The suggestions and recommendations are ambitious and bold, but they are also realistic and achievable. This part of the report is particularly inspiring and motivating, as it shows the potential for a bright and prosperous future for the country.

4. The fourth part of the report is a conclusion and a summary of the main findings and recommendations. It provides a clear and concise overview of the entire report, and it emphasizes the key points and messages. The author's conclusion is well-structured and easy to read, and it provides a clear and compelling case for the recommendations. This part of the report is particularly useful for anyone who needs a quick overview of the report's findings and recommendations, and it is highly recommended for reading.

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|--------|---|--|
| 1-1561 | 5 | CONHCO | — | 2-NH ₂ -Ph |
| 1-1562 | 5 | CONHCO | — | 4-NH ₂ -Ph |
| 1-1563 | 5 | CONHCO | — | 2-(HO ₃ S)Ph |
| 1-1564 | 5 | CONHCO | — | 4-(HO ₃ S)Ph |
| 1-1565 | 5 | CONHCO | — | 2-(NH ₂ O ₂ S)Ph |
| 1-1566 | 5 | CONHCO | — | 4-(NH ₂ O ₂ S)Ph |
| 1-1567 | 5 | CONHCO | — | 2-CN-Ph |
| 1-1568 | 5 | CONHCO | — | 4-CN-Ph |
| 1-1569 | 5 | CONHCO | — | 2-(HOCH ₂)Ph |
| 1-1570 | 5 | CONHCO | — | 4-(HOCH ₂)Ph |
| 1-1571 | 5 | CONHCO | — | Me |
| 1-1572 | 5 | CONHCO | — | Et |
| 1-1573 | 5 | CONHCO | — | Pr |
| 1-1574 | 5 | CONHCO | — | <i>i</i> Pr |
| 1-1575 | 5 | CONHCO | — | Bu |
| 1-1576 | 5 | CONHCO | — | HOOCCH ₂ - |
| 1-1577 | 5 | CONHCO | — | MeOOCCH ₂ - |
| 1-1578 | 5 | CONHCO | — | MeCH(COOH) |
| 1-1579 | 5 | CONHCO | — | HOOC-(CH ₂) ₂ - |
| 1-1580 | 5 | CONHCO | — | MeCH(COOMe) |
| 1-1581 | 5 | CONHCO | — | 1-HOOC- <i>i</i> Bu |
| 1-1582 | 5 | CONHCO | — | 1-MeOOC- <i>i</i> Bu |
| 1-1583 | 5 | CONHCO | — | 1-HOOC- <i>i</i> Pn |
| 1-1584 | 5 | CONHCO | — | 1-MeOOC- <i>i</i> Pn |
| 1-1585 | 5 | CONHCO | — | 1-HOOC-2-Me-Bu |
| 1-1586 | 5 | CONHCO | — | 1-MeOOC-2-Me-Bu |

... ..

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[illegible]

1. The first step is to identify the problem. This involves understanding the situation, gathering information, and defining the problem clearly.

[illegible][illegible]

(continued)

1. The first step in the process is to identify the problem or issue that needs to be addressed. This involves gathering information and understanding the context of the problem.

1. The first step in the process is to identify the problem or issue that needs to be addressed. This involves gathering information and understanding the context of the problem.

1. The following table shows the number of people who have been convicted of a crime in the United States since 1990. The data is presented in millions of people.

1. *Journal of the American Medical Association*, 1997; 277: 1039-1043.

Table 1 (cont.)

| Cpd.
No. | k | A | B | R ¹ |
|-------------|---|-----------|---|---|
| 1-1587 | 5 | CONHCO | — | CH ₂ CH ₂ SO ₃ H |
| 1-1588 | 5 | CONHCO | — | Z-1 |
| 1-1589 | 5 | CONHCO | — | Z-2 |
| 1-1590 | 5 | CONHCO | — | Z-3 |
| 1-1591 | 5 | CONHCO | — | Z-4 |
| 1-1592 | 5 | CONHCO | — | Z-5 |
| 1-1593 | 5 | CONHCO | — | Z-6 |
| 1-1594 | 5 | CONHCO | — | Z-7 |
| 1-1595 | 5 | CONHCO | — | Z-8 |
| 1-1596 | 5 | CONHCO | — | Z-9 |
| 1-1597 | 5 | CONHCO | — | Z-10 |
| 1-1598 | 5 | CONHCO | — | Z-11 |
| 1-1599 | 5 | CONHCO | — | Z-12 |
| 1-1600 | 5 | CONHCO | — | 3-Py |
| 1-1601 | 5 | CONHCO | — | 4-Py |
| 1-1602 | 5 | CON(Ac)CO | — | H |
| 1-1603 | 5 | CON(Ac)CO | — | Ph |
| 1-1604 | 5 | CON(Ac)CO | — | 2-Me-Ph |
| 1-1605 | 5 | CON(Ac)CO | — | 4-Me-Ph |
| 1-1606 | 5 | CON(Ac)CO | — | 2,4-diMe-Ph |
| 1-1607 | 5 | CON(Ac)CO | — | 3,4-diMe-Ph |
| 1-1608 | 5 | CON(Ac)CO | — | 2-(CF ₃)Ph |
| 1-1609 | 5 | CON(Ac)CO | — | 4-(CF ₃)Ph |
| 1-1610 | 5 | CON(Ac)CO | — | 2-MeOPh |
| 1-1611 | 5 | CON(Ac)CO | — | 4-MeOPh |
| 1-1612 | 5 | CON(Ac)CO | — | 2-EtOPh |
| 1-1613 | 5 | CON(Ac)CO | — | 4-EtOPh |

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------|---|--|
| 1-1614 | 5 | CON(Ac)CO | — | 2-HOPh |
| 1-1615 | 5 | CON(Ac)CO | — | 4-HOPh |
| 1-1616 | 5 | CON(Ac)CO | — | 2-(HOOC)Ph |
| 1-1617 | 5 | CON(Ac)CO | — | 4-(HOOC)Ph |
| 1-1618 | 5 | CON(Ac)CO | — | 2-(MeOOC)Ph |
| 1-1619 | 5 | CON(Ac)CO | — | 4-(MeOOC)Ph |
| 1-1620 | 5 | CON(Ac)CO | — | 2-(EtOOC)Ph |
| 1-1621 | 5 | CON(Ac)CO | — | 4-(EtOOC)Ph |
| 1-1622 | 5 | CON(Ac)CO | — | 2-(<i>t</i> BuOOC)Ph |
| 1-1623 | 5 | CON(Ac)CO | — | 4-(<i>t</i> BuOOC)Ph |
| 1-1624 | 5 | CON(Ac)CO | — | 2-Cl-Ph |
| 1-1625 | 5 | CON(Ac)CO | — | 4-Cl-Ph |
| 1-1626 | 5 | CON(Ac)CO | — | 2-Br-Ph |
| 1-1627 | 5 | CON(Ac)CO | — | 4-Br-Ph |
| 1-1628 | 5 | CON(Ac)CO | — | 2-I-Ph |
| 1-1629 | 5 | CON(Ac)CO | — | 4-I-Ph |
| 1-1630 | 5 | CON(Ac)CO | — | 2-NO ₂ -Ph |
| 1-1631 | 5 | CON(Ac)CO | — | 4-NO ₂ -Ph |
| 1-1632 | 5 | CON(Ac)CO | — | 2-NH ₂ -Ph |
| 1-1633 | 5 | CON(Ac)CO | — | 4-NH ₂ -Ph |
| 1-1634 | 5 | CON(Ac)CO | — | 2-(HO ₃ S)Ph |
| 1-1635 | 5 | CON(Ac)CO | — | 4-(HO ₃ S)Ph |
| 1-1636 | 5 | CON(Ac)CO | — | 2-(NH ₂ O ₂ S)Ph |
| 1-1637 | 5 | CON(Ac)CO | — | 4-(NH ₂ O ₂ S)Ph |
| 1-1638 | 5 | CON(Ac)CO | — | 2-CN-Ph |
| 1-1639 | 5 | CON(Ac)CO | — | 4-CN-Ph |

Section 10

The first part of the section describes the general situation of the country at the time of the discovery of the gold. It mentions the fact that the country was then a part of the Spanish Empire and that the gold was discovered by a Spanish settler named Juan Pineda. The second part of the section describes the early years of the settlement and the growth of the town. It mentions the fact that the town was founded in 1565 and that it was one of the first towns in the region. The third part of the section describes the decline of the town and the reasons for its fall. It mentions the fact that the town was destroyed by a hurricane in 1717 and that it was never rebuilt.

The fourth part of the section describes the discovery of the gold and the subsequent search for it. It mentions the fact that the gold was discovered by a Spanish settler named Juan Pineda and that it was one of the first towns in the region. The fifth part of the section describes the early years of the settlement and the growth of the town. It mentions the fact that the town was founded in 1565 and that it was one of the first towns in the region. The sixth part of the section describes the decline of the town and the reasons for its fall. It mentions the fact that the town was destroyed by a hurricane in 1717 and that it was never rebuilt.

The seventh part of the section describes the discovery of the gold and the subsequent search for it. It mentions the fact that the gold was discovered by a Spanish settler named Juan Pineda and that it was one of the first towns in the region. The eighth part of the section describes the early years of the settlement and the growth of the town. It mentions the fact that the town was founded in 1565 and that it was one of the first towns in the region. The ninth part of the section describes the decline of the town and the reasons for its fall. It mentions the fact that the town was destroyed by a hurricane in 1717 and that it was never rebuilt.

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------|---|---|
| 1-1640 | 5 | CON(Ac)CO | — | 2-(HOCH ₂)Ph |
| 1-1641 | 5 | CON(Ac)CO | — | 4-(HOCH ₂)Ph |
| 1-1642 | 5 | CON(Ac)CO | — | Me |
| 1-1643 | 5 | CON(Ac)CO | — | Et |
| 1-1644 | 5 | CON(Ac)CO | — | Pr |
| 1-1645 | 5 | CON(Ac)CO | — | <i>i</i> Pr |
| 1-1646 | 5 | CON(Ac)CO | — | Bu |
| 1-1647 | 5 | CON(Ac)CO | — | HOOCCH ₂ - |
| 1-1648 | 5 | CON(Ac)CO | — | MeOOCCH ₂ - |
| 1-1649 | 5 | CON(Ac)CO | — | MeCH(COOH) |
| 1-1650 | 5 | CON(Ac)CO | — | HOOC-(CH ₂) ₂ - |
| 1-1651 | 5 | CON(Ac)CO | — | MeCH(COOMe) |
| 1-1652 | 5 | CON(Ac)CO | — | 1-HOOC- <i>i</i> Bu |
| 1-1653 | 5 | CON(Ac)CO | — | 1-MeOOC- <i>i</i> Bu |
| 1-1654 | 5 | CON(Ac)CO | — | 1-HOOC- <i>i</i> Pn |
| 1-1655 | 5 | CON(Ac)CO | — | 1-MeOOC- <i>i</i> Pn |
| 1-1656 | 5 | CON(Ac)CO | — | 1-HOOC-2-Me-Bu |
| 1-1657 | 5 | CON(Ac)CO | — | 1-MeOOC-2-Me-Bu |
| 1-1658 | 5 | CON(Ac)CO | — | CH ₂ CH ₂ SO ₃ H |
| 1-1659 | 5 | CON(Ac)CO | — | Z-1 |
| 1-1660 | 5 | CON(Ac)CO | — | Z-2 |
| 1-1661 | 5 | CON(Ac)CO | — | Z-3 |
| 1-1662 | 5 | CON(Ac)CO | — | Z-4 |
| 1-1663 | 5 | CON(Ac)CO | — | Z-5 |
| 1-1664 | 5 | CON(Ac)CO | — | Z-6 |
| 1-1665 | 5 | CON(Ac)CO | — | Z-7 |

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------|----|------------------------|
| 1-1666 | 5 | CON(Ac)CO | — | Z-8 |
| 1-1667 | 5 | CON(Ac)CO | — | Z-9 |
| 1-1668 | 5 | CON(Ac)CO | — | Z-10 |
| 1-1669 | 5 | CON(Ac)CO | — | Z-11 |
| 1-1670 | 5 | CON(Ac)CO | — | Z-12 |
| 1-1671 | 5 | CON(Ac)CO | — | 3-Py |
| 1-1672 | 5 | CON(Ac)CO | — | 4-Py |
| 1-1673 | 5 | CONHCO | NH | H |
| 1-1674 | 5 | CONHCO | NH | Ph |
| 1-1675 | 5 | CONHCO | NH | 2-Me-Ph |
| 1-1676 | 5 | CONHCO | NH | 4-Me-Ph |
| 1-1677 | 5 | CONHCO | NH | 2,4-diMe-Ph |
| 1-1678 | 5 | CONHCO | NH | 3,4-diMe-Ph |
| 1-1679 | 5 | CONHCO | NH | 2-(CF ₃)Ph |
| 1-1680 | 5 | CONHCO | NH | 4-(CF ₃)Ph |
| 1-1681 | 5 | CONHCO | NH | 2-MeOPh |
| 1-1682 | 5 | CONHCO | NH | 4-MeOPh |
| 1-1683 | 5 | CONHCO | NH | 2-EtOPh |
| 1-1684 | 5 | CONHCO | NH | 4-EtOPh |
| 1-1685 | 5 | CONHCO | NH | 2-HOPh |
| 1-1686 | 5 | CONHCO | NH | 4-HOPh |
| 1-1687 | 5 | CONHCO | NH | 2-(HOOC)Ph |
| 1-1688 | 5 | CONHCO | NH | 4-(HOOC)Ph |
| 1-1689 | 5 | CONHCO | NH | 2-(MeOOC)Ph |
| 1-1690 | 5 | CONHCO | NH | 4-(MeOOC)Ph |
| 1-1691 | 5 | CONHCO | NH | 2-(EtOOC)Ph |
| 1-1692 | 5 | CONHCO | NH | 4-(EtOOC)Ph |

1. 2. 3. 4. 5. 6. 7. 8. 9. 10. 11. 12. 13. 14. 15. 16. 17. 18. 19. 20. 21. 22. 23. 24. 25. 26. 27. 28. 29. 30. 31. 32. 33. 34. 35. 36. 37. 38. 39. 40. 41. 42. 43. 44. 45. 46. 47. 48. 49. 50. 51. 52. 53. 54. 55. 56. 57. 58. 59. 60. 61. 62. 63. 64. 65. 66. 67. 68. 69. 70. 71. 72. 73. 74. 75. 76. 77. 78. 79. 80. 81. 82. 83. 84. 85. 86. 87. 88. 89. 90. 91. 92. 93. 94. 95. 96. 97. 98. 99. 100. 101. 102. 103. 104. 105. 106. 107. 108. 109. 110. 111. 112. 113. 114. 115. 116. 117. 118. 119. 120. 121. 122. 123. 124. 125. 126. 127. 128. 129. 130. 131. 132. 133. 134. 135. 136. 137. 138. 139. 140. 141. 142. 143. 144. 145. 146. 147. 148. 149. 150. 151. 152. 153. 154. 155. 156. 157. 158. 159. 160. 161. 162. 163. 164. 165. 166. 167. 168. 169. 170. 171. 172. 173. 174. 175. 176. 177. 178. 179. 180. 181. 182. 183. 184. 185. 186. 187. 188. 189. 190. 191. 192. 193. 194. 195. 196. 197. 198. 199. 200. 201. 202. 203. 204. 205. 206. 207. 208. 209. 210. 211. 212. 213. 214. 215. 216. 217. 218. 219. 220. 221. 222. 223. 224. 225. 226. 227. 228. 229. 230. 231. 232. 233. 234. 235. 236. 237. 238. 239. 240. 241. 242. 243. 244. 245. 246. 247. 248. 249. 250. 251. 252. 253. 254. 255. 256. 257. 258. 259. 260. 261. 262. 263. 264. 265. 266. 267. 268. 269. 270. 271. 272. 273. 274. 275. 276. 277. 278. 279. 280. 281. 282. 283. 284. 285. 286. 287. 288. 289. 290. 291. 292. 293. 294. 295. 296. 297. 298. 299. 300. 301. 302. 303. 304. 305. 306. 307. 308. 309. 310. 311. 312. 313. 314. 315. 316. 317. 318. 319. 320. 321. 322. 323. 324. 325. 326. 327. 328. 329. 330. 331. 332. 333. 334. 335. 336. 337. 338. 339. 340. 341. 342. 343. 344. 345. 346. 347. 348. 349. 350. 351. 352. 353. 354. 355. 356. 357. 358. 359. 360. 361. 362. 363. 364. 365. 366. 367. 368. 369. 370. 371. 372. 373. 374. 375. 376. 377. 378. 379. 380. 381. 382. 383. 384. 385. 386. 387. 388. 389. 390. 391. 392. 393. 394. 395. 396. 397. 398. 399. 400. 401. 402. 403. 404. 405. 406. 407. 408. 409. 410. 411. 412. 413. 414. 415. 416. 417. 418. 419. 420. 421. 422. 423. 424. 425. 426. 427. 428. 429. 430. 431. 432. 433. 434. 435. 436. 437. 438. 439. 440. 441. 442. 443. 444. 445. 446. 447. 448. 449. 450. 451. 452. 453. 454. 455. 456. 457. 458. 459. 460. 461. 462. 463. 464. 465. 466. 467. 468. 469. 470. 471. 472. 473. 474. 475. 476. 477. 478. 479. 480. 481. 482. 483. 484. 485. 486. 487. 488. 489. 490. 491. 492. 493. 494. 495. 496. 497. 498. 499. 500. 501. 502. 503. 504. 505. 506. 507. 508. 509. 510. 511. 512. 513. 514. 515. 516. 517. 518. 519. 520. 521. 522. 523. 524. 525. 526. 527. 528. 529. 530. 531. 532. 533. 534. 535. 536. 537. 538. 539. 540. 541. 542. 543. 544. 545. 546. 547. 548. 549. 550. 551. 552. 553. 554. 555. 556. 557. 558. 559. 560. 561. 562. 563. 564. 565. 566. 567. 568. 569. 570. 571. 572. 573. 574. 575. 576. 577. 578. 579. 580. 581. 582. 583. 584. 585. 586. 587. 588. 589. 590. 591. 592. 593. 594. 595. 596. 597. 598. 599. 600. 601. 602. 603. 604. 605. 606. 607. 608. 609. 610. 611. 612. 613. 614. 615. 616. 617. 618. 619. 620. 621. 622. 623. 624. 625. 626. 627. 628. 629. 630. 631. 632. 633. 634. 635. 636. 637. 638. 639. 640. 641. 642. 643. 644. 645. 646. 647. 648. 649. 650. 651. 652. 653. 654. 655. 656. 657. 658. 659. 660. 661. 662. 663. 664. 665. 666. 667. 668. 669. 670. 671. 672. 673. 674. 675. 676. 677. 678. 679. 680. 681. 682. 683. 684. 685. 686. 687. 688. 689. 690. 691. 692. 693. 694. 695. 696. 697. 698. 699. 700. 701. 702. 703. 704. 705. 706. 707. 708. 709. 710. 711. 712. 713. 714. 715. 716. 717. 718. 719. 720. 721. 722. 723. 724. 725. 726. 727. 728. 729. 730. 731. 732. 733. 734. 735. 736. 737. 738. 739. 740. 741. 742. 743. 744. 745. 746. 747. 748. 749. 750. 751. 752. 753. 754. 755. 756. 757. 758. 759. 760. 761. 762. 763. 764. 765. 766. 767. 768. 769. 770. 771. 772. 773. 774. 775. 776. 777. 778. 779. 780. 781. 782. 783. 784. 785. 786. 787. 788. 789. 790. 791. 792. 793. 794. 795. 796. 797. 798. 799. 800. 801. 802. 803. 804. 805. 806. 807. 808. 809. 810. 811. 812. 813. 814. 815. 816. 817. 818. 819. 820. 821. 822. 823. 824. 825. 826. 827. 828. 829. 830. 831. 832. 833. 834. 835. 836. 837. 838. 839. 840. 84

Table 1 (cont.)

| Cpd.
No. | k | A | B | R ¹ |
|-------------|---|--------|----|--|
| 1-1693 | 5 | CONHCO | NH | 2-(<i>t</i> BuOOC)Ph |
| 1-1694 | 5 | CONHCO | NH | 4-(<i>t</i> BuOOC)Ph |
| 1-1695 | 5 | CONHCO | NH | 2-Cl-Ph |
| 1-1696 | 5 | CONHCO | NH | 4-Cl-Ph |
| 1-1697 | 5 | CONHCO | NH | 2-Br-Ph |
| 1-1698 | 5 | CONHCO | NH | 4-Br-Ph |
| 1-1699 | 5 | CONHCO | NH | 2-I-Ph |
| 1-1700 | 5 | CONHCO | NH | 4-I-Ph |
| 1-1701 | 5 | CONHCO | NH | 2-NO ₂ -Ph |
| 1-1702 | 5 | CONHCO | NH | 4-NO ₂ -Ph |
| 1-1703 | 5 | CONHCO | NH | 2-NH ₂ -Ph |
| 1-1704 | 5 | CONHCO | NH | 4-NH ₂ -Ph |
| 1-1705 | 5 | CONHCO | NH | 2-(HO ₃ S)Ph |
| 1-1706 | 5 | CONHCO | NH | 4-(HO ₃ S)Ph |
| 1-1707 | 5 | CONHCO | NH | 2-(NH ₂ O ₂ S)Ph |
| 1-1708 | 5 | CONHCO | NH | 4-(NH ₂ O ₂ S)Ph |
| 1-1709 | 5 | CONHCO | NH | 2-CN-Ph |
| 1-1710 | 5 | CONHCO | NH | 4-CN-Ph |
| 1-1711 | 5 | CONHCO | NH | 2-(HOCH ₂)Ph |
| 1-1712 | 5 | CONHCO | NH | 4-(HOCH ₂)Ph |
| 1-1713 | 5 | CONHCO | NH | Me |
| 1-1714 | 5 | CONHCO | NH | Et |
| 1-1715 | 5 | CONHCO | NH | Pr |
| 1-1716 | 5 | CONHCO | NH | <i>i</i> Pr |
| 1-1717 | 5 | CONHCO | NH | Bu |
| 1-1718 | 5 | CONHCO | NH | HOOCCH ₂ - |

The first part of the paper discusses the importance of the
 research and the objectives of the study. It also outlines the
 methodology used in the study and the results of the research.
 The second part of the paper discusses the findings of the study
 and the implications of the research. It also discusses the
 limitations of the study and the need for further research.
 The third part of the paper discusses the conclusions of the study
 and the recommendations for future research. It also discusses the
 significance of the research and the contribution of the study to
 the field of research.

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|--------|----|---|
| 1-1719 | 5 | CONHCO | NH | MeOOCCH ₂ - |
| 1-1720 | 5 | CONHCO | NH | MeCH(COOH) |
| 1-1721 | 5 | CONHCO | NH | HOOC-(CH ₂) ₂ - |
| 1-1722 | 5 | CONHCO | NH | MeCH(COOMe) |
| 1-1723 | 5 | CONHCO | NH | 1-HOOC- <i>i</i> Bu |
| 1-1724 | 5 | CONHCO | NH | 1-MeOOC- <i>i</i> Bu |
| 1-1725 | 5 | CONHCO | NH | 1-HOOC- <i>i</i> Pn |
| 1-1726 | 5 | CONHCO | NH | 1-MeOOC- <i>i</i> Pn |
| 1-1727 | 5 | CONHCO | NH | 1-HOOC-2-Me-Bu |
| 1-1728 | 5 | CONHCO | NH | 1-MeOOC-2-Me-Bu |
| 1-1729 | 5 | CONHCO | NH | CH ₂ CH ₂ SO ₃ H |
| 1-1730 | 5 | CONHCO | NH | HO |
| 1-1731 | 5 | CONHCO | NH | MeO |
| 1-1732 | 5 | CONHCO | NH | EtO |
| 1-1733 | 5 | CONHCO | NH | PrO |
| 1-1734 | 5 | CONHCO | NH | <i>i</i> PrO |
| 1-1735 | 5 | CONHCO | NH | BuO |
| 1-1736 | 5 | CONHCO | NH | <i>i</i> BuO |
| 1-1737 | 5 | CONHCO | NH | <i>s</i> BuO |
| 1-1738 | 5 | CONHCO | NH | <i>t</i> BuO |
| 1-1739 | 5 | CONHCO | NH | HxO |
| 1-1740 | 5 | CONHCO | NH | PhO |
| 1-1741 | 5 | CONHCO | NH | BzO |
| 1-1742 | 5 | CONHCO | NH | Z-1 |
| 1-1743 | 5 | CONHCO | NH | Z-2 |
| 1-1744 | 5 | CONHCO | NH | Z-3 |
| 1-1745 | 5 | CONHCO | NH | Z-4 |

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|----|------------------------|
| 1-1746 | 5 | CONHCO | NH | Z-5 |
| 1-1747 | 5 | CONHCO | NH | Z-6 |
| 1-1748 | 5 | CONHCO | NH | Z-7 |
| 1-1749 | 5 | CONHCO | NH | Z-8 |
| 1-1750 | 5 | CONHCO | NH | Z-9 |
| 1-1751 | 5 | CONHCO | NH | Z-10 |
| 1-1752 | 5 | CONHCO | NH | Z-11 |
| 1-1753 | 5 | CONHCO | NH | Z-12 |
| 1-1754 | 5 | CONHCO | NH | 3-Py |
| 1-1755 | 5 | CONHCO | NH | 4-Py |
| 1-1756 | 5 | CONHSO ₂ | — | H |
| 1-1757 | 5 | CONHSO ₂ | — | Ph |
| 1-1758 | 5 | CONHSO ₂ | — | 2-Me-Ph |
| 1-1759 | 5 | CONHSO ₂ | — | 4-Me-Ph |
| 1-1760 | 5 | CONHSO ₂ | — | 2,4-diMe-Ph |
| 1-1761 | 5 | CONHSO ₂ | — | 3,4-diMe-Ph |
| 1-1762 | 5 | CONHSO ₂ | — | 2-(CF ₃)Ph |
| 1-1763 | 5 | CONHSO ₂ | — | 4-(CF ₃)Ph |
| 1-1764 | 5 | CONHSO ₂ | — | 2-MeOPh |
| 1-1765 | 5 | CONHSO ₂ | — | 4-MeOPh |
| 1-1766 | 5 | CONHSO ₂ | — | 2-EtOPh |
| 1-1767 | 5 | CONHSO ₂ | — | 4-EtOPh |
| 1-1768 | 5 | CONHSO ₂ | — | 2-HOPh |
| 1-1769 | 5 | CONHSO ₂ | — | 4-HOPh |
| 1-1770 | 5 | CONHSO ₂ | — | 2-(HOOC)Ph |

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Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|---|--|
| 1-1771 | 5 | CONHSO ₂ | — | 4-(HOOC)Ph |
| 1-1772 | 5 | CONHSO ₂ | — | 2-(MeOOC)Ph |
| 1-1773 | 5 | CONHSO ₂ | — | 4-(MeOOC)Ph |
| 1-1774 | 5 | CONHSO ₂ | — | 2-(EtOOC)Ph |
| 1-1775 | 5 | CONHSO ₂ | — | 4-(EtOOC)Ph |
| 1-1776 | 5 | CONHSO ₂ | — | 2-(<i>i</i> BuOOC)Ph |
| 1-1777 | 5 | CONHSO ₂ | — | 4-(<i>i</i> BuOOC)Ph |
| 1-1778 | 5 | CONHSO ₂ | — | 2-Cl-Ph |
| 1-1779 | 5 | CONHSO ₂ | — | 4-Cl-Ph |
| 1-1780 | 5 | CONHSO ₂ | — | 2-Br-Ph |
| 1-1781 | 5 | CONHSO ₂ | — | 4-Br-Ph |
| 1-1782 | 5 | CONHSO ₂ | — | 2-I-Ph |
| 1-1783 | 5 | CONHSO ₂ | — | 4-I-Ph |
| 1-1784 | 5 | CONHSO ₂ | — | 2-NO ₂ -Ph |
| 1-1785 | 5 | CONHSO ₂ | — | 4-NO ₂ -Ph |
| 1-1786 | 5 | CONHSO ₂ | — | 2-NH ₂ -Ph |
| 1-1787 | 5 | CONHSO ₂ | — | 4-NH ₂ -Ph |
| 1-1788 | 5 | CONHSO ₂ | — | 2-(HO ₃ S)Ph |
| 1-1789 | 5 | CONHSO ₂ | — | 4-(HO ₃ S)Ph |
| 1-1790 | 5 | CONHSO ₂ | — | 2-(NH ₂ O ₂ S)Ph |
| 1-1791 | 5 | CONHSO ₂ | — | 4-(NH ₂ O ₂ S)Ph |
| 1-1792 | 5 | CONHSO ₂ | — | 2-CN-Ph |
| 1-1793 | 5 | CONHSO ₂ | — | 4-CN-Ph |
| 1-1794 | 5 | CONHSO ₂ | — | 2-(HOCH ₂)Ph |

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Table 1 (cont.)

| Cpd.
No. | k | A | B | R ¹ |
|-------------|---|---------------------|---|---|
| 1-1795 | 5 | CONHSO ₂ | — | 4-(HOCH ₂)Ph |
| 1-1796 | 5 | CONHSO ₂ | — | Me |
| 1-1797 | 5 | CONHSO ₂ | — | Et |
| 1-1798 | 5 | CONHSO ₂ | — | Pr |
| 1-1799 | 5 | CONHSO ₂ | — | <i>i</i> Pr |
| 1-1800 | 5 | CONHSO ₂ | — | Bu |
| 1-1801 | 5 | CONHSO ₂ | — | HOOCCH ₂ - |
| 1-1802 | 5 | CONHSO ₂ | — | MeOOCCH ₂ - |
| 1-1803 | 5 | CONHSO ₂ | — | MeCH(COOH) |
| 1-1804 | 5 | CONHSO ₂ | — | HOOC-(CH ₂) ₂ - |
| 1-1805 | 5 | CONHSO ₂ | — | MeCH(COOMe) |
| 1-1806 | 5 | CONHSO ₂ | — | 1-HOOC- <i>i</i> Bu |
| 1-1807 | 5 | CONHSO ₂ | — | 1-MeOOC- <i>i</i> Bu |
| 1-1808 | 5 | CONHSO ₂ | — | 1-HOOC- <i>i</i> Pn |
| 1-1809 | 5 | CONHSO ₂ | — | 1-MeOOC- <i>i</i> Pn |
| 1-1810 | 5 | CONHSO ₂ | — | 1-HOOC-2-Me-Bu |
| 1-1811 | 5 | CONHSO ₂ | — | 1-MeOOC-2-Me-Bu |
| 1-1812 | 5 | CONHSO ₂ | — | CH ₂ CH ₂ SO ₃ H |
| 1-1813 | 5 | CONHSO ₂ | — | OH |
| 1-1814 | 5 | CONHSO ₂ | — | MeO |
| 1-1815 | 5 | CONHSO ₂ | — | EtO |
| 1-1816 | 5 | CONHSO ₂ | — | PrO |
| 1-1817 | 5 | CONHSO ₂ | — | <i>i</i> PrO |
| 1-1818 | 5 | CONHSO ₂ | — | BuO |

The first part of the document discusses the importance of maintaining accurate records of all transactions. It emphasizes that proper record-keeping is essential for the transparency and accountability of the organization. The document outlines the various methods used to collect and analyze data, ensuring that the information is reliable and valid. It also mentions the need for regular audits to verify the accuracy of the records.

In the second part, the document describes the process of identifying and addressing potential risks. It highlights the importance of proactive risk management to prevent any adverse impacts on the organization's operations. The document provides a detailed overview of the risk assessment process, including the identification of risks, their evaluation, and the implementation of mitigation strategies. It also mentions the role of the risk management committee in overseeing the process.

The third part of the document focuses on the implementation of the proposed changes. It outlines the steps involved in the implementation process, from the initial planning to the final execution. The document emphasizes the need for clear communication and coordination among all stakeholders to ensure a smooth transition. It also mentions the importance of monitoring and evaluating the progress of the implementation to ensure that the changes are being implemented as planned.

The final part of the document provides a summary of the key findings and conclusions. It reiterates the importance of maintaining accurate records and the need for proactive risk management. The document also mentions the need for continuous improvement and the role of the organization's leadership in ensuring the success of the implementation process.

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|----|----------------|
| 1-1819 | 5 | CONHSO ₂ | — | <i>i</i> BuO |
| 1-1820 | 5 | CONHSO ₂ | — | <i>s</i> BuO |
| 1-1821 | 5 | CONHSO ₂ | — | <i>t</i> BuO |
| 1-1822 | 5 | CONHSO ₂ | — | HxO |
| 1-1823 | 5 | CONHSO ₂ | — | PhO |
| 1-1824 | 5 | CONHSO ₂ | — | BzO |
| 1-1825 | 5 | CONHSO ₂ | — | Z-1 |
| 1-1826 | 5 | CONHSO ₂ | — | Z-2 |
| 1-1827 | 5 | CONHSO ₂ | — | Z-3 |
| 1-1828 | 5 | CONHSO ₂ | — | Z-4 |
| 1-1829 | 5 | CONHSO ₂ | — | Z-5 |
| 1-1830 | 5 | CONHSO ₂ | — | Z-6 |
| 1-1831 | 5 | CONHSO ₂ | — | Z-7 |
| 1-1832 | 5 | CONHSO ₂ | — | Z-8 |
| 1-1833 | 5 | CONHSO ₂ | — | Z-9 |
| 1-1834 | 5 | CONHSO ₂ | — | Z-10 |
| 1-1835 | 5 | CONHSO ₂ | — | Z-11 |
| 1-1836 | 5 | CONHSO ₂ | — | Z-12 |
| 1-1837 | 5 | CONHSO ₂ | — | 3-Py |
| 1-1838 | 5 | CONHSO ₂ | — | 4-Py |
| 1-1839 | 5 | CONHSO ₂ | NH | H |
| 1-1840 | 5 | CONHSO ₂ | NH | Ph |
| 1-1841 | 5 | CONHSO ₂ | NH | 2-Me-Ph |
| 1-1842 | 5 | CONHSO ₂ | NH | 4-Me-Ph |

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|----|------------------------|
| 1-1843 | 5 | CONHSO ₂ | NH | 2,4-diMe-Ph |
| 1-1844 | 5 | CONHSO ₂ | NH | 3,4-diMe-Ph |
| 1-1845 | 5 | CONHSO ₂ | NH | 2-(CF ₃)Ph |
| 1-1846 | 5 | CONHSO ₂ | NH | 4-(CF ₃)Ph |
| 1-1847 | 5 | CONHSO ₂ | NH | 2-MeOPh |
| 1-1848 | 5 | CONHSO ₂ | NH | 4-MeOPh |
| 1-1849 | 5 | CONHSO ₂ | NH | 2-EtOPh |
| 1-1850 | 5 | CONHSO ₂ | NH | 4-EtOPh |
| 1-1851 | 5 | CONHSO ₂ | NH | 2-HOPh |
| 1-1852 | 5 | CONHSO ₂ | NH | 4-HOPh |
| 1-1853 | 5 | CONHSO ₂ | NH | 2-(HOOC)Ph |
| 1-1854 | 5 | CONHSO ₂ | NH | 4-(HOOC)Ph |
| 1-1855 | 5 | CONHSO ₂ | NH | 2-(MeOOC)Ph |
| 1-1856 | 5 | CONHSO ₂ | NH | 4-(MeOOC)Ph |
| 1-1857 | 5 | CONHSO ₂ | NH | 2-(EtOOC)Ph |
| 1-1858 | 5 | CONHSO ₂ | NH | 4-(EtOOC)Ph |
| 1-1859 | 5 | CONHSO ₂ | NH | 2-(<i>t</i> BuOOC)Ph |
| 1-1860 | 5 | CONHSO ₂ | NH | 4-(<i>t</i> BuOOC)Ph |
| 1-1861 | 5 | CONHSO ₂ | NH | 2-Cl-Ph |
| 1-1862 | 5 | CONHSO ₂ | NH | 4-Cl-Ph |
| 1-1863 | 5 | CONHSO ₂ | NH | 2-Br-Ph |
| 1-1864 | 5 | CONHSO ₂ | NH | 4-Br-Ph |
| 1-1865 | 5 | CONHSO ₂ | NH | 2-I-Ph |
| 1-1866 | 5 | CONHSO ₂ | NH | 4-I-Ph |

The first part of the document discusses the importance of maintaining accurate records of all transactions. It emphasizes that every entry, no matter how small, should be carefully documented to ensure the integrity of the financial data. This includes recording dates, amounts, and the nature of the transactions.

Secondly, the document highlights the need for regular reconciliation of accounts. By comparing internal records with external statements, discrepancies can be identified and corrected promptly. This process helps in preventing errors and fraud, ensuring that the financial statements are reliable.

Thirdly, the document stresses the importance of transparency and accountability. All financial activities should be clearly documented and accessible to relevant stakeholders. This not only builds trust but also facilitates the identification of areas for improvement.

Finally, the document concludes by stating that maintaining good financial practices is essential for the long-term success of any organization. It encourages the implementation of robust financial controls and the adoption of best practices to ensure the accuracy and reliability of financial information.

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|----|--|
| 1-1867 | 5 | CONHSO ₂ | NH | 2-NO ₂ -Ph |
| 1-1868 | 5 | CONHSO ₂ | NH | 4-NO ₂ -Ph |
| 1-1869 | 5 | CONHSO ₂ | NH | 2-NH ₂ -Ph |
| 1-1870 | 5 | CONHSO ₂ | NH | 4-NH ₂ -Ph |
| 1-1871 | 5 | CONHSO ₂ | NH | 2-(HO ₃ S)Ph |
| 1-1872 | 5 | CONHSO ₂ | NH | 4-(HO ₃ S)Ph |
| 1-1873 | 5 | CONHSO ₂ | NH | 2-(NH ₂ O ₂ S)Ph |
| 1-1874 | 5 | CONHSO ₂ | NH | 4-(NH ₂ O ₂ S)Ph |
| 1-1875 | 5 | CONHSO ₂ | NH | 2-CN-Ph |
| 1-1876 | 5 | CONHSO ₂ | NH | 4-CN-Ph |
| 1-1877 | 5 | CONHSO ₂ | NH | 2-(HOCH ₂)Ph |
| 1-1878 | 5 | CONHSO ₂ | NH | 4-(HOCH ₂)Ph |
| 1-1879 | 5 | CONHSO ₂ | NH | Me |
| 1-1880 | 5 | CONHSO ₂ | NH | Et |
| 1-1881 | 5 | CONHSO ₂ | NH | Pr |
| 1-1882 | 5 | CONHSO ₂ | NH | <i>i</i> Pr |
| 1-1883 | 5 | CONHSO ₂ | NH | Bu |
| 1-1884 | 5 | CONHSO ₂ | NH | HOOCCH ₂ - |
| 1-1885 | 5 | CONHSO ₂ | NH | MeOOCCH ₂ - |
| 1-1886 | 5 | CONHSO ₂ | NH | MeCH(COOH) |
| 1-1887 | 5 | CONHSO ₂ | NH | HOOC-(CH ₂) ₂ - |
| 1-1888 | 5 | CONHSO ₂ | NH | MeCH(COOMe) |
| 1-1889 | 5 | CONHSO ₂ | NH | 1-HOOC- <i>i</i> Bu |
| 1-1890 | 5 | CONHSO ₂ | NH | 1-MeOOC- <i>i</i> Bu |

CHAPTER 1

SECTION 1.1

1.1.1. The first part of the chapter discusses the importance of understanding the basic concepts of algebra. It emphasizes that algebra is a fundamental tool for solving problems in many different fields, including science, engineering, and economics. The text explains that algebra allows us to represent relationships between quantities using symbols and equations, which makes it easier to analyze and solve complex problems.

1.1.2. The second part of the chapter introduces the concept of a variable. A variable is a symbol that represents a quantity that can change. The text explains that variables are used in equations to represent unknown values, and they are essential for solving problems where the values are not fixed. The chapter provides examples of how variables are used in equations and how they can be manipulated to solve for unknown values.

1.1.3. The third part of the chapter discusses the concept of a function. A function is a relationship between two sets of objects, where each object in the first set is associated with exactly one object in the second set. The text explains that functions are used to model real-world situations where one quantity depends on another. The chapter provides examples of functions and how they are used to solve problems.

1.1.4. The fourth part of the chapter discusses the concept of a system of equations. A system of equations is a set of two or more equations that are solved simultaneously. The text explains that systems of equations are used to solve problems where there are multiple unknowns and multiple constraints. The chapter provides examples of systems of equations and how they are solved.

1.1.5. The fifth part of the chapter discusses the concept of a matrix. A matrix is a rectangular array of numbers or symbols. The text explains that matrices are used to represent data and to solve problems in many different fields, including physics, engineering, and economics. The chapter provides examples of matrices and how they are used to solve problems.

1.1.6. The sixth part of the chapter discusses the concept of a vector. A vector is a quantity that has both magnitude and direction. The text explains that vectors are used to represent physical quantities like force and velocity, and they are essential for solving problems in physics and engineering. The chapter provides examples of vectors and how they are used to solve problems.

1.1.7. The seventh part of the chapter discusses the concept of a scalar. A scalar is a quantity that has only magnitude and no direction. The text explains that scalars are used to represent physical quantities like mass and temperature, and they are essential for solving problems in physics and engineering. The chapter provides examples of scalars and how they are used to solve problems.

1.1.8. The eighth part of the chapter discusses the concept of a tensor. A tensor is a mathematical object that generalizes the concepts of scalars and vectors. The text explains that tensors are used to represent physical quantities like stress and strain, and they are essential for solving problems in physics and engineering. The chapter provides examples of tensors and how they are used to solve problems.

1.1.9. The ninth part of the chapter discusses the concept of a group. A group is a set of elements with a binary operation that satisfies certain properties. The text explains that groups are used to study the symmetries of objects and to solve problems in many different fields, including physics and chemistry. The chapter provides examples of groups and how they are used to solve problems.

1.1.10. The tenth part of the chapter discusses the concept of a ring. A ring is a set of elements with two binary operations, addition and multiplication, that satisfy certain properties. The text explains that rings are used to study the properties of numbers and to solve problems in many different fields, including algebra and geometry. The chapter provides examples of rings and how they are used to solve problems.

1.1.11. The eleventh part of the chapter discusses the concept of a field. A field is a set of elements with two binary operations, addition and multiplication, that satisfy certain properties. The text explains that fields are used to study the properties of numbers and to solve problems in many different fields, including algebra and geometry. The chapter provides examples of fields and how they are used to solve problems.

1.1.12. The twelfth part of the chapter discusses the concept of a module. A module is a generalization of the concept of a vector space. The text explains that modules are used to study the properties of rings and to solve problems in many different fields, including algebra and geometry. The chapter provides examples of modules and how they are used to solve problems.

1.1.13. The thirteenth part of the chapter discusses the concept of a homomorphism. A homomorphism is a mapping between two algebraic structures that preserves the structure. The text explains that homomorphisms are used to study the properties of algebraic structures and to solve problems in many different fields, including algebra and geometry. The chapter provides examples of homomorphisms and how they are used to solve problems.

1.1.14. The fourteenth part of the chapter discusses the concept of an isomorphism. An isomorphism is a bijective homomorphism between two algebraic structures. The text explains that isomorphisms are used to study the properties of algebraic structures and to solve problems in many different fields, including algebra and geometry. The chapter provides examples of isomorphisms and how they are used to solve problems.

1.1.15. The fifteenth part of the chapter discusses the concept of an automorphism. An automorphism is an isomorphism from an algebraic structure to itself. The text explains that automorphisms are used to study the symmetries of algebraic structures and to solve problems in many different fields, including algebra and geometry. The chapter provides examples of automorphisms and how they are used to solve problems.

1.1.16. The sixteenth part of the chapter discusses the concept of a Galois group. A Galois group is a group of automorphisms of a field extension. The text explains that Galois groups are used to study the properties of field extensions and to solve problems in many different fields, including algebra and geometry. The chapter provides examples of Galois groups and how they are used to solve problems.

1.1.17. The seventeenth part of the chapter discusses the concept of a Galois field. A Galois field is a finite field. The text explains that Galois fields are used to study the properties of finite fields and to solve problems in many different fields, including algebra and geometry. The chapter provides examples of Galois fields and how they are used to solve problems.

1.1.18. The eighteenth part of the chapter discusses the concept of a Galois extension. A Galois extension is a field extension that is normal and separable. The text explains that Galois extensions are used to study the properties of field extensions and to solve problems in many different fields, including algebra and geometry. The chapter provides examples of Galois extensions and how they are used to solve problems.

1.1.19. The nineteenth part of the chapter discusses the concept of a Galois module. A Galois module is a module over a Galois field. The text explains that Galois modules are used to study the properties of modules over Galois fields and to solve problems in many different fields, including algebra and geometry. The chapter provides examples of Galois modules and how they are used to solve problems.

1.1.20. The twentieth part of the chapter discusses the concept of a Galois representation. A Galois representation is a representation of a Galois group. The text explains that Galois representations are used to study the properties of Galois groups and to solve problems in many different fields, including algebra and geometry. The chapter provides examples of Galois representations and how they are used to solve problems.

Table 1 (cont.)

| Cpd.
No. | k | A | B | R ¹ |
|-------------|---|---------------------|----|---|
| 1-1891 | 5 | CONHSO ₂ | NH | 1-HOOC- <i>i</i> Pn |
| 1-1892 | 5 | CONHSO ₂ | NH | 1-MeOOC- <i>i</i> Pn |
| 1-1893 | 5 | CONHSO ₂ | NH | 1-HOOC-2-Me-Bu |
| 1-1894 | 5 | CONHSO ₂ | NH | 1-MeOOC-2-Me-Bu |
| 1-1895 | 5 | CONHSO ₂ | NH | CH ₂ CH ₂ SO ₃ H |
| 1-1896 | 5 | CONHSO ₂ | NH | OH |
| 1-1897 | 5 | CONHSO ₂ | NH | MeO |
| 1-1898 | 5 | CONHSO ₂ | NH | EtO |
| 1-1899 | 5 | CONHSO ₂ | NH | PrO |
| 1-1900 | 5 | CONHSO ₂ | NH | <i>i</i> PrO |
| 1-1901 | 5 | CONHSO ₂ | NH | BuO |
| 1-1902 | 5 | CONHSO ₂ | NH | <i>i</i> BuO |
| 1-1903 | 5 | CONHSO ₂ | NH | <i>s</i> BuO |
| 1-1904 | 5 | CONHSO ₂ | NH | <i>t</i> BuO |
| 1-1905 | 5 | CONHSO ₂ | NH | HxO |
| 1-1906 | 5 | CONHSO ₂ | NH | PhO |
| 1-1907 | 5 | CONHSO ₂ | NH | BzO |
| 1-1908 | 5 | CONHSO ₂ | NH | Z-1 |
| 1-1909 | 5 | CONHSO ₂ | NH | Z-2 |
| 1-1910 | 5 | CONHSO ₂ | NH | Z-3 |
| 1-1911 | 5 | CONHSO ₂ | NH | Z-4 |
| 1-1912 | 5 | CONHSO ₂ | NH | Z-5 |
| 1-1913 | 5 | CONHSO ₂ | NH | Z-6 |
| 1-1914 | 5 | CONHSO ₂ | NH | Z-7 |

1. The first part of the report is a general introduction to the subject of the study. It discusses the importance of the study and the objectives of the research. It also mentions the scope of the study and the limitations of the research.

2. The second part of the report is a detailed description of the methodology used in the study. It includes information about the sample size, the data collection methods, and the statistical techniques used to analyze the data.

3. The third part of the report presents the results of the study. It includes a summary of the findings and a discussion of the implications of the results. It also mentions the limitations of the study and the need for further research.

4. The fourth part of the report is a conclusion and a list of references. The conclusion summarizes the main findings of the study and provides a final statement on the importance of the research. The references list the sources of information used in the study.

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|----|------------------------|
| 1-1915 | 5 | CONHSO ₂ | NH | Z-8 |
| 1-1916 | 5 | CONHSO ₂ | NH | Z-9 |
| 1-1917 | 5 | CONHSO ₂ | NH | Z-10 |
| 1-1918 | 5 | CONHSO ₂ | NH | Z-11 |
| 1-1919 | 5 | CONHSO ₂ | NH | Z-12 |
| 1-1920 | 5 | CONHSO ₂ | NH | 3-Py |
| 1-1921 | 5 | CONHSO ₂ | NH | 4-Py |
| 1-1922 | 5 | NHCO | — | H |
| 1-1923 | 5 | NHCO | — | Ph |
| 1-1924 | 5 | NHCO | — | 2-Me-Ph |
| 1-1925 | 5 | NHCO | — | 4-Me-Ph |
| 1-1926 | 5 | NHCO | — | 2,4-diMe-Ph |
| 1-1927 | 5 | NHCO | — | 3,4-diMe-Ph |
| 1-1928 | 5 | NHCO | — | 2-(CF ₃)Ph |
| 1-1929 | 5 | NHCO | — | 4-(CF ₃)Ph |
| 1-1930 | 5 | NHCO | — | 2-MeOPh |
| 1-1931 | 5 | NHCO | — | 4-MeOPh |
| 1-1932 | 5 | NHCO | — | 2-EtOPh |
| 1-1933 | 5 | NHCO | — | 4-EtOPh |
| 1-1934 | 5 | NHCO | — | 2-HOPh |
| 1-1935 | 5 | NHCO | — | 4-HOPh |
| 1-1936 | 5 | NHCO | — | 2-(HOOC)Ph |
| 1-1937 | 5 | NHCO | — | 4-(HOOC)Ph |
| 1-1938 | 5 | NHCO | — | 2-(MeOOC)Ph |
| 1-1939 | 5 | NHCO | — | 4-(MeOOC)Ph |
| 1-1940 | 5 | NHCO | — | 2-(EtOOC)Ph |

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|---|--|
| 1-1941 | 5 | NHCO | — | 4-(EtOOC)Ph |
| 1-1942 | 5 | NHCO | — | 2-(<i>t</i> BuOOC)Ph |
| 1-1943 | 5 | NHCO | — | 4-(<i>t</i> BuOOC)Ph |
| 1-1944 | 5 | NHCO | — | 2-Cl-Ph |
| 1-1945 | 5 | NHCO | — | 4-Cl-Ph |
| 1-1946 | 5 | NHCO | — | 2-Br-Ph |
| 1-1947 | 5 | NHCO | — | 4-Br-Ph |
| 1-1948 | 5 | NHCO | — | 2-I-Ph |
| 1-1949 | 5 | NHCO | — | 4-I-Ph |
| 1-1950 | 5 | NHCO | — | 2-NO ₂ -Ph |
| 1-1951 | 5 | NHCO | — | 4-NO ₂ -Ph |
| 1-1952 | 5 | NHCO | — | 2-NH ₂ -Ph |
| 1-1953 | 5 | NHCO | — | 4-NH ₂ -Ph |
| 1-1954 | 5 | NHCO | — | 2-(HO ₃ S)Ph |
| 1-1955 | 5 | NHCO | — | 4-(HO ₃ S)Ph |
| 1-1956 | 5 | NHCO | — | 2-(NH ₂ O ₂ S)Ph |
| 1-1957 | 5 | NHCO | — | 4-(NH ₂ O ₂ S)Ph |
| 1-1958 | 5 | NHCO | — | 2-CN-Ph |
| 1-1959 | 5 | NHCO | — | 4-CN-Ph |
| 1-1960 | 5 | NHCO | — | 2-(HOCH ₂)Ph |
| 1-1961 | 5 | NHCO | — | 4-(HOCH ₂)Ph |
| 1-1962 | 5 | NHCO | — | Me |
| 1-1963 | 5 | NHCO | — | Et |
| 1-1964 | 5 | NHCO | — | Pr |
| 1-1965 | 5 | NHCO | — | <i>i</i> Pr |
| 1-1966 | 5 | NHCO | — | Bu |

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the sixty-eighth is the fact that the
the sixty-ninth is the fact that the
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the seventy-fifth is the fact that the
the seventy-sixth is the fact that the
the seventy-seventh is the fact that the
the seventy-eighth is the fact that the
the seventy-ninth is the fact that the
the eightieth is the fact that the
the eighty-first is the fact that the
the eighty-second is the fact that the
the eighty-third is the fact that the
the eighty-fourth is the fact that the
the eighty-fifth is the fact that the
the eighty-sixth is the fact that the
the eighty-seventh is the fact that the
the eighty-eighth is the fact that the
the eighty-ninth is the fact that the
the ninetieth is the fact that the
the ninety-first is the fact that the
the ninety-second is the fact that the
the ninety-third is the fact that the
the ninety-fourth is the fact that the
the ninety-fifth is the fact that the
the ninety-sixth is the fact that the
the ninety-seventh is the fact that the
the ninety-eighth is the fact that the
the ninety-ninth is the fact that the
the hundredth is the fact that the

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|----|---|
| 1-1967 | 5 | NHCO | — | HOOCCH ₂ - |
| 1-1968 | 5 | NHCO | — | MeOOCCH ₂ - |
| 1-1969 | 5 | NHCO | — | MeCH(COOH) |
| 1-1970 | 5 | NHCO | — | HOOC-(CH ₂) ₂ - |
| 1-1971 | 5 | NHCO | — | MeCH(COOMe) |
| 1-1972 | 5 | NHCO | — | 1-HOOC- <i>i</i> Bu |
| 1-1973 | 5 | NHCO | — | 1-HOOC- <i>i</i> Pn |
| 1-1974 | 5 | NHCO | — | 1-HOOC-2-Me-Bu |
| 1-1975 | 5 | NHCO | — | CH ₂ CH ₂ SO ₃ H |
| 1-1976 | 5 | NHCO | — | MeO |
| 1-1977 | 5 | NHCO | — | EtO |
| 1-1978 | 5 | NHCO | — | PrO |
| 1-1979 | 5 | NHCO | — | Z-1 |
| 1-1980 | 5 | NHCO | — | Z-2 |
| 1-1981 | 5 | NHCO | — | Z-3 |
| 1-1982 | 5 | NHCO | — | Z-4 |
| 1-1983 | 5 | NHCO | — | Z-5 |
| 1-1984 | 5 | NHCO | — | Z-6 |
| 1-1985 | 5 | NHCO | — | Z-7 |
| 1-1986 | 5 | NHCO | — | Z-8 |
| 1-1987 | 5 | NHCO | — | Z-9 |
| 1-1988 | 5 | NHCO | — | Z-10 |
| 1-1989 | 5 | NHCO | — | Z-11 |
| 1-1990 | 5 | NHCO | — | Z-12 |
| 1-1991 | 5 | NHCO | — | 3-Py |
| 1-1992 | 5 | NHCO | — | 4-Py |
| 1-1993 | 5 | NHCO | NH | H |

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|----|------------------------|
| 1-1994 | 5 | NHCO | NH | Ph |
| 1-1995 | 5 | NHCO | NH | 2-Me-Ph |
| 1-1996 | 5 | NHCO | NH | 4-Me-Ph |
| 1-1997 | 5 | NHCO | NH | 2,4-diMe-Ph |
| 1-1998 | 5 | NHCO | NH | 3,4-diMe-Ph |
| 1-1999 | 5 | NHCO | NH | 2-(CF ₃)Ph |
| 1-2000 | 5 | NHCO | NH | 4-(CF ₃)Ph |
| 1-2001 | 5 | NHCO | NH | 2-MeOPh |
| 1-2002 | 5 | NHCO | NH | 4-MeOPh |
| 1-2003 | 5 | NHCO | NH | 2-EtOPh |
| 1-2004 | 5 | NHCO | NH | 4-EtOPh |
| 1-2005 | 5 | NHCO | NH | 2-HOPh |
| 1-2006 | 5 | NHCO | NH | 4-HOPh |
| 1-2007 | 5 | NHCO | NH | 2-(HOOC)Ph |
| 1-2008 | 5 | NHCO | NH | 4-(HOOC)Ph |
| 1-2009 | 5 | NHCO | NH | 2-(MeOOC)Ph |
| 1-2010 | 5 | NHCO | NH | 4-(MeOOC)Ph |
| 1-2011 | 5 | NHCO | NH | 2-(EtOOC)Ph |
| 1-2012 | 5 | NHCO | NH | 4-(EtOOC)Ph |
| 1-2013 | 5 | NHCO | NH | 2-(<i>t</i> BuOOC)Ph |
| 1-2014 | 5 | NHCO | NH | 4-(<i>t</i> BuOOC)Ph |
| 1-2015 | 5 | NHCO | NH | 2-Cl-Ph |
| 1-2016 | 5 | NHCO | NH | 4-Cl-Ph |
| 1-2017 | 5 | NHCO | NH | 2-Br-Ph |
| 1-2018 | 5 | NHCO | NH | 4-Br-Ph |
| 1-2019 | 5 | NHCO | NH | 2-I-Ph |
| 1-2020 | 5 | NHCO | NH | 4-I-Ph |

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Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|----|--|
| 1-2021 | 5 | NHCO | NH | 2-NO ₂ -Ph |
| 1-2022 | 5 | NHCO | NH | 4-NO ₂ -Ph |
| 1-2023 | 5 | NHCO | NH | 2-NH ₂ -Ph |
| 1-2024 | 5 | NHCO | NH | 4-NH ₂ -Ph |
| 1-2025 | 5 | NHCO | NH | 2-(HO ₃ S)Ph |
| 1-2026 | 5 | NHCO | NH | 4-(HO ₃ S)Ph |
| 1-2027 | 5 | NHCO | NH | 2-(NH ₂ O ₂ S)Ph |
| 1-2028 | 5 | NHCO | NH | 4-(NH ₂ O ₂ S)Ph |
| 1-2029 | 5 | NHCO | NH | 2-CN-Ph |
| 1-2030 | 5 | NHCO | NH | 4-CN-Ph |
| 1-2031 | 5 | NHCO | NH | 2-(HOCH ₂)Ph |
| 1-2032 | 5 | NHCO | NH | 4-(HOCH ₂)Ph |
| 1-2033 | 5 | NHCO | NH | Me |
| 1-2034 | 5 | NHCO | NH | Et |
| 1-2035 | 5 | NHCO | NH | Pr |
| 1-2036 | 5 | NHCO | NH | <i>i</i> Pr |
| 1-2037 | 5 | NHCO | NH | Bu |
| 1-2038 | 5 | NHCO | NH | HOOCCH ₂ - |
| 1-2039 | 5 | NHCO | NH | MeOOCCH ₂ - |
| 1-2040 | 5 | NHCO | NH | MeCH(COOH) |
| 1-2041 | 5 | NHCO | NH | HOOC-(CH ₂) ₂ - |
| 1-2042 | 5 | NHCO | NH | MeCH(COOMe) |
| 1-2043 | 5 | NHCO | NH | 1-HOOC- <i>i</i> Bu |
| 1-2044 | 5 | NHCO | NH | 1-MeOOC- <i>i</i> Bu |
| 1-2045 | 5 | NHCO | NH | 1-HOOC- <i>i</i> Pn |
| 1-2046 | 5 | NHCO | NH | 1-MeOOC- <i>i</i> Pn |

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|----|---|
| 1-2047 | 5 | NHCO | NH | 1-HOOC-2-Me-Bu |
| 1-2048 | 5 | NHCO | NH | 1-MeOOC-2-Me-Bu |
| 1-2049 | 5 | NHCO | NH | CH ₂ CH ₂ SO ₃ H |
| 1-2050 | 5 | NHCO | NH | OH |
| 1-2051 | 5 | NHCO | NH | MeO |
| 1-2052 | 5 | NHCO | NH | EtO |
| 1-2053 | 5 | NHCO | NH | PrO |
| 1-2054 | 5 | NHCO | NH | <i>i</i> PrO |
| 1-2055 | 5 | NHCO | NH | BuO |
| 1-2056 | 5 | NHCO | NH | <i>i</i> BuO |
| 1-2057 | 5 | NHCO | NH | <i>s</i> BuO |
| 1-2058 | 5 | NHCO | NH | <i>t</i> BuO |
| 1-2059 | 5 | NHCO | NH | HxO |
| 1-2060 | 5 | NHCO | NH | PhO |
| 1-2061 | 5 | NHCO | NH | BzO |
| 1-2062 | 5 | NHCO | NH | Z-1 |
| 1-2063 | 5 | NHCO | NH | Z-2 |
| 1-2064 | 5 | NHCO | NH | Z-3 |
| 1-2065 | 5 | NHCO | NH | Z-4 |
| 1-2066 | 5 | NHCO | NH | Z-5 |
| 1-2067 | 5 | NHCO | NH | Z-6 |
| 1-2068 | 5 | NHCO | NH | Z-7 |
| 1-2069 | 5 | NHCO | NH | Z-8 |
| 1-2070 | 5 | NHCO | NH | Z-9 |
| 1-2071 | 5 | NHCO | NH | Z-10 |
| 1-2072 | 5 | NHCO | NH | Z-11 |
| 1-2073 | 5 | NHCO | NH | Z-12 |

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|-----|------------------------|
| 1-2074 | 5 | NHCO | NH | 3-Py |
| 1-2075 | 5 | NHCO | NH | 4-Py |
| 1-2076 | 5 | NHCO | NMe | Ph |
| 1-2077 | 5 | NHCO | NMe | 2-Me-Ph |
| 1-2078 | 5 | NHCO | NMe | 4-Me-Ph |
| 1-2079 | 5 | NHCO | NMe | 2,4-diMe-Ph |
| 1-2080 | 5 | NHCO | NMe | 3,4-diMe-Ph |
| 1-2081 | 5 | NHCO | NMe | 2-(CF ₃)Ph |
| 1-2082 | 5 | NHCO | NMe | 4-(CF ₃)Ph |
| 1-2083 | 5 | NHCO | NMe | 2-MeOPh |
| 1-2084 | 5 | NHCO | NMe | 4-MeOPh |
| 1-2085 | 5 | NHCO | NMe | 2-EtOPh |
| 1-2086 | 5 | NHCO | NMe | 4-EtOPh |
| 1-2087 | 5 | NHCO | NMe | 2-HOPh |
| 1-2088 | 5 | NHCO | NMe | 4-HOPh |
| 1-2089 | 5 | NHCO | NMe | 2-(HOOC)Ph |
| 1-2090 | 5 | NHCO | NMe | 4-(HOOC)Ph |
| 1-2091 | 5 | NHCO | NMe | 2-(MeOOC)Ph |
| 1-2092 | 5 | NHCO | NMe | 4-(MeOOC)Ph |
| 1-2093 | 5 | NHCO | NMe | 2-(EtOOC)Ph |
| 1-2094 | 5 | NHCO | NMe | 4-(EtOOC)Ph |
| 1-2095 | 5 | NHCO | NMe | 2-(<i>t</i> BuOOC)Ph |
| 1-2096 | 5 | NHCO | NMe | 4-(<i>t</i> BuOOC)Ph |
| 1-2097 | 5 | NHCO | NMe | 2-Cl-Ph |
| 1-2098 | 5 | NHCO | NMe | 4-Cl-Ph |
| 1-2099 | 5 | NHCO | NMe | 2-Br-Ph |
| 1-2100 | 5 | NHCO | NMe | 4-Br-Ph |

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|-----|--|
| 1-2101 | 5 | NHCO | NMe | 2-I-Ph |
| 1-2102 | 5 | NHCO | NMe | 4-I-Ph |
| 1-2103 | 5 | NHCO | NMe | 2-NO ₂ -Ph |
| 1-2104 | 5 | NHCO | NMe | 4-NO ₂ -Ph |
| 1-2105 | 5 | NHCO | NMe | 2-NH ₂ -Ph |
| 1-2106 | 5 | NHCO | NMe | 4-NH ₂ -Ph |
| 1-2107 | 5 | NHCO | NMe | 2-(HO ₃ S)Ph |
| 1-2108 | 5 | NHCO | NMe | 4-(HO ₃ S)Ph |
| 1-2109 | 5 | NHCO | NMe | 2-(NH ₂ O ₂ S)Ph |
| 1-2110 | 5 | NHCO | NMe | 4-(NH ₂ O ₂ S)Ph |
| 1-2111 | 5 | NHCO | NMe | 2-CN-Ph |
| 1-2112 | 5 | NHCO | NMe | 4-CN-Ph |
| 1-2113 | 5 | NHCO | NMe | 2-(HOCH ₂)Ph |
| 1-2114 | 5 | NHCO | NMe | 4-(HOCH ₂)Ph |
| 1-2115 | 5 | NHCO | NMe | Me |
| 1-2116 | 5 | NHCO | NMe | Et |
| 1-2117 | 5 | NHCO | NMe | Pr |
| 1-2118 | 5 | NHCO | NMe | <i>i</i> Pr |
| 1-2119 | 5 | NHCO | NMe | Bu |
| 1-2120 | 5 | NHCO | NMe | HOOCCH ₂ - |
| 1-2121 | 5 | NHCO | NMe | MeOOCCH ₂ - |
| 1-2122 | 5 | NHCO | NMe | MeCH(COOH) |
| 1-2123 | 5 | NHCO | NMe | HOOC-(CH ₂) ₂ - |
| 1-2124 | 5 | NHCO | NMe | MeCH(COOMe) |
| 1-2125 | 5 | NHCO | NMe | 1-HOOC- <i>i</i> Bu |
| 1-2126 | 5 | NHCO | NMe | 1-MeOOC- <i>i</i> Bu |

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|-----|---|
| 1-2127 | 5 | NHCO | NMe | 1-HOOC- <i>i</i> Pn |
| 1-2128 | 5 | NHCO | NMe | 1-MeOOC- <i>i</i> Pn |
| 1-2129 | 5 | NHCO | NMe | 1-HOOC-2-Me-Bu |
| 1-2130 | 5 | NHCO | NMe | 1-MeOOC-2-Me-Bu |
| 1-2131 | 5 | NHCO | NMe | CH ₂ CH ₂ SO ₃ H |
| 1-2132 | 5 | NHCO | NMe | OH |
| 1-2133 | 5 | NHCO | NMe | MeO |
| 1-2134 | 5 | NHCO | NMe | EtO |
| 1-2135 | 5 | NHCO | NMe | PrO |
| 1-2136 | 5 | NHCO | NMe | <i>i</i> PrO |
| 1-2137 | 5 | NHCO | NMe | BuO |
| 1-2138 | 5 | NHCO | NMe | <i>i</i> BuO |
| 1-2139 | 5 | NHCO | NMe | <i>s</i> BuO |
| 1-2140 | 5 | NHCO | NMe | <i>t</i> BuO |
| 1-2141 | 5 | NHCO | NMe | HxO |
| 1-2142 | 5 | NHCO | NMe | PhO |
| 1-2143 | 5 | NHCO | NMe | BzO |
| 1-2144 | 5 | NHCO | NMe | Z-1 |
| 1-2145 | 5 | NHCO | NMe | Z-2 |
| 1-2146 | 5 | NHCO | NMe | Z-3 |
| 1-2147 | 5 | NHCO | NMe | Z-4 |
| 1-2148 | 5 | NHCO | NMe | Z-5 |
| 1-2149 | 5 | NHCO | NMe | Z-6 |
| 1-2150 | 5 | NHCO | NMe | Z-7 |
| 1-2151 | 5 | NHCO | NMe | Z-8 |
| 1-2152 | 5 | NHCO | NMe | Z-9 |
| 1-2153 | 5 | NHCO | NMe | Z-10 |

Table 1 (cont.)

| Cpd.
No. | k | A | B | R ¹ |
|-------------|---|------------|-------|------------------------|
| 1-2154 | 5 | NHCO | NMe | Z-11 |
| 1-2155 | 5 | NHCO | NMe | Z-12 |
| 1-2156 | 5 | NHCO | NMe | 3-Py |
| 1-2157 | 5 | NHCO | NMe | 4-Py |
| 1-2158 | 5 | NHCO | NHNH | H |
| 1-2159 | 5 | NHCO | NHNH | Me |
| 1-2160 | 5 | NHCO | NHNH | Et |
| 1-2161 | 5 | NHCO | NHNMe | Me |
| 1-2162 | 5 | NHCO | NHNMe | Et |
| 1-2163 | 5 | NHCO | NHNMe | Pr |
| 1-2164 | 5 | NHCONHNHCO | NH | H |
| 1-2165 | 5 | NHCONHNHCO | NH | Ph |
| 1-2166 | 5 | NHCONHNHCO | NH | 2-Me-Ph |
| 1-2167 | 5 | NHCONHNHCO | NH | 4-Me-Ph |
| 1-2168 | 5 | NHCONHNHCO | NH | 2,4-diMe-Ph |
| 1-2169 | 5 | NHCONHNHCO | NH | 3,4-diMe-Ph |
| 1-2170 | 5 | NHCONHNHCO | NH | 2-(CF ₃)Ph |
| 1-2171 | 5 | NHCONHNHCO | NH | 4-(CF ₃)Ph |
| 1-2172 | 5 | NHCONHNHCO | NH | 2-MeOPh |
| 1-2173 | 5 | NHCONHNHCO | NH | 4-MeOPh |
| 1-2174 | 5 | NHCONHNHCO | NH | 2-EtOPh |
| 1-2175 | 5 | NHCONHNHCO | NH | 4-EtOPh |
| 1-2176 | 5 | NHCONHNHCO | NH | 2-HOPh |
| 1-2177 | 5 | NHCONHNHCO | NH | 4-HOPh |
| 1-2178 | 5 | NHCONHNHCO | NH | 2-(HOOC)Ph |
| 1-2179 | 5 | NHCONHNHCO | NH | 4-(HOOC)Ph |
| 1-2180 | 5 | NHCONHNHCO | NH | 2-(MeOOC)Ph |

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------------|----|--|
| 1-2181 | 5 | NHCONHNHCO | NH | 4-(MeOOC)Ph |
| 1-2182 | 5 | NHCONHNHCO | NH | 2-(EtOOC)Ph |
| 1-2183 | 5 | NHCONHNHCO | NH | 4-(EtOOC)Ph |
| 1-2184 | 5 | NHCONHNHCO | NH | 2-(<i>t</i> BuOOC)Ph |
| 1-2185 | 5 | NHCONHNHCO | NH | 4-(<i>t</i> BuOOC)Ph |
| 1-2186 | 5 | NHCONHNHCO | NH | 2-Cl-Ph |
| 1-2187 | 5 | NHCONHNHCO | NH | 4-Cl-Ph |
| 1-2188 | 5 | NHCONHNHCO | NH | 2-Br-Ph |
| 1-2189 | 5 | NHCONHNHCO | NH | 4-Br-Ph |
| 1-2190 | 5 | NHCONHNHCO | NH | 2-I-Ph |
| 1-2191 | 5 | NHCONHNHCO | NH | 4-I-Ph |
| 1-2192 | 5 | NHCONHNHCO | NH | 2-NO ₂ -Ph |
| 1-2193 | 5 | NHCONHNHCO | NH | 4-NO ₂ -Ph |
| 1-2194 | 5 | NHCONHNHCO | NH | 2-NH ₂ -Ph |
| 1-2195 | 5 | NHCONHNHCO | NH | 4-NH ₂ -Ph |
| 1-2196 | 5 | NHCONHNHCO | NH | 2-(HO ₃ S)Ph |
| 1-2197 | 5 | NHCONHNHCO | NH | 4-(HO ₃ S)Ph |
| 1-2198 | 5 | NHCONHNHCO | NH | 2-(NH ₂ O ₂ S)Ph |
| 1-2199 | 5 | NHCONHNHCO | NH | 4-(NH ₂ O ₂ S)Ph |
| 1-2200 | 5 | NHCONHNHCO | NH | 2-CN-Ph |
| 1-2201 | 5 | NHCONHNHCO | NH | 4-CN-Ph |
| 1-2202 | 5 | NHCONHNHCO | NH | 2-(HOCH ₂)Ph |
| 1-2203 | 5 | NHCONHNHCO | NH | 4-(HOCH ₂)Ph |
| 1-2204 | 5 | NHCONHNHCO | NH | Me |
| 1-2205 | 5 | NHCONHNHCO | NH | Et |
| 1-2206 | 5 | NHCONHNHCO | NH | Pr |

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------------|----|---|
| 1-2207 | 5 | NHCONHNHCO | NH | <i>i</i> Pr |
| 1-2208 | 5 | NHCONHNHCO | NH | Bu |
| 1-2209 | 5 | NHCONHNHCO | NH | HOOCCH ₂ - |
| 1-2210 | 5 | NHCONHNHCO | NH | MeOOCCH ₂ - |
| 1-2211 | 5 | NHCONHNHCO | NH | MeCH(COOH) |
| 1-2212 | 5 | NHCONHNHCO | NH | HOOC-(CH ₂) ₂ - |
| 1-2213 | 5 | NHCONHNHCO | NH | MeCH(COOMe) |
| 1-2214 | 5 | NHCONHNHCO | NH | 1-HOOC- <i>i</i> Bu |
| 1-2215 | 5 | NHCONHNHCO | NH | 1-MeOOC- <i>i</i> Bu |
| 1-2216 | 5 | NHCONHNHCO | NH | 1-HOOC- <i>i</i> Pn |
| 1-2217 | 5 | NHCONHNHCO | NH | 1-MeOOC- <i>i</i> Pn |
| 1-2218 | 5 | NHCONHNHCO | NH | 1-HOOC-2-Me-Bu |
| 1-2219 | 5 | NHCONHNHCO | NH | 1-MeOOC-2-Me-Bu |
| 1-2220 | 5 | NHCONHNHCO | NH | CH ₂ CH ₂ SO ₃ H |
| 1-2221 | 5 | NHCONHNHCO | NH | OH |
| 1-2222 | 5 | NHCONHNHCO | NH | MeO |
| 1-2223 | 5 | NHCONHNHCO | NH | EtO |
| 1-2224 | 5 | NHCONHNHCO | NH | PrO |
| 1-2225 | 5 | NHCONHNHCO | NH | <i>i</i> PrO |
| 1-2226 | 5 | NHCONHNHCO | NH | BuO |
| 1-2227 | 5 | NHCONHNHCO | NH | <i>i</i> BuO |
| 1-2228 | 5 | NHCONHNHCO | NH | <i>s</i> BuO |
| 1-2229 | 5 | NHCONHNHCO | NH | <i>t</i> BuO |
| 1-2230 | 5 | NHCONHNHCO | NH | HxO |
| 1-2231 | 5 | NHCONHNHCO | NH | PhO |
| 1-2232 | 5 | NHCONHNHCO | NH | BzO |
| 1-2233 | 5 | NHCONHNHCO | NH | Z-1 |

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------------|----|------------------------|
| 1-2234 | 5 | NHCONHNHCO | NH | Z-2 |
| 1-2235 | 5 | NHCONHNHCO | NH | Z-3 |
| 1-2236 | 5 | NHCONHNHCO | NH | Z-4 |
| 1-2237 | 5 | NHCONHNHCO | NH | Z-5 |
| 1-2238 | 5 | NHCONHNHCO | NH | Z-6 |
| 1-2239 | 5 | NHCONHNHCO | NH | Z-7 |
| 1-2240 | 5 | NHCONHNHCO | NH | Z-8 |
| 1-2241 | 5 | NHCONHNHCO | NH | Z-9 |
| 1-2242 | 5 | NHCONHNHCO | NH | Z-10 |
| 1-2243 | 5 | NHCONHNHCO | NH | Z-11 |
| 1-2244 | 5 | NHCONHNHCO | NH | Z-12 |
| 1-2245 | 5 | NHCONHNHCO | NH | 3-Py |
| 1-2246 | 5 | NHCONHNHCO | NH | 4-Py |
| 1-2247 | 5 | NHCONHCO | — | H |
| 1-2248 | 5 | NHCONHCO | — | Ph |
| 1-2249 | 5 | NHCONHCO | — | 2-Me-Ph |
| 1-2250 | 5 | NHCONHCO | — | 4-Me-Ph |
| 1-2251 | 5 | NHCONHCO | — | 2,4-diMe-Ph |
| 1-2252 | 5 | NHCONHCO | — | 3,4-diMe-Ph |
| 1-2253 | 5 | NHCONHCO | — | 2-(CF ₃)Ph |
| 1-2254 | 5 | NHCONHCO | — | 4-(CF ₃)Ph |
| 1-2255 | 5 | NHCONHCO | — | 2-MeOPh |
| 1-2256 | 5 | NHCONHCO | — | 4-MeOPh |
| 1-2257 | 5 | NHCONHCO | — | 2-EtOPh |
| 1-2258 | 5 | NHCONHCO | — | 4-EtOPh |
| 1-2259 | 5 | NHCONHCO | — | 2-HOPh |
| 1-2260 | 5 | NHCONHCO | — | 4-HOPh |

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----------|---|--|
| 1-2261 | 5 | NHCONHCO | — | 2-(HOOC)Ph |
| 1-2262 | 5 | NHCONHCO | — | 4-(HOOC)Ph |
| 1-2263 | 5 | NHCONHCO | — | 2-(MeOOC)Ph |
| 1-2264 | 5 | NHCONHCO | — | 4-(MeOOC)Ph |
| 1-2265 | 5 | NHCONHCO | — | 2-(EtOOC)Ph |
| 1-2266 | 5 | NHCONHCO | — | 4-(EtOOC)Ph |
| 1-2267 | 5 | NHCONHCO | — | 2-(<i>t</i> BuOOC)Ph |
| 1-2268 | 5 | NHCONHCO | — | 4-(<i>t</i> BuOOC)Ph |
| 1-2269 | 5 | NHCONHCO | — | 2-Cl-Ph |
| 1-2270 | 5 | NHCONHCO | — | 4-Cl-Ph |
| 1-2271 | 5 | NHCONHCO | — | 2-Br-Ph |
| 1-2272 | 5 | NHCONHCO | — | 4-Br-Ph |
| 1-2273 | 5 | NHCONHCO | — | 2-I-Ph |
| 1-2274 | 5 | NHCONHCO | — | 4-I-Ph |
| 1-2275 | 5 | NHCONHCO | — | 2-NO ₂ -Ph |
| 1-2276 | 5 | NHCONHCO | — | 4-NO ₂ -Ph |
| 1-2277 | 5 | NHCONHCO | — | 2-NH ₂ -Ph |
| 1-2278 | 5 | NHCONHCO | — | 4-NH ₂ -Ph |
| 1-2279 | 5 | NHCONHCO | — | 2-(HO ₃ S)Ph |
| 1-2280 | 5 | NHCONHCO | — | 4-(HO ₃ S)Ph |
| 1-2281 | 5 | NHCONHCO | — | 2-(NH ₂ O ₂ S)Ph |
| 1-2282 | 5 | NHCONHCO | — | 4-(NH ₂ O ₂ S)Ph |
| 1-2283 | 5 | NHCONHCO | — | 2-CN-Ph |
| 1-2284 | 5 | NHCONHCO | — | 4-CN-Ph |
| 1-2285 | 5 | NHCONHCO | — | 2-(HOCH ₂)Ph |
| 1-2286 | 5 | NHCONHCO | — | 4-(HOCH ₂)Ph |

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----------|---|---|
| 1-2287 | 5 | NHCONHCO | — | Me |
| 1-2288 | 5 | NHCONHCO | — | Et |
| 1-2289 | 5 | NHCONHCO | — | Pr |
| 1-2290 | 5 | NHCONHCO | — | <i>i</i> Pr |
| 1-2291 | 5 | NHCONHCO | — | Bu |
| 1-2292 | 5 | NHCONHCO | — | HOOCCH ₂ - |
| 1-2293 | 5 | NHCONHCO | — | MeOOCCH ₂ - |
| 1-2294 | 5 | NHCONHCO | — | MeCH(COOH) |
| 1-2295 | 5 | NHCONHCO | — | HOOC-(CH ₂) ₂ - |
| 1-2296 | 5 | NHCONHCO | — | MeCH(COOMe) |
| 1-2297 | 5 | NHCONHCO | — | 1-HOOC- <i>i</i> Bu |
| 1-2298 | 5 | NHCONHCO | — | 1-MeOOC- <i>i</i> Bu |
| 1-2299 | 5 | NHCONHCO | — | 1-HOOC- <i>i</i> Pn |
| 1-2300 | 5 | NHCONHCO | — | 1-MeOOC- <i>i</i> Pn |
| 1-2301 | 5 | NHCONHCO | — | 1-HOOC-2-Me-Bu |
| 1-2302 | 5 | NHCONHCO | — | 1-MeOOC-2-Me-Bu |
| 1-2303 | 5 | NHCONHCO | — | CH ₂ CH ₂ SO ₃ H |
| 1-2304 | 5 | NHCONHCO | — | MeO |
| 1-2305 | 5 | NHCONHCO | — | EtO |
| 1-2306 | 5 | NHCONHCO | — | PrO |
| 1-2307 | 5 | NHCONHCO | — | <i>i</i> PrO |
| 1-2308 | 5 | NHCONHCO | — | BuO |
| 1-2309 | 5 | NHCONHCO | — | <i>i</i> BuO |
| 1-2310 | 5 | NHCONHCO | — | <i>s</i> BuO |
| 1-2311 | 5 | NHCONHCO | — | <i>t</i> BuO |
| 1-2312 | 5 | NHCONHCO | — | HxO |

Table 1 (cont.)

| Cpd.
No. | k | A | B | R ¹ |
|-------------|---|-----------------------|---|------------------------|
| 1-2313 | 5 | NHCONHCO | — | PhO |
| 1-2314 | 5 | NHCONHCO | — | BzO |
| 1-2315 | 5 | NHCONHCO | — | Z-1 |
| 1-2316 | 5 | NHCONHCO | — | Z-2 |
| 1-2317 | 5 | NHCONHCO | — | Z-3 |
| 1-2318 | 5 | NHCONHCO | — | Z-4 |
| 1-2319 | 5 | NHCONHCO | — | Z-5 |
| 1-2320 | 5 | NHCONHCO | — | Z-6 |
| 1-2321 | 5 | NHCONHCO | — | Z-7 |
| 1-2322 | 5 | NHCONHCO | — | Z-8 |
| 1-2323 | 5 | NHCONHCO | — | Z-9 |
| 1-2324 | 5 | NHCONHCO | — | Z-10 |
| 1-2325 | 5 | NHCONHCO | — | Z-11 |
| 1-2326 | 5 | NHCONHCO | — | Z-12 |
| 1-2327 | 5 | NHCONHCO | — | 3-Py |
| 1-2328 | 5 | NHCONHCO | — | 4-Py |
| 1-2329 | 5 | NHCONHSO ₂ | — | H |
| 1-2330 | 5 | NHCONHSO ₂ | — | Ph |
| 1-2331 | 5 | NHCONHSO ₂ | — | 2-Me-Ph |
| 1-2332 | 5 | NHCONHSO ₂ | — | 4-Me-Ph |
| 1-2333 | 5 | NHCONHSO ₂ | — | 2,4-diMe-Ph |
| 1-2334 | 5 | NHCONHSO ₂ | — | 3,4-diMe-Ph |
| 1-2335 | 5 | NHCONHSO ₂ | — | 2-(CF ₃)Ph |
| 1-2336 | 5 | NHCONHSO ₂ | — | 4-(CF ₃)Ph |
| 1-2337 | 5 | NHCONHSO ₂ | — | 2-MeOPh |
| 1-2338 | 5 | NHCONHSO ₂ | — | 4-MeOPh |
| 1-2339 | 5 | NHCONHSO ₂ | — | 2-EtOPh |

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------------------|---|--|
| 1-2340 | 5 | NHCONHSO ₂ | — | 4-EtOPh |
| 1-2341 | 5 | NHCONHSO ₂ | — | 2-HOPh |
| 1-2342 | 5 | NHCONHSO ₂ | — | 4-HOPh |
| 1-2343 | 5 | NHCONHSO ₂ | — | 2-(HOOC)Ph |
| 1-2344 | 5 | NHCONHSO ₂ | — | 4-(HOOC)Ph |
| 1-2345 | 5 | NHCONHSO ₂ | — | 2-(MeOOC)Ph |
| 1-2346 | 5 | NHCONHSO ₂ | — | 4-(MeOOC)Ph |
| 1-2347 | 5 | NHCONHSO ₂ | — | 2-(EtOOC)Ph |
| 1-2348 | 5 | NHCONHSO ₂ | — | 4-(EtOOC)Ph |
| 1-2349 | 5 | NHCONHSO ₂ | — | 2-(<i>t</i> BuOOC)Ph |
| 1-2350 | 5 | NHCONHSO ₂ | — | 4-(<i>t</i> BuOOC)Ph |
| 1-2351 | 5 | NHCONHSO ₂ | — | 2-Cl-Ph |
| 1-2352 | 5 | NHCONHSO ₂ | — | 4-Cl-Ph |
| 1-2353 | 5 | NHCONHSO ₂ | — | 2-Br-Ph |
| 1-2354 | 5 | NHCONHSO ₂ | — | 4-Br-Ph |
| 1-2355 | 5 | NHCONHSO ₂ | — | 2-I-Ph |
| 1-2356 | 5 | NHCONHSO ₂ | — | 4-I-Ph |
| 1-2357 | 5 | NHCONHSO ₂ | — | 2-NO ₂ -Ph |
| 1-2358 | 5 | NHCONHSO ₂ | — | 4-NO ₂ -Ph |
| 1-2359 | 5 | NHCONHSO ₂ | — | 2-NH ₂ -Ph |
| 1-2360 | 5 | NHCONHSO ₂ | — | 4-NH ₂ -Ph |
| 1-2361 | 5 | NHCONHSO ₂ | — | 2-(HO ₃ S)Ph |
| 1-2362 | 5 | NHCONHSO ₂ | — | 4-(HO ₃ S)Ph |
| 1-2363 | 5 | NHCONHSO ₂ | — | 2-(NH ₂ O ₂ S)Ph |

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------------------|---|---|
| 1-2364 | 5 | NHCONHSO ₂ | — | 4-(NH ₂ O ₂ S)Ph |
| 1-2365 | 5 | NHCONHSO ₂ | — | 2-CN-Ph |
| 1-2366 | 5 | NHCONHSO ₂ | — | 4-CN-Ph |
| 1-2367 | 5 | NHCONHSO ₂ | — | 2-(HOCH ₂)Ph |
| 1-2368 | 5 | NHCONHSO ₂ | — | 4-(HOCH ₂)Ph |
| 1-2369 | 5 | NHCONHSO ₂ | — | Me |
| 1-2370 | 5 | NHCONHSO ₂ | — | Et |
| 1-2371 | 5 | NHCONHSO ₂ | — | Pr |
| 1-2372 | 5 | NHCONHSO ₂ | — | iPr |
| 1-2373 | 5 | NHCONHSO ₂ | — | Bu |
| 1-2374 | 5 | NHCONHSO ₂ | — | HOOCCH ₂ |
| 1-2375 | 5 | NHCONHSO ₂ | — | MeOOCCH ₂ |
| 1-2376 | 5 | NHCONHSO ₂ | — | MeCH(COOH) |
| 1-2377 | 5 | NHCONHSO ₂ | — | HOOC-(CH ₂) ₂ |
| 1-2378 | 5 | NHCONHSO ₂ | — | MeCH(COOMe) |
| 1-2379 | 5 | NHCONHSO ₂ | — | 1-HOOC-iBu |
| 1-2380 | 5 | NHCONHSO ₂ | — | 1-MeOOC-iBu |
| 1-2381 | 5 | NHCONHSO ₂ | — | 1-HOOC-iPn |
| 1-2382 | 5 | NHCONHSO ₂ | — | 1-MeOOC-iPn |
| 1-2383 | 5 | NHCONHSO ₂ | — | 1-HOOC-2-Me-Bu |
| 1-2384 | 5 | NHCONHSO ₂ | — | 1-MeOOC-2-Me-Bu |
| 1-2385 | 5 | NHCONHSO ₂ | — | CH ₂ CH ₂ SO ₃ H |
| 1-2386 | 5 | NHCONHSO ₂ | — | OH |
| 1-2387 | 5 | NHCONHSO ₂ | — | MeO |

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------------------|---|----------------|
| 1-2388 | 5 | NHCONHSO ₂ | — | EtO |
| 1-2389 | 5 | NHCONHSO ₂ | — | PrO |
| 1-2390 | 5 | NHCONHSO ₂ | — | <i>i</i> PrO |
| 1-2391 | 5 | NHCONHSO ₂ | — | BuO |
| 1-2392 | 5 | NHCONHSO ₂ | — | <i>i</i> BuO |
| 1-2393 | 5 | NHCONHSO ₂ | — | <i>s</i> BuO |
| 1-2394 | 5 | NHCONHSO ₂ | — | <i>t</i> BuO |
| 1-2395 | 5 | NHCONHSO ₂ | — | HxO |
| 1-2396 | 5 | NHCONHSO ₂ | — | PhO |
| 1-2397 | 5 | NHCONHSO ₂ | — | BzO |
| 1-2398 | 5 | NHCONHSO ₂ | — | Z-1 |
| 1-2399 | 5 | NHCONHSO ₂ | — | Z-2 |
| 1-2400 | 5 | NHCONHSO ₂ | — | Z-3 |
| 1-2401 | 5 | NHCONHSO ₂ | — | Z-4 |
| 1-2402 | 5 | NHCONHSO ₂ | — | Z-5 |
| 1-2403 | 5 | NHCONHSO ₂ | — | Z-6 |
| 1-2404 | 5 | NHCONHSO ₂ | — | Z-7 |
| 1-2405 | 5 | NHCONHSO ₂ | — | Z-8 |
| 1-2406 | 5 | NHCONHSO ₂ | — | Z-9 |
| 1-2407 | 5 | NHCONHSO ₂ | — | Z-10 |
| 1-2408 | 5 | NHCONHSO ₂ | — | Z-11 |
| 1-2409 | 5 | NHCONHSO ₂ | — | Z-12 |
| 1-2410 | 5 | NHCONHSO ₂ | — | 3-Py |
| 1-2411 | 5 | NHCONHSO ₂ | — | 4-Py |

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------------------|---------|----------------|
| 1-2412 | 5 | NHCONHSO ₂ | NH | H |
| 1-2413 | 5 | NHCONHSO ₂ | NH | Me |
| 1-2414 | 5 | NHCONHSO ₂ | NH | Et |
| 1-2415 | 5 | NHCONHSO ₂ | NH | Pr |
| 1-2416 | 5 | NHCONHSO ₂ | NH | iPr |
| 1-2417 | 5 | NHCONHSO ₂ | NH | Bu |
| 1-2418 | 5 | NHCONHSO ₂ | NMe | Me |
| 1-2419 | 5 | NHCONHSO ₂ | NMe | Et |
| 1-2420 | 5 | NHCONHSO ₂ | NMe | Pr |
| 1-2421 | 5 | NHCONHSO ₂ | NMe | iPr |
| 1-2422 | 5 | NHCONHSO ₂ | NMe | Bu |
| 1-2423 | 5 | — | NH | H |
| 1-2424 | 5 | — | NH | Me |
| 1-2425 | 5 | — | NH | Et |
| 1-2426 | 5 | — | NH | Pr |
| 1-2427 | 5 | — | NH | iPr |
| 1-2428 | 5 | — | NH | Bu |
| 1-2429 | 5 | CO | Pyr | |
| 1-2430 | 5 | CO | Pipri | |
| 1-2431 | 5 | CO | Pipra | |
| 1-2432 | 5 | CO | Mor | |
| 1-2433 | 5 | CO | Thmor | |
| 1-2434 | 5 | CO | NHPyr | |
| 1-2435 | 5 | CO | NHPipri | |
| 1-2436 | 5 | CO | NHPipra | |
| 1-2437 | 5 | CO | NHMor | |

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|---|----------------|
| 1-2438 | 5 | CO | | NHThmor |
| 1-2439 | 5 | NHCO | | Pyr |
| 1-2440 | 5 | NHCO | | Pipri |
| 1-2441 | 5 | NHCO | | Pipra |
| 1-2442 | 5 | NHCO | | Mor |
| 1-2443 | 5 | NHCO | | Thmor |
| 1-2444 | 5 | NHCO | | NHPyr |
| 1-2445 | 5 | NHCO | | NHPipri |
| 1-2446 | 5 | NHCO | | NHPipra |
| 1-2447 | 5 | NHCO | | NHMor |
| 1-2448 | 5 | NHCO | | NHThmor |
| 1-2449 | 5 | CONHCO | | Pyr |
| 1-2450 | 5 | CONHCO | | Pipri |
| 1-2451 | 5 | CONHCO | | Pipra |
| 1-2452 | 5 | CONHCO | | Mor |
| 1-2453 | 5 | CONHCO | | Thmor |
| 1-2454 | 5 | CONHCO | | NHPyr |
| 1-2455 | 5 | CONHCO | | NHPipri |
| 1-2456 | 5 | CONHCO | | NHPipra |
| 1-2457 | 5 | CONHCO | | NHMor |
| 1-2458 | 5 | CONHCO | | NHThmor |
| 1-2459 | 5 | CONHSO ₂ | | Pyr |
| 1-2460 | 5 | CONHSO ₂ | | Pipri |
| 1-2461 | 5 | CONHSO ₂ | | Pipra |
| 1-2462 | 5 | CONHSO ₂ | | Mor |
| 1-2463 | 5 | CONHSO ₂ | | Thmor |

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|-----|------------------------|
| 1-2464 | 5 | CONHSO ₂ | | NHPyr |
| 1-2465 | 5 | CONHSO ₂ | | NHPipri |
| 1-2466 | 5 | CONHSO ₂ | | NHPipra |
| 1-2467 | 5 | CONHSO ₂ | | NHMor |
| 1-2468 | 5 | CONHSO ₂ | | NHThmor |
| 1-2469 | 5 | NHSO ₂ | NH | Z-4 |
| 1-2470 | 5 | NHSO ₂ | — | Me |
| 1-2471 | 5 | NHSO ₂ | — | Et |
| 1-2472 | 5 | NHSO ₂ | — | Pr |
| 1-2473 | 5 | NHSO ₂ | — | CH ₂ Cl |
| 1-2474 | 5 | NHSO ₂ | — | Ph |
| 1-2475 | 5 | NHSO ₂ | — | 4-Me-Ph |
| 1-2476 | 5 | CO | NMe | Ph |
| 1-2477 | 5 | CO | NMe | 2-Me-Ph |
| 1-2478 | 5 | CO | NMe | 4-Me-Ph |
| 1-2479 | 5 | CO | NMe | 2,4-diMe-Ph |
| 1-2480 | 5 | CO | NMe | 3,4-diMe-Ph |
| 1-2481 | 5 | CO | NMe | 2-(CF ₃)Ph |
| 1-2482 | 5 | CO | NMe | 4-(CF ₃)Ph |
| 1-2483 | 5 | CO | NMe | 2-MeOPh |
| 1-2484 | 5 | CO | NMe | 4-MeOPh |
| 1-2485 | 5 | CO | NMe | 2-EtOPh |
| 1-2486 | 5 | CO | NMe | 4-EtOPh |
| 1-2487 | 5 | CO | NMe | 2-HOPh |
| 1-2488 | 5 | CO | NMe | 4-HOPh |
| 1-2489 | 5 | CO | NMe | 2-(HOOC)Ph |

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----|-----|--|
| 1-2490 | 5 | CO | NMe | 4-(HOOC)Ph |
| 1-2491 | 5 | CO | NMe | 2-(MeOOC)Ph |
| 1-2492 | 5 | CO | NMe | 4-(MeOOC)Ph |
| 1-2493 | 5 | CO | NMe | 2-(EtOOC)Ph |
| 1-2494 | 5 | CO | NMe | 4-(EtOOC)Ph |
| 1-2495 | 5 | CO | NMe | 2-(<i>t</i> BuOOC)Ph |
| 1-2496 | 5 | CO | NMe | 4-(<i>t</i> BuOOC)Ph |
| 1-2497 | 5 | CO | NMe | 2-Cl-Ph |
| 1-2498 | 5 | CO | NMe | 4-Cl-Ph |
| 1-2499 | 5 | CO | NMe | 2-Br-Ph |
| 1-2500 | 5 | CO | NMe | 4-Br-Ph |
| 1-2501 | 5 | CO | NMe | 2-I-Ph |
| 1-2502 | 5 | CO | NMe | 4-I-Ph |
| 1-2503 | 5 | CO | NMe | 2-NO ₂ -Ph |
| 1-2504 | 5 | CO | NMe | 4-NO ₂ -Ph |
| 1-2505 | 5 | CO | NMe | 2-NH ₂ -Ph |
| 1-2506 | 5 | CO | NMe | 4-NH ₂ -Ph |
| 1-2507 | 5 | CO | NMe | 2-(HO ₃ S)Ph |
| 1-2508 | 5 | CO | NMe | 4-(HO ₃ S)Ph |
| 1-2509 | 5 | CO | NMe | 2-(NH ₂ O ₂ S)Ph |
| 1-2510 | 5 | CO | NMe | 4-(NH ₂ O ₂ S)Ph |
| 1-2511 | 5 | CO | NMe | 2-CN-Ph |
| 1-2512 | 5 | CO | NMe | 4-CN-Ph |
| 1-2513 | 5 | CO | NMe | 2-(HOCH ₂)Ph |
| 1-2514 | 5 | CO | NMe | 4-(HOCH ₂)Ph |
| 1-2515 | 5 | CO | NMe | Me |

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----|-----|---|
| 1-2516 | 5 | CO | NMe | Et |
| 1-2517 | 5 | CO | NMe | Pr |
| 1-2518 | 5 | CO | NMe | <i>i</i> Pr |
| 1-2519 | 5 | CO | NMe | Bu |
| 1-2520 | 5 | CO | NMe | HOOCCH ₂ |
| 1-2521 | 5 | CO | NMe | HOOC-(CH ₂) ₂ |
| 1-2522 | 5 | CO | NMe | MeCH(COOH) |
| 1-2523 | 5 | CO | NMe | HOOC-(CH ₂) ₃ - |
| 1-2524 | 5 | CO | NMe | MeCH(COOMe) |
| 1-2525 | 5 | CO | NMe | 1-HOOC- <i>i</i> Bu |
| 1-2526 | 5 | CO | NMe | 1-MeOOC- <i>i</i> Bu |
| 1-2527 | 5 | CO | NMe | 1-HOOC- <i>i</i> Pn |
| 1-2528 | 5 | CO | NMe | 1-MeOOC- <i>i</i> Pn |
| 1-2529 | 5 | CO | NMe | 1-HOOC-2-Me-Bu |
| 1-2530 | 5 | CO | NMe | 1-MeOOC-2-Me-Bu |
| 1-2531 | 5 | CO | NMe | CH ₂ CH ₂ SO ₃ H |
| 1-2532 | 5 | CO | NMe | OH |
| 1-2533 | 5 | CO | NMe | MeO |
| 1-2534 | 5 | CO | NMe | EtO |
| 1-2535 | 5 | CO | NMe | PrO |
| 1-2536 | 5 | CO | NMe | <i>i</i> PrO |
| 1-2537 | 5 | CO | NMe | BuO |
| 1-2538 | 5 | CO | NMe | <i>i</i> BuO |
| 1-2539 | 5 | CO | NMe | <i>s</i> BuO |
| 1-2540 | 5 | CO | NMe | <i>t</i> BuO |
| 1-2541 | 5 | CO | NMe | HxO |
| 1-2542 | 5 | CO | NMe | PhO |

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|---------|----------------|
| 1-2543 | 5 | CO | NMe | BzO |
| 1-2544 | 5 | CO | NMe | Z-1 |
| 1-2545 | 5 | CO | NMe | Z-2 |
| 1-2546 | 5 | CO | NMe | Z-3 |
| 1-2547 | 5 | CO | NMe | Z-4 |
| 1-2548 | 5 | CO | NMe | Z-5 |
| 1-2549 | 5 | CO | NMe | Z-6 |
| 1-2550 | 5 | CO | NMe | Z-7 |
| 1-2551 | 5 | CO | NMe | Z-8 |
| 1-2552 | 5 | CO | NMe | Z-9 |
| 1-2553 | 5 | CO | NMe | Z-10 |
| 1-2554 | 5 | CO | NMe | Z-11 |
| 1-2555 | 5 | CO | NMe | Z-12 |
| 1-2556 | 5 | CO | NMe | 3-Py |
| 1-2557 | 5 | CO | NMe | 4-Py |
| 1-2558 | 5 | CO | Thiad | |
| 1-2559 | 5 | CO | NHThiad | |
| 1-2560 | 5 | NHCO | Thiad | |
| 1-2561 | 5 | NHCO | NHThiad | |
| 1-2562 | 5 | CONHCO | Thiad | |
| 1-2563 | 5 | CONHCO | NHThiad | |
| 1-2564 | 5 | CONHSO ₂ | Thiad | |
| 1-2565 | 5 | CONHSO ₂ | NHThiad | |
| 1-2566 | 5 | NHCS | NH | H |
| 1-2567 | 5 | NHCS | NH | Me |
| 1-2568 | 5 | NHCS | NH | Et |
| 1-2569 | 5 | NHCS | NH | Ph |

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|--------|----|--|
| 1-2570 | 5 | NHCS | NH | HOOCCH ₂ |
| 1-2571 | 5 | NHCS | NH | MeOOCCH ₂ |
| 1-2572 | 5 | NHCS | NH | MeCH(COOH) |
| 1-2573 | 5 | NHCS | NH | HOOC-(CH ₂) ₂ |
| 1-2574 | 5 | NHCS | NH | MeCH(COOMe) |
| 1-2575 | 5 | CO | NH | HOOC-(CH ₂) ₃ - |
| 1-2576 | 5 | NHCO | NH | HOOC-(CH ₂) ₃ - |
| 1-2577 | 5 | NHCO | — | HOOC-(CH ₂) ₃ - |
| 1-2578 | 5 | NHCS | NH | HOOC-(CH ₂) ₃ - |
| 1-2579 | 5 | CO | NH | MeSO ₂ NHCOCH(Me) |
| 1-2580 | 5 | NHCO | NH | MeSO ₂ NHCOCH(Me) |
| 1-2581 | 5 | NHCO | — | MeSO ₂ NHCOCH(Me) |
| 1-2582 | 5 | NHCS | NH | MeSO ₂ NHCOCH(Me) |
| 1-2583 | 5 | — | NH | HOOCCH ₂ |
| 1-2584 | 5 | — | NH | MeOOCCH ₂ |
| 1-2585 | 5 | — | NH | MeCH(COOH) |
| 1-2586 | 5 | — | NH | HOOC-(CH ₂) ₂ |
| 1-2587 | 5 | — | NH | MeCH(COOMe) |
| 1-2588 | 5 | — | NH | HOOC-(CH ₂) ₃ - |
| 1-2589 | 5 | NHCOCO | — | OH |
| 1-2590 | 5 | NHCOCO | — | MeO |
| 1-2591 | 5 | NHCOCO | — | EtO |
| 1-2592 | 5 | NHCOCO | — | PrO |
| 1-2593 | 5 | NHCOCO | — | iPrO |
| 1-2594 | 5 | NHCOCO | — | BuO |

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|----|----------------------------|----------------|----------------|
| 1-2595 | 5 | NHCOCO | — | <i>i</i> BuO |
| 1-2596 | 5 | NHCOCO | — | <i>s</i> BuO |
| 1-2597 | 5 | NHCOCO | — | <i>t</i> BuO |
| 1-2598 | 5 | NHCOCO | — | HxO |
| 1-2599 | 5 | NHCOCO | — | PhO |
| 1-2600 | 5 | NHCOCO | — | BzO |
| 1-2601 | 0 | — | 1,3-diox-IIInd | |
| 1-2602 | 1 | — | 1,3-diox-IIInd | |
| 1-2603 | 2 | — | 1,3-diox-IIInd | |
| 1-2604 | 3 | — | 1,3-diox-IIInd | |
| 1-2605 | 4 | — | 1,3-diox-IIInd | |
| 1-2606 | 5 | — | 1,3-diox-IIInd | |
| 1-2607 | 6 | — | 1,3-diox-IIInd | |
| 1-2608 | 7 | — | 1,3-diox-IIInd | |
| 1-2609 | 8 | — | 1,3-diox-IIInd | |
| 1-2610 | 9 | — | 1,3-diox-IIInd | |
| 1-2611 | 10 | — | 1,3-diox-IIInd | |
| 1-2612 | 11 | — | 1,3-diox-IIInd | |
| 1-2613 | 12 | — | 1,3-diox-IIInd | |
| 1-2614 | 4 | NHCONHSO ₂ NHCO | NH | Z-4 |
| 1-2615 | 4 | NHCONHSO ₂ NHCO | NH | Pn |
| 1-2616 | 2 | O | — | H |
| 1-2617 | 4 | O | — | H |
| 1-2618 | 5 | O | — | H |
| 1-2619 | 5 | O | — | Ph |
| 1-2620 | 5 | O | — | 2-Py |
| 1-2621 | 5 | O | — | 3-Py |

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|----|---|
| 1-2622 | 5 | O | — | 4-Py |
| 1-2623 | 5 | O | — | Z-1 |
| 1-2624 | 5 | O | — | Z-2 |
| 1-2625 | 5 | O | — | Z-3 |
| 1-2626 | 5 | O | — | Z-4 |
| 1-2627 | 5 | O | — | Z-5 |
| 1-2628 | 5 | O | — | Z-6 |
| 1-2629 | 5 | O | — | Z-7 |
| 1-2630 | 5 | O | — | Z-8 |
| 1-2631 | 5 | O | — | Z-9 |
| 1-2632 | 5 | O | — | Z-10 |
| 1-2633 | 5 | O | — | Z-11 |
| 1-2634 | 5 | O | — | Z-12 |
| 1-2635 | 4 | NHCO | — | 3-Py |
| 1-2636 | 5 | NHCO | — | 3-Py |
| 1-2637 | 4 | CO | NH | HOCH ₂ CH(CH ₃)CH ₂ |
| 1-2638 | 5 | CO | NH | HOCH ₂ CH(CH ₃)CH ₂ |
| 1-2639 | 4 | NHCO | NH | HOCH ₂ CH(CH ₃)CH ₂ |
| 1-2640 | 5 | NHCO | NH | HOCH ₂ CH(CH ₃)CH ₂ |
| 1-2641 | 4 | CO | NH | MeSO ₂ NHCOCH ₂ |
| 1-2642 | 5 | CO | NH | MeSO ₂ NHCOCH ₂ |
| 1-2643 | 4 | NHCO | NH | MeSO ₂ NHCOCH ₂ |
| 1-2644 | 5 | NHCO | NH | MeSO ₂ NHCOCH ₂ |
| 1-2645 | 4 | CO | NH | H ₂ NSO ₂ NHCOCH ₂ |
| 1-2646 | 5 | CO | NH | H ₂ NSO ₂ NHCOCH ₂ |
| 1-2647 | 4 | NHCO | NH | H ₂ NSO ₂ NHCOCH ₂ |

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----------------------|-----|---|
| 1-2648 | 5 | NHCO | NH | H ₂ NSO ₂ NHCOCH ₂ |
| 1-2649 | 4 | CO | NH | 1-(MeSO ₂ NHCO)-Et |
| 1-2650 | 5 | CO | NH | 1-(MeSO ₂ NHCO)-Et |
| 1-2651 | 4 | NHCO | NH | 1-(MeSO ₂ NHCO)-Et |
| 1-2652 | 5 | NHCO | NH | 1-(MeSO ₂ NHCO)-Et |
| 1-2653 | 4 | CO | NH | 1-(H ₂ NSO ₂ NHCO)-Et |
| 1-2654 | 5 | CO | NH | 1-(H ₂ NSO ₂ NHCO)-Et |
| 1-2655 | 4 | NHCO | NH | 1-(H ₂ NSO ₂ NHCO)-Et |
| 1-2656 | 5 | NHCO | NH | 1-(H ₂ NSO ₂ NHCO)-Et |
| 1-2657 | 4 | CO | NH | HOOC-(CH ₂) ₄ |
| 1-2658 | 5 | CO | NH | HOOC-(CH ₂) ₄ |
| 1-2659 | 4 | NHCO | NH | HOOC-(CH ₂) ₄ |
| 1-2660 | 5 | NHCO | NH | HOOC-(CH ₂) ₄ |
| 1-2661 | 4 | CO | NH | HO-(CH ₂) ₂ |
| 1-2662 | 5 | CO | NH | HO-(CH ₂) ₂ |
| 1-2663 | 4 | NHCO | NH | HO-(CH ₂) ₂ |
| 1-2664 | 5 | NHCO | NH | HO-(CH ₂) ₂ |
| 1-2665 | 4 | CO | NH | HO-CH ₂ -CH(CH ₃) |
| 1-2666 | 5 | CO | NH | HO-CH ₂ -CH(CH ₃) |
| 1-2667 | 4 | NHCO | NH | HO-CH ₂ -CH(CH ₃) |
| 1-2668 | 5 | NHCO | NH | HO-CH ₂ -CH(CH ₃) |
| 1-2669 | 4 | CO | NMe | HOOC-(CH ₂) ₃ |
| 1-2670 | 4 | NHCO | NMe | HOOC-(CH ₂) ₃ |
| 1-2671 | 5 | NHCO | NMe | HOOC-(CH ₂) ₃ |
| 1-2672 | 4 | CONMeSO ₂ | — | Me |

Table 1 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----------------------|--------------------------|-----------------|
| 1-2673 | 5 | CONMeSO ₂ | — | Me |
| 1-2674 | 4 | CO | 1-Indn | |
| 1-2675 | 5 | CO | 1-Indn | |
| 1-2676 | 4 | NHCO | 1-Indn | |
| 1-2677 | 5 | NHCO | 1-Indn | |
| 1-2678 | 4 | CO | 2-(HOOC)-1-Indn | |
| 1-2679 | 5 | CO | 2-(HOOC)-1-Indn | |
| 1-2680 | 4 | NHCO | 2-(HOOC)-1-Indn | |
| 1-2681 | 5 | NHCO | 2-(HOOC)-1-Indn | |
| 1-2682 | 4 | — | 3,4-diMe-2,5-diox-1-Imdd | |
| 1-2683 | 5 | — | 3,4-diMe-2,5-diox-1-Imdd | |
| 1-2684 | 4 | CONHSO ₂ | — | CF ₃ |

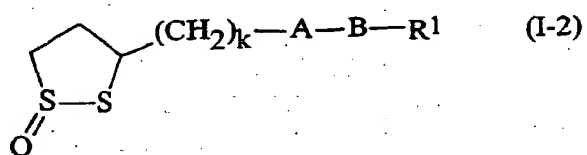


Table 2

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----|----|-------------------------|
| 2-1 | 4 | CO | NH | H |
| 2-2 | 4 | CO | NH | Ph |
| 2-3 | 4 | CO | NH | 2-Me-Ph |
| 2-4 | 4 | CO | NH | 4-Me-Ph |
| 2-5 | 4 | CO | NH | 2,4-diMe-Ph |
| 2-6 | 4 | CO | NH | 3,4-diMe-Ph |
| 2-7 | 4 | CO | NH | 2-(CF ₃)-Ph |
| 2-8 | 4 | CO | NH | 4-(CF ₃)-Ph |
| 2-9 | 4 | CO | NH | 2-MeOPh |
| 2-10 | 4 | CO | NH | 4-MeOPh |
| 2-11 | 4 | CO | NH | 2-EtOPh |
| 2-12 | 4 | CO | NH | 4-EtOPh |
| 2-13 | 4 | CO | NH | 2-HOPh |
| 2-14 | 4 | CO | NH | 4-HOPh |
| 2-15 | 4 | CO | NH | 2-(HOOC)-Ph |
| 2-16 | 4 | CO | NH | 4-(HOOC)-Ph |
| 2-17 | 4 | CO | NH | 2-(MeOOC)-Ph |
| 2-18 | 4 | CO | NH | 4-(MeOOC)-Ph |
| 2-19 | 4 | CO | NH | 2-(EtOOC)-Ph |
| 2-20 | 4 | CO | NH | 4-(EtOOC)-Ph |
| 2-21 | 4 | CO | NH | 2-(<i>t</i> BuOOC)-Ph |
| 2-22 | 4 | CO | NH | 4-(<i>t</i> BuOOC)-Ph |
| 2-23 | 4 | CO | NH | 2-Cl-Ph |
| 2-24 | 4 | CO | NH | 4-Cl-Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----|----|---|
| 2-25 | 4 | CO | NH | 2-Br-Ph |
| 2-26 | 4 | CO | NH | 4-Br-Ph |
| 2-27 | 4 | CO | NH | 2-I-Ph |
| 2-28 | 4 | CO | NH | 4-I-Ph |
| 2-29 | 4 | CO | NH | 2-NO ₂ -Ph |
| 2-30 | 4 | CO | NH | 4-NO ₂ -Ph |
| 2-31 | 4 | CO | NH | 2-NH ₂ -Ph |
| 2-32 | 4 | CO | NH | 4-NH ₂ -Ph |
| 2-33 | 4 | CO | NH | 2-(HO ₃ S)-Ph |
| 2-34 | 4 | CO | NH | 4-(HO ₃ S)-Ph |
| 2-35 | 4 | CO | NH | 2-(NH ₂ O ₂ S)-Ph |
| 2-36 | 4 | CO | NH | 4-(NH ₂ O ₂ S)-Ph |
| 2-37 | 4 | CO | NH | 2-CN-Ph |
| 2-38 | 4 | CO | NH | 4-CN-Ph |
| 2-39 | 4 | CO | NH | 2-(HOCH ₂)-Ph |
| 2-40 | 4 | CO | NH | 4-(HOCH ₂)-Ph |
| 2-41 | 4 | CO | NH | Me |
| 2-42 | 4 | CO | NH | Et |
| 2-43 | 4 | CO | NH | Pr |
| 2-44 | 4 | CO | NH | iPr |
| 2-45 | 4 | CO | NH | Bu |
| 2-46 | 4 | CO | NH | HOOCCH ₂ - |
| 2-47 | 4 | CO | NH | MeOOCCH ₂ - |
| 2-48 | 4 | CO | NH | MeCH(COOH)- |
| 2-49 | 4 | CO | NH | HOOC-(CH ₂) ₂ - |
| 2-50 | 4 | CO | NH | MeCH(COOMe)- |
| 2-51 | 4 | CO | NH | 1-HOOC-iBu |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----|----|---|
| 2-52 | 4 | CO | NH | 1-MeOOC- <i>i</i> Bu |
| 2-53 | 4 | CO | NH | 1-HOOC- <i>i</i> Pn |
| 2-54 | 4 | CO | NH | 1-MeOOC- <i>i</i> Pn |
| 2-55 | 4 | CO | NH | 1-HOOC-2-Me-Bu |
| 2-56 | 4 | CO | NH | 1-MeOOC-2-Me-Bu |
| 2-57 | 4 | CO | NH | CH ₂ CH ₂ SO ₃ H |
| 2-58 | 4 | CO | NH | OH |
| 2-59 | 4 | CO | NH | MeO |
| 2-60 | 4 | CO | NH | EtO |
| 2-61 | 4 | CO | NH | PrO |
| 2-62 | 4 | CO | NH | <i>i</i> PrO |
| 2-63 | 4 | CO | NH | BuO |
| 2-64 | 4 | CO | NH | <i>i</i> BuO |
| 2-65 | 4 | CO | NH | <i>s</i> BuO |
| 2-66 | 4 | CO | NH | <i>i</i> BuO |
| 2-67 | 4 | CO | NH | HxO |
| 2-68 | 4 | CO | NH | PhO |
| 2-69 | 4 | CO | NH | BnO |
| 2-70 | 4 | CO | NH | Z-1 |
| 2-71 | 4 | CO | NH | Z-2 |
| 2-72 | 4 | CO | NH | Z-3 |
| 2-73 | 4 | CO | NH | Z-4 |
| 2-74 | 4 | CO | NH | Z-5 |
| 2-75 | 4 | CO | NH | Z-6 |
| 2-76 | 4 | CO | NH | Z-7 |
| 2-77 | 4 | CO | NH | Z-8 |
| 2-78 | 4 | CO | NH | Z-9 |
| 2-79 | 4 | CO | NH | Z-10 |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----|-------|------------------------|
| 2-80 | 4 | CO | NH | Z-11 |
| 2-81 | 4 | CO | NH | Z-12 |
| 2-82 | 4 | CO | NH | 3-Py |
| 2-83 | 4 | CO | NH | 4-Py |
| 2-84 | 4 | CO | N(Ac) | H |
| 2-85 | 4 | CO | N(Ac) | Ph |
| 2-86 | 4 | CO | N(Ac) | 2-Me-Ph |
| 2-87 | 4 | CO | N(Ac) | 4-Me-Ph |
| 2-88 | 4 | CO | N(Ac) | 2,4-diMe-Ph |
| 2-89 | 4 | CO | N(Ac) | 3,4-diMe-Ph |
| 2-90 | 4 | CO | N(Ac) | 2-(CF ₃)Ph |
| 2-91 | 4 | CO | N(Ac) | 4-(CF ₃)Ph |
| 2-92 | 4 | CO | N(Ac) | 2-MeOPh |
| 2-93 | 4 | CO | N(Ac) | 4-MeOPh |
| 2-94 | 4 | CO | N(Ac) | 2-EtOPh |
| 2-95 | 4 | CO | N(Ac) | 4-EtOPh |
| 2-96 | 4 | CO | N(Ac) | 2-HOPh |
| 2-97 | 4 | CO | N(Ac) | 4-HOPh |
| 2-98 | 4 | CO | N(Ac) | 2-(HOOC)Ph |
| 2-99 | 4 | CO | N(Ac) | 4-(HOOC)Ph |
| 2-100 | 4 | CO | N(Ac) | 2-(MeOOC)Ph |
| 2-101 | 4 | CO | N(Ac) | 4-(MeOOC)Ph |
| 2-102 | 4 | CO | N(Ac) | 2-(EtOOC)Ph |
| 2-103 | 4 | CO | N(Ac) | 4-(EtOOC)Ph |
| 2-104 | 4 | CO | N(Ac) | 2-(<i>t</i> BuOOC)Ph |
| 2-105 | 4 | CO | N(Ac) | 4-(<i>t</i> BuOOC)Ph |
| 2-106 | 4 | CO | N(Ac) | 2-Cl-Ph |
| 2-107 | 4 | CO | N(Ac) | 4-Cl-Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----|-------|--|
| 2-108 | 4 | CO | N(Ac) | 2-Br-Ph |
| 2-109 | 4 | CO | N(Ac) | 4-Br-Ph |
| 2-110 | 4 | CO | N(Ac) | 2-I-Ph |
| 2-111 | 4 | CO | N(Ac) | 4-I-Ph |
| 2-112 | 4 | CO | N(Ac) | 2-NO ₂ -Ph |
| 2-113 | 4 | CO | N(Ac) | 4-NO ₂ -Ph |
| 2-114 | 4 | CO | N(Ac) | 2-NH ₂ -Ph |
| 2-115 | 4 | CO | N(Ac) | 4-NH ₂ -Ph |
| 2-116 | 4 | CO | N(Ac) | 2-(HO ₃ S)Ph |
| 2-117 | 4 | CO | N(Ac) | 4-(HO ₃ S)Ph |
| 2-118 | 4 | CO | N(Ac) | 2-(NH ₂ O ₂ S)Ph |
| 2-119 | 4 | CO | N(Ac) | 4-(NH ₂ O ₂ S)Ph |
| 2-120 | 4 | CO | N(Ac) | 2-CN-Ph |
| 2-121 | 4 | CO | N(Ac) | 4-CN-Ph |
| 2-122 | 4 | CO | N(Ac) | 2-(HOCH ₂)Ph |
| 2-123 | 4 | CO | N(Ac) | 4-(HOCH ₂)Ph |
| 2-124 | 4 | CO | N(Ac) | Me |
| 2-125 | 4 | CO | N(Ac) | Et |
| 2-126 | 4 | CO | N(Ac) | Pr |
| 2-127 | 4 | CO | N(Ac) | iPr |
| 2-128 | 4 | CO | N(Ac) | Bu |
| 2-129 | 4 | CO | N(Ac) | HOOCCH ₂ - |
| 2-130 | 4 | CO | N(Ac) | MeOOCCH ₂ - |
| 2-131 | 4 | CO | N(Ac) | MeCH(COOH) |
| 2-132 | 4 | CO | N(Ac) | HOOC-(CH ₂) ₂ - |
| 2-133 | 4 | CO | N(Ac) | MeCH(COOMe) |
| 2-134 | 4 | CO | N(Ac) | 1-HOOC-iBu |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----|-------|---|
| 2-135 | 4 | CO | N(Ac) | 1-MeOOC- <i>i</i> Bu |
| 2-136 | 4 | CO | N(Ac) | 1-HOOC- <i>i</i> Pn |
| 2-137 | 4 | CO | N(Ac) | 1-MeOOC- <i>i</i> Pn |
| 2-138 | 4 | CO | N(Ac) | 1-HOOC-2-Me-Bu |
| 2-139 | 4 | CO | N(Ac) | 1-MeOOC-2-Me-Bu |
| 2-140 | 4 | CO | N(Ac) | CH ₂ CH ₂ SO ₃ H |
| 2-141 | 4 | CO | N(Ac) | OH |
| 2-142 | 4 | CO | N(Ac) | MeO |
| 2-143 | 4 | CO | N(Ac) | EtO |
| 2-144 | 4 | CO | N(Ac) | PrO |
| 2-145 | 4 | CO | N(Ac) | <i>i</i> PrO |
| 2-146 | 4 | CO | N(Ac) | BuO |
| 2-147 | 4 | CO | N(Ac) | <i>i</i> BuO |
| 2-148 | 4 | CO | N(Ac) | <i>s</i> BuO |
| 2-149 | 4 | CO | N(Ac) | <i>t</i> BuO |
| 2-150 | 4 | CO | N(Ac) | HxO |
| 2-151 | 4 | CO | N(Ac) | PhO |
| 2-152 | 4 | CO | N(Ac) | BnO |
| 2-153 | 4 | CO | N(Ac) | Z-1 |
| 2-154 | 4 | CO | N(Ac) | Z-2 |
| 2-155 | 4 | CO | N(Ac) | Z-3 |
| 2-156 | 4 | CO | N(Ac) | Z-4 |
| 2-157 | 4 | CO | N(Ac) | Z-5 |
| 2-158 | 4 | CO | N(Ac) | Z-6 |
| 2-159 | 4 | CO | N(Ac) | Z-7 |
| 2-160 | 4 | CO | N(Ac) | Z-8 |
| 2-161 | 4 | CO | N(Ac) | Z-9 |
| 2-162 | 4 | CO | N(Ac) | Z-10 |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----|-------|------------------------|
| 2-163 | 4 | CO | N(Ac) | Z-11 |
| 2-164 | 4 | CO | N(Ac) | Z-12 |
| 2-165 | 4 | CO | N(Ac) | 3-Py |
| 2-166 | 4 | CO | N(Ac) | 4-Py |
| 2-167 | 4 | COO | — | H |
| 2-168 | 4 | COO | — | Ph |
| 2-169 | 4 | COO | — | 2-Me-Ph |
| 2-170 | 4 | COO | — | 4-Me-Ph |
| 2-171 | 4 | COO | — | 2,4-diMe-Ph |
| 2-172 | 4 | COO | — | 3,4-diMe-Ph |
| 2-173 | 4 | COO | — | 2-(CF ₃)Ph |
| 2-174 | 4 | COO | — | 4-(CF ₃)Ph |
| 2-175 | 4 | COO | — | 2-MeOPh |
| 2-176 | 4 | COO | — | 4-MeOPh |
| 2-177 | 4 | COO | — | 2-EtOPh |
| 2-178 | 4 | COO | — | 4-EtOPh |
| 2-179 | 4 | COO | — | 2-HOPh |
| 2-180 | 4 | COO | — | 4-HOPh |
| 2-181 | 4 | COO | — | 2-(HOOC)Ph |
| 2-182 | 4 | COO | — | 4-(HOOC)Ph |
| 2-183 | 4 | COO | — | 2-(MeOOC)Ph |
| 2-184 | 4 | COO | — | 4-(MeOOC)Ph |
| 2-185 | 4 | COO | — | 2-(EtOOC)Ph |
| 2-186 | 4 | COO | — | 4-(EtOOC)Ph |
| 2-187 | 4 | COO | — | 2-(<i>t</i> BuOOC)Ph |
| 2-188 | 4 | COO | — | 4-(<i>t</i> BuOOC)Ph |
| 2-189 | 4 | COO | — | 2-Cl-Ph |
| 2-190 | 4 | COO | — | 4-Cl-Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----|---|--|
| 2-191 | 4 | COO | — | 2-Br-Ph |
| 2-192 | 4 | COO | — | 4-Br-Ph |
| 2-193 | 4 | COO | — | 2-I-Ph |
| 2-194 | 4 | COO | — | 4-I-Ph |
| 2-195 | 4 | COO | — | 2-NO ₂ -Ph |
| 2-196 | 4 | COO | — | 4-NO ₂ -Ph |
| 2-197 | 4 | COO | — | 2-NH ₂ -Ph |
| 2-198 | 4 | COO | — | 4-NH ₂ -Ph |
| 2-199 | 4 | COO | — | 2-(HO ₃ S)Ph |
| 2-200 | 4 | COO | — | 4-(HO ₃ S)Ph |
| 2-201 | 4 | COO | — | 2-(NH ₂ O ₂ S)Ph |
| 2-202 | 4 | COO | — | 4-(NH ₂ O ₂ S)Ph |
| 2-203 | 4 | COO | — | 2-CN-Ph |
| 2-204 | 4 | COO | — | 4-CN-Ph |
| 2-205 | 4 | COO | — | 2-(HOCH ₂)Ph |
| 2-206 | 4 | COO | — | 4-(HOCH ₂)Ph |
| 2-207 | 4 | COO | — | Me |
| 2-208 | 4 | COO | — | Et |
| 2-209 | 4 | COO | — | Pr |
| 2-210 | 4 | COO | — | <i>i</i> Pr |
| 2-211 | 4 | COO | — | Bu |
| 2-212 | 4 | COO | — | HOOCCH ₂ - |
| 2-213 | 4 | COO | — | HOOC-(CH ₂) ₂ - |
| 2-214 | 4 | COO | — | MeCH(COOMe) |
| 2-215 | 4 | COO | — | 1-HOOC- <i>i</i> Bu |
| 2-216 | 4 | COO | — | 1-HOOC- <i>i</i> Pn |
| 2-217 | 4 | COO | — | Z-1 |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|--------|---|------------------------|
| 2-218 | 4 | COO | — | Z-2 |
| 2-219 | 4 | COO | — | Z-3 |
| 2-220 | 4 | COO | — | Z-4 |
| 2-221 | 4 | COO | — | Z-5 |
| 2-222 | 4 | COO | — | Z-6 |
| 2-223 | 4 | COO | — | Z-7 |
| 2-224 | 4 | COO | — | Z-8 |
| 2-225 | 4 | COO | — | Z-9 |
| 2-226 | 4 | COO | — | Z-10 |
| 2-227 | 4 | COO | — | Z-11 |
| 2-228 | 4 | COO | — | Z-12 |
| 2-229 | 4 | COO | — | 3-Py |
| 2-230 | 4 | COO | — | 4-Py |
| 2-231 | 4 | CONHCO | — | H |
| 2-232 | 4 | CONHCO | — | Ph |
| 2-233 | 4 | CONHCO | — | 2-Me-Ph |
| 2-234 | 4 | CONHCO | — | 4-Me-Ph |
| 2-235 | 4 | CONHCO | — | 2,4-diMe-Ph |
| 2-236 | 4 | CONHCO | — | 3,4-diMe-Ph |
| 2-237 | 4 | CONHCO | — | 2-(CF ₃)Ph |
| 2-238 | 4 | CONHCO | — | 4-(CF ₃)Ph |
| 2-239 | 4 | CONHCO | — | 2-MeOPh |
| 2-240 | 4 | CONHCO | — | 4-MeOPh |
| 2-241 | 4 | CONHCO | — | 2-EtOPh |
| 2-242 | 4 | CONHCO | — | 4-EtOPh |
| 2-243 | 4 | CONHCO | — | 2-HOPh |
| 2-244 | 4 | CONHCO | — | 4-HOPh |
| 2-245 | 4 | CONHCO | — | 2-(HOOC)Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|--------|---|--|
| 2-246 | 4 | CONHCO | — | 4-(HOOC)Ph |
| 2-247 | 4 | CONHCO | — | 2-(MeOOC)Ph |
| 2-248 | 4 | CONHCO | — | 4-(MeOOC)Ph |
| 2-249 | 4 | CONHCO | — | 2-(EtOOC)Ph |
| 2-250 | 4 | CONHCO | — | 4-(EtOOC)Ph |
| 2-251 | 4 | CONHCO | — | 2-(<i>t</i> BuOOC)Ph |
| 2-252 | 4 | CONHCO | — | 4-(<i>t</i> BuOOC)Ph |
| 2-253 | 4 | CONHCO | — | 2-Cl-Ph |
| 2-254 | 4 | CONHCO | — | 4-Cl-Ph |
| 2-255 | 4 | CONHCO | — | 2-Br-Ph |
| 2-256 | 4 | CONHCO | — | 4-Br-Ph |
| 2-257 | 4 | CONHCO | — | 2-I-Ph |
| 2-258 | 4 | CONHCO | — | 4-I-Ph |
| 2-259 | 4 | CONHCO | — | 2-NO ₂ -Ph |
| 2-260 | 4 | CONHCO | — | 4-NO ₂ -Ph |
| 2-261 | 4 | CONHCO | — | 2-NH ₂ -Ph |
| 2-262 | 4 | CONHCO | — | 4-NH ₂ -Ph |
| 2-263 | 4 | CONHCO | — | 2-(HO ₃ S)Ph |
| 2-264 | 4 | CONHCO | — | 4-(HO ₃ S)Ph |
| 2-265 | 4 | CONHCO | — | 2-(NH ₂ O ₂ S)Ph |
| 2-266 | 4 | CONHCO | — | 4-(NH ₂ O ₂ S)Ph |
| 2-267 | 4 | CONHCO | — | 2-CN-Ph |
| 2-268 | 4 | CONHCO | — | 4-CN-Ph |
| 2-269 | 4 | CONHCO | — | 2-(HOCH ₂)Ph |
| 2-270 | 4 | CONHCO | — | 4-(HOCH ₂)Ph |
| 2-271 | 4 | CONHCO | — | Me |
| 2-272 | 4 | CONHCO | — | Et |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|--------|---|---|
| 2-273 | 4 | CONHCO | — | Pr |
| 2-274 | 4 | CONHCO | — | iPr |
| 2-275 | 4 | CONHCO | — | Bu |
| 2-276 | 4 | CONHCO | — | HOOCCH ₂ - |
| 2-277 | 4 | CONHCO | — | MeOOCCH ₂ - |
| 2-278 | 4 | CONHCO | — | MeCH(COOH) |
| 2-279 | 4 | CONHCO | — | HOOC-(CH ₂) ₂ - |
| 2-280 | 4 | CONHCO | — | MeCH(COOMe) |
| 2-281 | 4 | CONHCO | — | 1-HOOC-iBu |
| 2-282 | 4 | CONHCO | — | 1-MeOOC-iBu |
| 2-283 | 4 | CONHCO | — | 1-HOOC-iPn |
| 2-284 | 4 | CONHCO | — | 1-MeOOC-iPn |
| 2-285 | 4 | CONHCO | — | 1-HOOC-2-Me-Bu |
| 2-286 | 4 | CONHCO | — | 1-MeOOC-2-Me-Bu |
| 2-287 | 4 | CONHCO | — | CH ₂ CH ₂ SO ₃ H |
| 2-288 | 4 | CONHCO | — | Z-1 |
| 2-289 | 4 | CONHCO | — | Z-2 |
| 2-290 | 4 | CONHCO | — | Z-3 |
| 2-291 | 4 | CONHCO | — | Z-4 |
| 2-292 | 4 | CONHCO | — | Z-5 |
| 2-293 | 4 | CONHCO | — | Z-6 |
| 2-294 | 4 | CONHCO | — | Z-7 |
| 2-295 | 4 | CONHCO | — | Z-8 |
| 2-296 | 4 | CONHCO | — | Z-9 |
| 2-297 | 4 | CONHCO | — | Z-10 |
| 2-298 | 4 | CONHCO | — | Z-11 |
| 2-299 | 4 | CONHCO | — | Z-12 |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------|---|------------------------|
| 2-300 | 4 | CONHCO | — | 3-Py |
| 2-301 | 4 | CONHCO | — | 4-Py |
| 2-302 | 4 | CON(Ac)CO | — | H |
| 2-303 | 4 | CON(Ac)CO | — | Ph |
| 2-304 | 4 | CON(Ac)CO | — | 2-Me-Ph |
| 2-305 | 4 | CON(Ac)CO | — | 4-Me-Ph |
| 2-306 | 4 | CON(Ac)CO | — | 2,4-diMe-Ph |
| 2-307 | 4 | CON(Ac)CO | — | 3,4-diMe-Ph |
| 2-308 | 4 | CON(Ac)CO | — | 2-(CF ₃)Ph |
| 2-309 | 4 | CON(Ac)CO | — | 4-(CF ₃)Ph |
| 2-310 | 4 | CON(Ac)CO | — | 2-MeOPh |
| 2-311 | 4 | CON(Ac)CO | — | 4-MeOPh |
| 2-312 | 4 | CON(Ac)CO | — | 2-EtOPh |
| 2-313 | 4 | CON(Ac)CO | — | 4-EtOPh |
| 2-314 | 4 | CON(Ac)CO | — | 2-HOPh |
| 2-315 | 4 | CON(Ac)CO | — | 4-HOPh |
| 2-316 | 4 | CON(Ac)CO | — | 2-(HOOC)Ph |
| 2-317 | 4 | CON(Ac)CO | — | 4-(HOOC)Ph |
| 2-318 | 4 | CON(Ac)CO | — | 2-(MeOOC)Ph |
| 2-319 | 4 | CON(Ac)CO | — | 4-(MeOOC)Ph |
| 2-320 | 4 | CON(Ac)CO | — | 2-(EtOOC)Ph |
| 2-321 | 4 | CON(Ac)CO | — | 4-(EtOOC)Ph |
| 2-322 | 4 | CON(Ac)CO | — | 2-(<i>t</i> BuOOC)Ph |
| 2-323 | 4 | CON(Ac)CO | — | 4-(<i>t</i> BuOOC)Ph |
| 2-324 | 4 | CON(Ac)CO | — | 2-Cl-Ph |
| 2-325 | 4 | CON(Ac)CO | — | 4-Cl-Ph |
| 2-326 | 4 | CON(Ac)CO | — | 2-Br-Ph |
| 2-327 | 4 | CON(Ac)CO | — | 4-Br-Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------|---|--|
| 2-328 | 4 | CON(Ac)CO | — | 2-I-Ph |
| 2-329 | 4 | CON(Ac)CO | — | 4-I-Ph |
| 2-330 | 4 | CON(Ac)CO | — | 2-NO ₂ -Ph |
| 2-331 | 4 | CON(Ac)CO | — | 4-NO ₂ -Ph |
| 2-332 | 4 | CON(Ac)CO | — | 2-NH ₂ -Ph |
| 2-333 | 4 | CON(Ac)CO | — | 4-NH ₂ -Ph |
| 2-334 | 4 | CON(Ac)CO | — | 2-(HO ₃ S)Ph |
| 2-335 | 4 | CON(Ac)CO | — | 4-(HO ₃ S)Ph |
| 2-336 | 4 | CON(Ac)CO | — | 2-(NH ₂ O ₂ S)Ph |
| 2-337 | 4 | CON(Ac)CO | — | 4-(NH ₂ O ₂ S)Ph |
| 2-338 | 4 | CON(Ac)CO | — | 2-CN-Ph |
| 2-339 | 4 | CON(Ac)CO | — | 4-CN-Ph |
| 2-340 | 4 | CON(Ac)CO | — | 2-(HOCH ₂)Ph |
| 2-341 | 4 | CON(Ac)CO | — | 4-(HOCH ₂)Ph |
| 2-342 | 4 | CON(Ac)CO | — | Me |
| 2-343 | 4 | CON(Ac)CO | — | Et |
| 2-344 | 4 | CON(Ac)CO | — | Pr |
| 2-345 | 4 | CON(Ac)CO | — | iPr |
| 2-346 | 4 | CON(Ac)CO | — | Bu |
| 2-347 | 4 | CON(Ac)CO | — | HOOCCH ₂ - |
| 2-348 | 4 | CON(Ac)CO | — | MeOOCCH ₂ - |
| 2-349 | 4 | CON(Ac)CO | — | MeCH(COOH) |
| 2-350 | 4 | CON(Ac)CO | — | HOOC-(CH ₂) ₂ - |
| 2-351 | 4 | CON(Ac)CO | — | MeCH(COOMe) |
| 2-352 | 4 | CON(Ac)CO | — | 1-HOOC-iBu |
| 2-353 | 4 | CON(Ac)CO | — | 1-MeOOC-iBu |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------|----|---|
| 2-354 | 4 | CON(Ac)CO | — | 1-HOOC- <i>i</i> Pn |
| 2-355 | 4 | CON(Ac)CO | — | 1-MeOOC- <i>i</i> Pn |
| 2-356 | 4 | CON(Ac)CO | — | 1-HOOC-2-Me-Bu |
| 2-357 | 4 | CON(Ac)CO | — | 1-MeOOC-2-Me-Bu |
| 2-358 | 4 | CON(Ac)CO | — | CH ₂ CH ₂ SO ₃ H |
| 2-359 | 4 | CON(Ac)CO | — | Z-1 |
| 2-360 | 4 | CON(Ac)CO | — | Z-2 |
| 2-361 | 4 | CON(Ac)CO | — | Z-3 |
| 2-362 | 4 | CON(Ac)CO | — | Z-4 |
| 2-363 | 4 | CON(Ac)CO | — | Z-5 |
| 2-364 | 4 | CON(Ac)CO | — | Z-6 |
| 2-365 | 4 | CON(Ac)CO | — | Z-7 |
| 2-366 | 4 | CON(Ac)CO | — | Z-8 |
| 2-367 | 4 | CON(Ac)CO | — | Z-9 |
| 2-368 | 4 | CON(Ac)CO | — | Z-10 |
| 2-369 | 4 | CON(Ac)CO | — | Z-11 |
| 2-370 | 4 | CON(Ac)CO | — | Z-12 |
| 2-371 | 4 | CON(Ac)CO | — | 3-Py |
| 2-372 | 4 | CON(Ac)CO | — | 4-Py |
| 2-373 | 4 | CONHCO | NH | H |
| 2-374 | 4 | CONHCO | NH | Ph |
| 2-375 | 4 | CONHCO | NH | 2-Me-Ph |
| 2-376 | 4 | CONHCO | NH | 4-Me-Ph |
| 2-377 | 4 | CONHCO | NH | 2,4-diMe-Ph |
| 2-378 | 4 | CONHCO | NH | 3,4-diMe-Ph |
| 2-379 | 4 | CONHCO | NH | 2-(CF ₃)Ph |
| 2-380 | 4 | CONHCO | NH | 4-(CF ₃)Ph |
| 2-381 | 4 | CONHCO | NH | 2-MeOPh |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|--------|----|--|
| 2-382 | 4 | CONHCO | NH | 4-MeOPh |
| 2-383 | 4 | CONHCO | NH | 2-EtOPh |
| 2-384 | 4 | CONHCO | NH | 4-EtOPh |
| 2-385 | 4 | CONHCO | NH | 2-HOPh |
| 2-386 | 4 | CONHCO | NH | 4-HOPh |
| 2-387 | 4 | CONHCO | NH | 2-(HOOC)Ph |
| 2-388 | 4 | CONHCO | NH | 4-(HOOC)Ph |
| 2-389 | 4 | CONHCO | NH | 2-(MeOOC)Ph |
| 2-390 | 4 | CONHCO | NH | 4-(MeOOC)Ph |
| 2-391 | 4 | CONHCO | NH | 2-(EtOOC)Ph |
| 2-392 | 4 | CONHCO | NH | 4-(EtOOC)Ph |
| 2-393 | 4 | CONHCO | NH | 2-(<i>t</i> BuOOC)Ph |
| 2-394 | 4 | CONHCO | NH | 4-(<i>t</i> BuOOC)Ph |
| 2-395 | 4 | CONHCO | NH | 2-Cl-Ph |
| 2-396 | 4 | CONHCO | NH | 4-Cl-Ph |
| 2-397 | 4 | CONHCO | NH | 2-Br-Ph |
| 2-398 | 4 | CONHCO | NH | 4-Br-Ph |
| 2-399 | 4 | CONHCO | NH | 2-I-Ph |
| 2-400 | 4 | CONHCO | NH | 4-I-Ph |
| 2-401 | 4 | CONHCO | NH | 2-NO ₂ -Ph |
| 2-402 | 4 | CONHCO | NH | 4-NO ₂ -Ph |
| 2-403 | 4 | CONHCO | NH | 2-NH ₂ -Ph |
| 2-404 | 4 | CONHCO | NH | 4-NH ₂ -Ph |
| 2-405 | 4 | CONHCO | NH | 2-(HO ₃ S)Ph |
| 2-406 | 4 | CONHCO | NH | 4-(HO ₃ S)Ph |
| 2-407 | 4 | CONHCO | NH | 2-(NH ₂ O ₂ S)Ph |
| 2-408 | 4 | CONHCO | NH | 4-(NH ₂ O ₂ S)Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|--------|----|---|
| 2-409 | 4 | CONHCO | NH | 2-CN-Ph |
| 2-410 | 4 | CONHCO | NH | 4-CN-Ph |
| 2-411 | 4 | CONHCO | NH | 2-(HOCH ₂)Ph |
| 2-412 | 4 | CONHCO | NH | 4-(HOCH ₂)Ph |
| 2-413 | 4 | CONHCO | NH | Me |
| 2-414 | 4 | CONHCO | NH | Et |
| 2-415 | 4 | CONHCO | NH | Pr |
| 2-416 | 4 | CONHCO | NH | <i>i</i> Pr |
| 2-417 | 4 | CONHCO | NH | Bu |
| 2-418 | 4 | CONHCO | NH | HOOCCH ₂ - |
| 2-419 | 4 | CONHCO | NH | MeOOCCH ₂ - |
| 2-420 | 4 | CONHCO | NH | MeCH(COOH) |
| 2-421 | 4 | CONHCO | NH | HOOC-(CH ₂) ₂ - |
| 2-422 | 4 | CONHCO | NH | MeCH(COOMe) |
| 2-423 | 4 | CONHCO | NH | 1-HOOC- <i>i</i> Bu |
| 2-424 | 4 | CONHCO | NH | 1-MeOOC- <i>i</i> Bu |
| 2-425 | 4 | CONHCO | NH | 1-HOOC- <i>i</i> Pn |
| 2-426 | 4 | CONHCO | NH | 1-MeOOC- <i>i</i> Pn |
| 2-427 | 4 | CONHCO | NH | 1-HOOC-2-Me-Bu |
| 2-428 | 4 | CONHCO | NH | 1-MeOOC-2-Me-Bu |
| 2-429 | 4 | CONHCO | NH | CH ₂ CH ₂ SO ₃ H |
| 2-430 | 4 | CONHCO | NH | HO |
| 2-431 | 4 | CONHCO | NH | MeO |
| 2-432 | 4 | CONHCO | NH | EtO |
| 2-433 | 4 | CONHCO | NH | PrO |
| 2-434 | 4 | CONHCO | NH | <i>i</i> PrO |
| 2-435 | 4 | CONHCO | NH | BuO |
| 2-436 | 4 | CONHCO | NH | <i>i</i> BuO |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|----|------------------------|
| 2-437 | 4 | CONHCO | NH | <i>s</i> BuO |
| 2-438 | 4 | CONHCO | NH | <i>t</i> BuO |
| 2-439 | 4 | CONHCO | NH | HxO |
| 2-440 | 4 | CONHCO | NH | PhO |
| 2-441 | 4 | CONHCO | NH | BnO |
| 2-442 | 4 | CONHCO | NH | Z-1 |
| 2-443 | 4 | CONHCO | NH | Z-2 |
| 2-444 | 4 | CONHCO | NH | Z-3 |
| 2-445 | 4 | CONHCO | NH | Z-4 |
| 2-446 | 4 | CONHCO | NH | Z-5 |
| 2-447 | 4 | CONHCO | NH | Z-6 |
| 2-448 | 4 | CONHCO | NH | Z-7 |
| 2-449 | 4 | CONHCO | NH | Z-8 |
| 2-450 | 4 | CONHCO | NH | Z-9 |
| 2-451 | 4 | CONHCO | NH | Z-10 |
| 2-452 | 4 | CONHCO | NH | Z-11 |
| 2-453 | 4 | CONHCO | NH | Z-12 |
| 2-454 | 4 | CONHCO | NH | 3-Py |
| 2-455 | 4 | CONHCO | NH | 4-Py |
| 2-456 | 4 | CONHSO ₂ | — | H |
| 2-457 | 4 | CONHSO ₂ | — | Ph |
| 2-458 | 4 | CONHSO ₂ | — | 2-Me-Ph |
| 2-459 | 4 | CONHSO ₂ | — | 4-Me-Ph |
| 2-460 | 4 | CONHSO ₂ | — | 2,4-diMe-Ph |
| 2-461 | 4 | CONHSO ₂ | — | 3,4-diMe-Ph |
| 2-462 | 4 | CONHSO ₂ | — | 2-(CF ₃)Ph |
| 2-463 | 4 | CONHSO ₂ | — | 4-(CF ₃)Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|---|-------------------------|
| 2-464 | 4 | CONHSO ₂ | — | 2-MeOPh |
| 2-465 | 4 | CONHSO ₂ | — | 4-MeOPh |
| 2-466 | 4 | CONHSO ₂ | — | 2-EtOPh |
| 2-467 | 4 | CONHSO ₂ | — | 4-EtOPh |
| 2-468 | 4 | CONHSO ₂ | — | 2-HOPh |
| 2-469 | 4 | CONHSO ₂ | — | 4-HOPh |
| 2-470 | 4 | CONHSO ₂ | — | 2-(HOOC)Ph |
| 2-471 | 4 | CONHSO ₂ | — | 4-(HOOC)Ph |
| 2-472 | 4 | CONHSO ₂ | — | 2-(MeOOC)Ph |
| 2-473 | 4 | CONHSO ₂ | — | 4-(MeOOC)Ph |
| 2-474 | 4 | CONHSO ₂ | — | 2-(EtOOC)Ph |
| 2-475 | 4 | CONHSO ₂ | — | 4-(EtOOC)Ph |
| 2-476 | 4 | CONHSO ₂ | — | 2-(<i>t</i> BuOOC)Ph |
| 2-477 | 4 | CONHSO ₂ | — | 4-(<i>t</i> BuOOC)Ph |
| 2-478 | 4 | CONHSO ₂ | — | 2-Cl-Ph |
| 2-479 | 4 | CONHSO ₂ | — | 4-Cl-Ph |
| 2-480 | 4 | CONHSO ₂ | — | 2-Br-Ph |
| 2-481 | 4 | CONHSO ₂ | — | 4-Br-Ph |
| 2-482 | 4 | CONHSO ₂ | — | 2-I-Ph |
| 2-483 | 4 | CONHSO ₂ | — | 4-I-Ph |
| 2-484 | 4 | CONHSO ₂ | — | 2-NO ₂ -Ph |
| 2-485 | 4 | CONHSO ₂ | — | 4-NO ₂ -Ph |
| 2-486 | 4 | CONHSO ₂ | — | 2-NH ₂ -Ph |
| 2-487 | 4 | CONHSO ₂ | — | 4-NH ₂ -Ph |
| 2-488 | 4 | CONHSO ₂ | — | 2-(HO ₃ S)Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|---|---|
| 2-489 | 4 | CONHSO ₂ | — | 4-(HO ₃ S)Ph |
| 2-490 | 4 | CONHSO ₂ | — | 2-(NH ₂ O ₂ S)Ph |
| 2-491 | 4 | CONHSO ₂ | — | 4-(NH ₂ O ₂ S)Ph |
| 2-492 | 4 | CONHSO ₂ | — | 2-CN-Ph |
| 2-493 | 4 | CONHSO ₂ | — | 4-CN-Ph |
| 2-494 | 4 | CONHSO ₂ | — | 2-(HOCH ₂)Ph |
| 2-495 | 4 | CONHSO ₂ | — | 4-(HOCH ₂)Ph |
| 2-496 | 4 | CONHSO ₂ | — | Me |
| 2-497 | 4 | CONHSO ₂ | — | Et |
| 2-498 | 4 | CONHSO ₂ | — | Pr |
| 2-499 | 4 | CONHSO ₂ | — | <i>i</i> Pr |
| 2-500 | 4 | CONHSO ₂ | — | Bu |
| 2-501 | 4 | CONHSO ₂ | — | HOOCCH ₂ - |
| 2-502 | 4 | CONHSO ₂ | — | MeOOCCH ₂ - |
| 2-503 | 4 | CONHSO ₂ | — | MeCH(COOH) |
| 2-504 | 4 | CONHSO ₂ | — | HOOC-(CH ₂) ₂ - |
| 2-505 | 4 | CONHSO ₂ | — | MeCH(COOMe) |
| 2-506 | 4 | CONHSO ₂ | — | 1-HOOC- <i>i</i> Bu |
| 2-507 | 4 | CONHSO ₂ | — | 1-MeOOC- <i>i</i> Bu |
| 2-508 | 4 | CONHSO ₂ | — | 1-HOOC- <i>i</i> Pn |
| 2-509 | 4 | CONHSO ₂ | — | 1-MeOOC- <i>i</i> Pn |
| 2-510 | 4 | CONHSO ₂ | — | 1-HOOC-2-Me-Bu |
| 2-511 | 4 | CONHSO ₂ | — | 1-MeOOC-2-Me-Bu |
| 2-512 | 4 | CONHSO ₂ | — | CH ₂ CH ₂ SO ₃ H |
| 2-513 | 4 | CONHSO ₂ | — | OH |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|---|----------------|
| 2-514 | 4 | CONHSO ₂ | — | MeO |
| 2-515 | 4 | CONHSO ₂ | — | EtO |
| 2-516 | 4 | CONHSO ₂ | — | PrO |
| 2-517 | 4 | CONHSO ₂ | — | <i>i</i> PrO |
| 2-518 | 4 | CONHSO ₂ | — | BuO |
| 2-519 | 4 | CONHSO ₂ | — | <i>i</i> BuO |
| 2-520 | 4 | CONHSO ₂ | — | <i>s</i> BuO |
| 2-521 | 4 | CONHSO ₂ | — | <i>t</i> BuO |
| 2-522 | 4 | CONHSO ₂ | — | HxO |
| 2-523 | 4 | CONHSO ₂ | — | PhO |
| 2-524 | 4 | CONHSO ₂ | — | BnO |
| 2-525 | 4 | CONHSO ₂ | — | Z-1 |
| 2-526 | 4 | CONHSO ₂ | — | Z-2 |
| 2-527 | 4 | CONHSO ₂ | — | Z-3 |
| 2-528 | 4 | CONHSO ₂ | — | Z-4 |
| 2-529 | 4 | CONHSO ₂ | — | Z-5 |
| 2-530 | 4 | CONHSO ₂ | — | Z-6 |
| 2-531 | 4 | CONHSO ₂ | — | Z-7 |
| 2-532 | 4 | CONHSO ₂ | — | Z-8 |
| 2-533 | 4 | CONHSO ₂ | — | Z-9 |
| 2-534 | 4 | CONHSO ₂ | — | Z-10 |
| 2-535 | 4 | CONHSO ₂ | — | Z-11 |
| 2-536 | 4 | CONHSO ₂ | — | Z-12 |
| 2-537 | 4 | CONHSO ₂ | — | 3-Py |
| 2-538 | 4 | CONHSO ₂ | — | 4-Py |

Table 2 (cont.)

| Cpd.
No. | k | A | B | R ¹ |
|-------------|---|---------------------|----|------------------------|
| 2-539 | 4 | CONHSO ₂ | NH | H |
| 2-540 | 4 | CONHSO ₂ | NH | Ph |
| 2-541 | 4 | CONHSO ₂ | NH | 2-Me-Ph |
| 2-542 | 4 | CONHSO ₂ | NH | 4-Me-Ph |
| 2-543 | 4 | CONHSO ₂ | NH | 2,4-diMe-Ph |
| 2-544 | 4 | CONHSO ₂ | NH | 3,4-diMe-Ph |
| 2-545 | 4 | CONHSO ₂ | NH | 2-(CF ₃)Ph |
| 2-546 | 4 | CONHSO ₂ | NH | 4-(CF ₃)Ph |
| 2-547 | 4 | CONHSO ₂ | NH | 2-MeOPh |
| 2-548 | 4 | CONHSO ₂ | NH | 4-MeOPh |
| 2-549 | 4 | CONHSO ₂ | NH | 2-EtOPh |
| 2-550 | 4 | CONHSO ₂ | NH | 4-EtOPh |
| 2-551 | 4 | CONHSO ₂ | NH | 2-HOPh |
| 2-552 | 4 | CONHSO ₂ | NH | 4-HOPh |
| 2-553 | 4 | CONHSO ₂ | NH | 2-(HOOC)Ph |
| 2-554 | 4 | CONHSO ₂ | NH | 4-(HOOC)Ph |
| 2-555 | 4 | CONHSO ₂ | NH | 2-(MeOOC)Ph |
| 2-556 | 4 | CONHSO ₂ | NH | 4-(MeOOC)Ph |
| 2-557 | 4 | CONHSO ₂ | NH | 2-(EtOOC)Ph |
| 2-558 | 4 | CONHSO ₂ | NH | 4-(EtOOC)Ph |
| 2-559 | 4 | CONHSO ₂ | NH | 2-(<i>t</i> BuOOC)Ph |
| 2-560 | 4 | CONHSO ₂ | NH | 4-(<i>t</i> BuOOC)Ph |
| 2-561 | 4 | CONHSO ₂ | NH | 2-Cl-Ph |
| 2-562 | 4 | CONHSO ₂ | NH | 4-Cl-Ph |
| 2-563 | 4 | CONHSO ₂ | NH | 2-Br-Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|----|--|
| 2-564 | 4 | CONHSO ₂ | NH | 4-Br-Ph |
| 2-565 | 4 | CONHSO ₂ | NH | 2-I-Ph |
| 2-566 | 4 | CONHSO ₂ | NH | 4-I-Ph |
| 2-567 | 4 | CONHSO ₂ | NH | 2-NO ₂ -Ph |
| 2-568 | 4 | CONHSO ₂ | NH | 4-NO ₂ -Ph |
| 2-569 | 4 | CONHSO ₂ | NH | 2-NH ₂ -Ph |
| 2-570 | 4 | CONHSO ₂ | NH | 4-NH ₂ -Ph |
| 2-571 | 4 | CONHSO ₂ | NH | 2-(HO ₃ S)Ph |
| 2-572 | 4 | CONHSO ₂ | NH | 4-(HO ₃ S)Ph |
| 2-573 | 4 | CONHSO ₂ | NH | 2-(NH ₂ O ₂ S)Ph |
| 2-574 | 4 | CONHSO ₂ | NH | 4-(NH ₂ O ₂ S)Ph |
| 2-575 | 4 | CONHSO ₂ | NH | 2-CN-Ph |
| 2-576 | 4 | CONHSO ₂ | NH | 4-CN-Ph |
| 2-577 | 4 | CONHSO ₂ | NH | 2-(HOCH ₂)Ph |
| 2-578 | 4 | CONHSO ₂ | NH | 4-(HOCH ₂)Ph |
| 2-579 | 4 | CONHSO ₂ | NH | Me |
| 2-580 | 4 | CONHSO ₂ | NH | Et |
| 2-581 | 4 | CONHSO ₂ | NH | Pr |
| 2-582 | 4 | CONHSO ₂ | NH | iPr |
| 2-583 | 4 | CONHSO ₂ | NH | Bu |
| 2-584 | 4 | CONHSO ₂ | NH | HOOCCH ₂ - |
| 2-585 | 4 | CONHSO ₂ | NH | MeOOCCH ₂ - |
| 2-586 | 4 | CONHSO ₂ | NH | MeCH(COOH) |
| 2-587 | 4 | CONHSO ₂ | NH | HOOC-(CH ₂) ₂ - |
| 2-588 | 4 | CONHSO ₂ | NH | MeCH(COOMe) |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|----|---|
| 2-589 | 4 | CONHSO ₂ | NH | 1-HOOC- <i>i</i> Bu |
| 2-590 | 4 | CONHSO ₂ | NH | 1-MeOOC- <i>i</i> Bu |
| 2-591 | 4 | CONHSO ₂ | NH | 1-HOOC- <i>i</i> Pn |
| 2-592 | 4 | CONHSO ₂ | NH | 1-MeOOC- <i>i</i> Pn |
| 2-593 | 4 | CONHSO ₂ | NH | 1-HOOC-2-Me-Bu |
| 2-594 | 4 | CONHSO ₂ | NH | 1-MeOOC-2-Me-Bu |
| 2-595 | 4 | CONHSO ₂ | NH | CH ₂ CH ₂ SO ₃ H |
| 2-596 | 4 | CONHSO ₂ | NH | OH |
| 2-597 | 4 | CONHSO ₂ | NH | MeO |
| 2-598 | 4 | CONHSO ₂ | NH | EtO |
| 2-599 | 4 | CONHSO ₂ | NH | PrO |
| 2-600 | 4 | CONHSO ₂ | NH | <i>i</i> PrO |
| 2-601 | 4 | CONHSO ₂ | NH | BuO |
| 2-602 | 4 | CONHSO ₂ | NH | <i>i</i> BuO |
| 2-603 | 4 | CONHSO ₂ | NH | <i>s</i> BuO |
| 2-604 | 4 | CONHSO ₂ | NH | <i>t</i> BuO |
| 2-605 | 4 | CONHSO ₂ | NH | HxO |
| 2-606 | 4 | CONHSO ₂ | NH | PhO |
| 2-607 | 4 | CONHSO ₂ | NH | BnO |
| 2-608 | 4 | CONHSO ₂ | NH | Z-1 |
| 2-609 | 4 | CONHSO ₂ | NH | Z-2 |
| 2-610 | 4 | CONHSO ₂ | NH | Z-3 |
| 2-611 | 4 | CONHSO ₂ | NH | Z-4 |
| 2-612 | 4 | CONHSO ₂ | NH | Z-5 |
| 2-613 | 4 | CONHSO ₂ | NH | Z-6 |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|----|------------------------|
| 2-614 | 4 | CONHSO ₂ | NH | Z-7 |
| 2-615 | 4 | CONHSO ₂ | NH | Z-8 |
| 2-616 | 4 | CONHSO ₂ | NH | Z-9 |
| 2-617 | 4 | CONHSO ₂ | NH | Z-10 |
| 2-618 | 4 | CONHSO ₂ | NH | Z-11 |
| 2-619 | 4 | CONHSO ₂ | NH | Z-12 |
| 2-620 | 4 | CONHSO ₂ | NH | 3-Py |
| 2-621 | 4 | CONHSO ₂ | NH | 4-Py |
| 2-622 | 4 | NHCO | — | H |
| 2-623 | 4 | NHCO | — | Ph |
| 2-624 | 4 | NHCO | — | 2-Me-Ph |
| 2-625 | 4 | NHCO | — | 4-Me-Ph |
| 2-626 | 4 | NHCO | — | 2,4-diMe-Ph |
| 2-627 | 4 | NHCO | — | 3,4-diMe-Ph |
| 2-628 | 4 | NHCO | — | 2-(CF ₃)Ph |
| 2-629 | 4 | NHCO | — | 4-(CF ₃)Ph |
| 2-630 | 4 | NHCO | — | 2-MeOPh |
| 2-631 | 4 | NHCO | — | 4-MeOPh |
| 2-632 | 4 | NHCO | — | 2-EtOPh |
| 2-633 | 4 | NHCO | — | 4-EtOPh |
| 2-634 | 4 | NHCO | — | 2-HOPh |
| 2-635 | 4 | NHCO | — | 4-HOPh |
| 2-636 | 4 | NHCO | — | 2-(HOOC)Ph |
| 2-637 | 4 | NHCO | — | 4-(HOOC)Ph |
| 2-638 | 4 | NHCO | — | 2-(MeOOC)Ph |
| 2-639 | 4 | NHCO | — | 4-(MeOOC)Ph |
| 2-640 | 4 | NHCO | — | 2-(EtOOC)Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|---|--|
| 2-641 | 4 | NHCO | — | 4-(EtOOC)Ph |
| 2-642 | 4 | NHCO | — | 2-(<i>t</i> BuOOC)Ph |
| 2-643 | 4 | NHCO | — | 4-(<i>t</i> BuOOC)Ph |
| 2-644 | 4 | NHCO | — | 2-Cl-Ph |
| 2-645 | 4 | NHCO | — | 4-Cl-Ph |
| 2-646 | 4 | NHCO | — | 2-Br-Ph |
| 2-647 | 4 | NHCO | — | 4-Br-Ph |
| 2-648 | 4 | NHCO | — | 2-I-Ph |
| 2-649 | 4 | NHCO | — | 4-I-Ph |
| 2-650 | 4 | NHCO | — | 2-NO ₂ -Ph |
| 2-651 | 4 | NHCO | — | 4-NO ₂ -Ph |
| 2-652 | 4 | NHCO | — | 2-NH ₂ -Ph |
| 2-653 | 4 | NHCO | — | 4-NH ₂ -Ph |
| 2-654 | 4 | NHCO | — | 2-(HO ₃ S)Ph |
| 2-655 | 4 | NHCO | — | 4-(HO ₃ S)Ph |
| 2-656 | 4 | NHCO | — | 2-(NH ₂ O ₂ S)Ph |
| 2-657 | 4 | NHCO | — | 4-(NH ₂ O ₂ S)Ph |
| 2-658 | 4 | NHCO | — | 2-CN-Ph |
| 2-659 | 4 | NHCO | — | 4-CN-Ph |
| 2-660 | 4 | NHCO | — | 2-(HOCH ₂)Ph |
| 2-661 | 4 | NHCO | — | 4-(HOCH ₂)Ph |
| 2-662 | 4 | NHCO | — | Me |
| 2-663 | 4 | NHCO | — | Et |
| 2-664 | 4 | NHCO | — | Pr |
| 2-665 | 4 | NHCO | — | <i>i</i> Pr |
| 2-666 | 4 | NHCO | — | Bu |
| 2-667 | 4 | NHCO | — | HOOCCH ₂ - |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|----|---|
| 2-668 | 4 | NHCO | — | MeOOCCH ₂ - |
| 2-669 | 4 | NHCO | — | MeCH(COOH) |
| 2-670 | 4 | NHCO | — | HOOC-(CH ₂) ₂ - |
| 2-671 | 4 | NHCO | — | MeCH(COOMe) |
| 2-672 | 4 | NHCO | — | 1-HOOC- <i>i</i> Bu |
| 2-673 | 4 | NHCO | — | 1-HOOC- <i>i</i> Pn |
| 2-674 | 4 | NHCO | — | 1-HOOC-2-Me-Bu |
| 2-675 | 4 | NHCO | — | CH ₂ CH ₂ SO ₃ H |
| 2-676 | 4 | NHCO | — | MeO |
| 2-677 | 4 | NHCO | — | EtO |
| 2-678 | 4 | NHCO | — | PrO |
| 2-679 | 4 | NHCO | — | Z-1 |
| 2-680 | 4 | NHCO | — | Z-2 |
| 2-681 | 4 | NHCO | — | Z-3 |
| 2-682 | 4 | NHCO | — | Z-4 |
| 2-683 | 4 | NHCO | — | Z-5 |
| 2-684 | 4 | NHCO | — | Z-6 |
| 2-685 | 4 | NHCO | — | Z-7 |
| 2-686 | 4 | NHCO | — | Z-8 |
| 2-687 | 4 | NHCO | — | Z-9 |
| 2-688 | 4 | NHCO | — | Z-10 |
| 2-689 | 4 | NHCO | — | Z-11 |
| 2-690 | 4 | NHCO | — | Z-12 |
| 2-691 | 4 | NHCO | — | 3-Py |
| 2-692 | 4 | NHCO | — | 4-Py |
| 2-693 | 4 | NHCO | NH | H |
| 2-694 | 4 | NHCO | NH | Ph |
| 2-695 | 4 | NHCO | NH | 2-Me-Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|----|------------------------|
| 2-696 | 4 | NHCO | NH | 4-Me-Ph |
| 2-697 | 4 | NHCO | NH | 2,4-diMe-Ph |
| 2-698 | 4 | NHCO | NH | 3,4-diMe-Ph |
| 2-699 | 4 | NHCO | NH | 2-(CF ₃)Ph |
| 2-700 | 4 | NHCO | NH | 4-(CF ₃)Ph |
| 2-701 | 4 | NHCO | NH | 2-MeOPh |
| 2-702 | 4 | NHCO | NH | 4-MeOPh |
| 2-703 | 4 | NHCO | NH | 2-EtOPh |
| 2-704 | 4 | NHCO | NH | 4-EtOPh |
| 2-705 | 4 | NHCO | NH | 2-HOPh |
| 2-706 | 4 | NHCO | NH | 4-HOPh |
| 2-707 | 4 | NHCO | NH | 2-(HOOC)Ph |
| 2-708 | 4 | NHCO | NH | 4-(HOOC)Ph |
| 2-709 | 4 | NHCO | NH | 2-(MeOOC)Ph |
| 2-710 | 4 | NHCO | NH | 4-(MeOOC)Ph |
| 2-711 | 4 | NHCO | NH | 2-(EtOOC)Ph |
| 2-712 | 4 | NHCO | NH | 4-(EtOOC)Ph |
| 2-713 | 4 | NHCO | NH | 2-(<i>t</i> BuOOC)Ph |
| 2-714 | 4 | NHCO | NH | 4-(<i>t</i> BuOOC)Ph |
| 2-715 | 4 | NHCO | NH | 2-Cl-Ph |
| 2-716 | 4 | NHCO | NH | 4-Cl-Ph |
| 2-717 | 4 | NHCO | NH | 2-Br-Ph |
| 2-718 | 4 | NHCO | NH | 4-Br-Ph |
| 2-719 | 4 | NHCO | NH | 2-I-Ph |
| 2-720 | 4 | NHCO | NH | 4-I-Ph |
| 2-721 | 4 | NHCO | NH | 2-NO ₂ -Ph |
| 2-722 | 4 | NHCO | NH | 4-NO ₂ -Ph |
| 2-723 | 4 | NHCO | NH | 2-NH ₂ -Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|----|---|
| 2-724 | 4 | NHCO | NH | 4-NH ₂ -Ph |
| 2-725 | 4 | NHCO | NH | 2-(HO ₃ S)Ph |
| 2-726 | 4 | NHCO | NH | 4-(HO ₃ S)Ph |
| 2-727 | 4 | NHCO | NH | 2-(NH ₂ O ₂ S)Ph |
| 2-728 | 4 | NHCO | NH | 4-(NH ₂ O ₂ S)Ph |
| 2-729 | 4 | NHCO | NH | 2-CN-Ph |
| 2-730 | 4 | NHCO | NH | 4-CN-Ph |
| 2-731 | 4 | NHCO | NH | 2-(HOCH ₂)Ph |
| 2-732 | 4 | NHCO | NH | 4-(HOCH ₂)Ph |
| 2-733 | 4 | NHCO | NH | Me |
| 2-734 | 4 | NHCO | NH | Et |
| 2-735 | 4 | NHCO | NH | Pr |
| 2-736 | 4 | NHCO | NH | <i>i</i> Pr |
| 2-737 | 4 | NHCO | NH | Bu |
| 2-738 | 4 | NHCO | NH | HOOCCH ₂ - |
| 2-739 | 4 | NHCO | NH | MeOOCCH ₂ - |
| 2-740 | 4 | NHCO | NH | MeCH(COOH) |
| 2-741 | 4 | NHCO | NH | HOOC-(CH ₂) ₂ - |
| 2-742 | 4 | NHCO | NH | MeCH(COOMe) |
| 2-743 | 4 | NHCO | NH | 1-HOOC- <i>i</i> Bu |
| 2-744 | 4 | NHCO | NH | 1-MeOOC- <i>i</i> Bu |
| 2-745 | 4 | NHCO | NH | 1-HOOC- <i>i</i> Pn |
| 2-746 | 4 | NHCO | NH | 1-MeOOC- <i>i</i> Pn |
| 2-747 | 4 | NHCO | NH | 1-HOOC-2-Me-Bu |
| 2-748 | 4 | NHCO | NH | 1-MeOOC-2-Me-Bu |
| 2-749 | 4 | NHCO | NH | CH ₂ CH ₂ SO ₃ H |
| 2-750 | 4 | NHCO | NH | OH |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|-----|----------------|
| 2-751 | 4 | NHCO | NH | MeO |
| 2-752 | 4 | NHCO | NH | EtO |
| 2-753 | 4 | NHCO | NH | PrO |
| 2-754 | 4 | NHCO | NH | <i>i</i> PrO |
| 2-755 | 4 | NHCO | NH | BuO |
| 2-756 | 4 | NHCO | NH | <i>t</i> BuO |
| 2-757 | 4 | NHCO | NH | <i>s</i> BuO |
| 2-758 | 4 | NHCO | NH | <i>t</i> BuO |
| 2-759 | 4 | NHCO | NH | HxO |
| 2-760 | 4 | NHCO | NH | PhO |
| 2-761 | 4 | NHCO | NH | BnO |
| 2-762 | 4 | NHCO | NH | Z-1 |
| 2-763 | 4 | NHCO | NH | Z-2 |
| 2-764 | 4 | NHCO | NH | Z-3 |
| 2-765 | 4 | NHCO | NH | Z-4 |
| 2-766 | 4 | NHCO | NH | Z-5 |
| 2-767 | 4 | NHCO | NH | Z-6 |
| 2-768 | 4 | NHCO | NH | Z-7 |
| 2-769 | 4 | NHCO | NH | Z-8 |
| 2-770 | 4 | NHCO | NH | Z-9 |
| 2-771 | 4 | NHCO | NH | Z-10 |
| 2-772 | 4 | NHCO | NH | Z-11 |
| 2-773 | 4 | NHCO | NH | Z-12 |
| 2-774 | 4 | NHCO | NH | 3-Py |
| 2-775 | 4 | NHCO | NH | 4-Py |
| 2-776 | 4 | NHCO | NMe | Ph |
| 2-777 | 4 | NHCO | NMe | 2-Me-Ph |
| 2-778 | 4 | NHCO | NMe | 4-Me-Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|-----|------------------------|
| 2-779 | 4 | NHCO | NMe | 2,4-diMe-Ph |
| 2-780 | 4 | NHCO | NMe | 3,4-diMe-Ph |
| 2-781 | 4 | NHCO | NMe | 2-(CF ₃)Ph |
| 2-782 | 4 | NHCO | NMe | 4-(CF ₃)Ph |
| 2-783 | 4 | NHCO | NMe | 2-MeOPh |
| 2-784 | 4 | NHCO | NMe | 4-MeOPh |
| 2-785 | 4 | NHCO | NMe | 2-EtOPh |
| 2-786 | 4 | NHCO | NMe | 4-EtOPh |
| 2-787 | 4 | NHCO | NMe | 2-HOPh |
| 2-788 | 4 | NHCO | NMe | 4-HOPh |
| 2-789 | 4 | NHCO | NMe | 2-(HOOC)Ph |
| 2-790 | 4 | NHCO | NMe | 4-(HOOC)Ph |
| 2-791 | 4 | NHCO | NMe | 2-(MeOOC)Ph |
| 2-792 | 4 | NHCO | NMe | 4-(MeOOC)Ph |
| 2-793 | 4 | NHCO | NMe | 2-(EtOOC)Ph |
| 2-794 | 4 | NHCO | NMe | 4-(EtOOC)Ph |
| 2-795 | 4 | NHCO | NMe | 2-(<i>t</i> BuOOC)Ph |
| 2-796 | 4 | NHCO | NMe | 4-(<i>t</i> BuOOC)Ph |
| 2-797 | 4 | NHCO | NMe | 2-Cl-Ph |
| 2-798 | 4 | NHCO | NMe | 4-Cl-Ph |
| 2-799 | 4 | NHCO | NMe | 2-Br-Ph |
| 2-800 | 4 | NHCO | NMe | 4-Br-Ph |
| 2-801 | 4 | NHCO | NMe | 2-I-Ph |
| 2-802 | 4 | NHCO | NMe | 4-I-Ph |
| 2-803 | 4 | NHCO | NMe | 2-NO ₂ -Ph |
| 2-804 | 4 | NHCO | NMe | 4-NO ₂ -Ph |
| 2-805 | 4 | NHCO | NMe | 2-NH ₂ -Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|-----|---|
| 2-806 | 4 | NHCO | NMe | 4-NH ₂ -Ph |
| 2-807 | 4 | NHCO | NMe | 2-(HO ₃ S)Ph |
| 2-808 | 4 | NHCO | NMe | 4-(HO ₃ S)Ph |
| 2-809 | 4 | NHCO | NMe | 2-(NH ₂ O ₂ S)Ph |
| 2-810 | 4 | NHCO | NMe | 4-(NH ₂ O ₂ S)Ph |
| 2-811 | 4 | NHCO | NMe | 2-CN-Ph |
| 2-812 | 4 | NHCO | NMe | 4-CN-Ph |
| 2-813 | 4 | NHCO | NMe | 2-(HOCH ₂)Ph |
| 2-814 | 4 | NHCO | NMe | 4-(HOCH ₂)Ph |
| 2-815 | 4 | NHCO | NMe | Me |
| 2-816 | 4 | NHCO | NMe | Et |
| 2-817 | 4 | NHCO | NMe | Pr |
| 2-818 | 4 | NHCO | NMe | iPr |
| 2-819 | 4 | NHCO | NMe | Bu |
| 2-820 | 4 | NHCO | NMe | HOOCCH ₂ - |
| 2-821 | 4 | NHCO | NMe | MeOOCCH ₂ - |
| 2-822 | 4 | NHCO | NMe | MeCH(COOH) |
| 2-823 | 4 | NHCO | NMe | HOOC-(CH ₂) ₂ - |
| 2-824 | 4 | NHCO | NMe | MeCH(COOMe) |
| 2-825 | 4 | NHCO | NMe | 1-HOOC-iBu |
| 2-826 | 4 | NHCO | NMe | 1-MeOOC-iBu |
| 2-827 | 4 | NHCO | NMe | 1-HOOC-iPn |
| 2-828 | 4 | NHCO | NMe | 1-MeOOC-iPn |
| 2-829 | 4 | NHCO | NMe | 1-HOOC-2-Me-Bu |
| 2-830 | 4 | NHCO | NMe | 1-MeOOC-2-Me-Bu |
| 2-831 | 4 | NHCO | NMe | CH ₂ CH ₂ SO ₃ H |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|------|----------------|
| 2-832 | 4 | NHCO | NMe | OH |
| 2-833 | 4 | NHCO | NMe | MeO |
| 2-834 | 4 | NHCO | NMe | EtO |
| 2-835 | 4 | NHCO | NMe | PrO |
| 2-836 | 4 | NHCO | NMe | <i>i</i> PrO |
| 2-837 | 4 | NHCO | NMe | BuO |
| 2-838 | 4 | NHCO | NMe | <i>i</i> BuO |
| 2-839 | 4 | NHCO | NMe | <i>s</i> BuO |
| 2-840 | 4 | NHCO | NMe | <i>t</i> BuO |
| 2-841 | 4 | NHCO | NMe | HxO |
| 2-842 | 4 | NHCO | NMe | PhO |
| 2-843 | 4 | NHCO | NMe | BnO |
| 2-844 | 4 | NHCO | NMe | Z-1 |
| 2-845 | 4 | NHCO | NMe | Z-2 |
| 2-846 | 4 | NHCO | NMe | Z-3 |
| 2-847 | 4 | NHCO | NMe | Z-4 |
| 2-848 | 4 | NHCO | NMe | Z-5 |
| 2-849 | 4 | NHCO | NMe | Z-6 |
| 2-850 | 4 | NHCO | NMe | Z-7 |
| 2-851 | 4 | NHCO | NMe | Z-8 |
| 2-852 | 4 | NHCO | NMe | Z-9 |
| 2-853 | 4 | NHCO | NMe | Z-10 |
| 2-854 | 4 | NHCO | NMe | Z-11 |
| 2-855 | 4 | NHCO | NMe | Z-12 |
| 2-856 | 4 | NHCO | NMe | 3-Py |
| 2-857 | 4 | NHCO | NMe | 4-Py |
| 2-858 | 4 | NHCO | NHNH | H |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------------|-------|------------------------|
| 2-859 | 4 | NHCO | NHNH | Me |
| 2-860 | 4 | NHCO | NHNH | Et |
| 2-861 | 4 | NHCO | NHNMe | Me |
| 2-862 | 4 | NHCO | NHNMe | Et |
| 2-863 | 4 | NHCO | NHNMe | Pr |
| 2-864 | 4 | NHCONHNHCO | NH | H |
| 2-865 | 4 | NHCONHNHCO | NH | Ph |
| 2-866 | 4 | NHCONHNHCO | NH | 2-Me-Ph |
| 2-867 | 4 | NHCONHNHCO | NH | 4-Me-Ph |
| 2-868 | 4 | NHCONHNHCO | NH | 2,4-diMe-Ph |
| 2-869 | 4 | NHCONHNHCO | NH | 3,4-diMe-Ph |
| 2-870 | 4 | NHCONHNHCO | NH | 2-(CF ₃)Ph |
| 2-871 | 4 | NHCONHNHCO | NH | 4-(CF ₃)Ph |
| 2-872 | 4 | NHCONHNHCO | NH | 2-MeOPh |
| 2-873 | 4 | NHCONHNHCO | NH | 4-MeOPh |
| 2-874 | 4 | NHCONHNHCO | NH | 2-EtOPh |
| 2-875 | 4 | NHCONHNHCO | NH | 4-EtOPh |
| 2-876 | 4 | NHCONHNHCO | NH | 2-HOPh |
| 2-877 | 4 | NHCONHNHCO | NH | 4-HOPh |
| 2-878 | 4 | NHCONHNHCO | NH | 2-(HOOC)Ph |
| 2-879 | 4 | NHCONHNHCO | NH | 4-(HOOC)Ph |
| 2-880 | 4 | NHCONHNHCO | NH | 2-(MeOOC)Ph |
| 2-881 | 4 | NHCONHNHCO | NH | 4-(MeOOC)Ph |
| 2-882 | 4 | NHCONHNHCO | NH | 2-(EtOOC)Ph |
| 2-883 | 4 | NHCONHNHCO | NH | 4-(EtOOC)Ph |
| 2-884 | 4 | NHCONHNHCO | NH | 2-(<i>t</i> BuOOC)Ph |
| 2-885 | 4 | NHCONHNHCO | NH | 4-(<i>t</i> BuOOC)Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------------|----|--|
| 2-886 | 4 | NHCONHNHCO | NH | 2-Cl-Ph |
| 2-887 | 4 | NHCONHNHCO | NH | 4-Cl-Ph |
| 2-888 | 4 | NHCONHNHCO | NH | 2-Br-Ph |
| 2-889 | 4 | NHCONHNHCO | NH | 4-Br-Ph |
| 2-890 | 4 | NHCONHNHCO | NH | 2-I-Ph |
| 2-891 | 4 | NHCONHNHCO | NH | 4-I-Ph |
| 2-892 | 4 | NHCONHNHCO | NH | 2-NO ₂ -Ph |
| 2-893 | 4 | NHCONHNHCO | NH | 4-NO ₂ -Ph |
| 2-894 | 4 | NHCONHNHCO | NH | 2-NH ₂ -Ph |
| 2-895 | 4 | NHCONHNHCO | NH | 4-NH ₂ -Ph |
| 2-896 | 4 | NHCONHNHCO | NH | 2-(HO ₃ S)Ph |
| 2-897 | 4 | NHCONHNHCO | NH | 4-(HO ₃ S)Ph |
| 2-898 | 4 | NHCONHNHCO | NH | 2-(NH ₂ O ₂ S)Ph |
| 2-899 | 4 | NHCONHNHCO | NH | 4-(NH ₂ O ₂ S)Ph |
| 2-900 | 4 | NHCONHNHCO | NH | 2-CN-Ph |
| 2-901 | 4 | NHCONHNHCO | NH | 4-CN-Ph |
| 2-902 | 4 | NHCONHNHCO | NH | 2-(HOCH ₂)Ph |
| 2-903 | 4 | NHCONHNHCO | NH | 4-(HOCH ₂)Ph |
| 2-904 | 4 | NHCONHNHCO | NH | Me |
| 2-905 | 4 | NHCONHNHCO | NH | Et |
| 2-906 | 4 | NHCONHNHCO | NH | Pr |
| 2-907 | 4 | NHCONHNHCO | NH | iPr |
| 2-908 | 4 | NHCONHNHCO | NH | Bu |
| 2-909 | 4 | NHCONHNHCO | NH | HOOCCH ₂ - |
| 2-910 | 4 | NHCONHNHCO | NH | MeOOCCH ₂ - |
| 2-911 | 4 | NHCONHNHCO | NH | MeCH(COOH) |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------------|----|---|
| 2-912 | 4 | NHCONHNHCO | NH | HOOC-(CH ₂) ₂ - |
| 2-913 | 4 | NHCONHNHCO | NH | MeCH(COOMe) |
| 2-914 | 4 | NHCONHNHCO | NH | 1-HOOC- <i>i</i> Bu |
| 2-915 | 4 | NHCONHNHCO | NH | 1-MeOOC- <i>i</i> Bu |
| 2-916 | 4 | NHCONHNHCO | NH | 1-HOOC- <i>i</i> Pn |
| 2-917 | 4 | NHCONHNHCO | NH | 1-MeOOC- <i>i</i> Pn |
| 2-918 | 4 | NHCONHNHCO | NH | 1-HOOC-2-Me-Bu |
| 2-919 | 4 | NHCONHNHCO | NH | 1-MeOOC-2-Me-Bu |
| 2-920 | 4 | NHCONHNHCO | NH | CH ₂ CH ₂ SO ₃ H |
| 2-921 | 4 | NHCONHNHCO | NH | OH |
| 2-922 | 4 | NHCONHNHCO | NH | MeO |
| 2-923 | 4 | NHCONHNHCO | NH | EtO |
| 2-924 | 4 | NHCONHNHCO | NH | PrO |
| 2-925 | 4 | NHCONHNHCO | NH | <i>i</i> PrO |
| 2-926 | 4 | NHCONHNHCO | NH | BuO |
| 2-927 | 4 | NHCONHNHCO | NH | <i>i</i> BuO |
| 2-928 | 4 | NHCONHNHCO | NH | <i>s</i> BuO |
| 2-929 | 4 | NHCONHNHCO | NH | <i>t</i> BuO |
| 2-930 | 4 | NHCONHNHCO | NH | HxO |
| 2-931 | 4 | NHCONHNHCO | NH | PhO |
| 2-932 | 4 | NHCONHNHCO | NH | BnO |
| 2-933 | 4 | NHCONHNHCO | NH | Z-1 |
| 2-934 | 4 | NHCONHNHCO | NH | Z-2 |
| 2-935 | 4 | NHCONHNHCO | NH | Z-3 |
| 2-936 | 4 | NHCONHNHCO | NH | Z-4 |
| 2-937 | 4 | NHCONHNHCO | NH | Z-5 |
| 2-938 | 4 | NHCONHNHCO | NH | Z-6 |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------------|----|------------------------|
| 2-939 | 4 | NHCONHNHCO | NH | Z-7 |
| 2-940 | 4 | NHCONHNHCO | NH | Z-8 |
| 2-941 | 4 | NHCONHNHCO | NH | Z-9 |
| 2-942 | 4 | NHCONHNHCO | NH | Z-10 |
| 2-943 | 4 | NHCONHNHCO | NH | Z-11 |
| 2-944 | 4 | NHCONHNHCO | NH | Z-12 |
| 2-945 | 4 | NHCONHNHCO | NH | 3-Py |
| 2-946 | 4 | NHCONHNHCO | NH | 4-Py |
| 2-947 | 4 | NHCONHCO | — | H |
| 2-948 | 4 | NHCONHCO | — | Ph |
| 2-949 | 4 | NHCONHCO | — | 2-Me-Ph |
| 2-950 | 4 | NHCONHCO | — | 4-Me-Ph |
| 2-951 | 4 | NHCONHCO | — | 2,4-diMe-Ph |
| 2-952 | 4 | NHCONHCO | — | 3,4-diMe-Ph |
| 2-953 | 4 | NHCONHCO | — | 2-(CF ₃)Ph |
| 2-954 | 4 | NHCONHCO | — | 4-(CF ₃)Ph |
| 2-955 | 4 | NHCONHCO | — | 2-MeOPh |
| 2-956 | 4 | NHCONHCO | — | 4-MeOPh |
| 2-957 | 4 | NHCONHCO | — | 2-EtOPh |
| 2-958 | 4 | NHCONHCO | — | 4-EtOPh |
| 2-959 | 4 | NHCONHCO | — | 2-HOPh |
| 2-960 | 4 | NHCONHCO | — | 4-HOPh |
| 2-961 | 4 | NHCONHCO | — | 2-(HOOC)Ph |
| 2-962 | 4 | NHCONHCO | — | 4-(HOOC)Ph |
| 2-963 | 4 | NHCONHCO | — | 2-(MeOOC)Ph |
| 2-964 | 4 | NHCONHCO | — | 4-(MeOOC)Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----------|---|--|
| 2-965 | 4 | NHCONHCO | — | 2-(EtOOC)Ph |
| 2-966 | 4 | NHCONHCO | — | 4-(EtOOC)Ph |
| 2-967 | 4 | NHCONHCO | — | 2-(<i>t</i> BuOOC)Ph |
| 2-968 | 4 | NHCONHCO | — | 4-(<i>t</i> BuOOC)Ph |
| 2-969 | 4 | NHCONHCO | — | 2-Cl-Ph |
| 2-970 | 4 | NHCONHCO | — | 4-Cl-Ph |
| 2-971 | 4 | NHCONHCO | — | 2-Br-Ph |
| 2-972 | 4 | NHCONHCO | — | 4-Br-Ph |
| 2-973 | 4 | NHCONHCO | — | 2-I-Ph |
| 2-974 | 4 | NHCONHCO | — | 4-I-Ph |
| 2-975 | 4 | NHCONHCO | — | 2-NO ₂ -Ph |
| 2-976 | 4 | NHCONHCO | — | 4-NO ₂ -Ph |
| 2-977 | 4 | NHCONHCO | — | 2-NH ₂ -Ph |
| 2-978 | 4 | NHCONHCO | — | 4-NH ₂ -Ph |
| 2-979 | 4 | NHCONHCO | — | 2-(HO ₃ S)Ph |
| 2-980 | 4 | NHCONHCO | — | 4-(HO ₃ S)Ph |
| 2-981 | 4 | NHCONHCO | — | 2-(NH ₂ O ₂ S)Ph |
| 2-982 | 4 | NHCONHCO | — | 4-(NH ₂ O ₂ S)Ph |
| 2-983 | 4 | NHCONHCO | — | 2-CN-Ph |
| 2-984 | 4 | NHCONHCO | — | 4-CN-Ph |
| 2-985 | 4 | NHCONHCO | — | 2-(HOCH ₂)Ph |
| 2-986 | 4 | NHCONHCO | — | 4-(HOCH ₂)Ph |
| 2-987 | 4 | NHCONHCO | — | Me |
| 2-988 | 4 | NHCONHCO | — | Et |
| 2-989 | 4 | NHCONHCO | — | Pr |
| 2-990 | 4 | NHCONHCO | — | <i>i</i> Pr |
| 2-991 | 4 | NHCONHCO | — | Bu |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----------|---|---|
| 2-992 | 4 | NHCONHCO | — | HOOCCH ₂ - |
| 2-993 | 4 | NHCONHCO | — | MeOOCCH ₂ - |
| 2-994 | 4 | NHCONHCO | — | MeCH(COOH) |
| 2-995 | 4 | NHCONHCO | — | HOOC-(CH ₂) ₂ - |
| 2-996 | 4 | NHCONHCO | — | MeCH(COOMe) |
| 2-997 | 4 | NHCONHCO | — | 1-HOOC- <i>i</i> Bu |
| 2-998 | 4 | NHCONHCO | — | 1-MeOOC- <i>i</i> Bu |
| 2-999 | 4 | NHCONHCO | — | 1-HOOC- <i>i</i> Pn |
| 2-1000 | 4 | NHCONHCO | — | 1-MeOOC- <i>i</i> Pn |
| 2-1001 | 4 | NHCONHCO | — | 1-HOOC-2-Me-Bu |
| 2-1002 | 4 | NHCONHCO | — | 1-MeOOC-2-Me-Bu |
| 2-1003 | 4 | NHCONHCO | — | CH ₂ CH ₂ SO ₃ H |
| 2-1004 | 4 | NHCONHCO | — | MeO |
| 2-1005 | 4 | NHCONHCO | — | EtO |
| 2-1006 | 4 | NHCONHCO | — | PrO |
| 2-1007 | 4 | NHCONHCO | — | <i>i</i> PrO |
| 2-1008 | 4 | NHCONHCO | — | BuO |
| 2-1009 | 4 | NHCONHCO | — | <i>i</i> BuO |
| 2-1010 | 4 | NHCONHCO | — | <i>s</i> BuO |
| 2-1011 | 4 | NHCONHCO | — | <i>t</i> BuO |
| 2-1012 | 4 | NHCONHCO | — | HxO |
| 2-1013 | 4 | NHCONHCO | — | PhO |
| 2-1014 | 4 | NHCONHCO | — | BnO |
| 2-1015 | 4 | NHCONHCO | — | Z-1 |
| 2-1016 | 4 | NHCONHCO | — | Z-2 |
| 2-1017 | 4 | NHCONHCO | — | Z-3 |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------------------|---|------------------------|
| 2-1018 | 4 | NHCONHCO | — | Z-4 |
| 2-1019 | 4 | NHCONHCO | — | Z-5 |
| 2-1020 | 4 | NHCONHCO | — | Z-6 |
| 2-1021 | 4 | NHCONHCO | — | Z-7 |
| 2-1022 | 4 | NHCONHCO | — | Z-8 |
| 2-1023 | 4 | NHCONHCO | — | Z-9 |
| 2-1024 | 4 | NHCONHCO | — | Z-10 |
| 2-1025 | 4 | NHCONHCO | — | Z-11 |
| 2-1026 | 4 | NHCONHCO | — | Z-12 |
| 2-1027 | 4 | NHCONHCO | — | 3-Py |
| 2-1028 | 4 | NHCONHCO | — | 4-Py |
| 2-1029 | 4 | NHCONHSO ₂ | — | H |
| 2-1030 | 4 | NHCONHSO ₂ | — | Ph |
| 2-1031 | 4 | NHCONHSO ₂ | — | 2-Me-Ph |
| 2-1032 | 4 | NHCONHSO ₂ | — | 4-Me-Ph |
| 2-1033 | 4 | NHCONHSO ₂ | — | 2,4-diMe-Ph |
| 2-1034 | 4 | NHCONHSO ₂ | — | 3,4-diMe-Ph |
| 2-1035 | 4 | NHCONHSO ₂ | — | 2-(CF ₃)Ph |
| 2-1036 | 4 | NHCONHSO ₂ | — | 4-(CF ₃)Ph |
| 2-1037 | 4 | NHCONHSO ₂ | — | 2-MeOPh |
| 2-1038 | 4 | NHCONHSO ₂ | — | 4-MeOPh |
| 2-1039 | 4 | NHCONHSO ₂ | — | 2-EtOPh |
| 2-1040 | 4 | NHCONHSO ₂ | — | 4-EtOPh |
| 2-1041 | 4 | NHCONHSO ₂ | — | 2-HOPh |
| 2-1042 | 4 | NHCONHSO ₂ | — | 4-HOPh |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------------------|---|--|
| 2-1043 | 4 | NHCONHSO ₂ | — | 2-(HOOC)Ph |
| 2-1044 | 4 | NHCONHSO ₂ | — | 4-(HOOC)Ph |
| 2-1045 | 4 | NHCONHSO ₂ | — | 2-(MeOOC)Ph |
| 2-1046 | 4 | NHCONHSO ₂ | — | 4-(MeOOC)Ph |
| 2-1047 | 4 | NHCONHSO ₂ | — | 2-(EtOOC)Ph |
| 2-1048 | 4 | NHCONHSO ₂ | — | 4-(EtOOC)Ph |
| 2-1049 | 4 | NHCONHSO ₂ | — | 2-(<i>i</i> BuOOC)Ph |
| 2-1050 | 4 | NHCONHSO ₂ | — | 4-(<i>i</i> BuOOC)Ph |
| 2-1051 | 4 | NHCONHSO ₂ | — | 2-Cl-Ph |
| 2-1052 | 4 | NHCONHSO ₂ | — | 4-Cl-Ph |
| 2-1053 | 4 | NHCONHSO ₂ | — | 2-Br-Ph |
| 2-1054 | 4 | NHCONHSO ₂ | — | 4-Br-Ph |
| 2-1055 | 4 | NHCONHSO ₂ | — | 2-I-Ph |
| 2-1056 | 4 | NHCONHSO ₂ | — | 4-I-Ph |
| 2-1057 | 4 | NHCONHSO ₂ | — | 2-NO ₂ -Ph |
| 2-1058 | 4 | NHCONHSO ₂ | — | 4-NO ₂ -Ph |
| 2-1059 | 4 | NHCONHSO ₂ | — | 2-NH ₂ -Ph |
| 2-1060 | 4 | NHCONHSO ₂ | — | 4-NH ₂ -Ph |
| 2-1061 | 4 | NHCONHSO ₂ | — | 2-(HO ₃ S)Ph |
| 2-1062 | 4 | NHCONHSO ₂ | — | 4-(HO ₃ S)Ph |
| 2-1063 | 4 | NHCONHSO ₂ | — | 2-(NH ₂ O ₂ S)Ph |
| 2-1064 | 4 | NHCONHSO ₂ | — | 4-(NH ₂ O ₂ S)Ph |
| 2-1065 | 4 | NHCONHSO ₂ | — | 2-CN-Ph |
| 2-1066 | 4 | NHCONHSO ₂ | — | 4-CN-Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------------------|---|---|
| 2-1067 | 4 | NHCONHSO ₂ | — | 2-(HOCH ₂)Ph |
| 2-1068 | 4 | NHCONHSO ₂ | — | 4-(HOCH ₂)Ph |
| 2-1069 | 4 | NHCONHSO ₂ | — | Me |
| 2-1070 | 4 | NHCONHSO ₂ | — | Et |
| 2-1071 | 4 | NHCONHSO ₂ | — | Pr |
| 2-1072 | 4 | NHCONHSO ₂ | — | <i>i</i> Pr |
| 2-1073 | 4 | NHCONHSO ₂ | — | Bu |
| 2-1074 | 4 | NHCONHSO ₂ | — | HOOCCH ₂ - |
| 2-1075 | 4 | NHCONHSO ₂ | — | MeOOCCH ₂ - |
| 2-1076 | 4 | NHCONHSO ₂ | — | MeCH(COOH) |
| 2-1077 | 4 | NHCONHSO ₂ | — | HOOC-(CH ₂) ₂ - |
| 2-1078 | 4 | NHCONHSO ₂ | — | MeCH(COOMe) |
| 2-1079 | 4 | NHCONHSO ₂ | — | 1-HOOC- <i>i</i> Bu |
| 2-1080 | 4 | NHCONHSO ₂ | — | 1-MeOOC- <i>i</i> Bu |
| 2-1081 | 4 | NHCONHSO ₂ | — | 1-HOOC- <i>i</i> Pn |
| 2-1082 | 4 | NHCONHSO ₂ | — | 1-MeOOC- <i>i</i> Pn |
| 2-1083 | 4 | NHCONHSO ₂ | — | 1-HOOC-2-Me-Bu |
| 2-1084 | 4 | NHCONHSO ₂ | — | 1-MeOOC-2-Me-Bu |
| 2-1085 | 4 | NHCONHSO ₂ | — | CH ₂ CH ₂ SO ₃ H |
| 2-1086 | 4 | NHCONHSO ₂ | — | OH |
| 2-1087 | 4 | NHCONHSO ₂ | — | MeO |
| 2-1088 | 4 | NHCONHSO ₂ | — | EtO |
| 2-1089 | 4 | NHCONHSO ₂ | — | PrO |
| 2-1090 | 4 | NHCONHSO ₂ | — | <i>i</i> PrO |

Table 2 (cont.)

| Cpd.
No. | k | A | B | R ¹ |
|-------------|---|-----------------------|----|----------------|
| 2-1091 | 4 | NHCONHSO ₂ | — | BuO |
| 2-1092 | 4 | NHCONHSO ₂ | — | <i>i</i> BuO |
| 2-1093 | 4 | NHCONHSO ₂ | — | <i>s</i> BuO |
| 2-1094 | 4 | NHCONHSO ₂ | — | <i>t</i> BuO |
| 2-1095 | 4 | NHCONHSO ₂ | — | HxO |
| 2-1096 | 4 | NHCONHSO ₂ | — | PhO |
| 2-1097 | 4 | NHCONHSO ₂ | — | BnO |
| 2-1098 | 4 | NHCONHSO ₂ | — | Z-1 |
| 2-1099 | 4 | NHCONHSO ₂ | — | Z-2 |
| 2-1100 | 4 | NHCONHSO ₂ | — | Z-3 |
| 2-1101 | 4 | NHCONHSO ₂ | — | Z-4 |
| 2-1102 | 4 | NHCONHSO ₂ | — | Z-5 |
| 2-1103 | 4 | NHCONHSO ₂ | — | Z-6 |
| 2-1104 | 4 | NHCONHSO ₂ | — | Z-7 |
| 2-1105 | 4 | NHCONHSO ₂ | — | Z-8 |
| 2-1106 | 4 | NHCONHSO ₂ | — | Z-9 |
| 2-1107 | 4 | NHCONHSO ₂ | — | Z-10 |
| 2-1108 | 4 | NHCONHSO ₂ | — | Z-11 |
| 2-1109 | 4 | NHCONHSO ₂ | — | Z-12 |
| 2-1110 | 4 | NHCONHSO ₂ | — | 3-Py |
| 2-1111 | 4 | NHCONHSO ₂ | — | 4-Py |
| 2-1112 | 4 | NHCONHSO ₂ | NH | H |
| 2-1113 | 4 | NHCONHSO ₂ | NH | Me |
| 2-1114 | 4 | NHCONHSO ₂ | NH | Et |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------------------|---------|----------------|
| 2-1115 | 4 | NHCONHSO ₂ | NH | Pr |
| 2-1116 | 4 | NHCONHSO ₂ | NH | <i>i</i> Pr |
| 2-1117 | 4 | NHCONHSO ₂ | NH | Bu |
| 2-1118 | 4 | NHCONHSO ₂ | NMe | Me |
| 2-1119 | 4 | NHCONHSO ₂ | NMe | Et |
| 2-1120 | 4 | NHCONHSO ₂ | NMe | Pr |
| 2-1121 | 4 | NHCONHSO ₂ | NMe | <i>i</i> Pr |
| 2-1122 | 4 | NHCONHSO ₂ | NMe | Bu |
| 2-1123 | 4 | — | NH | H |
| 2-1124 | 4 | — | NH | Me |
| 2-1125 | 4 | — | NH | Et |
| 2-1126 | 4 | — | NH | Pr |
| 2-1127 | 4 | — | NH | <i>i</i> Pr |
| 2-1128 | 4 | — | NH | Bu |
| 2-1129 | 4 | CO | Pyr | |
| 2-1130 | 4 | CO | Pipri | |
| 2-1131 | 4 | CO | Pipra | |
| 2-1132 | 4 | CO | Mor | |
| 2-1133 | 4 | CO | Thmor | |
| 2-1134 | 4 | CO | NHPyr | |
| 2-1135 | 4 | CO | NHPipri | |
| 2-1136 | 4 | CO | NHPipra | |
| 2-1137 | 4 | CO | NHMor | |
| 2-1138 | 4 | CO | NHThmor | |
| 2-1139 | 4 | NHCO | Pyr | |
| 2-1140 | 4 | NHCO | Pipri | |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|---|----------------|
| 2-1141 | 4 | NHCO | | Pipra |
| 2-1142 | 4 | NHCO | | Mor |
| 2-1143 | 4 | NHCO | | Thmor |
| 2-1144 | 4 | NHCO | | NHPyr |
| 2-1145 | 4 | NHCO | | NHPipri |
| 2-1146 | 4 | NHCO | | NHPipra |
| 2-1147 | 4 | NHCO | | NHMor |
| 2-1148 | 4 | NHCO | | NHThmor |
| 2-1149 | 4 | CONHCO | | Pyr |
| 2-1150 | 4 | CONHCO | | Pipri |
| 2-1151 | 4 | CONHCO | | Pipra |
| 2-1152 | 4 | CONHCO | | Mor |
| 2-1153 | 4 | CONHCO | | Thmor |
| 2-1154 | 4 | CONHCO | | NHPyr |
| 2-1155 | 4 | CONHCO | | NHPipri |
| 2-1156 | 4 | CONHCO | | NHPipra |
| 2-1157 | 4 | CONHCO | | NHMor |
| 2-1158 | 4 | CONHCO | | NHThmor |
| 2-1159 | 4 | CONHSO ₂ | | Pyr |
| 2-1160 | 4 | CONHSO ₂ | | Pipri |
| 2-1161 | 4 | CONHSO ₂ | | Pipra |
| 2-1162 | 4 | CONHSO ₂ | | Mor |
| 2-1163 | 4 | CONHSO ₂ | | Thmor |
| 2-1164 | 4 | CONHSO ₂ | | NHPyr |
| 2-1165 | 4 | CONHSO ₂ | | NHPipri |
| 2-1166 | 4 | CONHSO ₂ | | NHPipra |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|---------|------------------------|
| 2-1167 | 4 | CONHSO ₂ | NHMor | |
| 2-1168 | 4 | CONHSO ₂ | NHThmor | |
| 2-1169 | 4 | NHSO ₂ | NH | Z-4 |
| 2-1170 | 4 | NHSO ₂ | — | Me |
| 2-1171 | 4 | NHSO ₂ | — | Et |
| 2-1172 | 4 | NHSO ₂ | — | Pr |
| 2-1173 | 4 | NHSO ₂ | — | CH ₂ -Cl |
| 2-1174 | 4 | NHSO ₂ | — | Ph |
| 2-1175 | 4 | NHSO ₂ | — | 4-Me-Ph |
| 2-1176 | 4 | CO | NMe | Ph |
| 2-1177 | 4 | CO | NMe | 2-Me-Ph |
| 2-1178 | 4 | CO | NMe | 4-Me-Ph |
| 2-1179 | 4 | CO | NMe | 2,4-diMe-Ph |
| 2-1180 | 4 | CO | NMe | 3,4-diMe-Ph |
| 2-1181 | 4 | CO | NMe | 2-(CF ₃)Ph |
| 2-1182 | 4 | CO | NMe | 4-(CF ₃)Ph |
| 2-1183 | 4 | CO | NMe | 2-MeOPh |
| 2-1184 | 4 | CO | NMe | 4-MeOPh |
| 2-1185 | 4 | CO | NMe | 2-EtOPh |
| 2-1186 | 4 | CO | NMe | 4-EtOPh |
| 2-1187 | 4 | CO | NMe | 2-HOPh |
| 2-1188 | 4 | CO | NMe | 4-HOPh |
| 2-1189 | 4 | CO | NMe | 2-(HOOC)Ph |
| 2-1190 | 4 | CO | NMe | 4-(HOOC)Ph |
| 2-1191 | 4 | CO | NMe | 2-(MeOOC)Ph |
| 2-1192 | 4 | CO | NMe | 4-(MeOOC)Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----|-----|--|
| 2-1193 | 4 | CO | NMe | 2-(EtOOC)Ph |
| 2-1194 | 4 | CO | NMe | 4-(EtOOC)Ph |
| 2-1195 | 4 | CO | NMe | 2-(<i>t</i> BuOOC)Ph |
| 2-1196 | 4 | CO | NMe | 4-(<i>t</i> BuOOC)Ph |
| 2-1197 | 4 | CO | NMe | 2-Cl-Ph |
| 2-1198 | 4 | CO | NMe | 4-Cl-Ph |
| 2-1199 | 4 | CO | NMe | 2-Br-Ph |
| 2-1200 | 4 | CO | NMe | 4-Br-Ph |
| 2-1201 | 4 | CO | NMe | 2-I-Ph |
| 2-1202 | 4 | CO | NMe | 4-I-Ph |
| 2-1203 | 4 | CO | NMe | 2-NO ₂ -Ph |
| 2-1204 | 4 | CO | NMe | 4-NO ₂ -Ph |
| 2-1205 | 4 | CO | NMe | 2-NH ₂ -Ph |
| 2-1206 | 4 | CO | NMe | 4-NH ₂ -Ph |
| 2-1207 | 4 | CO | NMe | 2-(HO ₃ S)Ph |
| 2-1208 | 4 | CO | NMe | 4-(HO ₃ S)Ph |
| 2-1209 | 4 | CO | NMe | 2-(NH ₂ O ₂ S)Ph |
| 2-1210 | 4 | CO | NMe | 4-(NH ₂ O ₂ S)Ph |
| 2-1211 | 4 | CO | NMe | 2-CN-Ph |
| 2-1212 | 4 | CO | NMe | 4-CN-Ph |
| 2-1213 | 4 | CO | NMe | 2-(HOCH ₂)Ph |
| 2-1214 | 4 | CO | NMe | 4-(HOCH ₂)Ph |
| 2-1215 | 4 | CO | NMe | Me |
| 2-1216 | 4 | CO | NMe | Et |
| 2-1217 | 4 | CO | NMe | Pr |
| 2-1218 | 4 | CO | NMe | <i>i</i> Pr |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----|-----|---|
| 2-1219 | 4 | CO | NMe | Bu |
| 2-1220 | 4 | CO | NMe | HOOCCH ₂ - |
| 2-1221 | 4 | CO | NMe | MeOOCCH ₂ - |
| 2-1222 | 4 | CO | NMe | MeCH(COOH) |
| 2-1223 | 4 | CO | NMe | HOOC-(CH ₂) ₂ - |
| 2-1224 | 4 | CO | NMe | MeCH(COOMe) |
| 2-1225 | 4 | CO | NMe | 1-HOOC- <i>i</i> Bu |
| 2-1226 | 4 | CO | NMe | 1-MeOOC- <i>i</i> Bu |
| 2-1227 | 4 | CO | NMe | 1-HOOC- <i>i</i> Pn |
| 2-1228 | 4 | CO | NMe | 1-MeOOC- <i>i</i> Pn |
| 2-1229 | 4 | CO | NMe | 1-HOOC-2-Me-Bu |
| 2-1230 | 4 | CO | NMe | 1-MeOOC-2-Me-Bu |
| 2-1231 | 4 | CO | NMe | CH ₂ CH ₂ SO ₃ H |
| 2-1232 | 4 | CO | NMe | OH |
| 2-1233 | 4 | CO | NMe | MeO |
| 2-1234 | 4 | CO | NMe | EtO |
| 2-1235 | 4 | CO | NMe | PrO |
| 2-1236 | 4 | CO | NMe | <i>i</i> PrO |
| 2-1237 | 4 | CO | NMe | BuO |
| 2-1238 | 4 | CO | NMe | <i>i</i> BuO |
| 2-1239 | 4 | CO | NMe | <i>s</i> BuO |
| 2-1240 | 4 | CO | NMe | <i>t</i> BuO |
| 2-1241 | 4 | CO | NMe | HxO |
| 2-1242 | 4 | CO | NMe | PhO |
| 2-1243 | 4 | CO | NMe | BnO |
| 2-1244 | 4 | CO | NMe | Z-1 |
| 2-1245 | 4 | CO | NMe | Z-2 |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|---------|------------------------|
| 2-1246 | 4 | CO | NMe | Z-3 |
| 2-1247 | 4 | CO | NMe | Z-4 |
| 2-1248 | 4 | CO | NMe | Z-5 |
| 2-1249 | 4 | CO | NMe | Z-6 |
| 2-1250 | 4 | CO | NMe | Z-7 |
| 2-1251 | 4 | CO | NMe | Z-8 |
| 2-1252 | 4 | CO | NMe | Z-9 |
| 2-1253 | 4 | CO | NMe | Z-10 |
| 2-1254 | 4 | CO | NMe | Z-11 |
| 2-1255 | 4 | CO | NMe | Z-12 |
| 2-1256 | 4 | CO | NMe | 3-Py |
| 2-1257 | 4 | CO | NMe | 4-Py |
| 2-1258 | 4 | CO | Thiad | |
| 2-1259 | 4 | CO | NHThiad | |
| 2-1260 | 4 | NHCO | Thiad | |
| 2-1261 | 4 | NHCO | NHThiad | |
| 2-1262 | 4 | CONHCO | Thiad | |
| 2-1263 | 4 | CONHCO | NHThiad | |
| 2-1264 | 4 | CONHSO ₂ | Thiad | |
| 2-1265 | 4 | CONHSO ₂ | NHThiad | |
| 2-1266 | 4 | NHCS | NH | H |
| 2-1267 | 4 | NHCS | NH | Me |
| 2-1268 | 4 | NHCS | NH | Et |
| 2-1269 | 4 | NHCS | NH | Ph |
| 2-1270 | 4 | NHCS | NH | HOOCCH ₂ - |
| 2-1271 | 4 | NHCS | NH | MeOOCCH ₂ - |
| 2-1272 | 4 | NHCS | NH | MeCH(COOH) |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|--------|----|--|
| 2-1273 | 4 | NHCS | NH | HOOC-(CH ₂) ₂ - |
| 2-1274 | 4 | NHCS | NH | MeCH(COOMe) |
| 2-1275 | 4 | CO | NH | HOOC-(CH ₂) ₃ - |
| 2-1276 | 4 | NHCO | NH | HOOC-(CH ₂) ₃ - |
| 2-1277 | 4 | NHCO | — | HOOC-(CH ₂) ₃ - |
| 2-1278 | 4 | NHCS | NH | HOOC-(CH ₂) ₃ - |
| 2-1279 | 4 | CO | NH | MeSO ₂ NHCOCH(Me) |
| 2-1280 | 4 | NHCO | NH | MeSO ₂ NHCOCH(Me) |
| 2-1281 | 4 | NHCO | — | MeSO ₂ NHCOCH(Me) |
| 2-1282 | 4 | NHCS | NH | MeSO ₂ NHCOCH(Me) |
| 2-1283 | 4 | — | NH | HOOCCH ₂ - |
| 2-1284 | 4 | — | NH | MeOOCCH ₂ - |
| 2-1285 | 4 | — | NH | MeCH(COOH) |
| 2-1286 | 4 | — | NH | HOOC-(CH ₂) ₂ - |
| 2-1287 | 4 | — | NH | MeCH(COOMe) |
| 2-1288 | 4 | — | NH | HOOC-(CH ₂) ₃ - |
| 2-1289 | 4 | NHCOCO | — | OH |
| 2-1290 | 4 | NHCOCO | — | MeO |
| 2-1291 | 4 | NHCOCO | — | EtO |
| 2-1292 | 4 | NHCOCO | — | PrO |
| 2-1293 | 4 | NHCOCO | — | <i>i</i> PrO |
| 2-1294 | 4 | NHCOCO | — | BuO |
| 2-1295 | 4 | NHCOCO | — | <i>i</i> BuO |
| 2-1296 | 4 | NHCOCO | — | <i>s</i> BuO |
| 2-1297 | 4 | NHCOCO | — | <i>t</i> BuO |
| 2-1298 | 4 | NHCOCO | — | HxO |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|--------|----|------------------------|
| 2-1299 | 4 | NHCOCO | — | PhO |
| 2-1300 | 4 | NHCOCO | — | BnO |
| 2-1301 | 5 | CO | NH | H |
| 2-1302 | 5 | CO | NH | Ph |
| 2-1303 | 5 | CO | NH | 2-Me-Ph |
| 2-1304 | 5 | CO | NH | 4-Me-Ph |
| 2-1305 | 5 | CO | NH | 2,4-diMe-Ph |
| 2-1306 | 5 | CO | NH | 3,4-diMe-Ph |
| 2-1307 | 5 | CO | NH | 2-(CF ₃)Ph |
| 2-1308 | 5 | CO | NH | 4-(CF ₃)Ph |
| 2-1309 | 5 | CO | NH | 2-MeOPh |
| 2-1310 | 5 | CO | NH | 4-MeOPh |
| 2-1311 | 5 | CO | NH | 2-EtOPh |
| 2-1312 | 5 | CO | NH | 4-EtOPh |
| 2-1313 | 5 | CO | NH | 2-HOPh |
| 2-1314 | 5 | CO | NH | 4-HOPh |
| 2-1315 | 5 | CO | NH | 2-(HOOC)Ph |
| 2-1316 | 5 | CO | NH | 4-(HOOC)Ph |
| 2-1317 | 5 | CO | NH | 2-(MeOOC)Ph |
| 2-1318 | 5 | CO | NH | 4-(MeOOC)Ph |
| 2-1319 | 5 | CO | NH | 2-(EtOOC)Ph |
| 2-1320 | 5 | CO | NH | 4-(EtOOC)Ph |
| 2-1321 | 5 | CO | NH | 2-(<i>t</i> BuOOC)Ph |
| 2-1322 | 5 | CO | NH | 4-(<i>t</i> BuOOC)Ph |
| 2-1323 | 5 | CO | NH | 2-Cl-Ph |
| 2-1324 | 5 | CO | NH | 4-Cl-Ph |
| 2-1325 | 5 | CO | NH | 2-Br-Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----|----|--|
| 2-1326 | 5 | CO | NH | 4-Br-Ph |
| 2-1327 | 5 | CO | NH | 2-I-Ph |
| 2-1328 | 5 | CO | NH | 4-I-Ph |
| 2-1329 | 5 | CO | NH | 2-NO ₂ -Ph |
| 2-1330 | 5 | CO | NH | 4-NO ₂ -Ph |
| 2-1331 | 5 | CO | NH | 2-NH ₂ -Ph |
| 2-1332 | 5 | CO | NH | 4-NH ₂ -Ph |
| 2-1333 | 5 | CO | NH | 2-(HO ₃ S)Ph |
| 2-1334 | 5 | CO | NH | 4-(HO ₃ S)Ph |
| 2-1335 | 5 | CO | NH | 2-(NH ₂ O ₂ S)Ph |
| 2-1336 | 5 | CO | NH | 4-(NH ₂ O ₂ S)Ph |
| 2-1337 | 5 | CO | NH | 2-CN-Ph |
| 2-1338 | 5 | CO | NH | 4-CN-Ph |
| 2-1339 | 5 | CO | NH | 2-(HOCH ₂)Ph |
| 2-1340 | 5 | CO | NH | 4-(HOCH ₂)Ph |
| 2-1341 | 5 | CO | NH | Me |
| 2-1342 | 5 | CO | NH | Et |
| 2-1343 | 5 | CO | NH | Pr |
| 2-1344 | 5 | CO | NH | <i>i</i> Pr |
| 2-1345 | 5 | CO | NH | Bu |
| 2-1346 | 5 | CO | NH | HOOCCH ₂ - |
| 2-1347 | 5 | CO | NH | MeOOCCH ₂ - |
| 2-1348 | 5 | CO | NH | MeCH(COOH) |
| 2-1349 | 5 | CO | NH | HOOC-(CH ₂) ₂ - |
| 2-1350 | 5 | CO | NH | MeCH(COOMe) |
| 2-1351 | 5 | CO | NH | 1-HOOC- <i>i</i> Bu |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----|----|---|
| 2-1352 | 5 | CO | NH | 1-MeOOC- <i>i</i> Bu |
| 2-1353 | 5 | CO | NH | 1-HOOC- <i>i</i> Pn |
| 2-1354 | 5 | CO | NH | 1-MeOOC- <i>i</i> Pn |
| 2-1355 | 5 | CO | NH | 1-HOOC-2-Me-Bu |
| 2-1356 | 5 | CO | NH | 1-MeOOC-2-Me-Bu |
| 2-1357 | 5 | CO | NH | CH ₂ CH ₂ SO ₃ H |
| 2-1358 | 5 | CO | NH | OH |
| 2-1359 | 5 | CO | NH | MeO |
| 2-1360 | 5 | CO | NH | EtO |
| 2-1361 | 5 | CO | NH | PrO |
| 2-1362 | 5 | CO | NH | <i>i</i> PrO |
| 2-1363 | 5 | CO | NH | BuO |
| 2-1364 | 5 | CO | NH | <i>i</i> BuO |
| 2-1365 | 5 | CO | NH | <i>s</i> BuO |
| 2-1366 | 5 | CO | NH | <i>t</i> BuO |
| 2-1367 | 5 | CO | NH | HxO |
| 2-1368 | 5 | CO | NH | PhO |
| 2-1369 | 5 | CO | NH | BnO |
| 2-1370 | 5 | CO | NH | Z-1 |
| 2-1371 | 5 | CO | NH | Z-2 |
| 2-1372 | 5 | CO | NH | Z-3 |
| 2-1373 | 5 | CO | NH | Z-4 |
| 2-1374 | 5 | CO | NH | Z-5 |
| 2-1375 | 5 | CO | NH | Z-6 |
| 2-1376 | 5 | CO | NH | Z-7 |
| 2-1377 | 5 | CO | NH | Z-8 |
| 2-1378 | 5 | CO | NH | Z-9 |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----|-------|------------------------|
| 2-1379 | 5 | CO | NH | Z-10 |
| 2-1380 | 5 | CO | NH | Z-11 |
| 2-1381 | 5 | CO | NH | Z-12 |
| 2-1382 | 5 | CO | NH | 3-Py |
| 2-1383 | 5 | CO | NH | 4-Py |
| 2-1384 | 5 | CO | N(Ac) | H |
| 2-1385 | 5 | CO | N(Ac) | Ph |
| 2-1386 | 5 | CO | N(Ac) | 2-Me-Ph |
| 2-1387 | 5 | CO | N(Ac) | 4-Me-Ph |
| 2-1388 | 5 | CO | N(Ac) | 2,4-diMe-Ph |
| 2-1389 | 5 | CO | N(Ac) | 3,4-diMe-Ph |
| 2-1390 | 5 | CO | N(Ac) | 2-(CF ₃)Ph |
| 2-1391 | 5 | CO | N(Ac) | 4-(CF ₃)Ph |
| 2-1392 | 5 | CO | N(Ac) | 2-MeOPh |
| 2-1393 | 5 | CO | N(Ac) | 4-MeOPh |
| 2-1394 | 5 | CO | N(Ac) | 2-EtOPh |
| 2-1395 | 5 | CO | N(Ac) | 4-EtOPh |
| 2-1396 | 5 | CO | N(Ac) | 2-HOPh |
| 2-1397 | 5 | CO | N(Ac) | 4-HOPh |
| 2-1398 | 5 | CO | N(Ac) | 2-(HOOC)Ph |
| 2-1399 | 5 | CO | N(Ac) | 4-(HOOC)Ph |
| 2-1400 | 5 | CO | N(Ac) | 2-(MeOOC)Ph |
| 2-1401 | 5 | CO | N(Ac) | 4-(MeOOC)Ph |
| 2-1402 | 5 | CO | N(Ac) | 2-(EtOOC)Ph |
| 2-1403 | 5 | CO | N(Ac) | 4-(EtOOC)Ph |
| 2-1404 | 5 | CO | N(Ac) | 2-(<i>t</i> BuOOC)Ph |
| 2-1405 | 5 | CO | N(Ac) | 4-(<i>t</i> BuOOC)Ph |

Table 2 (cont.)

| Cpd.
No. | k | A | B | R ¹ |
|-------------|---|----|-------|--|
| 2-1406 | 5 | CO | N(Ac) | 2-Cl-Ph |
| 2-1407 | 5 | CO | N(Ac) | 4-Cl-Ph |
| 2-1408 | 5 | CO | N(Ac) | 2-Br-Ph |
| 2-1409 | 5 | CO | N(Ac) | 4-Br-Ph |
| 2-1410 | 5 | CO | N(Ac) | 2-I-Ph |
| 2-1411 | 5 | CO | N(Ac) | 4-I-Ph |
| 2-1412 | 5 | CO | N(Ac) | 2-NO ₂ -Ph |
| 2-1413 | 5 | CO | N(Ac) | 4-NO ₂ -Ph |
| 2-1414 | 5 | CO | N(Ac) | 2-NH ₂ -Ph |
| 2-1415 | 5 | CO | N(Ac) | 4-NH ₂ -Ph |
| 2-1416 | 5 | CO | N(Ac) | 2-(HO ₃ S)Ph |
| 2-1417 | 5 | CO | N(Ac) | 4-(HO ₃ S)Ph |
| 2-1418 | 5 | CO | N(Ac) | 2-(NH ₂ O ₂ S)Ph |
| 2-1419 | 5 | CO | N(Ac) | 4-(NH ₂ O ₂ S)Ph |
| 2-1420 | 5 | CO | N(Ac) | 2-CN-Ph |
| 2-1421 | 5 | CO | N(Ac) | 4-CN-Ph |
| 2-1422 | 5 | CO | N(Ac) | 2-(HOCH ₂)Ph |
| 2-1423 | 5 | CO | N(Ac) | 4-(HOCH ₂)Ph |
| 2-1424 | 5 | CO | N(Ac) | Me |
| 2-1425 | 5 | CO | N(Ac) | Et |
| 2-1426 | 5 | CO | N(Ac) | Pr |
| 2-1427 | 5 | CO | N(Ac) | iPr |
| 2-1428 | 5 | CO | N(Ac) | Bu |
| 2-1429 | 5 | CO | N(Ac) | HOOCCH ₂ - |
| 2-1430 | 5 | CO | N(Ac) | MeOOCCH ₂ - |
| 2-1431 | 5 | CO | N(Ac) | MeCH(COOH) |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----|-------|---|
| 2-1432 | 5 | CO | N(Ac) | HOOC-(CH ₂) ₂ - |
| 2-1433 | 5 | CO | N(Ac) | MeCH(COOMe) |
| 2-1434 | 5 | CO | N(Ac) | 1-HOOC- <i>i</i> Bu |
| 2-1435 | 5 | CO | N(Ac) | 1-MeOOC- <i>i</i> Bu |
| 2-1436 | 5 | CO | N(Ac) | 1-HOOC- <i>i</i> Pn |
| 2-1437 | 5 | CO | N(Ac) | 1-MeOOC- <i>i</i> Pn |
| 2-1438 | 5 | CO | N(Ac) | 1-HOOC-2-Me-Bu |
| 2-1439 | 5 | CO | N(Ac) | 1-MeOOC-2-Me-Bu |
| 2-1440 | 5 | CO | N(Ac) | CH ₂ CH ₂ SO ₃ H |
| 2-1441 | 5 | CO | N(Ac) | OH |
| 2-1442 | 5 | CO | N(Ac) | MeO |
| 2-1443 | 5 | CO | N(Ac) | EtO |
| 2-1444 | 5 | CO | N(Ac) | PrO |
| 2-1445 | 5 | CO | N(Ac) | <i>i</i> PrO |
| 2-1446 | 5 | CO | N(Ac) | BuO |
| 2-1447 | 5 | CO | N(Ac) | <i>i</i> BuO |
| 2-1448 | 5 | CO | N(Ac) | <i>s</i> BuO |
| 2-1449 | 5 | CO | N(Ac) | <i>t</i> BuO |
| 2-1450 | 5 | CO | N(Ac) | HxO |
| 2-1451 | 5 | CO | N(Ac) | PhO |
| 2-1452 | 5 | CO | N(Ac) | BnO |
| 2-1453 | 5 | CO | N(Ac) | Z-1 |
| 2-1454 | 5 | CO | N(Ac) | Z-2 |
| 2-1455 | 5 | CO | N(Ac) | Z-3 |
| 2-1456 | 5 | CO | N(Ac) | Z-4 |
| 2-1457 | 5 | CO | N(Ac) | Z-5 |
| 2-1458 | 5 | CO | N(Ac) | Z-6 |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----|-------|------------------------|
| 2-1459 | 5 | CO | N(Ac) | Z-7 |
| 2-1460 | 5 | CO | N(Ac) | Z-8 |
| 2-1461 | 5 | CO | N(Ac) | Z-9 |
| 2-1462 | 5 | CO | N(Ac) | Z-10 |
| 2-1463 | 5 | CO | N(Ac) | Z-11 |
| 2-1464 | 5 | CO | N(Ac) | Z-12 |
| 2-1465 | 5 | CO | N(Ac) | 3-Py |
| 2-1466 | 5 | CO | N(Ac) | 4-Py |
| 2-1467 | 5 | COO | — | H |
| 2-1468 | 5 | COO | — | Ph |
| 2-1469 | 5 | COO | — | 2-Me-Ph |
| 2-1470 | 5 | COO | — | 4-Me-Ph |
| 2-1471 | 5 | COO | — | 2,4-diMe-Ph |
| 2-1472 | 5 | COO | — | 3,4-diMe-Ph |
| 2-1473 | 5 | COO | — | 2-(CF ₃)Ph |
| 2-1474 | 5 | COO | — | 4-(CF ₃)Ph |
| 2-1475 | 5 | COO | — | 2-MeOPh |
| 2-1476 | 5 | COO | — | 4-MeOPh |
| 2-1477 | 5 | COO | — | 2-EtOPh |
| 2-1478 | 5 | COO | — | 4-EtOPh |
| 2-1479 | 5 | COO | — | 2-HOPh |
| 2-1480 | 5 | COO | — | 4-HOPh |
| 2-1481 | 5 | COO | — | 2-(HOOC)Ph |
| 2-1482 | 5 | COO | — | 4-(HOOC)Ph |
| 2-1483 | 5 | COO | — | 2-(MeOOC)Ph |
| 2-1484 | 5 | COO | — | 4-(MeOOC)Ph |
| 2-1485 | 5 | COO | — | 2-(EtOOC)Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----|---|--|
| 2-1486 | 5 | COO | — | 4-(EtOOC)Ph |
| 2-1487 | 5 | COO | — | 2-(<i>t</i> BuOOC)Ph |
| 2-1488 | 5 | COO | — | 4-(<i>t</i> BuOOC)Ph |
| 2-1489 | 5 | COO | — | 2-Cl-Ph |
| 2-1490 | 5 | COO | — | 4-Cl-Ph |
| 2-1491 | 5 | COO | — | 2-Br-Ph |
| 2-1492 | 5 | COO | — | 4-Br-Ph |
| 2-1493 | 5 | COO | — | 2-I-Ph |
| 2-1494 | 5 | COO | — | 4-I-Ph |
| 2-1495 | 5 | COO | — | 2-NO ₂ -Ph |
| 2-1496 | 5 | COO | — | 4-NO ₂ -Ph |
| 2-1497 | 5 | COO | — | 2-NH ₂ -Ph |
| 2-1498 | 5 | COO | — | 4-NH ₂ -Ph |
| 2-1499 | 5 | COO | — | 2-(HO ₃ S)Ph |
| 2-1500 | 5 | COO | — | 4-(HO ₃ S)Ph |
| 2-1501 | 5 | COO | — | 2-(NH ₂ O ₂ S)Ph |
| 2-1502 | 5 | COO | — | 4-(NH ₂ O ₂ S)Ph |
| 2-1503 | 5 | COO | — | 2-CN-Ph |
| 2-1504 | 5 | COO | — | 4-CN-Ph |
| 2-1505 | 5 | COO | — | 2-(HOCH ₂)Ph |
| 2-1506 | 5 | COO | — | 4-(HOCH ₂)Ph |
| 2-1507 | 5 | COO | — | Me |
| 2-1508 | 5 | COO | — | Et |
| 2-1509 | 5 | COO | — | Pr |
| 2-1510 | 5 | COO | — | <i>i</i> Pr |
| 2-1511 | 5 | COO | — | Bu |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|--------|---|--|
| 2-1512 | 5 | COO | — | HOOCCH ₂ - |
| 2-1513 | 5 | COO | — | HOOC-(CH ₂) ₂ - |
| 2-1514 | 5 | COO | — | MeCH(COOMe) |
| 2-1515 | 5 | COO | — | 1-HOOC- <i>i</i> Bu |
| 2-1516 | 5 | COO | — | 1-HOOC- <i>i</i> Pn |
| 2-1517 | 5 | COO | — | Z-1 |
| 2-1518 | 5 | COO | — | Z-2 |
| 2-1519 | 5 | COO | — | Z-3 |
| 2-1520 | 5 | COO | — | Z-4 |
| 2-1521 | 5 | COO | — | Z-5 |
| 2-1522 | 5 | COO | — | Z-6 |
| 2-1523 | 5 | COO | — | Z-7 |
| 2-1524 | 5 | COO | — | Z-8 |
| 2-1525 | 5 | COO | — | Z-9 |
| 2-1526 | 5 | COO | — | Z-10 |
| 2-1527 | 5 | COO | — | Z-11 |
| 2-1528 | 5 | COO | — | Z-12 |
| 2-1529 | 5 | COO | — | 3-Py |
| 2-1530 | 5 | COO | — | 4-Py |
| 2-1531 | 5 | CONHCO | — | H |
| 2-1532 | 5 | CONHCO | — | Ph |
| 2-1533 | 5 | CONHCO | — | 2-Me-Ph |
| 2-1534 | 5 | CONHCO | — | 4-Me-Ph |
| 2-1535 | 5 | CONHCO | — | 2,4-diMe-Ph |
| 2-1536 | 5 | CONHCO | — | 3,4-diMe-Ph |
| 2-1537 | 5 | CONHCO | — | 2-(CF ₃)Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|--------|---|-------------------------|
| 2-1538 | 5 | CONHCO | — | 4-(CF ₃)Ph |
| 2-1539 | 5 | CONHCO | — | 2-MeOPh |
| 2-1540 | 5 | CONHCO | — | 4-MeOPh |
| 2-1541 | 5 | CONHCO | — | 2-EtOPh |
| 2-1542 | 5 | CONHCO | — | 4-EtOPh |
| 2-1543 | 5 | CONHCO | — | 2-HOPh |
| 2-1544 | 5 | CONHCO | — | 4-HOPh |
| 2-1545 | 5 | CONHCO | — | 2-(HOOC)Ph |
| 2-1546 | 5 | CONHCO | — | 4-(HOOC)Ph |
| 2-1547 | 5 | CONHCO | — | 2-(MeOOC)Ph |
| 2-1548 | 5 | CONHCO | — | 4-(MeOOC)Ph |
| 2-1549 | 5 | CONHCO | — | 2-(EtOOC)Ph |
| 2-1550 | 5 | CONHCO | — | 4-(EtOOC)Ph |
| 2-1551 | 5 | CONHCO | — | 2-(<i>t</i> BuOOC)Ph |
| 2-1552 | 5 | CONHCO | — | 4-(<i>t</i> BuOOC)Ph |
| 2-1553 | 5 | CONHCO | — | 2-Cl-Ph |
| 2-1554 | 5 | CONHCO | — | 4-Cl-Ph |
| 2-1555 | 5 | CONHCO | — | 2-Br-Ph |
| 2-1556 | 5 | CONHCO | — | 4-Br-Ph |
| 2-1557 | 5 | CONHCO | — | 2-I-Ph |
| 2-1558 | 5 | CONHCO | — | 4-I-Ph |
| 2-1559 | 5 | CONHCO | — | 2-NO ₂ -Ph |
| 2-1560 | 5 | CONHCO | — | 4-NO ₂ -Ph |
| 2-1561 | 5 | CONHCO | — | 2-NH ₂ -Ph |
| 2-1562 | 5 | CONHCO | — | 4-NH ₂ -Ph |
| 2-1563 | 5 | CONHCO | — | 2-(HO ₃ S)Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|--------|---|---|
| 2-1564 | 5 | CONHCO | — | 4-(HO ₃ S)Ph |
| 2-1565 | 5 | CONHCO | — | 2-(NH ₂ O ₂ S)Ph |
| 2-1566 | 5 | CONHCO | — | 4-(NH ₂ O ₂ S)Ph |
| 2-1567 | 5 | CONHCO | — | 2-CN-Ph |
| 2-1568 | 5 | CONHCO | — | 4-CN-Ph |
| 2-1569 | 5 | CONHCO | — | 2-(HOCH ₂)Ph |
| 2-1570 | 5 | CONHCO | — | 4-(HOCH ₂)Ph |
| 2-1571 | 5 | CONHCO | — | Me |
| 2-1572 | 5 | CONHCO | — | Et |
| 2-1573 | 5 | CONHCO | — | Pr |
| 2-1574 | 5 | CONHCO | — | iPr |
| 2-1575 | 5 | CONHCO | — | Bu |
| 2-1576 | 5 | CONHCO | — | HOOCCH ₂ - |
| 2-1577 | 5 | CONHCO | — | MeOOCCH ₂ - |
| 2-1578 | 5 | CONHCO | — | MeCH(COOH) |
| 2-1579 | 5 | CONHCO | — | HOOC-(CH ₂) ₂ - |
| 2-1580 | 5 | CONHCO | — | MeCH(COOMe) |
| 2-1581 | 5 | CONHCO | — | 1-HOOC-iBu |
| 2-1582 | 5 | CONHCO | — | 1-MeOOC-iBu |
| 2-1583 | 5 | CONHCO | — | 1-HOOC-iPn |
| 2-1584 | 5 | CONHCO | — | 1-MeOOC-iPn |
| 2-1585 | 5 | CONHCO | — | 1-HOOC-2-Me-Bu |
| 2-1586 | 5 | CONHCO | — | 1-MeOOC-2-Me-Bu |
| 2-1587 | 5 | CONHCO | — | CH ₂ CH ₂ SO ₃ H |
| 2-1588 | 5 | CONHCO | — | Z-1 |
| 2-1589 | 5 | CONHCO | — | Z-2 |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------|---|------------------------|
| 2-1590 | 5 | CONHCO | — | Z-3 |
| 2-1591 | 5 | CONHCO | — | Z-4 |
| 2-1592 | 5 | CONHCO | — | Z-5 |
| 2-1593 | 5 | CONHCO | — | Z-6 |
| 2-1594 | 5 | CONHCO | — | Z-7 |
| 2-1595 | 5 | CONHCO | — | Z-8 |
| 2-1596 | 5 | CONHCO | — | Z-9 |
| 2-1597 | 5 | CONHCO | — | Z-10 |
| 2-1598 | 5 | CONHCO | — | Z-11 |
| 2-1599 | 5 | CONHCO | — | Z-12 |
| 2-1600 | 5 | CONHCO | — | 3-Py |
| 2-1601 | 5 | CONHCO | — | 4-Py |
| 2-1602 | 5 | CON(Ac)CO | — | H |
| 2-1603 | 5 | CON(Ac)CO | — | Ph |
| 2-1604 | 5 | CON(Ac)CO | — | 2-Me-Ph |
| 2-1605 | 5 | CON(Ac)CO | — | 4-Me-Ph |
| 2-1606 | 5 | CON(Ac)CO | — | 2,4-diMe-Ph |
| 2-1607 | 5 | CON(Ac)CO | — | 3,4-diMe-Ph |
| 2-1608 | 5 | CON(Ac)CO | — | 2-(CF ₃)Ph |
| 2-1609 | 5 | CON(Ac)CO | — | 4-(CF ₃)Ph |
| 2-1610 | 5 | CON(Ac)CO | — | 2-MeOPh |
| 2-1611 | 5 | CON(Ac)CO | — | 4-MeOPh |
| 2-1612 | 5 | CON(Ac)CO | — | 2-EtOPh |
| 2-1613 | 5 | CON(Ac)CO | — | 4-EtOPh |
| 2-1614 | 5 | CON(Ac)CO | — | 2-HOPh |
| 2-1615 | 5 | CON(Ac)CO | — | 4-HOPh |
| 2-1616 | 5 | CON(Ac)CO | — | 2-(HOOC)Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------|---|--|
| 2-1617 | 5 | CON(Ac)CO | — | 4-(HOOC)Ph |
| 2-1618 | 5 | CON(Ac)CO | — | 2-(MeOOC)Ph |
| 2-1619 | 5 | CON(Ac)CO | — | 4-(MeOOC)Ph |
| 2-1620 | 5 | CON(Ac)CO | — | 2-(EtOOC)Ph |
| 2-1621 | 5 | CON(Ac)CO | — | 4-(EtOOC)Ph |
| 2-1622 | 5 | CON(Ac)CO | — | 2-(<i>t</i> BuOOC)Ph |
| 2-1623 | 5 | CON(Ac)CO | — | 4-(<i>t</i> BuOOC)Ph |
| 2-1624 | 5 | CON(Ac)CO | — | 2-Cl-Ph |
| 2-1625 | 5 | CON(Ac)CO | — | 4-Cl-Ph |
| 2-1626 | 5 | CON(Ac)CO | — | 2-Br-Ph |
| 2-1627 | 5 | CON(Ac)CO | — | 4-Br-Ph |
| 2-1628 | 5 | CON(Ac)CO | — | 2-I-Ph |
| 2-1629 | 5 | CON(Ac)CO | — | 4-I-Ph |
| 2-1630 | 5 | CON(Ac)CO | — | 2-NO ₂ -Ph |
| 2-1631 | 5 | CON(Ac)CO | — | 4-NO ₂ -Ph |
| 2-1632 | 5 | CON(Ac)CO | — | 2-NH ₂ -Ph |
| 2-1633 | 5 | CON(Ac)CO | — | 4-NH ₂ -Ph |
| 2-1634 | 5 | CON(Ac)CO | — | 2-(HO ₃ S)Ph |
| 2-1635 | 5 | CON(Ac)CO | — | 4-(HO ₃ S)Ph |
| 2-1636 | 5 | CON(Ac)CO | — | 2-(NH ₂ O ₂ S)Ph |
| 2-1637 | 5 | CON(Ac)CO | — | 4-(NH ₂ O ₂ S)Ph |
| 2-1638 | 5 | CON(Ac)CO | — | 2-CN-Ph |
| 2-1639 | 5 | CON(Ac)CO | — | 4-CN-Ph |
| 2-1640 | 5 | CON(Ac)CO | — | 2-(HOCH ₂)Ph |
| 2-1641 | 5 | CON(Ac)CO | — | 4-(HOCH ₂)Ph |
| 2-1642 | 5 | CON(Ac)CO | — | Me |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------|---|---|
| 2-1643 | 5 | CON(Ac)CO | — | Et |
| 2-1644 | 5 | CON(Ac)CO | — | Pr |
| 2-1645 | 5 | CON(Ac)CO | — | <i>i</i> Pr |
| 2-1646 | 5 | CON(Ac)CO | — | Bu |
| 2-1647 | 5 | CON(Ac)CO | — | HOOCCH ₂ - |
| 2-1648 | 5 | CON(Ac)CO | — | MeOOCCH ₂ - |
| 2-1649 | 5 | CON(Ac)CO | — | MeCH(COOH) |
| 2-1650 | 5 | CON(Ac)CO | — | HOOC-(CH ₂) ₂ - |
| 2-1651 | 5 | CON(Ac)CO | — | MeCH(COOMe) |
| 2-1652 | 5 | CON(Ac)CO | — | 1-HOOC- <i>i</i> Bu |
| 2-1653 | 5 | CON(Ac)CO | — | 1-MeOOC- <i>i</i> Bu |
| 2-1654 | 5 | CON(Ac)CO | — | 1-HOOC- <i>i</i> Pn |
| 2-1655 | 5 | CON(Ac)CO | — | 1-MeOOC- <i>i</i> Pn |
| 2-1656 | 5 | CON(Ac)CO | — | 1-HOOC-2-Me-Bu |
| 2-1657 | 5 | CON(Ac)CO | — | 1-MeOOC-2-Me-Bu |
| 2-1658 | 5 | CON(Ac)CO | — | CH ₂ CH ₂ SO ₃ H |
| 2-1659 | 5 | CON(Ac)CO | — | Z-1 |
| 2-1660 | 5 | CON(Ac)CO | — | Z-2 |
| 2-1661 | 5 | CON(Ac)CO | — | Z-3 |
| 2-1662 | 5 | CON(Ac)CO | — | Z-4 |
| 2-1663 | 5 | CON(Ac)CO | — | Z-5 |
| 2-1664 | 5 | CON(Ac)CO | — | Z-6 |
| 2-1665 | 5 | CON(Ac)CO | — | Z-7 |
| 2-1666 | 5 | CON(Ac)CO | — | Z-8 |
| 2-1667 | 5 | CON(Ac)CO | — | Z-9 |
| 2-1668 | 5 | CON(Ac)CO | — | Z-10 |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------|----|------------------------|
| 2-1669 | 5 | CON(Ac)CO | — | Z-11 |
| 2-1670 | 5 | CON(Ac)CO | — | Z-12 |
| 2-1671 | 5 | CON(Ac)CO | — | 3-Py |
| 2-1672 | 5 | CON(Ac)CO | — | 4-Py |
| 2-1673 | 5 | CONHCO | NH | H |
| 2-1674 | 5 | CONHCO | NH | Ph |
| 2-1675 | 5 | CONHCO | NH | 2-Me-Ph |
| 2-1676 | 5 | CONHCO | NH | 4-Me-Ph |
| 2-1677 | 5 | CONHCO | NH | 2,4-diMe-Ph |
| 2-1678 | 5 | CONHCO | NH | 3,4-diMe-Ph |
| 2-1679 | 5 | CONHCO | NH | 2-(CF ₃)Ph |
| 2-1680 | 5 | CONHCO | NH | 4-(CF ₃)Ph |
| 2-1681 | 5 | CONHCO | NH | 2-MeOPh |
| 2-1682 | 5 | CONHCO | NH | 4-MeOPh |
| 2-1683 | 5 | CONHCO | NH | 2-EtOPh |
| 2-1684 | 5 | CONHCO | NH | 4-EtOPh |
| 2-1685 | 5 | CONHCO | NH | 2-HOPh |
| 2-1686 | 5 | CONHCO | NH | 4-HOPh |
| 2-1687 | 5 | CONHCO | NH | 2-(HOOC)Ph |
| 2-1688 | 5 | CONHCO | NH | 4-(HOOC)Ph |
| 2-1689 | 5 | CONHCO | NH | 2-(MeOOC)Ph |
| 2-1690 | 5 | CONHCO | NH | 4-(MeOOC)Ph |
| 2-1691 | 5 | CONHCO | NH | 2-(EtOOC)Ph |
| 2-1692 | 5 | CONHCO | NH | 4-(EtOOC)Ph |
| 2-1693 | 5 | CONHCO | NH | 2-(<i>t</i> BuOOC)Ph |
| 2-1694 | 5 | CONHCO | NH | 4-(<i>t</i> BuOOC)Ph |
| 2-1695 | 5 | CONHCO | NH | 2-Cl-Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|--------|----|--|
| 2-1696 | 5 | CONHCO | NH | 4-Cl-Ph |
| 2-1697 | 5 | CONHCO | NH | 2-Br-Ph |
| 2-1698 | 5 | CONHCO | NH | 4-Br-Ph |
| 2-1699 | 5 | CONHCO | NH | 2-I-Ph |
| 2-1700 | 5 | CONHCO | NH | 4-I-Ph |
| 2-1701 | 5 | CONHCO | NH | 2-NO ₂ -Ph |
| 2-1702 | 5 | CONHCO | NH | 4-NO ₂ -Ph |
| 2-1703 | 5 | CONHCO | NH | 2-NH ₂ -Ph |
| 2-1704 | 5 | CONHCO | NH | 4-NH ₂ -Ph |
| 2-1705 | 5 | CONHCO | NH | 2-(HO ₃ S)Ph |
| 2-1706 | 5 | CONHCO | NH | 4-(HO ₃ S)Ph |
| 2-1707 | 5 | CONHCO | NH | 2-(NH ₂ O ₂ S)Ph |
| 2-1708 | 5 | CONHCO | NH | 4-(NH ₂ O ₂ S)Ph |
| 2-1709 | 5 | CONHCO | NH | 2-CN-Ph |
| 2-1710 | 5 | CONHCO | NH | 4-CN-Ph |
| 2-1711 | 5 | CONHCO | NH | 2-(HOCH ₂)Ph |
| 2-1712 | 5 | CONHCO | NH | 4-(HOCH ₂)Ph |
| 2-1713 | 5 | CONHCO | NH | Me |
| 2-1714 | 5 | CONHCO | NH | Et |
| 2-1715 | 5 | CONHCO | NH | Pr |
| 2-1716 | 5 | CONHCO | NH | iPr |
| 2-1717 | 5 | CONHCO | NH | Bu |
| 2-1718 | 5 | CONHCO | NH | HOOCCH ₂ - |
| 2-1719 | 5 | CONHCO | NH | MeOOCCH ₂ - |
| 2-1720 | 5 | CONHCO | NH | MeCH(COOH) |
| 2-1721 | 5 | CONHCO | NH | HOOC-(CH ₂) ₂ - |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|--------|----|---|
| 2-1722 | 5 | CONHCO | NH | MeCH(COOMe) |
| 2-1723 | 5 | CONHCO | NH | 1-HOOC- <i>i</i> Bu |
| 2-1724 | 5 | CONHCO | NH | 1-MeOOC- <i>i</i> Bu |
| 2-1725 | 5 | CONHCO | NH | 1-HOOC- <i>i</i> Pn |
| 2-1726 | 5 | CONHCO | NH | 1-MeOOC- <i>i</i> Pn |
| 2-1727 | 5 | CONHCO | NH | 1-HOOC-2-Me-Bu |
| 2-1728 | 5 | CONHCO | NH | 1-MeOOC-2-Me-Bu |
| 2-1729 | 5 | CONHCO | NH | CH ₂ CH ₂ SO ₃ H |
| 2-1730 | 5 | CONHCO | NH | HO |
| 2-1731 | 5 | CONHCO | NH | MeO |
| 2-1732 | 5 | CONHCO | NH | EtO |
| 2-1733 | 5 | CONHCO | NH | PrO |
| 2-1734 | 5 | CONHCO | NH | <i>i</i> PrO |
| 2-1735 | 5 | CONHCO | NH | BuO |
| 2-1736 | 5 | CONHCO | NH | <i>i</i> BuO |
| 2-1737 | 5 | CONHCO | NH | <i>s</i> BuO |
| 2-1738 | 5 | CONHCO | NH | <i>t</i> BuO |
| 2-1739 | 5 | CONHCO | NH | HxO |
| 2-1740 | 5 | CONHCO | NH | PhO |
| 2-1741 | 5 | CONHCO | NH | BnO |
| 2-1742 | 5 | CONHCO | NH | Z-1 |
| 2-1743 | 5 | CONHCO | NH | Z-2 |
| 2-1744 | 5 | CONHCO | NH | Z-3 |
| 2-1745 | 5 | CONHCO | NH | Z-4 |
| 2-1746 | 5 | CONHCO | NH | Z-5 |
| 2-1747 | 5 | CONHCO | NH | Z-6 |
| 2-1748 | 5 | CONHCO | NH | Z-7 |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|----|------------------------|
| 2-1749 | 5 | CONHCO | NH | Z-8 |
| 2-1750 | 5 | CONHCO | NH | Z-9 |
| 2-1751 | 5 | CONHCO | NH | Z-10 |
| 2-1752 | 5 | CONHCO | NH | Z-11 |
| 2-1753 | 5 | CONHCO | NH | Z-12 |
| 2-1754 | 5 | CONHCO | NH | 3-Py |
| 2-1755 | 5 | CONHCO | NH | 4-Py |
| 2-1756 | 5 | CONHSO ₂ | — | H |
| 2-1757 | 5 | CONHSO ₂ | — | Ph |
| 2-1758 | 5 | CONHSO ₂ | — | 2-Me-Ph |
| 2-1759 | 5 | CONHSO ₂ | — | 4-Me-Ph |
| 2-1760 | 5 | CONHSO ₂ | — | 2,4-diMe-Ph |
| 2-1761 | 5 | CONHSO ₂ | — | 3,4-diMe-Ph |
| 2-1762 | 5 | CONHSO ₂ | — | 2-(CF ₃)Ph |
| 2-1763 | 5 | CONHSO ₂ | — | 4-(CF ₃)Ph |
| 2-1764 | 5 | CONHSO ₂ | — | 2-MeOPh |
| 2-1765 | 5 | CONHSO ₂ | — | 4-MeOPh |
| 2-1766 | 5 | CONHSO ₂ | — | 2-EtOPh |
| 2-1767 | 5 | CONHSO ₂ | — | 4-EtOPh |
| 2-1768 | 5 | CONHSO ₂ | — | 2-HOPh |
| 2-1769 | 5 | CONHSO ₂ | — | 4-HOPh |
| 2-1770 | 5 | CONHSO ₂ | — | 2-(HOOC)Ph |
| 2-1771 | 5 | CONHSO ₂ | — | 4-(HOOC)Ph |
| 2-1772 | 5 | CONHSO ₂ | — | 2-(MeOOC)Ph |
| 2-1773 | 5 | CONHSO ₂ | — | 4-(MeOOC)Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|---|--|
| 2-1774 | 5 | CONHSO ₂ | — | 2-(EtOOC)Ph |
| 2-1775 | 5 | CONHSO ₂ | — | 4-(EtOOC)Ph |
| 2-1776 | 5 | CONHSO ₂ | — | 2-(<i>t</i> BuOOC)Ph |
| 2-1777 | 5 | CONHSO ₂ | — | 4-(<i>t</i> BuOOC)Ph |
| 2-1778 | 5 | CONHSO ₂ | — | 2-Cl-Ph |
| 2-1779 | 5 | CONHSO ₂ | — | 4-Cl-Ph |
| 2-1780 | 5 | CONHSO ₂ | — | 2-Br-Ph |
| 2-1781 | 5 | CONHSO ₂ | — | 4-Br-Ph |
| 2-1782 | 5 | CONHSO ₂ | — | 2-I-Ph |
| 2-1783 | 5 | CONHSO ₂ | — | 4-I-Ph |
| 2-1784 | 5 | CONHSO ₂ | — | 2-NO ₂ -Ph |
| 2-1785 | 5 | CONHSO ₂ | — | 4-NO ₂ -Ph |
| 2-1786 | 5 | CONHSO ₂ | — | 2-NH ₂ -Ph |
| 2-1787 | 5 | CONHSO ₂ | — | 4-NH ₂ -Ph |
| 2-1788 | 5 | CONHSO ₂ | — | 2-(HO ₃ S)Ph |
| 2-1789 | 5 | CONHSO ₂ | — | 4-(HO ₃ S)Ph |
| 2-1790 | 5 | CONHSO ₂ | — | 2-(NH ₂ O ₂ S)Ph |
| 2-1791 | 5 | CONHSO ₂ | — | 4-(NH ₂ O ₂ S)Ph |
| 2-1792 | 5 | CONHSO ₂ | — | 2-CN-Ph |
| 2-1793 | 5 | CONHSO ₂ | — | 4-CN-Ph |
| 2-1794 | 5 | CONHSO ₂ | — | 2-(HOCH ₂)Ph |
| 2-1795 | 5 | CONHSO ₂ | — | 4-(HOCH ₂)Ph |
| 2-1796 | 5 | CONHSO ₂ | — | Me |
| 2-1797 | 5 | CONHSO ₂ | — | Et |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|---|---|
| 2-1798 | 5 | CONHSO ₂ | — | Pr |
| 2-1799 | 5 | CONHSO ₂ | — | <i>i</i> Pr |
| 2-1800 | 5 | CONHSO ₂ | — | Bu |
| 2-1801 | 5 | CONHSO ₂ | — | HOOCCH ₂ - |
| 2-1802 | 5 | CONHSO ₂ | — | MeOOCCH ₂ - |
| 2-1803 | 5 | CONHSO ₂ | — | MeCH(COOH) |
| 2-1804 | 5 | CONHSO ₂ | — | HOOC-(CH ₂) ₂ - |
| 2-1805 | 5 | CONHSO ₂ | — | MeCH(COOMe) |
| 2-1806 | 5 | CONHSO ₂ | — | 1-HOOC- <i>i</i> Bu |
| 2-1807 | 5 | CONHSO ₂ | — | 1-MeOOC- <i>i</i> Bu |
| 2-1808 | 5 | CONHSO ₂ | — | 1-HOOC- <i>i</i> Pn |
| 2-1809 | 5 | CONHSO ₂ | — | 1-MeOOC- <i>i</i> Pn |
| 2-1810 | 5 | CONHSO ₂ | — | 1-HOOC-2-Me-Bu |
| 2-1811 | 5 | CONHSO ₂ | — | 1-MeOOC-2-Me-Bu |
| 2-1812 | 5 | CONHSO ₂ | — | CH ₂ CH ₂ SO ₃ H |
| 2-1813 | 5 | CONHSO ₂ | — | OH |
| 2-1814 | 5 | CONHSO ₂ | — | MeO |
| 2-1815 | 5 | CONHSO ₂ | — | EtO |
| 2-1816 | 5 | CONHSO ₂ | — | PrO |
| 2-1817 | 5 | CONHSO ₂ | — | <i>i</i> PrO |
| 2-1818 | 5 | CONHSO ₂ | — | BuO |
| 2-1819 | 5 | CONHSO ₂ | — | <i>i</i> BuO |
| 2-1820 | 5 | CONHSO ₂ | — | <i>s</i> BuO |
| 2-1821 | 5 | CONHSO ₂ | — | <i>t</i> BuO |

Table 2 (cont.)

| Cpd.
No. | k | A | B | R ¹ |
|-------------|---|---------------------|----|------------------------|
| 2-1822 | 5 | CONHSO ₂ | — | HxO |
| 2-1823 | 5 | CONHSO ₂ | — | PhO |
| 2-1824 | 5 | CONHSO ₂ | — | BnO |
| 2-1825 | 5 | CONHSO ₂ | — | Z-1 |
| 2-1826 | 5 | CONHSO ₂ | — | Z-2 |
| 2-1827 | 5 | CONHSO ₂ | — | Z-3 |
| 2-1828 | 5 | CONHSO ₂ | — | Z-4 |
| 2-1829 | 5 | CONHSO ₂ | — | Z-5 |
| 2-1830 | 5 | CONHSO ₂ | — | Z-6 |
| 2-1831 | 5 | CONHSO ₂ | — | Z-7 |
| 2-1832 | 5 | CONHSO ₂ | — | Z-8 |
| 2-1833 | 5 | CONHSO ₂ | — | Z-9 |
| 2-1834 | 5 | CONHSO ₂ | — | Z-10 |
| 2-1835 | 5 | CONHSO ₂ | — | Z-11 |
| 2-1836 | 5 | CONHSO ₂ | — | Z-12 |
| 2-1837 | 5 | CONHSO ₂ | — | 3-Py |
| 2-1838 | 5 | CONHSO ₂ | — | 4-Py |
| 2-1839 | 5 | CONHSO ₂ | NH | H |
| 2-1840 | 5 | CONHSO ₂ | NH | Ph |
| 2-1841 | 5 | CONHSO ₂ | NH | 2-Me-Ph |
| 2-1842 | 5 | CONHSO ₂ | NH | 4-Me-Ph |
| 2-1843 | 5 | CONHSO ₂ | NH | 2,4-diMe-Ph |
| 2-1844 | 5 | CONHSO ₂ | NH | 3,4-diMe-Ph |
| 2-1845 | 5 | CONHSO ₂ | NH | 2-(CF ₃)Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|----|------------------------|
| 2-1846 | 5 | CONHSO ₂ | NH | 4-(CF ₃)Ph |
| 2-1847 | 5 | CONHSO ₂ | NH | 2-MeOPh |
| 2-1848 | 5 | CONHSO ₂ | NH | 4-MeOPh |
| 2-1849 | 5 | CONHSO ₂ | NH | 2-EtOPh |
| 2-1850 | 5 | CONHSO ₂ | NH | 4-EtOPh |
| 2-1851 | 5 | CONHSO ₂ | NH | 2-HOPh |
| 2-1852 | 5 | CONHSO ₂ | NH | 4-HOPh |
| 2-1853 | 5 | CONHSO ₂ | NH | 2-(HOOC)Ph |
| 2-1854 | 5 | CONHSO ₂ | NH | 4-(HOOC)Ph |
| 2-1855 | 5 | CONHSO ₂ | NH | 2-(MeOOC)Ph |
| 2-1856 | 5 | CONHSO ₂ | NH | 4-(MeOOC)Ph |
| 2-1857 | 5 | CONHSO ₂ | NH | 2-(EtOOC)Ph |
| 2-1858 | 5 | CONHSO ₂ | NH | 4-(EtOOC)Ph |
| 2-1859 | 5 | CONHSO ₂ | NH | 2-(<i>t</i> BuOOC)Ph |
| 2-1860 | 5 | CONHSO ₂ | NH | 4-(<i>t</i> BuOOC)Ph |
| 2-1861 | 5 | CONHSO ₂ | NH | 2-Cl-Ph |
| 2-1862 | 5 | CONHSO ₂ | NH | 4-Cl-Ph |
| 2-1863 | 5 | CONHSO ₂ | NH | 2-Br-Ph |
| 2-1864 | 5 | CONHSO ₂ | NH | 4-Br-Ph |
| 2-1865 | 5 | CONHSO ₂ | NH | 2-I-Ph |
| 2-1866 | 5 | CONHSO ₂ | NH | 4-I-Ph |
| 2-1867 | 5 | CONHSO ₂ | NH | 2-NO ₂ -Ph |
| 2-1868 | 5 | CONHSO ₂ | NH | 4-NO ₂ -Ph |
| 2-1869 | 5 | CONHSO ₂ | NH | 2-NH ₂ -Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|----|--|
| 2-1870 | 5 | CONHSO ₂ | NH | 4-NH ₂ -Ph |
| 2-1871 | 5 | CONHSO ₂ | NH | 2-(HO ₃ S)Ph |
| 2-1872 | 5 | CONHSO ₂ | NH | 4-(HO ₃ S)Ph |
| 2-1873 | 5 | CONHSO ₂ | NH | 2-(NH ₂ O ₂ S)Ph |
| 2-1874 | 5 | CONHSO ₂ | NH | 4-(NH ₂ O ₂ S)Ph |
| 2-1875 | 5 | CONHSO ₂ | NH | 2-CN-Ph |
| 2-1876 | 5 | CONHSO ₂ | NH | 4-CN-Ph |
| 2-1877 | 5 | CONHSO ₂ | NH | 2-(HOCH ₂)Ph |
| 2-1878 | 5 | CONHSO ₂ | NH | 4-(HOCH ₂)Ph |
| 2-1879 | 5 | CONHSO ₂ | NH | Me |
| 2-1880 | 5 | CONHSO ₂ | NH | Et |
| 2-1881 | 5 | CONHSO ₂ | NH | Pr |
| 2-1882 | 5 | CONHSO ₂ | NH | <i>i</i> Pr |
| 2-1883 | 5 | CONHSO ₂ | NH | Bu |
| 2-1884 | 5 | CONHSO ₂ | NH | HOOCCH ₂ - |
| 2-1885 | 5 | CONHSO ₂ | NH | MeOOCCH ₂ - |
| 2-1886 | 5 | CONHSO ₂ | NH | MeCH(COOH) |
| 2-1887 | 5 | CONHSO ₂ | NH | HOOC-(CH ₂) ₂ - |
| 2-1888 | 5 | CONHSO ₂ | NH | MeCH(COOMe) |
| 2-1889 | 5 | CONHSO ₂ | NH | 1-HOOC- <i>i</i> Bu |
| 2-1890 | 5 | CONHSO ₂ | NH | 1-MeOOC- <i>i</i> Bu |
| 2-1891 | 5 | CONHSO ₂ | NH | 1-HOOC- <i>i</i> Pn |
| 2-1892 | 5 | CONHSO ₂ | NH | 1-MeOOC- <i>i</i> Pn |
| 2-1893 | 5 | CONHSO ₂ | NH | 1-HOOC-2-Me-Bu |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|----|---|
| 2-1894 | 5 | CONHSO ₂ | NH | 1-MeOOC-2-Me-Bu |
| 2-1895 | 5 | CONHSO ₂ | NH | CH ₂ CH ₂ SO ₃ H |
| 2-1896 | 5 | CONHSO ₂ | NH | OH |
| 2-1897 | 5 | CONHSO ₂ | NH | MeO |
| 2-1898 | 5 | CONHSO ₂ | NH | EtO |
| 2-1899 | 5 | CONHSO ₂ | NH | PrO |
| 2-1900 | 5 | CONHSO ₂ | NH | <i>i</i> PrO |
| 2-1901 | 5 | CONHSO ₂ | NH | BuO |
| 2-1902 | 5 | CONHSO ₂ | NH | <i>i</i> BuO |
| 2-1903 | 5 | CONHSO ₂ | NH | <i>s</i> BuO |
| 2-1904 | 5 | CONHSO ₂ | NH | <i>t</i> BuO |
| 2-1905 | 5 | CONHSO ₂ | NH | HxO |
| 2-1906 | 5 | CONHSO ₂ | NH | PhO |
| 2-1907 | 5 | CONHSO ₂ | NH | BnO |
| 2-1908 | 5 | CONHSO ₂ | NH | Z-1 |
| 2-1909 | 5 | CONHSO ₂ | NH | Z-2 |
| 2-1910 | 5 | CONHSO ₂ | NH | Z-3 |
| 2-1911 | 5 | CONHSO ₂ | NH | Z-4 |
| 2-1912 | 5 | CONHSO ₂ | NH | Z-5 |
| 2-1913 | 5 | CONHSO ₂ | NH | Z-6 |
| 2-1914 | 5 | CONHSO ₂ | NH | Z-7 |
| 2-1915 | 5 | CONHSO ₂ | NH | Z-8 |
| 2-1916 | 5 | CONHSO ₂ | NH | Z-9 |
| 2-1917 | 5 | CONHSO ₂ | NH | Z-10 |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|----|------------------------|
| 2-1918 | 5 | CONHSO ₂ | NH | Z-11 |
| 2-1919 | 5 | CONHSO ₂ | NH | Z-12 |
| 2-1920 | 5 | CONHSO ₂ | NH | 3-Py |
| 2-1921 | 5 | CONHSO ₂ | NH | 4-Py |
| 2-1922 | 5 | NHCO | — | H |
| 2-1923 | 5 | NHCO | — | Ph |
| 2-1924 | 5 | NHCO | — | 2-Me-Ph |
| 2-1925 | 5 | NHCO | — | 4-Me-Ph |
| 2-1926 | 5 | NHCO | — | 2,4-diMe-Ph |
| 2-1927 | 5 | NHCO | — | 3,4-diMe-Ph |
| 2-1928 | 5 | NHCO | — | 2-(CF ₃)Ph |
| 2-1929 | 5 | NHCO | — | 4-(CF ₃)Ph |
| 2-1930 | 5 | NHCO | — | 2-MeOPh |
| 2-1931 | 5 | NHCO | — | 4-MeOPh |
| 2-1932 | 5 | NHCO | — | 2-EtOPh |
| 2-1933 | 5 | NHCO | — | 4-EtOPh |
| 2-1934 | 5 | NHCO | — | 2-HOPh |
| 2-1935 | 5 | NHCO | — | 4-HOPh |
| 2-1936 | 5 | NHCO | — | 2-(HOOC)Ph |
| 2-1937 | 5 | NHCO | — | 4-(HOOC)Ph |
| 2-1938 | 5 | NHCO | — | 2-(MeOOC)Ph |
| 2-1939 | 5 | NHCO | — | 4-(MeOOC)Ph |
| 2-1940 | 5 | NHCO | — | 2-(EtOOC)Ph |
| 2-1941 | 5 | NHCO | — | 4-(EtOOC)Ph |
| 2-1942 | 5 | NHCO | — | 2-(<i>t</i> BuOOC)Ph |
| 2-1943 | 5 | NHCO | — | 4-(<i>t</i> BuOOC)Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|---|--|
| 2-1944 | 5 | NHCO | — | 2-Cl-Ph |
| 2-1945 | 5 | NHCO | — | 4-Cl-Ph |
| 2-1946 | 5 | NHCO | — | 2-Br-Ph |
| 2-1947 | 5 | NHCO | — | 4-Br-Ph |
| 2-1948 | 5 | NHCO | — | 2-I-Ph |
| 2-1949 | 5 | NHCO | — | 4-I-Ph |
| 2-1950 | 5 | NHCO | — | 2-NO ₂ -Ph |
| 2-1951 | 5 | NHCO | — | 4-NO ₂ -Ph |
| 2-1952 | 5 | NHCO | — | 2-NH ₂ -Ph |
| 2-1953 | 5 | NHCO | — | 4-NH ₂ -Ph |
| 2-1954 | 5 | NHCO | — | 2-(HO ₃ S)Ph |
| 2-1955 | 5 | NHCO | — | 4-(HO ₃ S)Ph |
| 2-1956 | 5 | NHCO | — | 2-(NH ₂ O ₂ S)Ph |
| 2-1957 | 5 | NHCO | — | 4-(NH ₂ O ₂ S)Ph |
| 2-1958 | 5 | NHCO | — | 2-CN-Ph |
| 2-1959 | 5 | NHCO | — | 4-CN-Ph |
| 2-1960 | 5 | NHCO | — | 2-(HOCH ₂)Ph |
| 2-1961 | 5 | NHCO | — | 4-(HOCH ₂)Ph |
| 2-1962 | 5 | NHCO | — | Me |
| 2-1963 | 5 | NHCO | — | Et |
| 2-1964 | 5 | NHCO | — | Pr |
| 2-1965 | 5 | NHCO | — | iPr |
| 2-1966 | 5 | NHCO | — | Bu |
| 2-1967 | 5 | NHCO | — | HOOCCH ₂ - |
| 2-1968 | 5 | NHCO | — | MeOOCCH ₂ - |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|----|---|
| 2-1969 | 5 | NHCO | — | MeCH(COOH) |
| 2-1970 | 5 | NHCO | — | HOOC-(CH ₂) ₂ - |
| 2-1971 | 5 | NHCO | — | MeCH(COOMe) |
| 2-1972 | 5 | NHCO | — | 1-HOOC- <i>i</i> Bu |
| 2-1973 | 5 | NHCO | — | 1-HOOC- <i>i</i> Pn |
| 2-1974 | 5 | NHCO | — | 1-HOOC-2-Me-Bu |
| 2-1975 | 5 | NHCO | — | CH ₂ CH ₂ SO ₃ H |
| 2-1976 | 5 | NHCO | — | MeO |
| 2-1977 | 5 | NHCO | — | EtO |
| 2-1978 | 5 | NHCO | — | PrO |
| 2-1979 | 5 | NHCO | — | Z-1 |
| 2-1980 | 5 | NHCO | — | Z-2 |
| 2-1981 | 5 | NHCO | — | Z-3 |
| 2-1982 | 5 | NHCO | — | Z-4 |
| 2-1983 | 5 | NHCO | — | Z-5 |
| 2-1984 | 5 | NHCO | — | Z-6 |
| 2-1985 | 5 | NHCO | — | Z-7 |
| 2-1986 | 5 | NHCO | — | Z-8 |
| 2-1987 | 5 | NHCO | — | Z-9 |
| 2-1988 | 5 | NHCO | — | Z-10 |
| 2-1989 | 5 | NHCO | — | Z-11 |
| 2-1990 | 5 | NHCO | — | Z-12 |
| 2-1991 | 5 | NHCO | — | 3-Py |
| 2-1992 | 5 | NHCO | — | 4-Py |
| 2-1993 | 5 | NHCO | NH | H |
| 2-1994 | 5 | NHCO | NH | Ph |
| 2-1995 | 5 | NHCO | NH | 2-Me-Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|----|------------------------|
| 2-1996 | 5 | NHCO | NH | 4-Me-Ph |
| 2-1997 | 5 | NHCO | NH | 2,4-diMe-Ph |
| 2-1998 | 5 | NHCO | NH | 3,4-diMe-Ph |
| 2-1999 | 5 | NHCO | NH | 2-(CF ₃)Ph |
| 2-2000 | 5 | NHCO | NH | 4-(CF ₃)Ph |
| 2-2001 | 5 | NHCO | NH | 2-MeOPh |
| 2-2002 | 5 | NHCO | NH | 4-MeOPh |
| 2-2003 | 5 | NHCO | NH | 2-EtOPh |
| 2-2004 | 5 | NHCO | NH | 4-EtOPh |
| 2-2005 | 5 | NHCO | NH | 2-HOPh |
| 2-2006 | 5 | NHCO | NH | 4-HOPh |
| 2-2007 | 5 | NHCO | NH | 2-(HOOC)Ph |
| 2-2008 | 5 | NHCO | NH | 4-(HOOC)Ph |
| 2-2009 | 5 | NHCO | NH | 2-(MeOOC)Ph |
| 2-2010 | 5 | NHCO | NH | 4-(MeOOC)Ph |
| 2-2011 | 5 | NHCO | NH | 2-(EtOOC)Ph |
| 2-2012 | 5 | NHCO | NH | 4-(EtOOC)Ph |
| 2-2013 | 5 | NHCO | NH | 2-(<i>t</i> BuOOC)Ph |
| 2-2014 | 5 | NHCO | NH | 4-(<i>t</i> BuOOC)Ph |
| 2-2015 | 5 | NHCO | NH | 2-Cl-Ph |
| 2-2016 | 5 | NHCO | NH | 4-Cl-Ph |
| 2-2017 | 5 | NHCO | NH | 2-Br-Ph |
| 2-2018 | 5 | NHCO | NH | 4-Br-Ph |
| 2-2019 | 5 | NHCO | NH | 2-I-Ph |
| 2-2020 | 5 | NHCO | NH | 4-I-Ph |
| 2-2021 | 5 | NHCO | NH | 2-NO ₂ -Ph |
| 2-2022 | 5 | NHCO | NH | 4-NO ₂ -Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|----|--|
| 2-2023 | 5 | NHCO | NH | 2-NH ₂ -Ph |
| 2-2024 | 5 | NHCO | NH | 4-NH ₂ -Ph |
| 2-2025 | 5 | NHCO | NH | 2-(HO ₃ S)Ph |
| 2-2026 | 5 | NHCO | NH | 4-(HO ₃ S)Ph |
| 2-2027 | 5 | NHCO | NH | 2-(NH ₂ O ₂ S)Ph |
| 2-2028 | 5 | NHCO | NH | 4-(NH ₂ O ₂ S)Ph |
| 2-2029 | 5 | NHCO | NH | 2-CN-Ph |
| 2-2030 | 5 | NHCO | NH | 4-CN-Ph |
| 2-2031 | 5 | NHCO | NH | 2-(HOCH ₂)Ph |
| 2-2032 | 5 | NHCO | NH | 4-(HOCH ₂)Ph |
| 2-2033 | 5 | NHCO | NH | Me |
| 2-2034 | 5 | NHCO | NH | Et |
| 2-2035 | 5 | NHCO | NH | Pr |
| 2-2036 | 5 | NHCO | NH | <i>i</i> Pr |
| 2-2037 | 5 | NHCO | NH | Bu |
| 2-2038 | 5 | NHCO | NH | HOOCCH ₂ - |
| 2-2039 | 5 | NHCO | NH | MeOOCCH ₂ - |
| 2-2040 | 5 | NHCO | NH | MeCH(COOH) |
| 2-2041 | 5 | NHCO | NH | HOOC-(CH ₂) ₂ - |
| 2-2042 | 5 | NHCO | NH | MeCH(COOMe) |
| 2-2043 | 5 | NHCO | NH | 1-HOOC- <i>i</i> Bu |
| 2-2044 | 5 | NHCO | NH | 1-MeOOC- <i>i</i> Bu |
| 2-2045 | 5 | NHCO | NH | 1-HOOC- <i>i</i> Pn |
| 2-2046 | 5 | NHCO | NH | 1-MeOOC- <i>i</i> Pn |
| 2-2047 | 5 | NHCO | NH | 1-HOOC-2-Me-Bu |
| 2-2048 | 5 | NHCO | NH | 1-MeOOC-2-Me-Bu |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|----|---|
| 2-2049 | 5 | NHCO | NH | CH ₂ CH ₂ SO ₃ H |
| 2-2050 | 5 | NHCO | NH | OH |
| 2-2051 | 5 | NHCO | NH | MeO |
| 2-2052 | 5 | NHCO | NH | EtO |
| 2-2053 | 5 | NHCO | NH | PrO |
| 2-2054 | 5 | NHCO | NH | <i>i</i> PrO |
| 2-2055 | 5 | NHCO | NH | BuO |
| 2-2056 | 5 | NHCO | NH | <i>t</i> BuO |
| 2-2057 | 5 | NHCO | NH | <i>s</i> BuO |
| 2-2058 | 5 | NHCO | NH | <i>t</i> BuO |
| 2-2059 | 5 | NHCO | NH | HxO |
| 2-2060 | 5 | NHCO | NH | PhO |
| 2-2061 | 5 | NHCO | NH | BnO |
| 2-2062 | 5 | NHCO | NH | Z-1 |
| 2-2063 | 5 | NHCO | NH | Z-2 |
| 2-2064 | 5 | NHCO | NH | Z-3 |
| 2-2065 | 5 | NHCO | NH | Z-4 |
| 2-2066 | 5 | NHCO | NH | Z-5 |
| 2-2067 | 5 | NHCO | NH | Z-6 |
| 2-2068 | 5 | NHCO | NH | Z-7 |
| 2-2069 | 5 | NHCO | NH | Z-8 |
| 2-2070 | 5 | NHCO | NH | Z-9 |
| 2-2071 | 5 | NHCO | NH | Z-10 |
| 2-2072 | 5 | NHCO | NH | Z-11 |
| 2-2073 | 5 | NHCO | NH | Z-12 |
| 2-2074 | 5 | NHCO | NH | 3-Py |
| 2-2075 | 5 | NHCO | NH | 4-Py |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|-----|------------------------|
| 2-2076 | 5 | NHCO | NMe | Ph |
| 2-2077 | 5 | NHCO | NMe | 2-Me-Ph |
| 2-2078 | 5 | NHCO | NMe | 4-Me-Ph |
| 2-2079 | 5 | NHCO | NMe | 2,4-diMe-Ph |
| 2-2080 | 5 | NHCO | NMe | 3,4-diMe-Ph |
| 2-2081 | 5 | NHCO | NMe | 2-(CF ₃)Ph |
| 2-2082 | 5 | NHCO | NMe | 4-(CF ₃)Ph |
| 2-2083 | 5 | NHCO | NMe | 2-MeOPh |
| 2-2084 | 5 | NHCO | NMe | 4-MeOPh |
| 2-2085 | 5 | NHCO | NMe | 2-EtOPh |
| 2-2086 | 5 | NHCO | NMe | 4-EtOPh |
| 2-2087 | 5 | NHCO | NMe | 2-HOPh |
| 2-2088 | 5 | NHCO | NMe | 4-HOPh |
| 2-2089 | 5 | NHCO | NMe | 2-(HOOC)Ph |
| 2-2090 | 5 | NHCO | NMe | 4-(HOOC)Ph |
| 2-2091 | 5 | NHCO | NMe | 2-(MeOOC)Ph |
| 2-2092 | 5 | NHCO | NMe | 4-(MeOOC)Ph |
| 2-2093 | 5 | NHCO | NMe | 2-(EtOOC)Ph |
| 2-2094 | 5 | NHCO | NMe | 4-(EtOOC)Ph |
| 2-2095 | 5 | NHCO | NMe | 2-(<i>t</i> BuOOC)Ph |
| 2-2096 | 5 | NHCO | NMe | 4-(<i>t</i> BuOOC)Ph |
| 2-2097 | 5 | NHCO | NMe | 2-Cl-Ph |
| 2-2098 | 5 | NHCO | NMe | 4-Cl-Ph |
| 2-2099 | 5 | NHCO | NMe | 2-Br-Ph |
| 2-2100 | 5 | NHCO | NMe | 4-Br-Ph |
| 2-2101 | 5 | NHCO | NMe | 2-I-Ph |
| 2-2102 | 5 | NHCO | NMe | 4-I-Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|-----|--|
| 2-2103 | 5 | NHCO | NMe | 2-NO ₂ -Ph |
| 2-2104 | 5 | NHCO | NMe | 4-NO ₂ -Ph |
| 2-2105 | 5 | NHCO | NMe | 2-NH ₂ -Ph |
| 2-2106 | 5 | NHCO | NMe | 4-NH ₂ -Ph |
| 2-2107 | 5 | NHCO | NMe | 2-(HO ₃ S)Ph |
| 2-2108 | 5 | NHCO | NMe | 4-(HO ₃ S)Ph |
| 2-2109 | 5 | NHCO | NMe | 2-(NH ₂ O ₂ S)Ph |
| 2-2110 | 5 | NHCO | NMe | 4-(NH ₂ O ₂ S)Ph |
| 2-2111 | 5 | NHCO | NMe | 2-CN-Ph |
| 2-2112 | 5 | NHCO | NMe | 4-CN-Ph |
| 2-2113 | 5 | NHCO | NMe | 2-(HOCH ₂)Ph |
| 2-2114 | 5 | NHCO | NMe | 4-(HOCH ₂)Ph |
| 2-2115 | 5 | NHCO | NMe | Me |
| 2-2116 | 5 | NHCO | NMe | Et |
| 2-2117 | 5 | NHCO | NMe | Pr |
| 2-2118 | 5 | NHCO | NMe | <i>i</i> Pr |
| 2-2119 | 5 | NHCO | NMe | Bu |
| 2-2120 | 5 | NHCO | NMe | HOOCCH ₂ - |
| 2-2121 | 5 | NHCO | NMe | MeOOCCH ₂ - |
| 2-2122 | 5 | NHCO | NMe | MeCH(COOH) |
| 2-2123 | 5 | NHCO | NMe | HOOC-(CH ₂) ₂ - |
| 2-2124 | 5 | NHCO | NMe | MeCH(COOMe) |
| 2-2125 | 5 | NHCO | NMe | 1-HOOC- <i>i</i> Bu |
| 2-2126 | 5 | NHCO | NMe | 1-MeOOC- <i>i</i> Bu |
| 2-2127 | 5 | NHCO | NMe | 1-HOOC- <i>i</i> Pn |
| 2-2128 | 5 | NHCO | NMe | 1-MeOOC- <i>i</i> Pn |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|-----|---|
| 2-2129 | 5 | NHCO | NMe | 1-HOOC-2-Me-Bu |
| 2-2130 | 5 | NHCO | NMe | 1-MeOOC-2-Me-Bu |
| 2-2131 | 5 | NHCO | NMe | CH ₂ CH ₂ SO ₃ H |
| 2-2132 | 5 | NHCO | NMe | OH |
| 2-2133 | 5 | NHCO | NMe | MeO |
| 2-2134 | 5 | NHCO | NMe | EtO |
| 2-2135 | 5 | NHCO | NMe | PrO |
| 2-2136 | 5 | NHCO | NMe | <i>i</i> PrO |
| 2-2137 | 5 | NHCO | NMe | BuO |
| 2-2138 | 5 | NHCO | NMe | <i>i</i> BuO |
| 2-2139 | 5 | NHCO | NMe | <i>s</i> BuO |
| 2-2140 | 5 | NHCO | NMe | <i>t</i> BuO |
| 2-2141 | 5 | NHCO | NMe | HxO |
| 2-2142 | 5 | NHCO | NMe | PhO |
| 2-2143 | 5 | NHCO | NMe | BnO |
| 2-2144 | 5 | NHCO | NMe | Z-1 |
| 2-2145 | 5 | NHCO | NMe | Z-2 |
| 2-2146 | 5 | NHCO | NMe | Z-3 |
| 2-2147 | 5 | NHCO | NMe | Z-4 |
| 2-2148 | 5 | NHCO | NMe | Z-5 |
| 2-2149 | 5 | NHCO | NMe | Z-6 |
| 2-2150 | 5 | NHCO | NMe | Z-7 |
| 2-2151 | 5 | NHCO | NMe | Z-8 |
| 2-2152 | 5 | NHCO | NMe | Z-9 |
| 2-2153 | 5 | NHCO | NMe | Z-10 |
| 2-2154 | 5 | NHCO | NMe | Z-11 |
| 2-2155 | 5 | NHCO | NMe | Z-12 |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------------|-------|------------------------|
| 2-2156 | 5 | NHCO | NMe | 3-Py |
| 2-2157 | 5 | NHCO | NMe | 4-Py |
| 2-2158 | 5 | NHCO | NHNH | H |
| 2-2159 | 5 | NHCO | NHNH | Me |
| 2-2160 | 5 | NHCO | NHNH | Et |
| 2-2161 | 5 | NHCO | NHNMe | Me |
| 2-2162 | 5 | NHCO | NHNMe | Et |
| 2-2163 | 5 | NHCO | NHNMe | Pr |
| 2-2164 | 5 | NHCONHNHCO | NH | H |
| 2-2165 | 5 | NHCONHNHCO | NH | Ph |
| 2-2166 | 5 | NHCONHNHCO | NH | 2-Me-Ph |
| 2-2167 | 5 | NHCONHNHCO | NH | 4-Me-Ph |
| 2-2168 | 5 | NHCONHNHCO | NH | 2,4-diMe-Ph |
| 2-2169 | 5 | NHCONHNHCO | NH | 3,4-diMe-Ph |
| 2-2170 | 5 | NHCONHNHCO | NH | 2-(CF ₃)Ph |
| 2-2171 | 5 | NHCONHNHCO | NH | 4-(CF ₃)Ph |
| 2-2172 | 5 | NHCONHNHCO | NH | 2-MeOPh |
| 2-2173 | 5 | NHCONHNHCO | NH | 4-MeOPh |
| 2-2174 | 5 | NHCONHNHCO | NH | 2-EtOPh |
| 2-2175 | 5 | NHCONHNHCO | NH | 4-EtOPh |
| 2-2176 | 5 | NHCONHNHCO | NH | 2-HOPh |
| 2-2177 | 5 | NHCONHNHCO | NH | 4-HOPh |
| 2-2178 | 5 | NHCONHNHCO | NH | 2-(HOOC)Ph |
| 2-2179 | 5 | NHCONHNHCO | NH | 4-(HOOC)Ph |
| 2-2180 | 5 | NHCONHNHCO | NH | 2-(MeOOC)Ph |
| 2-2181 | 5 | NHCONHNHCO | NH | 4-(MeOOC)Ph |
| 2-2182 | 5 | NHCONHNHCO | NH | 2-(EtOOC)Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------------|----|--|
| 2-2183 | 5 | NHCONHNHCO | NH | 4-(EtOOC)Ph |
| 2-2184 | 5 | NHCONHNHCO | NH | 2-(<i>t</i> BuOOC)Ph |
| 2-2185 | 5 | NHCONHNHCO | NH | 4-(<i>t</i> BuOOC)Ph |
| 2-2186 | 5 | NHCONHNHCO | NH | 2-Cl-Ph |
| 2-2187 | 5 | NHCONHNHCO | NH | 4-Cl-Ph |
| 2-2188 | 5 | NHCONHNHCO | NH | 2-Br-Ph |
| 2-2189 | 5 | NHCONHNHCO | NH | 4-Br-Ph |
| 2-2190 | 5 | NHCONHNHCO | NH | 2-I-Ph |
| 2-2191 | 5 | NHCONHNHCO | NH | 4-I-Ph |
| 2-2192 | 5 | NHCONHNHCO | NH | 2-NO ₂ -Ph |
| 2-2193 | 5 | NHCONHNHCO | NH | 4-NO ₂ -Ph |
| 2-2194 | 5 | NHCONHNHCO | NH | 2-NH ₂ -Ph |
| 2-2195 | 5 | NHCONHNHCO | NH | 4-NH ₂ -Ph |
| 2-2196 | 5 | NHCONHNHCO | NH | 2-(HO ₃ S)Ph |
| 2-2197 | 5 | NHCONHNHCO | NH | 4-(HO ₃ S)Ph |
| 2-2198 | 5 | NHCONHNHCO | NH | 2-(NH ₂ O ₂ S)Ph |
| 2-2199 | 5 | NHCONHNHCO | NH | 4-(NH ₂ O ₂ S)Ph |
| 2-2200 | 5 | NHCONHNHCO | NH | 2-CN-Ph |
| 2-2201 | 5 | NHCONHNHCO | NH | 4-CN-Ph |
| 2-2202 | 5 | NHCONHNHCO | NH | 2-(HOCH ₂)Ph |
| 2-2203 | 5 | NHCONHNHCO | NH | 4-(HOCH ₂)Ph |
| 2-2204 | 5 | NHCONHNHCO | NH | Me |
| 2-2205 | 5 | NHCONHNHCO | NH | Et |
| 2-2206 | 5 | NHCONHNHCO | NH | Pr |
| 2-2207 | 5 | NHCONHNHCO | NH | <i>i</i> Pr |
| 2-2208 | 5 | NHCONHNHCO | NH | Bu |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------------|----|---|
| 2-2209 | 5 | NHCONHNHCO | NH | HOOCCH ₂ - |
| 2-2210 | 5 | NHCONHNHCO | NH | MeOOCCH ₂ - |
| 2-2211 | 5 | NHCONHNHCO | NH | MeCH(COOH) |
| 2-2212 | 5 | NHCONHNHCO | NH | HOOC-(CH ₂) ₂ - |
| 2-2213 | 5 | NHCONHNHCO | NH | MeCH(COOMe) |
| 2-2214 | 5 | NHCONHNHCO | NH | 1-HOOC- <i>i</i> Bu |
| 2-2215 | 5 | NHCONHNHCO | NH | 1-MeOOC- <i>i</i> Bu |
| 2-2216 | 5 | NHCONHNHCO | NH | 1-HOOC- <i>i</i> Pn |
| 2-2217 | 5 | NHCONHNHCO | NH | 1-MeOOC- <i>i</i> Pn |
| 2-2218 | 5 | NHCONHNHCO | NH | 1-HOOC-2-Me-Bu |
| 2-2219 | 5 | NHCONHNHCO | NH | 1-MeOOC-2-Me-Bu |
| 2-2220 | 5 | NHCONHNHCO | NH | CH ₂ CH ₂ SO ₃ H |
| 2-2221 | 5 | NHCONHNHCO | NH | OH |
| 2-2222 | 5 | NHCONHNHCO | NH | MeO |
| 2-2223 | 5 | NHCONHNHCO | NH | EtO |
| 2-2224 | 5 | NHCONHNHCO | NH | PrO |
| 2-2225 | 5 | NHCONHNHCO | NH | <i>i</i> PrO |
| 2-2226 | 5 | NHCONHNHCO | NH | BuO |
| 2-2227 | 5 | NHCONHNHCO | NH | <i>i</i> BuO |
| 2-2228 | 5 | NHCONHNHCO | NH | <i>s</i> BuO |
| 2-2229 | 5 | NHCONHNHCO | NH | <i>t</i> BuO |
| 2-2230 | 5 | NHCONHNHCO | NH | HxO |
| 2-2231 | 5 | NHCONHNHCO | NH | PhO |
| 2-2232 | 5 | NHCONHNHCO | NH | BnO |
| 2-2233 | 5 | NHCONHNHCO | NH | Z-1 |
| 2-2234 | 5 | NHCONHNHCO | NH | Z-2 |
| 2-2235 | 5 | NHCONHNHCO | NH | Z-3 |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------------|----|------------------------|
| 2-2236 | 5 | NHCONHNHCO | NH | Z-4 |
| 2-2237 | 5 | NHCONHNHCO | NH | Z-5 |
| 2-2238 | 5 | NHCONHNHCO | NH | Z-6 |
| 2-2239 | 5 | NHCONHNHCO | NH | Z-7 |
| 2-2240 | 5 | NHCONHNHCO | NH | Z-8 |
| 2-2241 | 5 | NHCONHNHCO | NH | Z-9 |
| 2-2242 | 5 | NHCONHNHCO | NH | Z-10 |
| 2-2243 | 5 | NHCONHNHCO | NH | Z-11 |
| 2-2244 | 5 | NHCONHNHCO | NH | Z-12 |
| 2-2245 | 5 | NHCONHNHCO | NH | 3-Py |
| 2-2246 | 5 | NHCONHNHCO | NH | 4-Py |
| 2-2247 | 5 | NHCONHCO | — | H |
| 2-2248 | 5 | NHCONHCO | — | Ph |
| 2-2249 | 5 | NHCONHCO | — | 2-Me-Ph |
| 2-2250 | 5 | NHCONHCO | — | 4-Me-Ph |
| 2-2251 | 5 | NHCONHCO | — | 2,4-diMe-Ph |
| 2-2252 | 5 | NHCONHCO | — | 3,4-diMe-Ph |
| 2-2253 | 5 | NHCONHCO | — | 2-(CF ₃)Ph |
| 2-2254 | 5 | NHCONHCO | — | 4-(CF ₃)Ph |
| 2-2255 | 5 | NHCONHCO | — | 2-MeOPh |
| 2-2256 | 5 | NHCONHCO | — | 4-MeOPh |
| 2-2257 | 5 | NHCONHCO | — | 2-EtOPh |
| 2-2258 | 5 | NHCONHCO | — | 4-EtOPh |
| 2-2259 | 5 | NHCONHCO | — | 2-HOPh |
| 2-2260 | 5 | NHCONHCO | — | 4-HOPh |
| 2-2261 | 5 | NHCONHCO | — | 2-(HOOC)Ph |
| 2-2262 | 5 | NHCONHCO | — | 4-(HOOC)Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----------|---|--|
| 2-2263 | 5 | NHCONHCO | — | 2-(MeOOC)Ph |
| 2-2264 | 5 | NHCONHCO | — | 4-(MeOOC)Ph |
| 2-2265 | 5 | NHCONHCO | — | 2-(EtOOC)Ph |
| 2-2266 | 5 | NHCONHCO | — | 4-(EtOOC)Ph |
| 2-2267 | 5 | NHCONHCO | — | 2-(<i>t</i> BuOOC)Ph |
| 2-2268 | 5 | NHCONHCO | — | 4-(<i>t</i> BuOOC)Ph |
| 2-2269 | 5 | NHCONHCO | — | 2-Cl-Ph |
| 2-2270 | 5 | NHCONHCO | — | 4-Cl-Ph |
| 2-2271 | 5 | NHCONHCO | — | 2-Br-Ph |
| 2-2272 | 5 | NHCONHCO | — | 4-Br-Ph |
| 2-2273 | 5 | NHCONHCO | — | 2-I-Ph |
| 2-2274 | 5 | NHCONHCO | — | 4-I-Ph |
| 2-2275 | 5 | NHCONHCO | — | 2-NO ₂ -Ph |
| 2-2276 | 5 | NHCONHCO | — | 4-NO ₂ -Ph |
| 2-2277 | 5 | NHCONHCO | — | 2-NH ₂ -Ph |
| 2-2278 | 5 | NHCONHCO | — | 4-NH ₂ -Ph |
| 2-2279 | 5 | NHCONHCO | — | 2-(HO ₃ S)Ph |
| 2-2280 | 5 | NHCONHCO | — | 4-(HO ₃ S)Ph |
| 2-2281 | 5 | NHCONHCO | — | 2-(NH ₂ O ₂ S)Ph |
| 2-2282 | 5 | NHCONHCO | — | 4-(NH ₂ O ₂ S)Ph |
| 2-2283 | 5 | NHCONHCO | — | 2-CN-Ph |
| 2-2284 | 5 | NHCONHCO | — | 4-CN-Ph |
| 2-2285 | 5 | NHCONHCO | — | 2-(HOCH ₂)Ph |
| 2-2286 | 5 | NHCONHCO | — | 4-(HOCH ₂)Ph |
| 2-2287 | 5 | NHCONHCO | — | Me |
| 2-2288 | 5 | NHCONHCO | — | Et |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----------|---|---|
| 2-2289 | 5 | NHCONHCO | — | Pr |
| 2-2290 | 5 | NHCONHCO | — | <i>i</i> Pr |
| 2-2291 | 5 | NHCONHCO | — | Bu |
| 2-2292 | 5 | NHCONHCO | — | HOOCCH ₂ - |
| 2-2293 | 5 | NHCONHCO | — | MeOOCCH ₂ - |
| 2-2294 | 5 | NHCONHCO | — | MeCH(COOH) |
| 2-2295 | 5 | NHCONHCO | — | HOOC-(CH ₂) ₂ - |
| 2-2296 | 5 | NHCONHCO | — | MeCH(COOMe) |
| 2-2297 | 5 | NHCONHCO | — | 1-HOOC- <i>i</i> Bu |
| 2-2298 | 5 | NHCONHCO | — | 1-MeOOC- <i>i</i> Bu |
| 2-2299 | 5 | NHCONHCO | — | 1-HOOC- <i>i</i> Pn |
| 2-2300 | 5 | NHCONHCO | — | 1-MeOOC- <i>i</i> Pn |
| 2-2301 | 5 | NHCONHCO | — | 1-HOOC-2-Me-Bu |
| 2-2302 | 5 | NHCONHCO | — | 1-MeOOC-2-Me-Bu |
| 2-2303 | 5 | NHCONHCO | — | CH ₂ CH ₂ SO ₃ H |
| 2-2304 | 5 | NHCONHCO | — | MeO |
| 2-2305 | 5 | NHCONHCO | — | EtO |
| 2-2306 | 5 | NHCONHCO | — | PrO |
| 2-2307 | 5 | NHCONHCO | — | <i>i</i> PrO |
| 2-2308 | 5 | NHCONHCO | — | BuO |
| 2-2309 | 5 | NHCONHCO | — | <i>i</i> BuO |
| 2-2310 | 5 | NHCONHCO | — | <i>s</i> BuO |
| 2-2311 | 5 | NHCONHCO | — | <i>t</i> BuO |
| 2-2312 | 5 | NHCONHCO | — | HxO |
| 2-2313 | 5 | NHCONHCO | — | PhO |
| 2-2314 | 5 | NHCONHCO | — | BnO |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------------------|---|------------------------|
| 2-2315 | 5 | NHCONHCO | — | Z-1 |
| 2-2316 | 5 | NHCONHCO | — | Z-2 |
| 2-2317 | 5 | NHCONHCO | — | Z-3 |
| 2-2318 | 5 | NHCONHCO | — | Z-4 |
| 2-2319 | 5 | NHCONHCO | — | Z-5 |
| 2-2320 | 5 | NHCONHCO | — | Z-6 |
| 2-2321 | 5 | NHCONHCO | — | Z-7 |
| 2-2322 | 5 | NHCONHCO | — | Z-8 |
| 2-2323 | 5 | NHCONHCO | — | Z-9 |
| 2-2324 | 5 | NHCONHCO | — | Z-10 |
| 2-2325 | 5 | NHCONHCO | — | Z-11 |
| 2-2326 | 5 | NHCONHCO | — | Z-12 |
| 2-2327 | 5 | NHCONHCO | — | 3-Py |
| 2-2328 | 5 | NHCONHCO | — | 4-Py |
| 2-2329 | 5 | NHCONHSO ₂ | — | H |
| 2-2330 | 5 | NHCONHSO ₂ | — | Ph |
| 2-2331 | 5 | NHCONHSO ₂ | — | 2-Me-Ph |
| 2-2332 | 5 | NHCONHSO ₂ | — | 4-Me-Ph |
| 2-2333 | 5 | NHCONHSO ₂ | — | 2,4-diMe-Ph |
| 2-2334 | 5 | NHCONHSO ₂ | — | 3,4-diMe-Ph |
| 2-2335 | 5 | NHCONHSO ₂ | — | 2-(CF ₃)Ph |
| 2-2336 | 5 | NHCONHSO ₂ | — | 4-(CF ₃)Ph |
| 2-2337 | 5 | NHCONHSO ₂ | — | 2-MeOPh |
| 2-2338 | 5 | NHCONHSO ₂ | — | 4-MeOPh |
| 2-2339 | 5 | NHCONHSO ₂ | — | 2-EtOPh |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------------------|---|--|
| 2-2340 | 5 | NHCONHSO ₂ | — | 4-EtOPh |
| 2-2341 | 5 | NHCONHSO ₂ | — | 2-HOPh |
| 2-2342 | 5 | NHCONHSO ₂ | — | 4-HOPh |
| 2-2343 | 5 | NHCONHSO ₂ | — | 2-(HOOC)Ph |
| 2-2344 | 5 | NHCONHSO ₂ | — | 4-(HOOC)Ph |
| 2-2345 | 5 | NHCONHSO ₂ | — | 2-(MeOOC)Ph |
| 2-2346 | 5 | NHCONHSO ₂ | — | 4-(MeOOC)Ph |
| 2-2347 | 5 | NHCONHSO ₂ | — | 2-(EtOOC)Ph |
| 2-2348 | 5 | NHCONHSO ₂ | — | 4-(EtOOC)Ph |
| 2-2349 | 5 | NHCONHSO ₂ | — | 2-(<i>t</i> BuOOC)Ph |
| 2-2350 | 5 | NHCONHSO ₂ | — | 4-(<i>t</i> BuOOC)Ph |
| 2-2351 | 5 | NHCONHSO ₂ | — | 2-Cl-Ph |
| 2-2352 | 5 | NHCONHSO ₂ | — | 4-Cl-Ph |
| 2-2353 | 5 | NHCONHSO ₂ | — | 2-Br-Ph |
| 2-2354 | 5 | NHCONHSO ₂ | — | 4-Br-Ph |
| 2-2355 | 5 | NHCONHSO ₂ | — | 2-I-Ph |
| 2-2356 | 5 | NHCONHSO ₂ | — | 4-I-Ph |
| 2-2357 | 5 | NHCONHSO ₂ | — | 2-NO ₂ -Ph |
| 2-2358 | 5 | NHCONHSO ₂ | — | 4-NO ₂ -Ph |
| 2-2359 | 5 | NHCONHSO ₂ | — | 2-NH ₂ -Ph |
| 2-2360 | 5 | NHCONHSO ₂ | — | 4-NH ₂ -Ph |
| 2-2361 | 5 | NHCONHSO ₂ | — | 2-(HO ₃ S)Ph |
| 2-2362 | 5 | NHCONHSO ₂ | — | 4-(HO ₃ S)Ph |
| 2-2363 | 5 | NHCONHSO ₂ | — | 2-(NH ₂ O ₂ S)Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------------------|---|---|
| 2-2364 | 5 | NHCONHSO ₂ | — | 4-(NH ₂ O ₂ S)Ph |
| 2-2365 | 5 | NHCONHSO ₂ | — | 2-CN-Ph |
| 2-2366 | 5 | NHCONHSO ₂ | — | 4-CN-Ph |
| 2-2367 | 5 | NHCONHSO ₂ | — | 2-(HOCH ₂)Ph |
| 2-2368 | 5 | NHCONHSO ₂ | — | 4-(HOCH ₂)Ph |
| 2-2369 | 5 | NHCONHSO ₂ | — | Me |
| 2-2370 | 5 | NHCONHSO ₂ | — | Et |
| 2-2371 | 5 | NHCONHSO ₂ | — | Pr |
| 2-2372 | 5 | NHCONHSO ₂ | — | iPr |
| 2-2373 | 5 | NHCONHSO ₂ | — | Bu |
| 2-2374 | 5 | NHCONHSO ₂ | — | HOOCCH ₂ |
| 2-2375 | 5 | NHCONHSO ₂ | — | MeOOCCH ₂ |
| 2-2376 | 5 | NHCONHSO ₂ | — | MeCH(COOH) |
| 2-2377 | 5 | NHCONHSO ₂ | — | HOOC-(CH ₂) ₂ |
| 2-2378 | 5 | NHCONHSO ₂ | — | MeCH(COOMe) |
| 2-2379 | 5 | NHCONHSO ₂ | — | 1-HOOC-iBu |
| 2-2380 | 5 | NHCONHSO ₂ | — | 1-MeOOC-iBu |
| 2-2381 | 5 | NHCONHSO ₂ | — | 1-HOOC-iPn |
| 2-2382 | 5 | NHCONHSO ₂ | — | 1-MeOOC-iPn |
| 2-2383 | 5 | NHCONHSO ₂ | — | 1-HOOC-2-Me-Bu |
| 2-2384 | 5 | NHCONHSO ₂ | — | 1-MeOOC-2-Me-Bu |
| 2-2385 | 5 | NHCONHSO ₂ | — | CH ₂ CH ₂ SO ₃ H |
| 2-2386 | 5 | NHCONHSO ₂ | — | OH |
| 2-2387 | 5 | NHCONHSO ₂ | — | MeO |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------------------|---|----------------|
| 2-2388 | 5 | NHCONHSO ₂ | — | EtO |
| 2-2389 | 5 | NHCONHSO ₂ | — | PrO |
| 2-2390 | 5 | NHCONHSO ₂ | — | <i>i</i> PrO |
| 2-2391 | 5 | NHCONHSO ₂ | — | BuO |
| 2-2392 | 5 | NHCONHSO ₂ | — | <i>i</i> BuO |
| 2-2393 | 5 | NHCONHSO ₂ | — | <i>s</i> BuO |
| 2-2394 | 5 | NHCONHSO ₂ | — | <i>t</i> BuO |
| 2-2395 | 5 | NHCONHSO ₂ | — | HxO |
| 2-2396 | 5 | NHCONHSO ₂ | — | PhO |
| 2-2397 | 5 | NHCONHSO ₂ | — | BnO |
| 2-2398 | 5 | NHCONHSO ₂ | — | Z-1 |
| 2-2399 | 5 | NHCONHSO ₂ | — | Z-2 |
| 2-2400 | 5 | NHCONHSO ₂ | — | Z-3 |
| 2-2401 | 5 | NHCONHSO ₂ | — | Z-4 |
| 2-2402 | 5 | NHCONHSO ₂ | — | Z-5 |
| 2-2403 | 5 | NHCONHSO ₂ | — | Z-6 |
| 2-2404 | 5 | NHCONHSO ₂ | — | Z-7 |
| 2-2405 | 5 | NHCONHSO ₂ | — | Z-8 |
| 2-2406 | 5 | NHCONHSO ₂ | — | Z-9 |
| 2-2407 | 5 | NHCONHSO ₂ | — | Z-10 |
| 2-2408 | 5 | NHCONHSO ₂ | — | Z-11 |
| 2-2409 | 5 | NHCONHSO ₂ | — | Z-12 |
| 2-2410 | 5 | NHCONHSO ₂ | — | 3-Py |
| 2-2411 | 5 | NHCONHSO ₂ | — | 4-Py |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------------------|---------|----------------|
| 2-2412 | 5 | NHCONHSO ₂ | NH | H |
| 2-2413 | 5 | NHCONHSO ₂ | NH | Me |
| 2-2414 | 5 | NHCONHSO ₂ | NH | Et |
| 2-2415 | 5 | NHCONHSO ₂ | NH | Pr |
| 2-2416 | 5 | NHCONHSO ₂ | NH | iPr |
| 2-2417 | 5 | NHCONHSO ₂ | NH | Bu |
| 2-2418 | 5 | NHCONHSO ₂ | NMe | Me |
| 2-2419 | 5 | NHCONHSO ₂ | NMe | Et |
| 2-2420 | 5 | NHCONHSO ₂ | NMe | Pr |
| 2-2421 | 5 | NHCONHSO ₂ | NMe | iPr |
| 2-2422 | 5 | NHCONHSO ₂ | NMe | Bu |
| 2-2423 | 5 | — | NH | H |
| 2-2424 | 5 | — | NH | Me |
| 2-2425 | 5 | — | NH | Et |
| 2-2426 | 5 | — | NH | Pr |
| 2-2427 | 5 | — | NH | iPr |
| 2-2428 | 5 | — | NH | Bu |
| 2-2429 | 5 | CO | Pyr | |
| 2-2430 | 5 | CO | Pipri | |
| 2-2431 | 5 | CO | Pipra | |
| 2-2432 | 5 | CO | Mor | |
| 2-2433 | 5 | CO | Thmor | |
| 2-2434 | 5 | CO | NHPyr | |
| 2-2435 | 5 | CO | NHPipri | |
| 2-2436 | 5 | CO | NHPipra | |
| 2-2437 | 5 | CO | NHMor | |

Table 2 (cont.)

| Cpd.
No. | k | A | B | R ¹ |
|-------------|---|---------------------|---|----------------|
| 2-2438 | 5 | CO | | NHThmor |
| 2-2439 | 5 | NHCO | | Pyr |
| 2-2440 | 5 | NHCO | | Pipri |
| 2-2441 | 5 | NHCO | | Pipra |
| 2-2442 | 5 | NHCO | | Mor |
| 2-2443 | 5 | NHCO | | Thmor |
| 2-2444 | 5 | NHCO | | NHPyr |
| 2-2445 | 5 | NHCO | | NHPipri |
| 2-2446 | 5 | NHCO | | NHPipra |
| 2-2447 | 5 | NHCO | | NHMor |
| 2-2448 | 5 | NHCO | | NHThmor |
| 2-2449 | 5 | CONHCO | | Pyr |
| 2-2450 | 5 | CONHCO | | Pipri |
| 2-2451 | 5 | CONHCO | | Pipra |
| 2-2452 | 5 | CONHCO | | Mor |
| 2-2453 | 5 | CONHCO | | Thmor |
| 2-2454 | 5 | CONHCO | | NHPyr |
| 2-2455 | 5 | CONHCO | | NHPipri |
| 2-2456 | 5 | CONHCO | | NHPipra |
| 2-2457 | 5 | CONHCO | | NHMor |
| 2-2458 | 5 | CONHCO | | NHThmor |
| 2-2459 | 5 | CONHSO ₂ | | Pyr |
| 2-2460 | 5 | CONHSO ₂ | | Pipri |
| 2-2461 | 5 | CONHSO ₂ | | Pipra |
| 2-2462 | 5 | CONHSO ₂ | | Mor |
| 2-2463 | 5 | CONHSO ₂ | | Thmor |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|-----|------------------------|
| 2-2464 | 5 | CONHSO ₂ | | NHPyr |
| 2-2465 | 5 | CONHSO ₂ | | NHPipri |
| 2-2466 | 5 | CONHSO ₂ | | NHPipra |
| 2-2467 | 5 | CONHSO ₂ | | NHMor |
| 2-2468 | 5 | CONHSO ₂ | | NHThmor |
| 2-2469 | 5 | NHSO ₂ | NH | Z-4 |
| 2-2470 | 5 | NHSO ₂ | — | Me |
| 2-2471 | 5 | NHSO ₂ | — | Et |
| 2-2472 | 5 | NHSO ₂ | — | Pr |
| 2-2473 | 5 | NHSO ₂ | — | CH ₂ -Cl |
| 2-2474 | 5 | NHSO ₂ | — | Ph |
| 2-2475 | 5 | NHSO ₂ | — | 4-Me-Ph |
| 2-2476 | 5 | CO | NMe | Ph |
| 2-2477 | 5 | CO | NMe | 2-Me-Ph |
| 2-2478 | 5 | CO | NMe | 4-Me-Ph |
| 2-2479 | 5 | CO | NMe | 2,4-diMe-Ph |
| 2-2480 | 5 | CO | NMe | 3,4-diMe-Ph |
| 2-2481 | 5 | CO | NMe | 2-(CF ₃)Ph |
| 2-2482 | 5 | CO | NMe | 4-(CF ₃)Ph |
| 2-2483 | 5 | CO | NMe | 2-MeOPh |
| 2-2484 | 5 | CO | NMe | 4-MeOPh |
| 2-2485 | 5 | CO | NMe | 2-EtOPh |
| 2-2486 | 5 | CO | NMe | 4-EtOPh |
| 2-2487 | 5 | CO | NMe | 2-HOPh |
| 2-2488 | 5 | CO | NMe | 4-HOPh |
| 2-2489 | 5 | CO | NMe | 2-(HOOC)Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----|-----|--|
| 2-2490 | 5 | CO | NMe | 4-(HOOC)Ph |
| 2-2491 | 5 | CO | NMe | 2-(MeOOC)Ph |
| 2-2492 | 5 | CO | NMe | 4-(MeOOC)Ph |
| 2-2493 | 5 | CO | NMe | 2-(EtOOC)Ph |
| 2-2494 | 5 | CO | NMe | 4-(EtOOC)Ph |
| 2-2495 | 5 | CO | NMe | 2-(<i>t</i> BuOOC)Ph |
| 2-2496 | 5 | CO | NMe | 4-(<i>t</i> BuOOC)Ph |
| 2-2497 | 5 | CO | NMe | 2-Cl-Ph |
| 2-2498 | 5 | CO | NMe | 4-Cl-Ph |
| 2-2499 | 5 | CO | NMe | 2-Br-Ph |
| 2-2500 | 5 | CO | NMe | 4-Br-Ph |
| 2-2501 | 5 | CO | NMe | 2-I-Ph |
| 2-2502 | 5 | CO | NMe | 4-I-Ph |
| 2-2503 | 5 | CO | NMe | 2-NO ₂ -Ph |
| 2-2504 | 5 | CO | NMe | 4-NO ₂ -Ph |
| 2-2505 | 5 | CO | NMe | 2-NH ₂ -Ph |
| 2-2506 | 5 | CO | NMe | 4-NH ₂ -Ph |
| 2-2507 | 5 | CO | NMe | 2-(HO ₃ S)Ph |
| 2-2508 | 5 | CO | NMe | 4-(HO ₃ S)Ph |
| 2-2509 | 5 | CO | NMe | 2-(NH ₂ O ₂ S)Ph |
| 2-2510 | 5 | CO | NMe | 4-(NH ₂ O ₂ S)Ph |
| 2-2511 | 5 | CO | NMe | 2-CN-Ph |
| 2-2512 | 5 | CO | NMe | 4-CN-Ph |
| 2-2513 | 5 | CO | NMe | 2-(HOCH ₂)Ph |
| 2-2514 | 5 | CO | NMe | 4-(HOCH ₂)Ph |
| 2-2515 | 5 | CO | NMe | Me |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----|-----|---|
| 2-2516 | 5 | CO | NMe | Et |
| 2-2517 | 5 | CO | NMe | Pr |
| 2-2518 | 5 | CO | NMe | <i>i</i> Pr |
| 2-2519 | 5 | CO | NMe | Bu |
| 2-2520 | 5 | CO | NMe | HOOCCH ₂ |
| 2-2521 | 5 | CO | NMe | HOOC-(CH ₂) ₂ |
| 2-2522 | 5 | CO | NMe | MeCH(COOH) |
| 2-2523 | 5 | CO | NMe | HOOC-(CH ₂) ₃ - |
| 2-2524 | 5 | CO | NMe | MeCH(COOMe) |
| 2-2525 | 5 | CO | NMe | 1-HOOC- <i>i</i> Bu |
| 2-2526 | 5 | CO | NMe | 1-MeOOC- <i>i</i> Bu |
| 2-2527 | 5 | CO | NMe | 1-HOOC- <i>i</i> Pn |
| 2-2528 | 5 | CO | NMe | 1-MeOOC- <i>i</i> Pn |
| 2-2529 | 5 | CO | NMe | 1-HOOC-2-Me-Bu |
| 2-2530 | 5 | CO | NMe | 1-MeOOC-2-Me-Bu |
| 2-2531 | 5 | CO | NMe | CH ₂ CH ₂ SO ₃ H |
| 2-2532 | 5 | CO | NMe | OH |
| 2-2533 | 5 | CO | NMe | MeO |
| 2-2534 | 5 | CO | NMe | EtO |
| 2-2535 | 5 | CO | NMe | PrO |
| 2-2536 | 5 | CO | NMe | <i>i</i> PrO |
| 2-2537 | 5 | CO | NMe | BuO |
| 2-2538 | 5 | CO | NMe | <i>i</i> BuO |
| 2-2539 | 5 | CO | NMe | <i>s</i> BuO |
| 2-2540 | 5 | CO | NMe | <i>t</i> BuO |
| 2-2541 | 5 | CO | NMe | HxO |
| 2-2542 | 5 | CO | NMe | PhO |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|---------|----------------|
| 2-2543 | 5 | CO | NMe | BnO |
| 2-2544 | 5 | CO | NMe | Z-1 |
| 2-2545 | 5 | CO | NMe | Z-2 |
| 2-2546 | 5 | CO | NMe | Z-3 |
| 2-2547 | 5 | CO | NMe | Z-4 |
| 2-2548 | 5 | CO | NMe | Z-5 |
| 2-2549 | 5 | CO | NMe | Z-6 |
| 2-2550 | 5 | CO | NMe | Z-7 |
| 2-2551 | 5 | CO | NMe | Z-8 |
| 2-2552 | 5 | CO | NMe | Z-9 |
| 2-2553 | 5 | CO | NMe | Z-10 |
| 2-2554 | 5 | CO | NMe | Z-11 |
| 2-2555 | 5 | CO | NMe | Z-12 |
| 2-2556 | 5 | CO | NMe | 3-Py |
| 2-2557 | 5 | CO | NMe | 4-Py |
| 2-2558 | 5 | CO | Thiad | |
| 2-2559 | 5 | CO | NHThiad | |
| 2-2560 | 5 | NHCO | Thiad | |
| 2-2561 | 5 | NHCO | NHThiad | |
| 2-2562 | 5 | CONHCO | Thiad | |
| 2-2563 | 5 | CONHCO | NHThiad | |
| 2-2564 | 5 | CONHSO ₂ | Thiad | |
| 2-2565 | 5 | CONHSO ₂ | NHThiad | |
| 2-2566 | 5 | NHCS | NH | H |
| 2-2567 | 5 | NHCS | NH | Me |
| 2-2568 | 5 | NHCS | NH | Et |
| 2-2569 | 5 | NHCS | NH | Ph |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|--------|----|--|
| 2-2570 | 5 | NHCS | NH | HOOCCH ₂ |
| 2-2571 | 5 | NHCS | NH | MeOOCCH ₂ |
| 2-2572 | 5 | NHCS | NH | MeCH(COOH) |
| 2-2573 | 5 | NHCS | NH | HOOC-(CH ₂) ₂ |
| 2-2574 | 5 | NHCS | NH | MeCH(COOMe) |
| 2-2575 | 5 | CO | NH | HOOC-(CH ₂) ₃ - |
| 2-2576 | 5 | NHCO | NH | HOOC-(CH ₂) ₃ - |
| 2-2577 | 5 | NHCO | — | HOOC-(CH ₂) ₃ - |
| 2-2578 | 5 | NHCS | NH | HOOC-(CH ₂) ₃ - |
| 2-2579 | 5 | CO | NH | MeSO ₂ NHCOCH(Me) |
| 2-2580 | 5 | NHCO | NH | MeSO ₂ NHCOCH(Me) |
| 2-2581 | 5 | NHCO | — | MeSO ₂ NHCOCH(Me) |
| 2-2582 | 5 | NHCS | NH | MeSO ₂ NHCOCH(Me) |
| 2-2583 | 5 | — | NH | HOOCCH ₂ |
| 2-2584 | 5 | — | NH | MeOOCCH ₂ |
| 2-2585 | 5 | — | NH | MeCH(COOH) |
| 2-2586 | 5 | — | NH | HOOC-(CH ₂) ₂ |
| 2-2587 | 5 | — | NH | MeCH(COOMe) |
| 2-2588 | 5 | — | NH | HOOC-(CH ₂) ₃ - |
| 2-2589 | 5 | NHCOCO | — | OH |
| 2-2590 | 5 | NHCOCO | — | MeO |
| 2-2591 | 5 | NHCOCO | — | EtO |
| 2-2592 | 5 | NHCOCO | — | PrO |
| 2-2593 | 5 | NHCOCO | — | iPrO |
| 2-2594 | 5 | NHCOCO | — | BuO |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|----|----------------------------|----------------|----------------|
| 2-2595 | 5 | NHCOCO | — | <i>i</i> BuO |
| 2-2596 | 5 | NHCOCO | — | <i>s</i> BuO |
| 2-2597 | 5 | NHCOCO | — | <i>t</i> BuO |
| 2-2598 | 5 | NHCOCO | — | HxO |
| 2-2599 | 5 | NHCOCO | — | PhO |
| 2-2600 | 5 | NHCOCO | — | BnO |
| 2-2601 | 0 | — | 1,3-diox-IIInd | |
| 2-2602 | 1 | — | 1,3-diox-IIInd | |
| 2-2603 | 2 | — | 1,3-diox-IIInd | |
| 2-2604 | 3 | — | 1,3-diox-IIInd | |
| 2-2605 | 4 | — | 1,3-diox-IIInd | |
| 2-2606 | 5 | — | 1,3-diox-IIInd | |
| 2-2607 | 6 | — | 1,3-diox-IIInd | |
| 2-2608 | 7 | — | 1,3-diox-IIInd | |
| 2-2609 | 8 | — | 1,3-diox-IIInd | |
| 2-2610 | 9 | — | 1,3-diox-IIInd | |
| 2-2611 | 10 | — | 1,3-diox-IIInd | |
| 2-2612 | 11 | — | 1,3-diox-IIInd | |
| 2-2613 | 12 | — | 1,3-diox-IIInd | |
| 2-2614 | 4 | NHCONHSO ₂ NHCO | NH | Z-4 |
| 2-2615 | 4 | NHCONHSO ₂ NHCO | NH | Pn |
| 2-2616 | 2 | O | — | H |
| 2-2617 | 4 | O | — | H |
| 2-2618 | 5 | O | — | H |
| 2-2619 | 5 | O | — | Ph |
| 2-2620 | 5 | O | — | 2-Py |
| 2-2621 | 5 | O | — | 3-Py |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|----|---|
| 2-2622 | 5 | O | — | 4-Py |
| 2-2623 | 5 | O | — | Z-1 |
| 2-2624 | 5 | O | — | Z-2 |
| 2-2625 | 5 | O | — | Z-3 |
| 2-2626 | 5 | O | — | Z-4 |
| 2-2627 | 5 | O | — | Z-5 |
| 2-2628 | 5 | O | — | Z-6 |
| 2-2629 | 5 | O | — | Z-7 |
| 2-2630 | 5 | O | — | Z-8 |
| 2-2631 | 5 | O | — | Z-9 |
| 2-2632 | 5 | O | — | Z-10 |
| 2-2633 | 5 | O | — | Z-11 |
| 2-2634 | 5 | O | — | Z-12 |
| 2-2635 | 4 | NHCO | — | 3-Py |
| 2-2636 | 5 | NHCO | — | 3-Py |
| 2-2637 | 4 | CO | NH | HOCH ₂ CH(CH ₃)CH ₂ |
| 2-2638 | 5 | CO | NH | HOCH ₂ CH(CH ₃)CH ₂ |
| 2-2639 | 4 | NHCO | NH | HOCH ₂ CH(CH ₃)CH ₂ |
| 2-2640 | 5 | NHCO | NH | HOCH ₂ CH(CH ₃)CH ₂ |
| 2-2641 | 4 | CO | NH | MeSO ₂ NHCOCH ₂ |
| 2-2642 | 5 | CO | NH | MeSO ₂ NHCOCH ₂ |
| 2-2643 | 4 | NHCO | NH | MeSO ₂ NHCOCH ₂ |
| 2-2644 | 5 | NHCO | NH | MeSO ₂ NHCOCH ₂ |
| 2-2645 | 4 | CO | NH | H ₂ NSO ₂ NHCOCH ₂ |
| 2-2646 | 5 | CO | NH | H ₂ NSO ₂ NHCOCH ₂ |
| 2-2647 | 4 | NHCO | NH | H ₂ NSO ₂ NHCOCH ₂ |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----------------------|-----|---|
| 2-2648 | 5 | NHCO | NH | H ₂ NSO ₂ NHCOCH ₂ |
| 2-2649 | 4 | CO | NH | 1-(MeSO ₂ NHCO)-Et |
| 2-2650 | 5 | CO | NH | 1-(MeSO ₂ NHCO)-Et |
| 2-2651 | 4 | NHCO | NH | 1-(MeSO ₂ NHCO)-Et |
| 2-2652 | 5 | NHCO | NH | 1-(MeSO ₂ NHCO)-Et |
| 2-2653 | 4 | CO | NH | 1-(H ₂ NSO ₂ NHCO)-Et |
| 2-2654 | 5 | CO | NH | 1-(H ₂ NSO ₂ NHCO)-Et |
| 2-2655 | 4 | NHCO | NH | 1-(H ₂ NSO ₂ NHCO)-Et |
| 2-2656 | 5 | NHCO | NH | 1-(H ₂ NSO ₂ NHCO)-Et |
| 2-2657 | 4 | CO | NH | HOOC-(CH ₂) ₄ |
| 2-2658 | 5 | CO | NH | HOOC-(CH ₂) ₄ |
| 2-2659 | 4 | NHCO | NH | HOOC-(CH ₂) ₄ |
| 2-2660 | 5 | NHCO | NH | HOOC-(CH ₂) ₄ |
| 2-2661 | 4 | CO | NH | HO-(CH ₂) ₂ |
| 2-2662 | 5 | CO | NH | HO-(CH ₂) ₂ |
| 2-2663 | 4 | NHCO | NH | HO-(CH ₂) ₂ |
| 2-2664 | 5 | NHCO | NH | HO-(CH ₂) ₂ |
| 2-2665 | 4 | CO | NH | HO-CH ₂ -CH(CH ₃) |
| 2-2666 | 5 | CO | NH | HO-CH ₂ -CH(CH ₃) |
| 2-2667 | 4 | NHCO | NH | HO-CH ₂ -CH(CH ₃) |
| 2-2668 | 5 | NHCO | NH | HO-CH ₂ -CH(CH ₃) |
| 2-2669 | 4 | CO | NMe | HOOC-(CH ₂) ₃ |
| 2-2670 | 4 | NHCO | NMe | HOOC-(CH ₂) ₃ |
| 2-2671 | 5 | NHCO | NMe | HOOC-(CH ₂) ₃ |
| 2-2672 | 4 | CONMeSO ₂ | — | Me |

Table 2 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----------------------|--------------------------|----------------|
| 2-2673 | 5 | CONMeSO ₂ | — | Me |
| 2-2674 | 4 | CO | 1-Indn | |
| 2-2675 | 5 | CO | 1-Indn | |
| 2-2676 | 4 | NHCO | 1-Indn | |
| 2-2677 | 5 | NHCO | 1-Indn | |
| 2-2678 | 4 | CO | 2-(HOOC)-1-Indn | |
| 2-2679 | 5 | CO | 2-(HOOC)-1-Indn | |
| 2-2680 | 4 | NHCO | 2-(HOOC)-1-Indn | |
| 2-2681 | 5 | NHCO | 2-(HOOC)-1-Indn | |
| 2-2682 | 4 | — | 3,4-diMe-2,5-diox-1-Imdd | |
| 2-2683 | 5 | — | 3,4-diMe-2,5-diox-1-Imdd | |

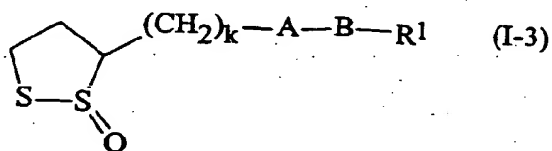


Table 3

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----|----|-------------------------|
| 3-1 | 4 | CO | NH | H |
| 3-2 | 4 | CO | NH | Ph |
| 3-3 | 4 | CO | NH | 2-Me-Ph |
| 3-4 | 4 | CO | NH | 4-Me-Ph |
| 3-5 | 4 | CO | NH | 2,4-diMe-Ph |
| 3-6 | 4 | CO | NH | 3,4-diMe-Ph |
| 3-7 | 4 | CO | NH | 2-(CF ₃)-Ph |
| 3-8 | 4 | CO | NH | 4-(CF ₃)-Ph |
| 3-9 | 4 | CO | NH | 2-MeOPh |
| 3-10 | 4 | CO | NH | 4-MeOPh |
| 3-11 | 4 | CO | NH | 2-EtOPh |
| 3-12 | 4 | CO | NH | 4-EtOPh |
| 3-13 | 4 | CO | NH | 2-HOPh |
| 3-14 | 4 | CO | NH | 4-HOPh |
| 3-15 | 4 | CO | NH | 2-(HOOC)-Ph |
| 3-16 | 4 | CO | NH | 4-(HOOC)-Ph |
| 3-17 | 4 | CO | NH | 2-(MeOOC)-Ph |
| 3-18 | 4 | CO | NH | 4-(MeOOC)-Ph |
| 3-19 | 4 | CO | NH | 2-(EtOOC)-Ph |
| 3-20 | 4 | CO | NH | 4-(EtOOC)-Ph |
| 3-21 | 4 | CO | NH | 2-(<i>t</i> BuOOC)-Ph |
| 3-22 | 4 | CO | NH | 4-(<i>t</i> BuOOC)-Ph |
| 3-23 | 4 | CO | NH | 2-Cl-Ph |
| 3-24 | 4 | CO | NH | 4-Cl-Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----|----|---|
| 3-25 | 4 | CO | NH | 2-Br-Ph |
| 3-26 | 4 | CO | NH | 4-Br-Ph |
| 3-27 | 4 | CO | NH | 2-I-Ph |
| 3-28 | 4 | CO | NH | 4-I-Ph |
| 3-29 | 4 | CO | NH | 2-NO ₂ -Ph |
| 3-30 | 4 | CO | NH | 4-NO ₂ -Ph |
| 3-31 | 4 | CO | NH | 2-NH ₂ -Ph |
| 3-32 | 4 | CO | NH | 4-NH ₂ -Ph |
| 3-33 | 4 | CO | NH | 2-(HO ₃ S)-Ph |
| 3-34 | 4 | CO | NH | 4-(HO ₃ S)-Ph |
| 3-35 | 4 | CO | NH | 2-(NH ₂ O ₂ S)-Ph |
| 3-36 | 4 | CO | NH | 4-(NH ₂ O ₂ S)-Ph |
| 3-37 | 4 | CO | NH | 2-CN-Ph |
| 3-38 | 4 | CO | NH | 4-CN-Ph |
| 3-39 | 4 | CO | NH | 2-(HOCH ₂)-Ph |
| 3-40 | 4 | CO | NH | 4-(HOCH ₂)-Ph |
| 3-41 | 4 | CO | NH | Me |
| 3-42 | 4 | CO | NH | Et |
| 3-43 | 4 | CO | NH | Pr |
| 3-44 | 4 | CO | NH | iPr |
| 3-45 | 4 | CO | NH | Bu |
| 3-46 | 4 | CO | NH | HOOCCH ₂ - |
| 3-47 | 4 | CO | NH | MeOOCCH ₂ - |
| 3-48 | 4 | CO | NH | MeCH(COOH)- |
| 3-49 | 4 | CO | NH | HOOC-(CH ₂) ₂ - |
| 3-50 | 4 | CO | NH | MeCH(COOMe)- |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----|----|---|
| 3-51 | 4 | CO | NH | 1-HOOC- <i>i</i> Bu |
| 3-52 | 4 | CO | NH | 1-MeOOC- <i>i</i> Bu |
| 3-53 | 4 | CO | NH | 1-HOOC- <i>i</i> Pn |
| 3-54 | 4 | CO | NH | 1-MeOOC- <i>i</i> Pn |
| 3-55 | 4 | CO | NH | 1-HOOC-2-Me-Bu |
| 3-56 | 4 | CO | NH | 1-MeOOC-2-Me-Bu |
| 3-57 | 4 | CO | NH | CH ₂ CH ₂ SO ₃ H |
| 3-58 | 4 | CO | NH | OH |
| 3-59 | 4 | CO | NH | MeO |
| 3-60 | 4 | CO | NH | EtO |
| 3-61 | 4 | CO | NH | PrO |
| 3-62 | 4 | CO | NH | <i>i</i> PrO |
| 3-63 | 4 | CO | NH | BuO |
| 3-64 | 4 | CO | NH | <i>i</i> BuO |
| 3-65 | 4 | CO | NH | <i>s</i> BuO |
| 3-66 | 4 | CO | NH | <i>t</i> BuO |
| 3-67 | 4 | CO | NH | HxO |
| 3-68 | 4 | CO | NH | PhO |
| 3-69 | 4 | CO | NH | BnO |
| 3-70 | 4 | CO | NH | Z-1 |
| 3-71 | 4 | CO | NH | Z-2 |
| 3-72 | 4 | CO | NH | Z-3 |
| 3-73 | 4 | CO | NH | Z-4 |
| 3-74 | 4 | CO | NH | Z-5 |
| 3-75 | 4 | CO | NH | Z-6 |
| 3-76 | 4 | CO | NH | Z-7 |
| 3-77 | 4 | CO | NH | Z-8 |
| 3-78 | 4 | CO | NH | Z-9 |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----|-------|------------------------|
| 3-79 | 4 | CO | NH | Z-10 |
| 3-80 | 4 | CO | NH | Z-11 |
| 3-81 | 4 | CO | NH | Z-12 |
| 3-82 | 4 | CO | NH | 3-Py |
| 3-83 | 4 | CO | NH | 4-Py |
| 3-84 | 4 | CO | N(Ac) | H |
| 3-85 | 4 | CO | N(Ac) | Ph |
| 3-86 | 4 | CO | N(Ac) | 2-Me-Ph |
| 3-87 | 4 | CO | N(Ac) | 4-Me-Ph |
| 3-88 | 4 | CO | N(Ac) | 2,4-diMe-Ph |
| 3-89 | 4 | CO | N(Ac) | 3,4-diMe-Ph |
| 3-90 | 4 | CO | N(Ac) | 2-(CF ₃)Ph |
| 3-91 | 4 | CO | N(Ac) | 4-(CF ₃)Ph |
| 3-92 | 4 | CO | N(Ac) | 2-MeOPh |
| 3-93 | 4 | CO | N(Ac) | 4-MeOPh |
| 3-94 | 4 | CO | N(Ac) | 2-EtOPh |
| 3-95 | 4 | CO | N(Ac) | 4-EtOPh |
| 3-96 | 4 | CO | N(Ac) | 2-HOPh |
| 3-97 | 4 | CO | N(Ac) | 4-HOPh |
| 3-98 | 4 | CO | N(Ac) | 2-(HOOC)Ph |
| 3-99 | 4 | CO | N(Ac) | 4-(HOOC)Ph |
| 3-100 | 4 | CO | N(Ac) | 2-(MeOOC)Ph |
| 3-101 | 4 | CO | N(Ac) | 4-(MeOOC)Ph |
| 3-102 | 4 | CO | N(Ac) | 2-(EtOOC)Ph |
| 3-103 | 4 | CO | N(Ac) | 4-(EtOOC)Ph |
| 3-104 | 4 | CO | N(Ac) | 2-(<i>t</i> BuOOC)Ph |
| 3-105 | 4 | CO | N(Ac) | 4-(<i>t</i> BuOOC)Ph |
| 3-106 | 4 | CO | N(Ac) | 2-Cl-Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----|-------|--|
| 3-107 | 4 | CO | N(Ac) | 4-Cl-Ph |
| 3-108 | 4 | CO | N(Ac) | 2-Br-Ph |
| 3-109 | 4 | CO | N(Ac) | 4-Br-Ph |
| 3-110 | 4 | CO | N(Ac) | 2-I-Ph |
| 3-111 | 4 | CO | N(Ac) | 4-I-Ph |
| 3-112 | 4 | CO | N(Ac) | 2-NO ₂ -Ph |
| 3-113 | 4 | CO | N(Ac) | 4-NO ₂ -Ph |
| 3-114 | 4 | CO | N(Ac) | 2-NH ₂ -Ph |
| 3-115 | 4 | CO | N(Ac) | 4-NH ₂ -Ph |
| 3-116 | 4 | CO | N(Ac) | 2-(HO ₃ S)Ph |
| 3-117 | 4 | CO | N(Ac) | 4-(HO ₃ S)Ph |
| 3-118 | 4 | CO | N(Ac) | 2-(NH ₂ O ₂ S)Ph |
| 3-119 | 4 | CO | N(Ac) | 4-(NH ₂ O ₂ S)Ph |
| 3-120 | 4 | CO | N(Ac) | 2-CN-Ph |
| 3-121 | 4 | CO | N(Ac) | 4-CN-Ph |
| 3-122 | 4 | CO | N(Ac) | 2-(HOCH ₂)Ph |
| 3-123 | 4 | CO | N(Ac) | 4-(HOCH ₂)Ph |
| 3-124 | 4 | CO | N(Ac) | Me |
| 3-125 | 4 | CO | N(Ac) | Et |
| 3-126 | 4 | CO | N(Ac) | Pr |
| 3-127 | 4 | CO | N(Ac) | iPr |
| 3-128 | 4 | CO | N(Ac) | Bu |
| 3-129 | 4 | CO | N(Ac) | HOOCCH ₂ - |
| 3-130 | 4 | CO | N(Ac) | MeOOCCH ₂ - |
| 3-131 | 4 | CO | N(Ac) | MeCH(COOH) |
| 3-132 | 4 | CO | N(Ac) | HOOC-(CH ₂) ₂ - |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----|-------|---|
| 3-133 | 4 | CO | N(Ac) | MeCH(COOMe) |
| 3-134 | 4 | CO | N(Ac) | 1-HOOC- <i>i</i> Bu |
| 3-135 | 4 | CO | N(Ac) | 1-MeOOC- <i>i</i> Bu |
| 3-136 | 4 | CO | N(Ac) | 1-HOOC- <i>i</i> Pn |
| 3-137 | 4 | CO | N(Ac) | 1-MeOOC- <i>i</i> Pn |
| 3-138 | 4 | CO | N(Ac) | 1-HOOC-2-Me-Bu |
| 3-139 | 4 | CO | N(Ac) | 1-MeOOC-2-Me-Bu |
| 3-140 | 4 | CO | N(Ac) | CH ₂ CH ₂ SO ₃ H |
| 3-141 | 4 | CO | N(Ac) | OH |
| 3-142 | 4 | CO | N(Ac) | MeO |
| 3-143 | 4 | CO | N(Ac) | EtO |
| 3-144 | 4 | CO | N(Ac) | PrO |
| 3-145 | 4 | CO | N(Ac) | <i>i</i> PrO |
| 3-146 | 4 | CO | N(Ac) | BuO |
| 3-147 | 4 | CO | N(Ac) | <i>i</i> BuO |
| 3-148 | 4 | CO | N(Ac) | <i>s</i> BuO |
| 3-149 | 4 | CO | N(Ac) | <i>t</i> BuO |
| 3-150 | 4 | CO | N(Ac) | HxO |
| 3-151 | 4 | CO | N(Ac) | PhO |
| 3-152 | 4 | CO | N(Ac) | BnO |
| 3-153 | 4 | CO | N(Ac) | Z-1 |
| 3-154 | 4 | CO | N(Ac) | Z-2 |
| 3-155 | 4 | CO | N(Ac) | Z-3 |
| 3-156 | 4 | CO | N(Ac) | Z-4 |
| 3-157 | 4 | CO | N(Ac) | Z-5 |
| 3-158 | 4 | CO | N(Ac) | Z-6 |
| 3-159 | 4 | CO | N(Ac) | Z-7 |
| 3-160 | 4 | CO | N(Ac) | Z-8 |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----|-------|------------------------|
| 3-161 | 4 | CO | N(Ac) | Z-9 |
| 3-162 | 4 | CO | N(Ac) | Z-10 |
| 3-163 | 4 | CO | N(Ac) | Z-11 |
| 3-164 | 4 | CO | N(Ac) | Z-12 |
| 3-165 | 4 | CO | N(Ac) | 3-Py |
| 3-166 | 4 | CO | N(Ac) | 4-Py |
| 3-167 | 4 | COO | — | H |
| 3-168 | 4 | COO | — | Ph |
| 3-169 | 4 | COO | — | 2-Me-Ph |
| 3-170 | 4 | COO | — | 4-Me-Ph |
| 3-171 | 4 | COO | — | 2,4-diMe-Ph |
| 3-172 | 4 | COO | — | 3,4-diMe-Ph |
| 3-173 | 4 | COO | — | 2-(CF ₃)Ph |
| 3-174 | 4 | COO | — | 4-(CF ₃)Ph |
| 3-175 | 4 | COO | — | 2-MeOPh |
| 3-176 | 4 | COO | — | 4-MeOPh |
| 3-177 | 4 | COO | — | 2-EtOPh |
| 3-178 | 4 | COO | — | 4-EtOPh |
| 3-179 | 4 | COO | — | 2-HOPh |
| 3-180 | 4 | COO | — | 4-HOPh |
| 3-181 | 4 | COO | — | 2-(HOOC)Ph |
| 3-182 | 4 | COO | — | 4-(HOOC)Ph |
| 3-183 | 4 | COO | — | 2-(MeOOC)Ph |
| 3-184 | 4 | COO | — | 4-(MeOOC)Ph |
| 3-185 | 4 | COO | — | 2-(EtOOC)Ph |
| 3-186 | 4 | COO | — | 4-(EtOOC)Ph |
| 3-187 | 4 | COO | — | 2-(<i>t</i> BuOOC)Ph |
| 3-188 | 4 | COO | — | 4-(<i>t</i> BuOOC)Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----|---|--|
| 3-189 | 4 | COO | — | 2-Cl-Ph |
| 3-190 | 4 | COO | — | 4-Cl-Ph |
| 3-191 | 4 | COO | — | 2-Br-Ph |
| 3-192 | 4 | COO | — | 4-Br-Ph |
| 3-193 | 4 | COO | — | 2-I-Ph |
| 3-194 | 4 | COO | — | 4-I-Ph |
| 3-195 | 4 | COO | — | 2-NO ₂ -Ph |
| 3-196 | 4 | COO | — | 4-NO ₂ -Ph |
| 3-197 | 4 | COO | — | 2-NH ₂ -Ph |
| 3-198 | 4 | COO | — | 4-NH ₂ -Ph |
| 3-199 | 4 | COO | — | 2-(HO ₃ S)Ph |
| 3-200 | 4 | COO | — | 4-(HO ₃ S)Ph |
| 3-201 | 4 | COO | — | 2-(NH ₂ O ₂ S)Ph |
| 3-202 | 4 | COO | — | 4-(NH ₂ O ₂ S)Ph |
| 3-203 | 4 | COO | — | 2-CN-Ph |
| 3-204 | 4 | COO | — | 4-CN-Ph |
| 3-205 | 4 | COO | — | 2-(HOCH ₂)Ph |
| 3-206 | 4 | COO | — | 4-(HOCH ₂)Ph |
| 3-207 | 4 | COO | — | Me |
| 3-208 | 4 | COO | — | Et |
| 3-209 | 4 | COO | — | Pr |
| 3-210 | 4 | COO | — | iPr |
| 3-211 | 4 | COO | — | Bu |
| 3-212 | 4 | COO | — | HOOCCH ₂ - |
| 3-213 | 4 | COO | — | HOOC-(CH ₂) ₂ - |
| 3-214 | 4 | COO | — | MeCH(COOMe) |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|--------|---|------------------------|
| 3-215 | 4 | COO | — | 1-HOOC- <i>i</i> Bu |
| 3-216 | 4 | COO | — | 1-HOOC- <i>i</i> Pn |
| 3-217 | 4 | COO | — | Z-1 |
| 3-218 | 4 | COO | — | Z-2 |
| 3-219 | 4 | COO | — | Z-3 |
| 3-220 | 4 | COO | — | Z-4 |
| 3-221 | 4 | COO | — | Z-5 |
| 3-222 | 4 | COO | — | Z-6 |
| 3-223 | 4 | COO | — | Z-7 |
| 3-224 | 4 | COO | — | Z-8 |
| 3-225 | 4 | COO | — | Z-9 |
| 3-226 | 4 | COO | — | Z-10 |
| 3-227 | 4 | COO | — | Z-11 |
| 3-228 | 4 | COO | — | Z-12 |
| 3-229 | 4 | COO | — | 3-Py |
| 3-230 | 4 | COO | — | 4-Py |
| 3-231 | 4 | CONHCO | — | H |
| 3-232 | 4 | CONHCO | — | Ph |
| 3-233 | 4 | CONHCO | — | 2-Me-Ph |
| 3-234 | 4 | CONHCO | — | 4-Me-Ph |
| 3-235 | 4 | CONHCO | — | 2,4-diMe-Ph |
| 3-236 | 4 | CONHCO | — | 3,4-diMe-Ph |
| 3-237 | 4 | CONHCO | — | 2-(CF ₃)Ph |
| 3-238 | 4 | CONHCO | — | 4-(CF ₃)Ph |
| 3-239 | 4 | CONHCO | — | 2-MeOPh |
| 3-240 | 4 | CONHCO | — | 4-MeOPh |
| 3-241 | 4 | CONHCO | — | 2-EtOPh |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|--------|---|--|
| 3-242 | 4 | CONHCO | — | 4-EtOPh |
| 3-243 | 4 | CONHCO | — | 2-HOPh |
| 3-244 | 4 | CONHCO | — | 4-HOPh |
| 3-245 | 4 | CONHCO | — | 2-(HOOC)Ph |
| 3-246 | 4 | CONHCO | — | 4-(HOOC)Ph |
| 3-247 | 4 | CONHCO | — | 2-(MeOOC)Ph |
| 3-248 | 4 | CONHCO | — | 4-(MeOOC)Ph |
| 3-249 | 4 | CONHCO | — | 2-(EtOOC)Ph |
| 3-250 | 4 | CONHCO | — | 4-(EtOOC)Ph |
| 3-251 | 4 | CONHCO | — | 2-(<i>t</i> BuOOC)Ph |
| 3-252 | 4 | CONHCO | — | 4-(<i>t</i> BuOOC)Ph |
| 3-253 | 4 | CONHCO | — | 2-Cl-Ph |
| 3-254 | 4 | CONHCO | — | 4-Cl-Ph |
| 3-255 | 4 | CONHCO | — | 2-Br-Ph |
| 3-256 | 4 | CONHCO | — | 4-Br-Ph |
| 3-257 | 4 | CONHCO | — | 2-I-Ph |
| 3-258 | 4 | CONHCO | — | 4-I-Ph |
| 3-259 | 4 | CONHCO | — | 2-NO ₂ -Ph |
| 3-260 | 4 | CONHCO | — | 4-NO ₂ -Ph |
| 3-261 | 4 | CONHCO | — | 2-NH ₂ -Ph |
| 3-262 | 4 | CONHCO | — | 4-NH ₂ -Ph |
| 3-263 | 4 | CONHCO | — | 2-(HO ₃ S)Ph |
| 3-264 | 4 | CONHCO | — | 4-(HO ₃ S)Ph |
| 3-265 | 4 | CONHCO | — | 2-(NH ₂ O ₂ S)Ph |
| 3-266 | 4 | CONHCO | — | 4-(NH ₂ O ₂ S)Ph |
| 3-267 | 4 | CONHCO | — | 2-CN-Ph |
| 3-268 | 4 | CONHCO | — | 4-CN-Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|--------|---|---|
| 3-269 | 4 | CONHCO | — | 2-(HOCH ₂)Ph |
| 3-270 | 4 | CONHCO | — | 4-(HOCH ₂)Ph |
| 3-271 | 4 | CONHCO | — | Me |
| 3-272 | 4 | CONHCO | — | Et |
| 3-273 | 4 | CONHCO | — | Pr |
| 3-274 | 4 | CONHCO | — | iPr |
| 3-275 | 4 | CONHCO | — | Bu |
| 3-276 | 4 | CONHCO | — | HOOCCH ₂ - |
| 3-277 | 4 | CONHCO | — | MeOOCCH ₂ - |
| 3-278 | 4 | CONHCO | — | MeCH(COOH) |
| 3-279 | 4 | CONHCO | — | HOOC-(CH ₂) ₂ - |
| 3-280 | 4 | CONHCO | — | MeCH(COOMe) |
| 3-281 | 4 | CONHCO | — | 1-HOOC-iBu |
| 3-282 | 4 | CONHCO | — | 1-MeOOC-iBu |
| 3-283 | 4 | CONHCO | — | 1-HOOC-iPn |
| 3-284 | 4 | CONHCO | — | 1-MeOOC-iPn |
| 3-285 | 4 | CONHCO | — | 1-HOOC-2-Me-Bu |
| 3-286 | 4 | CONHCO | — | 1-MeOOC-2-Me-Bu |
| 3-287 | 4 | CONHCO | — | CH ₂ CH ₂ SO ₃ H |
| 3-288 | 4 | CONHCO | — | Z-1 |
| 3-289 | 4 | CONHCO | — | Z-2 |
| 3-290 | 4 | CONHCO | — | Z-3 |
| 3-291 | 4 | CONHCO | — | Z-4 |
| 3-292 | 4 | CONHCO | — | Z-5 |
| 3-293 | 4 | CONHCO | — | Z-6 |
| 3-294 | 4 | CONHCO | — | Z-7 |
| 3-295 | 4 | CONHCO | — | Z-8 |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------|---|--|
| 3-323 | 4 | CON(Ac)CO | — | 4-(<i>t</i> BuOOC)Ph |
| 3-324 | 4 | CON(Ac)CO | — | 2-Cl-Ph |
| 3-325 | 4 | CON(Ac)CO | — | 4-Cl-Ph |
| 3-326 | 4 | CON(Ac)CO | — | 2-Br-Ph |
| 3-327 | 4 | CON(Ac)CO | — | 4-Br-Ph |
| 3-328 | 4 | CON(Ac)CO | — | 2-I-Ph |
| 3-329 | 4 | CON(Ac)CO | — | 4-I-Ph |
| 3-330 | 4 | CON(Ac)CO | — | 2-NO ₂ -Ph |
| 3-331 | 4 | CON(Ac)CO | — | 4-NO ₂ -Ph |
| 3-332 | 4 | CON(Ac)CO | — | 2-NH ₂ -Ph |
| 3-333 | 4 | CON(Ac)CO | — | 4-NH ₂ -Ph |
| 3-334 | 4 | CON(Ac)CO | — | 2-(HO ₃ S)Ph |
| 3-335 | 4 | CON(Ac)CO | — | 4-(HO ₃ S)Ph |
| 3-336 | 4 | CON(Ac)CO | — | 2-(NH ₂ O ₂ S)Ph |
| 3-337 | 4 | CON(Ac)CO | — | 4-(NH ₂ O ₂ S)Ph |
| 3-338 | 4 | CON(Ac)CO | — | 2-CN-Ph |
| 3-339 | 4 | CON(Ac)CO | — | 4-CN-Ph |
| 3-340 | 4 | CON(Ac)CO | — | 2-(HOCH ₂)Ph |
| 3-341 | 4 | CON(Ac)CO | — | 4-(HOCH ₂)Ph |
| 3-342 | 4 | CON(Ac)CO | — | Me |
| 3-343 | 4 | CON(Ac)CO | — | Et |
| 3-344 | 4 | CON(Ac)CO | — | Pr |
| 3-345 | 4 | CON(Ac)CO | — | <i>i</i> Pr |
| 3-346 | 4 | CON(Ac)CO | — | Bu |
| 3-347 | 4 | CON(Ac)CO | — | HOOCCH ₂ - |
| 3-348 | 4 | CON(Ac)CO | — | MeOOCCH ₂ - |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------|---|------------------------|
| 3-296 | 4 | CONHCO | — | Z-9 |
| 3-297 | 4 | CONHCO | — | Z-10 |
| 3-298 | 4 | CONHCO | — | Z-11 |
| 3-299 | 4 | CONHCO | — | Z-12 |
| 3-300 | 4 | CONHCO | — | 3-Py |
| 3-301 | 4 | CONHCO | — | 4-Py |
| 3-302 | 4 | CON(Ac)CO | — | H |
| 3-303 | 4 | CON(Ac)CO | — | Ph |
| 3-304 | 4 | CON(Ac)CO | — | 2-Me-Ph |
| 3-305 | 4 | CON(Ac)CO | — | 4-Me-Ph |
| 3-306 | 4 | CON(Ac)CO | — | 2,4-diMe-Ph |
| 3-307 | 4 | CON(Ac)CO | — | 3,4-diMe-Ph |
| 3-308 | 4 | CON(Ac)CO | — | 2-(CF ₃)Ph |
| 3-309 | 4 | CON(Ac)CO | — | 4-(CF ₃)Ph |
| 3-310 | 4 | CON(Ac)CO | — | 2-MeOPh |
| 3-311 | 4 | CON(Ac)CO | — | 4-MeOPh |
| 3-312 | 4 | CON(Ac)CO | — | 2-EtOPh |
| 3-313 | 4 | CON(Ac)CO | — | 4-EtOPh |
| 3-314 | 4 | CON(Ac)CO | — | 2-HOPh |
| 3-315 | 4 | CON(Ac)CO | — | 4-HOPh |
| 3-316 | 4 | CON(Ac)CO | — | 2-(HOOC)Ph |
| 3-317 | 4 | CON(Ac)CO | — | 4-(HOOC)Ph |
| 3-318 | 4 | CON(Ac)CO | — | 2-(MeOOC)Ph |
| 3-319 | 4 | CON(Ac)CO | — | 4-(MeOOC)Ph |
| 3-320 | 4 | CON(Ac)CO | — | 2-(EtOOC)Ph |
| 3-321 | 4 | CON(Ac)CO | — | 4-(EtOOC)Ph |
| 3-322 | 4 | CON(Ac)CO | — | 2-(<i>t</i> BuOOC)Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------|----|---|
| 3-349 | 4 | CON(Ac)CO | — | MeCH(COOH) |
| 3-350 | 4 | CON(Ac)CO | — | HOOC-(CH ₂) ₂ - |
| 3-351 | 4 | CON(Ac)CO | — | MeCH(COOMe) |
| 3-352 | 4 | CON(Ac)CO | — | 1-HOOC- <i>i</i> Bu |
| 3-353 | 4 | CON(Ac)CO | — | 1-MeOOC- <i>i</i> Bu |
| 3-354 | 4 | CON(Ac)CO | — | 1-HOOC- <i>i</i> Pn |
| 3-355 | 4 | CON(Ac)CO | — | 1-MeOOC- <i>i</i> Pn |
| 3-356 | 4 | CON(Ac)CO | — | 1-HOOC-2-Me-Bu |
| 3-357 | 4 | CON(Ac)CO | — | 1-MeOOC-2-Me-Bu |
| 3-358 | 4 | CON(Ac)CO | — | CH ₂ CH ₂ SO ₃ H |
| 3-359 | 4 | CON(Ac)CO | — | Z-1 |
| 3-360 | 4 | CON(Ac)CO | — | Z-2 |
| 3-361 | 4 | CON(Ac)CO | — | Z-3 |
| 3-362 | 4 | CON(Ac)CO | — | Z-4 |
| 3-363 | 4 | CON(Ac)CO | — | Z-5 |
| 3-364 | 4 | CON(Ac)CO | — | Z-6 |
| 3-365 | 4 | CON(Ac)CO | — | Z-7 |
| 3-366 | 4 | CON(Ac)CO | — | Z-8 |
| 3-367 | 4 | CON(Ac)CO | — | Z-9 |
| 3-368 | 4 | CON(Ac)CO | — | Z-10 |
| 3-369 | 4 | CON(Ac)CO | — | Z-11 |
| 3-370 | 4 | CON(Ac)CO | — | Z-12 |
| 3-371 | 4 | CON(Ac)CO | — | 3-Py |
| 3-372 | 4 | CON(Ac)CO | — | 4-Py |
| 3-373 | 4 | CONHCO | NH | H |
| 3-374 | 4 | CONHCO | NH | Ph |
| 3-375 | 4 | CONHCO | NH | 2-Me-Ph |
| 3-376 | 4 | CONHCO | NH | 4-Me-Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|--------|----|------------------------|
| 3-377 | 4 | CONHCO | NH | 2,4-diMe-Ph |
| 3-378 | 4 | CONHCO | NH | 3,4-diMe-Ph |
| 3-379 | 4 | CONHCO | NH | 2-(CF ₃)Ph |
| 3-380 | 4 | CONHCO | NH | 4-(CF ₃)Ph |
| 3-381 | 4 | CONHCO | NH | 2-MeOPh |
| 3-382 | 4 | CONHCO | NH | 4-MeOPh |
| 3-383 | 4 | CONHCO | NH | 2-EtOPh |
| 3-384 | 4 | CONHCO | NH | 4-EtOPh |
| 3-385 | 4 | CONHCO | NH | 2-HOPh |
| 3-386 | 4 | CONHCO | NH | 4-HOPh |
| 3-387 | 4 | CONHCO | NH | 2-(HOOC)Ph |
| 3-388 | 4 | CONHCO | NH | 4-(HOOC)Ph |
| 3-389 | 4 | CONHCO | NH | 2-(MeOOC)Ph |
| 3-390 | 4 | CONHCO | NH | 4-(MeOOC)Ph |
| 3-391 | 4 | CONHCO | NH | 2-(EtOOC)Ph |
| 3-392 | 4 | CONHCO | NH | 4-(EtOOC)Ph |
| 3-393 | 4 | CONHCO | NH | 2-(<i>i</i> BuOOC)Ph |
| 3-394 | 4 | CONHCO | NH | 4-(<i>i</i> BuOOC)Ph |
| 3-395 | 4 | CONHCO | NH | 2-Cl-Ph |
| 3-396 | 4 | CONHCO | NH | 4-Cl-Ph |
| 3-397 | 4 | CONHCO | NH | 2-Br-Ph |
| 3-398 | 4 | CONHCO | NH | 4-Br-Ph |
| 3-399 | 4 | CONHCO | NH | 2-I-Ph |
| 3-400 | 4 | CONHCO | NH | 4-I-Ph |
| 3-401 | 4 | CONHCO | NH | 2-NO ₂ -Ph |
| 3-402 | 4 | CONHCO | NH | 4-NO ₂ -Ph |
| 3-403 | 4 | CONHCO | NH | 2-NH ₂ -Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|--------|----|---|
| 3-404 | 4 | CONHCO | NH | 4-NH ₂ -Ph |
| 3-405 | 4 | CONHCO | NH | 2-(HO ₃ S)Ph |
| 3-406 | 4 | CONHCO | NH | 4-(HO ₃ S)Ph |
| 3-407 | 4 | CONHCO | NH | 2-(NH ₂ O ₂ S)Ph |
| 3-408 | 4 | CONHCO | NH | 4-(NH ₂ O ₂ S)Ph |
| 3-409 | 4 | CONHCO | NH | 2-CN-Ph |
| 3-410 | 4 | CONHCO | NH | 4-CN-Ph |
| 3-411 | 4 | CONHCO | NH | 2-(HOCH ₂)Ph |
| 3-412 | 4 | CONHCO | NH | 4-(HOCH ₂)Ph |
| 3-413 | 4 | CONHCO | NH | Me |
| 3-414 | 4 | CONHCO | NH | Et |
| 3-415 | 4 | CONHCO | NH | Pr |
| 3-416 | 4 | CONHCO | NH | <i>i</i> Pr |
| 3-417 | 4 | CONHCO | NH | Bu |
| 3-418 | 4 | CONHCO | NH | HOOCCH ₂ - |
| 3-419 | 4 | CONHCO | NH | MeOOCCH ₂ - |
| 3-420 | 4 | CONHCO | NH | MeCH(COOH) |
| 3-421 | 4 | CONHCO | NH | HOOC-(CH ₂) ₂ - |
| 3-422 | 4 | CONHCO | NH | MeCH(COOMe) |
| 3-423 | 4 | CONHCO | NH | 1-HOOC- <i>i</i> Bu |
| 3-424 | 4 | CONHCO | NH | 1-MeOOC- <i>i</i> Bu |
| 3-425 | 4 | CONHCO | NH | 1-HOOC- <i>i</i> Pn |
| 3-426 | 4 | CONHCO | NH | 1-MeOOC- <i>i</i> Pn |
| 3-427 | 4 | CONHCO | NH | 1-HOOC-2-Me-Bu |
| 3-428 | 4 | CONHCO | NH | 1-MeOOC-2-Me-Bu |
| 3-429 | 4 | CONHCO | NH | CH ₂ CH ₂ SO ₃ H |
| 3-430 | 4 | CONHCO | NH | HO |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|----|----------------|
| 3-431 | 4 | CONHCO | NH | MeO |
| 3-432 | 4 | CONHCO | NH | EtO |
| 3-433 | 4 | CONHCO | NH | PrO |
| 3-434 | 4 | CONHCO | NH | iPrO |
| 3-435 | 4 | CONHCO | NH | BuO |
| 3-436 | 4 | CONHCO | NH | iBuO |
| 3-437 | 4 | CONHCO | NH | sBuO |
| 3-438 | 4 | CONHCO | NH | tBuO |
| 3-439 | 4 | CONHCO | NH | HxO |
| 3-440 | 4 | CONHCO | NH | PhO |
| 3-441 | 4 | CONHCO | NH | BnO |
| 3-442 | 4 | CONHCO | NH | Z-1 |
| 3-443 | 4 | CONHCO | NH | Z-2 |
| 3-444 | 4 | CONHCO | NH | Z-3 |
| 3-445 | 4 | CONHCO | NH | Z-4 |
| 3-446 | 4 | CONHCO | NH | Z-5 |
| 3-447 | 4 | CONHCO | NH | Z-6 |
| 3-448 | 4 | CONHCO | NH | Z-7 |
| 3-449 | 4 | CONHCO | NH | Z-8 |
| 3-450 | 4 | CONHCO | NH | Z-9 |
| 3-451 | 4 | CONHCO | NH | Z-10 |
| 3-452 | 4 | CONHCO | NH | Z-11 |
| 3-453 | 4 | CONHCO | NH | Z-12 |
| 3-454 | 4 | CONHCO | NH | 3-Py |
| 3-455 | 4 | CONHCO | NH | 4-Py |
| 3-456 | 4 | CONHSO ₂ | — | H |
| 3-457 | 4 | CONHSO ₂ | — | Ph |
| 3-458 | 4 | CONHSO ₂ | — | 2-Me-Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|---|------------------------|
| 3-459 | 4 | CONHSO ₂ | — | 4-Me-Ph |
| 3-460 | 4 | CONHSO ₂ | — | 2,4-diMe-Ph |
| 3-461 | 4 | CONHSO ₂ | — | 3,4-diMe-Ph |
| 3-462 | 4 | CONHSO ₂ | — | 2-(CF ₃)Ph |
| 3-463 | 4 | CONHSO ₂ | — | 4-(CF ₃)Ph |
| 3-464 | 4 | CONHSO ₂ | — | 2-MeOPh |
| 3-465 | 4 | CONHSO ₂ | — | 4-MeOPh |
| 3-466 | 4 | CONHSO ₂ | — | 2-EtOPh |
| 3-467 | 4 | CONHSO ₂ | — | 4-EtOPh |
| 3-468 | 4 | CONHSO ₂ | — | 2-HOPh |
| 3-469 | 4 | CONHSO ₂ | — | 4-HOPh |
| 3-470 | 4 | CONHSO ₂ | — | 2-(HOOC)Ph |
| 3-471 | 4 | CONHSO ₂ | — | 4-(HOOC)Ph |
| 3-472 | 4 | CONHSO ₂ | — | 2-(MeOOC)Ph |
| 3-473 | 4 | CONHSO ₂ | — | 4-(MeOOC)Ph |
| 3-474 | 4 | CONHSO ₂ | — | 2-(EtOOC)Ph |
| 3-475 | 4 | CONHSO ₂ | — | 4-(EtOOC)Ph |
| 3-476 | 4 | CONHSO ₂ | — | 2-(<i>t</i> BuOOC)Ph |
| 3-477 | 4 | CONHSO ₂ | — | 4-(<i>t</i> BuOOC)Ph |
| 3-478 | 4 | CONHSO ₂ | — | 2-Cl-Ph |
| 3-479 | 4 | CONHSO ₂ | — | 4-Cl-Ph |
| 3-480 | 4 | CONHSO ₂ | — | 2-Br-Ph |
| 3-481 | 4 | CONHSO ₂ | — | 4-Br-Ph |
| 3-482 | 4 | CONHSO ₂ | — | 2-I-Ph |
| 3-483 | 4 | CONHSO ₂ | — | 4-I-Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|---|--|
| 3-484 | 4 | CONHSO ₂ | — | 2-NO ₂ -Ph |
| 3-485 | 4 | CONHSO ₂ | — | 4-NO ₂ -Ph |
| 3-486 | 4 | CONHSO ₂ | — | 2-NH ₂ -Ph |
| 3-487 | 4 | CONHSO ₂ | — | 4-NH ₂ -Ph |
| 3-488 | 4 | CONHSO ₂ | — | 2-(HO ₃ S)Ph |
| 3-489 | 4 | CONHSO ₂ | — | 4-(HO ₃ S)Ph |
| 3-490 | 4 | CONHSO ₂ | — | 2-(NH ₂ O ₂ S)Ph |
| 3-491 | 4 | CONHSO ₂ | — | 4-(NH ₂ O ₂ S)Ph |
| 3-492 | 4 | CONHSO ₂ | — | 2-CN-Ph |
| 3-493 | 4 | CONHSO ₂ | — | 4-CN-Ph |
| 3-494 | 4 | CONHSO ₂ | — | 2-(HOCH ₂)Ph |
| 3-495 | 4 | CONHSO ₂ | — | 4-(HOCH ₂)Ph |
| 3-496 | 4 | CONHSO ₂ | — | Me |
| 3-497 | 4 | CONHSO ₂ | — | Et |
| 3-498 | 4 | CONHSO ₂ | — | Pr |
| 3-499 | 4 | CONHSO ₂ | — | iPr |
| 3-500 | 4 | CONHSO ₂ | — | Bu |
| 3-501 | 4 | CONHSO ₂ | — | HOOCCH ₂ - |
| 3-502 | 4 | CONHSO ₂ | — | MeOOCCH ₂ - |
| 3-503 | 4 | CONHSO ₂ | — | MeCH(COOH) |
| 3-504 | 4 | CONHSO ₂ | — | HOOC-(CH ₂) ₂ - |
| 3-505 | 4 | CONHSO ₂ | — | MeCH(COOMe) |
| 3-506 | 4 | CONHSO ₂ | — | 1-HOOC-iBu |
| 3-507 | 4 | CONHSO ₂ | — | 1-MeOOC-iBu |
| 3-508 | 4 | CONHSO ₂ | — | 1-HOOC-iPn |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|---|---|
| 3-509 | 4 | CONHSO ₂ | — | 1-MeOOC- <i>i</i> Pn |
| 3-510 | 4 | CONHSO ₂ | — | 1-HOOC-2-Me-Bu |
| 3-511 | 4 | CONHSO ₂ | — | 1-MeOOC-2-Me-Bu |
| 3-512 | 4 | CONHSO ₂ | — | CH ₂ CH ₂ SO ₃ H |
| 3-513 | 4 | CONHSO ₂ | — | OH |
| 3-514 | 4 | CONHSO ₂ | — | MeO |
| 3-515 | 4 | CONHSO ₂ | — | EtO |
| 3-516 | 4 | CONHSO ₂ | — | PrO |
| 3-517 | 4 | CONHSO ₂ | — | <i>i</i> PrO |
| 3-518 | 4 | CONHSO ₂ | — | BuO |
| 3-519 | 4 | CONHSO ₂ | — | <i>i</i> BuO |
| 3-520 | 4 | CONHSO ₂ | — | <i>s</i> BuO |
| 3-521 | 4 | CONHSO ₂ | — | <i>t</i> BuO |
| 3-522 | 4 | CONHSO ₂ | — | HxO |
| 3-523 | 4 | CONHSO ₂ | — | PhO |
| 3-524 | 4 | CONHSO ₂ | — | BnO |
| 3-525 | 4 | CONHSO ₂ | — | Z-1 |
| 3-526 | 4 | CONHSO ₂ | — | Z-2 |
| 3-527 | 4 | CONHSO ₂ | — | Z-3 |
| 3-528 | 4 | CONHSO ₂ | — | Z-4 |
| 3-529 | 4 | CONHSO ₂ | — | Z-5 |
| 3-530 | 4 | CONHSO ₂ | — | Z-6 |
| 3-531 | 4 | CONHSO ₂ | — | Z-7 |
| 3-532 | 4 | CONHSO ₂ | — | Z-8 |
| 3-533 | 4 | CONHSO ₂ | — | Z-9 |

Table 3 (cont.)

| Cpd.
No. | k | A | B | R ¹ |
|-------------|---|---------------------|----|------------------------|
| 3-534 | 4 | CONHSO ₂ | — | Z-10 |
| 3-535 | 4 | CONHSO ₂ | — | Z-11 |
| 3-536 | 4 | CONHSO ₂ | — | Z-12 |
| 3-537 | 4 | CONHSO ₂ | — | 3-Py |
| 3-538 | 4 | CONHSO ₂ | — | 4-Py |
| 3-539 | 4 | CONHSO ₂ | NH | H |
| 3-540 | 4 | CONHSO ₂ | NH | Ph |
| 3-541 | 4 | CONHSO ₂ | NH | 2-Me-Ph |
| 3-542 | 4 | CONHSO ₂ | NH | 4-Me-Ph |
| 3-543 | 4 | CONHSO ₂ | NH | 2,4-diMe-Ph |
| 3-544 | 4 | CONHSO ₂ | NH | 3,4-diMe-Ph |
| 3-545 | 4 | CONHSO ₂ | NH | 2-(CF ₃)Ph |
| 3-546 | 4 | CONHSO ₂ | NH | 4-(CF ₃)Ph |
| 3-547 | 4 | CONHSO ₂ | NH | 2-MeOPh |
| 3-548 | 4 | CONHSO ₂ | NH | 4-MeOPh |
| 3-549 | 4 | CONHSO ₂ | NH | 2-EtOPh |
| 3-550 | 4 | CONHSO ₂ | NH | 4-EtOPh |
| 3-551 | 4 | CONHSO ₂ | NH | 2-HOPh |
| 3-552 | 4 | CONHSO ₂ | NH | 4-HOPh |
| 3-553 | 4 | CONHSO ₂ | NH | 2-(HOOC)Ph |
| 3-554 | 4 | CONHSO ₂ | NH | 4-(HOOC)Ph |
| 3-555 | 4 | CONHSO ₂ | NH | 2-(MeOOC)Ph |
| 3-556 | 4 | CONHSO ₂ | NH | 4-(MeOOC)Ph |
| 3-557 | 4 | CONHSO ₂ | NH | 2-(EtOOC)Ph |
| 3-558 | 4 | CONHSO ₂ | NH | 4-(EtOOC)Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|----|--|
| 3-559 | 4 | CONHSO ₂ | NH | 2-(<i>t</i> BuOOC)Ph |
| 3-560 | 4 | CONHSO ₂ | NH | 4-(<i>t</i> BuOOC)Ph |
| 3-561 | 4 | CONHSO ₂ | NH | 2-Cl-Ph |
| 3-562 | 4 | CONHSO ₂ | NH | 4-Cl-Ph |
| 3-563 | 4 | CONHSO ₂ | NH | 2-Br-Ph |
| 3-564 | 4 | CONHSO ₂ | NH | 4-Br-Ph |
| 3-565 | 4 | CONHSO ₂ | NH | 2-I-Ph |
| 3-566 | 4 | CONHSO ₂ | NH | 4-I-Ph |
| 3-567 | 4 | CONHSO ₂ | NH | 2-NO ₂ -Ph |
| 3-568 | 4 | CONHSO ₂ | NH | 4-NO ₂ -Ph |
| 3-569 | 4 | CONHSO ₂ | NH | 2-NH ₂ -Ph |
| 3-570 | 4 | CONHSO ₂ | NH | 4-NH ₂ -Ph |
| 3-571 | 4 | CONHSO ₂ | NH | 2-(HO ₃ S)Ph |
| 3-572 | 4 | CONHSO ₂ | NH | 4-(HO ₃ S)Ph |
| 3-573 | 4 | CONHSO ₂ | NH | 2-(NH ₂ O ₂ S)Ph |
| 3-574 | 4 | CONHSO ₂ | NH | 4-(NH ₂ O ₂ S)Ph |
| 3-575 | 4 | CONHSO ₂ | NH | 2-CN-Ph |
| 3-576 | 4 | CONHSO ₂ | NH | 4-CN-Ph |
| 3-577 | 4 | CONHSO ₂ | NH | 2-(HOCH ₂)Ph |
| 3-578 | 4 | CONHSO ₂ | NH | 4-(HOCH ₂)Ph |
| 3-579 | 4 | CONHSO ₂ | NH | Me |
| 3-580 | 4 | CONHSO ₂ | NH | Et |
| 3-581 | 4 | CONHSO ₂ | NH | Pr |
| 3-582 | 4 | CONHSO ₂ | NH | <i>i</i> Pr |
| 3-583 | 4 | CONHSO ₂ | NH | Bu |

Table 3 (cont.)

| Cpd.
No. | k | A | B | R ¹ |
|-------------|---|---------------------|----|---|
| 3-584 | 4 | CONHSO ₂ | NH | HOOCCH ₂ - |
| 3-585 | 4 | CONHSO ₂ | NH | MeOOCCH ₂ - |
| 3-586 | 4 | CONHSO ₂ | NH | MeCH(COOH) |
| 3-587 | 4 | CONHSO ₂ | NH | HOOC-(CH ₂) ₂ - |
| 3-588 | 4 | CONHSO ₂ | NH | MeCH(COOMe) |
| 3-589 | 4 | CONHSO ₂ | NH | 1-HOOC- <i>i</i> Bu |
| 3-590 | 4 | CONHSO ₂ | NH | 1-MeOOC- <i>i</i> Bu |
| 3-591 | 4 | CONHSO ₂ | NH | 1-HOOC- <i>i</i> Pn |
| 3-592 | 4 | CONHSO ₂ | NH | 1-MeOOC- <i>i</i> Pn |
| 3-593 | 4 | CONHSO ₂ | NH | 1-HOOC-2-Me-Bu |
| 3-594 | 4 | CONHSO ₂ | NH | 1-MeOOC-2-Me-Bu |
| 3-595 | 4 | CONHSO ₂ | NH | CH ₂ CH ₂ SO ₃ H |
| 3-596 | 4 | CONHSO ₂ | NH | OH |
| 3-597 | 4 | CONHSO ₂ | NH | MeO |
| 3-598 | 4 | CONHSO ₂ | NH | EtO |
| 3-599 | 4 | CONHSO ₂ | NH | PrO |
| 3-600 | 4 | CONHSO ₂ | NH | <i>i</i> PrO |
| 3-601 | 4 | CONHSO ₂ | NH | BuO |
| 3-602 | 4 | CONHSO ₂ | NH | <i>i</i> BuO |
| 3-603 | 4 | CONHSO ₂ | NH | <i>s</i> BuO |
| 3-604 | 4 | CONHSO ₂ | NH | <i>t</i> BuO |
| 3-605 | 4 | CONHSO ₂ | NH | HxO |
| 3-606 | 4 | CONHSO ₂ | NH | PhO |
| 3-607 | 4 | CONHSO ₂ | NH | BnO |
| 3-608 | 4 | CONHSO ₂ | NH | Z-1 |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|----|------------------------|
| 3-609 | 4 | CONHSO ₂ | NH | Z-2 |
| 3-610 | 4 | CONHSO ₂ | NH | Z-3 |
| 3-611 | 4 | CONHSO ₂ | NH | Z-4 |
| 3-612 | 4 | CONHSO ₂ | NH | Z-5 |
| 3-613 | 4 | CONHSO ₂ | NH | Z-6 |
| 3-614 | 4 | CONHSO ₂ | NH | Z-7 |
| 3-615 | 4 | CONHSO ₂ | NH | Z-8 |
| 3-616 | 4 | CONHSO ₂ | NH | Z-9 |
| 3-617 | 4 | CONHSO ₂ | NH | Z-10 |
| 3-618 | 4 | CONHSO ₂ | NH | Z-11 |
| 3-619 | 4 | CONHSO ₂ | NH | Z-12 |
| 3-620 | 4 | CONHSO ₂ | NH | 3-Py |
| 3-621 | 4 | CONHSO ₂ | NH | 4-Py |
| 3-622 | 4 | NHCO | — | H |
| 3-623 | 4 | NHCO | — | Ph |
| 3-624 | 4 | NHCO | — | 2-Me-Ph |
| 3-625 | 4 | NHCO | — | 4-Me-Ph |
| 3-626 | 4 | NHCO | — | 2,4-diMe-Ph |
| 3-627 | 4 | NHCO | — | 3,4-diMe-Ph |
| 3-628 | 4 | NHCO | — | 2-(CF ₃)Ph |
| 3-629 | 4 | NHCO | — | 4-(CF ₃)Ph |
| 3-630 | 4 | NHCO | — | 2-MeOPh |
| 3-631 | 4 | NHCO | — | 4-MeOPh |
| 3-632 | 4 | NHCO | — | 2-EtOPh |
| 3-633 | 4 | NHCO | — | 4-EtOPh |
| 3-634 | 4 | NHCO | — | 2-HOPh |

Table 3 (cont.)

| Cpd.
No. | k | A | B | R ^I |
|-------------|---|------|---|--|
| 3-635 | 4 | NHCO | — | 4-HOPh |
| 3-636 | 4 | NHCO | — | 2-(HOOC)Ph |
| 3-637 | 4 | NHCO | — | 4-(HOOC)Ph |
| 3-638 | 4 | NHCO | — | 2-(MeOOC)Ph |
| 3-639 | 4 | NHCO | — | 4-(MeOOC)Ph |
| 3-640 | 4 | NHCO | — | 2-(EtOOC)Ph |
| 3-641 | 4 | NHCO | — | 4-(EtOOC)Ph |
| 3-642 | 4 | NHCO | — | 2-(<i>t</i> BuOOC)Ph |
| 3-643 | 4 | NHCO | — | 4-(<i>t</i> BuOOC)Ph |
| 3-644 | 4 | NHCO | — | 2-Cl-Ph |
| 3-645 | 4 | NHCO | — | 4-Cl-Ph |
| 3-646 | 4 | NHCO | — | 2-Br-Ph |
| 3-647 | 4 | NHCO | — | 4-Br-Ph |
| 3-648 | 4 | NHCO | — | 2-I-Ph |
| 3-649 | 4 | NHCO | — | 4-I-Ph |
| 3-650 | 4 | NHCO | — | 2-NO ₂ -Ph |
| 3-651 | 4 | NHCO | — | 4-NO ₂ -Ph |
| 3-652 | 4 | NHCO | — | 2-NH ₂ -Ph |
| 3-653 | 4 | NHCO | — | 4-NH ₂ -Ph |
| 3-654 | 4 | NHCO | — | 2-(HO ₃ S)Ph |
| 3-655 | 4 | NHCO | — | 4-(HO ₃ S)Ph |
| 3-656 | 4 | NHCO | — | 2-(NH ₂ O ₂ S)Ph |
| 3-657 | 4 | NHCO | — | 4-(NH ₂ O ₂ S)Ph |
| 3-658 | 4 | NHCO | — | 2-CN-Ph |
| 3-659 | 4 | NHCO | — | 4-CN-Ph |
| 3-660 | 4 | NHCO | — | 2-(HOCH ₂)Ph |
| 3-661 | 4 | NHCO | — | 4-(HOCH ₂)Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|---|---|
| 3-662 | 4 | NHCO | — | Me |
| 3-663 | 4 | NHCO | — | Et |
| 3-664 | 4 | NHCO | — | Pr |
| 3-665 | 4 | NHCO | — | <i>i</i> Pr |
| 3-666 | 4 | NHCO | — | Bu |
| 3-667 | 4 | NHCO | — | HOOCCH ₂ - |
| 3-668 | 4 | NHCO | — | MeOOCCH ₂ - |
| 3-669 | 4 | NHCO | — | MeCH(COOH) |
| 3-670 | 4 | NHCO | — | HOOC-(CH ₂) ₂ - |
| 3-671 | 4 | NHCO | — | MeCH(COOMe) |
| 3-672 | 4 | NHCO | — | 1-HOOC- <i>i</i> Bu |
| 3-673 | 4 | NHCO | — | 1-HOOC- <i>i</i> Pn |
| 3-674 | 4 | NHCO | — | 1-HOOC-2-Me-Bu |
| 3-675 | 4 | NHCO | — | CH ₂ CH ₂ SO ₃ H |
| 3-676 | 4 | NHCO | — | MeO |
| 3-677 | 4 | NHCO | — | EtO |
| 3-678 | 4 | NHCO | — | PrO |
| 3-679 | 4 | NHCO | — | Z-1 |
| 3-680 | 4 | NHCO | — | Z-2 |
| 3-681 | 4 | NHCO | — | Z-3 |
| 3-682 | 4 | NHCO | — | Z-4 |
| 3-683 | 4 | NHCO | — | Z-5 |
| 3-684 | 4 | NHCO | — | Z-6 |
| 3-685 | 4 | NHCO | — | Z-7 |
| 3-686 | 4 | NHCO | — | Z-8 |
| 3-687 | 4 | NHCO | — | Z-9 |
| 3-688 | 4 | NHCO | — | Z-10 |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|----|------------------------|
| 3-689 | 4 | NHCO | — | Z-11 |
| 3-690 | 4 | NHCO | — | Z-12 |
| 3-691 | 4 | NHCO | — | 3-Py |
| 3-692 | 4 | NHCO | — | 4-Py |
| 3-693 | 4 | NHCO | NH | H |
| 3-694 | 4 | NHCO | NH | Ph |
| 3-695 | 4 | NHCO | NH | 2-Me-Ph |
| 3-696 | 4 | NHCO | NH | 4-Me-Ph |
| 3-697 | 4 | NHCO | NH | 2,4-diMe-Ph |
| 3-698 | 4 | NHCO | NH | 3,4-diMe-Ph |
| 3-699 | 4 | NHCO | NH | 2-(CF ₃)Ph |
| 3-700 | 4 | NHCO | NH | 4-(CF ₃)Ph |
| 3-701 | 4 | NHCO | NH | 2-MeOPh |
| 3-702 | 4 | NHCO | NH | 4-MeOPh |
| 3-703 | 4 | NHCO | NH | 2-EtOPh |
| 3-704 | 4 | NHCO | NH | 4-EtOPh |
| 3-705 | 4 | NHCO | NH | 2-HOPh |
| 3-706 | 4 | NHCO | NH | 4-HOPh |
| 3-707 | 4 | NHCO | NH | 2-(HOOC)Ph |
| 3-708 | 4 | NHCO | NH | 4-(HOOC)Ph |
| 3-709 | 4 | NHCO | NH | 2-(MeOOC)Ph |
| 3-710 | 4 | NHCO | NH | 4-(MeOOC)Ph |
| 3-711 | 4 | NHCO | NH | 2-(EtOOC)Ph |
| 3-712 | 4 | NHCO | NH | 4-(EtOOC)Ph |
| 3-713 | 4 | NHCO | NH | 2-(<i>t</i> BuOOC)Ph |
| 3-714 | 4 | NHCO | NH | 4-(<i>t</i> BuOOC)Ph |
| 3-715 | 4 | NHCO | NH | 2-Cl-Ph |
| 3-716 | 4 | NHCO | NH | 4-Cl-Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|----|--|
| 3-717 | 4 | NHCO | NH | 2-Br-Ph |
| 3-718 | 4 | NHCO | NH | 4-Br-Ph |
| 3-719 | 4 | NHCO | NH | 2-I-Ph |
| 3-720 | 4 | NHCO | NH | 4-I-Ph |
| 3-721 | 4 | NHCO | NH | 2-NO ₂ -Ph |
| 3-722 | 4 | NHCO | NH | 4-NO ₂ -Ph |
| 3-723 | 4 | NHCO | NH | 2-NH ₂ -Ph |
| 3-724 | 4 | NHCO | NH | 4-NH ₂ -Ph |
| 3-725 | 4 | NHCO | NH | 2-(HO ₃ S)Ph |
| 3-726 | 4 | NHCO | NH | 4-(HO ₃ S)Ph |
| 3-727 | 4 | NHCO | NH | 2-(NH ₂ O ₂ S)Ph |
| 3-728 | 4 | NHCO | NH | 4-(NH ₂ O ₂ S)Ph |
| 3-729 | 4 | NHCO | NH | 2-CN-Ph |
| 3-730 | 4 | NHCO | NH | 4-CN-Ph |
| 3-731 | 4 | NHCO | NH | 2-(HOCH ₂)Ph |
| 3-732 | 4 | NHCO | NH | 4-(HOCH ₂)Ph |
| 3-733 | 4 | NHCO | NH | Me |
| 3-734 | 4 | NHCO | NH | Et |
| 3-735 | 4 | NHCO | NH | Pr |
| 3-736 | 4 | NHCO | NH | iPr |
| 3-737 | 4 | NHCO | NH | Bu |
| 3-738 | 4 | NHCO | NH | HOOCCH ₂ - |
| 3-739 | 4 | NHCO | NH | MeOOCCH ₂ - |
| 3-740 | 4 | NHCO | NH | MeCH(COOH) |
| 3-741 | 4 | NHCO | NH | HOOC-(CH ₂) ₂ - |
| 3-742 | 4 | NHCO | NH | MeCH(COOMe) |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|----|---|
| 3-743 | 4 | NHCO | NH | 1-HOOC- <i>i</i> Bu |
| 3-744 | 4 | NHCO | NH | 1-MeOOC- <i>i</i> Bu |
| 3-745 | 4 | NHCO | NH | 1-HOOC- <i>i</i> Pn |
| 3-746 | 4 | NHCO | NH | 1-MeOOC- <i>i</i> Pn |
| 3-747 | 4 | NHCO | NH | 1-HOOC-2-Me-Bu |
| 3-748 | 4 | NHCO | NH | 1-MeOOC-2-Me-Bu |
| 3-749 | 4 | NHCO | NH | CH ₂ CH ₂ SO ₃ H |
| 3-750 | 4 | NHCO | NH | OH |
| 3-751 | 4 | NHCO | NH | MeO |
| 3-752 | 4 | NHCO | NH | EtO |
| 3-753 | 4 | NHCO | NH | PrO |
| 3-754 | 4 | NHCO | NH | <i>i</i> PrO |
| 3-755 | 4 | NHCO | NH | BuO |
| 3-756 | 4 | NHCO | NH | <i>i</i> BuO |
| 3-757 | 4 | NHCO | NH | <i>s</i> BuO |
| 3-758 | 4 | NHCO | NH | <i>t</i> BuO |
| 3-759 | 4 | NHCO | NH | HxO |
| 3-760 | 4 | NHCO | NH | PhO |
| 3-761 | 4 | NHCO | NH | BnO |
| 3-762 | 4 | NHCO | NH | Z-1 |
| 3-763 | 4 | NHCO | NH | Z-2 |
| 3-764 | 4 | NHCO | NH | Z-3 |
| 3-765 | 4 | NHCO | NH | Z-4 |
| 3-766 | 4 | NHCO | NH | Z-5 |
| 3-767 | 4 | NHCO | NH | Z-6 |
| 3-768 | 4 | NHCO | NH | Z-7 |
| 3-769 | 4 | NHCO | NH | Z-8 |
| 3-770 | 4 | NHCO | NH | Z-9 |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|-----|------------------------|
| 3-771 | 4 | NHCO | NH | Z-10 |
| 3-772 | 4 | NHCO | NH | Z-11 |
| 3-773 | 4 | NHCO | NH | Z-12 |
| 3-774 | 4 | NHCO | NH | 3-Py |
| 3-775 | 4 | NHCO | NH | 4-Py |
| 3-776 | 4 | NHCO | NMe | Ph |
| 3-777 | 4 | NHCO | NMe | 2-Me-Ph |
| 3-778 | 4 | NHCO | NMe | 4-Me-Ph |
| 3-779 | 4 | NHCO | NMe | 2,4-diMe-Ph |
| 3-780 | 4 | NHCO | NMe | 3,4-diMe-Ph |
| 3-781 | 4 | NHCO | NMe | 2-(CF ₃)Ph |
| 3-782 | 4 | NHCO | NMe | 4-(CF ₃)Ph |
| 3-783 | 4 | NHCO | NMe | 2-MeOPh |
| 3-784 | 4 | NHCO | NMe | 4-MeOPh |
| 3-785 | 4 | NHCO | NMe | 2-EtOPh |
| 3-786 | 4 | NHCO | NMe | 4-EtOPh |
| 3-787 | 4 | NHCO | NMe | 2-HOPh |
| 3-788 | 4 | NHCO | NMe | 4-HOPh |
| 3-789 | 4 | NHCO | NMe | 2-(HOOC)Ph |
| 3-790 | 4 | NHCO | NMe | 4-(HOOC)Ph |
| 3-791 | 4 | NHCO | NMe | 2-(MeOOC)Ph |
| 3-792 | 4 | NHCO | NMe | 4-(MeOOC)Ph |
| 3-793 | 4 | NHCO | NMe | 2-(EtOOC)Ph |
| 3-794 | 4 | NHCO | NMe | 4-(EtOOC)Ph |
| 3-795 | 4 | NHCO | NMe | 2-(<i>t</i> BuOOC)Ph |
| 3-796 | 4 | NHCO | NMe | 4-(<i>t</i> BuOOC)Ph |
| 3-797 | 4 | NHCO | NMe | 2-Cl-Ph |
| 3-798 | 4 | NHCO | NMe | 4-Cl-Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|-----|--|
| 3-799 | 4 | NHCO | NMe | 2-Br-Ph |
| 3-800 | 4 | NHCO | NMe | 4-Br-Ph |
| 3-801 | 4 | NHCO | NMe | 2-I-Ph |
| 3-802 | 4 | NHCO | NMe | 4-I-Ph |
| 3-803 | 4 | NHCO | NMe | 2-NO ₂ -Ph |
| 3-804 | 4 | NHCO | NMe | 4-NO ₂ -Ph |
| 3-805 | 4 | NHCO | NMe | 2-NH ₂ -Ph |
| 3-806 | 4 | NHCO | NMe | 4-NH ₂ -Ph |
| 3-807 | 4 | NHCO | NMe | 2-(HO ₃ S)Ph |
| 3-808 | 4 | NHCO | NMe | 4-(HO ₃ S)Ph |
| 3-809 | 4 | NHCO | NMe | 2-(NH ₂ O ₂ S)Ph |
| 3-810 | 4 | NHCO | NMe | 4-(NH ₂ O ₂ S)Ph |
| 3-811 | 4 | NHCO | NMe | 2-CN-Ph |
| 3-812 | 4 | NHCO | NMe | 4-CN-Ph |
| 3-813 | 4 | NHCO | NMe | 2-(HOCH ₂)Ph |
| 3-814 | 4 | NHCO | NMe | 4-(HOCH ₂)Ph |
| 3-815 | 4 | NHCO | NMe | Me |
| 3-816 | 4 | NHCO | NMe | Et |
| 3-817 | 4 | NHCO | NMe | Pr |
| 3-818 | 4 | NHCO | NMe | iPr |
| 3-819 | 4 | NHCO | NMe | Bu |
| 3-820 | 4 | NHCO | NMe | HOOCCH ₂ - |
| 3-821 | 4 | NHCO | NMe | MeOOCCH ₂ - |
| 3-822 | 4 | NHCO | NMe | MeCH(COOH) |
| 3-823 | 4 | NHCO | NMe | HOOC-(CH ₂) ₂ - |
| 3-824 | 4 | NHCO | NMe | MeCH(COOMe) |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|-----|---|
| 3-825 | 4 | NHCO | NMe | 1-HOOC- <i>i</i> Bu |
| 3-826 | 4 | NHCO | NMe | 1-MeOOC- <i>i</i> Bu |
| 3-827 | 4 | NHCO | NMe | 1-HOOC- <i>i</i> Pn |
| 3-828 | 4 | NHCO | NMe | 1-MeOOC- <i>i</i> Pn |
| 3-829 | 4 | NHCO | NMe | 1-HOOC-2-Me-Bu |
| 3-830 | 4 | NHCO | NMe | 1-MeOOC-2-Me-Bu |
| 3-831 | 4 | NHCO | NMe | CH ₂ CH ₂ SO ₃ H |
| 3-832 | 4 | NHCO | NMe | OH |
| 3-833 | 4 | NHCO | NMe | MeO |
| 3-834 | 4 | NHCO | NMe | EtO |
| 3-835 | 4 | NHCO | NMe | PrO |
| 3-836 | 4 | NHCO | NMe | <i>i</i> PrO |
| 3-837 | 4 | NHCO | NMe | BuO |
| 3-838 | 4 | NHCO | NMe | <i>i</i> BuO |
| 3-839 | 4 | NHCO | NMe | <i>s</i> BuO |
| 3-840 | 4 | NHCO | NMe | <i>t</i> BuO |
| 3-841 | 4 | NHCO | NMe | HxO |
| 3-842 | 4 | NHCO | NMe | PhO |
| 3-843 | 4 | NHCO | NMe | BnO |
| 3-844 | 4 | NHCO | NMe | Z-1 |
| 3-845 | 4 | NHCO | NMe | Z-2 |
| 3-846 | 4 | NHCO | NMe | Z-3 |
| 3-847 | 4 | NHCO | NMe | Z-4 |
| 3-848 | 4 | NHCO | NMe | Z-5 |
| 3-849 | 4 | NHCO | NMe | Z-6 |
| 3-850 | 4 | NHCO | NMe | Z-7 |
| 3-851 | 4 | NHCO | NMe | Z-8 |
| 3-852 | 4 | NHCO | NMe | Z-9 |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------------|-------|------------------------|
| 3-853 | 4 | NHCO | NMe | Z-10 |
| 3-854 | 4 | NHCO | NMe | Z-11 |
| 3-855 | 4 | NHCO | NMe | Z-12 |
| 3-856 | 4 | NHCO | NMe | 3-Py |
| 3-857 | 4 | NHCO | NMe | 4-Py |
| 3-858 | 4 | NHCO | NHNH | H |
| 3-859 | 4 | NHCO | NHNH | Me |
| 3-860 | 4 | NHCO | NHNH | Et |
| 3-861 | 4 | NHCO | NHNMe | Me |
| 3-862 | 4 | NHCO | NHNMe | Et |
| 3-863 | 4 | NHCO | NHNMe | Pr |
| 3-864 | 4 | NHCONHNHCO | NH | H |
| 3-865 | 4 | NHCONHNHCO | NH | Ph |
| 3-866 | 4 | NHCONHNHCO | NH | 2-Me-Ph |
| 3-867 | 4 | NHCONHNHCO | NH | 4-Me-Ph |
| 3-868 | 4 | NHCONHNHCO | NH | 2,4-diMe-Ph |
| 3-869 | 4 | NHCONHNHCO | NH | 3,4-diMe-Ph |
| 3-870 | 4 | NHCONHNHCO | NH | 2-(CF ₃)Ph |
| 3-871 | 4 | NHCONHNHCO | NH | 4-(CF ₃)Ph |
| 3-872 | 4 | NHCONHNHCO | NH | 2-MeOPh |
| 3-873 | 4 | NHCONHNHCO | NH | 4-MeOPh |
| 3-874 | 4 | NHCONHNHCO | NH | 2-EtOPh |
| 3-875 | 4 | NHCONHNHCO | NH | 4-EtOPh |
| 3-876 | 4 | NHCONHNHCO | NH | 2-HOPh |
| 3-877 | 4 | NHCONHNHCO | NH | 4-HOPh |
| 3-878 | 4 | NHCONHNHCO | NH | 2-(HOOC)Ph |
| 3-879 | 4 | NHCONHNHCO | NH | 4-(HOOC)Ph |
| 3-880 | 4 | NHCONHNHCO | NH | 2-(MeOOC)Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------------|----|--|
| 3-881 | 4 | NHCONHNHCO | NH | 4-(MeOOC)Ph |
| 3-882 | 4 | NHCONHNHCO | NH | 2-(EtOOC)Ph |
| 3-883 | 4 | NHCONHNHCO | NH | 4-(EtOOC)Ph |
| 3-884 | 4 | NHCONHNHCO | NH | 2-(<i>t</i> BuOOC)Ph |
| 3-885 | 4 | NHCONHNHCO | NH | 4-(<i>t</i> BuOOC)Ph |
| 3-886 | 4 | NHCONHNHCO | NH | 2-Cl-Ph |
| 3-887 | 4 | NHCONHNHCO | NH | 4-Cl-Ph |
| 3-888 | 4 | NHCONHNHCO | NH | 2-Br-Ph |
| 3-889 | 4 | NHCONHNHCO | NH | 4-Br-Ph |
| 3-890 | 4 | NHCONHNHCO | NH | 2-I-Ph |
| 3-891 | 4 | NHCONHNHCO | NH | 4-I-Ph |
| 3-892 | 4 | NHCONHNHCO | NH | 2-NO ₂ -Ph |
| 3-893 | 4 | NHCONHNHCO | NH | 4-NO ₂ -Ph |
| 3-894 | 4 | NHCONHNHCO | NH | 2-NH ₂ -Ph |
| 3-895 | 4 | NHCONHNHCO | NH | 4-NH ₂ -Ph |
| 3-896 | 4 | NHCONHNHCO | NH | 2-(HO ₃ S)Ph |
| 3-897 | 4 | NHCONHNHCO | NH | 4-(HO ₃ S)Ph |
| 3-898 | 4 | NHCONHNHCO | NH | 2-(NH ₂ O ₂ S)Ph |
| 3-899 | 4 | NHCONHNHCO | NH | 4-(NH ₂ O ₂ S)Ph |
| 3-900 | 4 | NHCONHNHCO | NH | 2-CN-Ph |
| 3-901 | 4 | NHCONHNHCO | NH | 4-CN-Ph |
| 3-902 | 4 | NHCONHNHCO | NH | 2-(HOCH ₂)Ph |
| 3-903 | 4 | NHCONHNHCO | NH | 4-(HOCH ₂)Ph |
| 3-904 | 4 | NHCONHNHCO | NH | Me |
| 3-905 | 4 | NHCONHNHCO | NH | Et |
| 3-906 | 4 | NHCONHNHCO | NH | Pr |
| 3-907 | 4 | NHCONHNHCO | NH | <i>i</i> Pr |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------------|----|---|
| 3-908 | 4 | NHCONHNHCO | NH | Bu |
| 3-909 | 4 | NHCONHNHCO | NH | HOOCCH ₂ - |
| 3-910 | 4 | NHCONHNHCO | NH | MeOOCCH ₂ - |
| 3-911 | 4 | NHCONHNHCO | NH | MeCH(COOH) |
| 3-912 | 4 | NHCONHNHCO | NH | HOOC-(CH ₂) ₂ - |
| 3-913 | 4 | NHCONHNHCO | NH | MeCH(COOMe) |
| 3-914 | 4 | NHCONHNHCO | NH | 1-HOOC- <i>i</i> Bu |
| 3-915 | 4 | NHCONHNHCO | NH | 1-MeOOC- <i>i</i> Bu |
| 3-916 | 4 | NHCONHNHCO | NH | 1-HOOC- <i>i</i> Pn |
| 3-917 | 4 | NHCONHNHCO | NH | 1-MeOOC- <i>i</i> Pn |
| 3-918 | 4 | NHCONHNHCO | NH | 1-HOOC-2-Me-Bu |
| 3-919 | 4 | NHCONHNHCO | NH | 1-MeOOC-2-Me-Bu |
| 3-920 | 4 | NHCONHNHCO | NH | CH ₂ CH ₂ SO ₃ H |
| 3-921 | 4 | NHCONHNHCO | NH | OH |
| 3-922 | 4 | NHCONHNHCO | NH | MeO |
| 3-923 | 4 | NHCONHNHCO | NH | EtO |
| 3-924 | 4 | NHCONHNHCO | NH | PrO |
| 3-925 | 4 | NHCONHNHCO | NH | <i>i</i> PrO |
| 3-926 | 4 | NHCONHNHCO | NH | BuO |
| 3-927 | 4 | NHCONHNHCO | NH | <i>i</i> BuO |
| 3-928 | 4 | NHCONHNHCO | NH | <i>s</i> BuO |
| 3-929 | 4 | NHCONHNHCO | NH | <i>t</i> BuO |
| 3-930 | 4 | NHCONHNHCO | NH | HxO |
| 3-931 | 4 | NHCONHNHCO | NH | PhO |
| 3-932 | 4 | NHCONHNHCO | NH | BnO |
| 3-933 | 4 | NHCONHNHCO | NH | Z-1 |
| 3-934 | 4 | NHCONHNHCO | NH | Z-2 |
| 3-935 | 4 | NHCONHNHCO | NH | Z-3 |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------------|----|------------------------|
| 3-936 | 4 | NHCONHNHCO | NH | Z-4 |
| 3-937 | 4 | NHCONHNHCO | NH | Z-5 |
| 3-938 | 4 | NHCONHNHCO | NH | Z-6 |
| 3-939 | 4 | NHCONHNHCO | NH | Z-7 |
| 3-940 | 4 | NHCONHNHCO | NH | Z-8 |
| 3-941 | 4 | NHCONHNHCO | NH | Z-9 |
| 3-942 | 4 | NHCONHNHCO | NH | Z-10 |
| 3-943 | 4 | NHCONHNHCO | NH | Z-11 |
| 3-944 | 4 | NHCONHNHCO | NH | Z-12 |
| 3-945 | 4 | NHCONHNHCO | NH | 3-Py |
| 3-946 | 4 | NHCONHNHCO | NH | 4-Py |
| 3-947 | 4 | NHCONHCO | — | H |
| 3-948 | 4 | NHCONHCO | — | Ph |
| 3-949 | 4 | NHCONHCO | — | 2-Me-Ph |
| 3-950 | 4 | NHCONHCO | — | 4-Me-Ph |
| 3-951 | 4 | NHCONHCO | — | 2,4-diMe-Ph |
| 3-952 | 4 | NHCONHCO | — | 3,4-diMe-Ph |
| 3-953 | 4 | NHCONHCO | — | 2-(CF ₃)Ph |
| 3-954 | 4 | NHCONHCO | — | 4-(CF ₃)Ph |
| 3-955 | 4 | NHCONHCO | — | 2-MeOPh |
| 3-956 | 4 | NHCONHCO | — | 4-MeOPh |
| 3-957 | 4 | NHCONHCO | — | 2-EtOPh |
| 3-958 | 4 | NHCONHCO | — | 4-EtOPh |
| 3-959 | 4 | NHCONHCO | — | 2-HOPh |
| 3-960 | 4 | NHCONHCO | — | 4-HOPh |
| 3-961 | 4 | NHCONHCO | — | 2-(HOOC)Ph |
| 3-962 | 4 | NHCONHCO | — | 4-(HOOC)Ph |
| 3-963 | 4 | NHCONHCO | — | 2-(MeOOC)Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----------|---|--|
| 3-964 | 4 | NHCONHCO | — | 4-(MeOOC)Ph |
| 3-965 | 4 | NHCONHCO | — | 2-(EtOOC)Ph |
| 3-966 | 4 | NHCONHCO | — | 4-(EtOOC)Ph |
| 3-967 | 4 | NHCONHCO | — | 2-(<i>t</i> BuOOC)Ph |
| 3-968 | 4 | NHCONHCO | — | 4-(<i>t</i> BuOOC)Ph |
| 3-969 | 4 | NHCONHCO | — | 2-Cl-Ph |
| 3-970 | 4 | NHCONHCO | — | 4-Cl-Ph |
| 3-971 | 4 | NHCONHCO | — | 2-Br-Ph |
| 3-972 | 4 | NHCONHCO | — | 4-Br-Ph |
| 3-973 | 4 | NHCONHCO | — | 2-I-Ph |
| 3-974 | 4 | NHCONHCO | — | 4-I-Ph |
| 3-975 | 4 | NHCONHCO | — | 2-NO ₂ -Ph |
| 3-976 | 4 | NHCONHCO | — | 4-NO ₂ -Ph |
| 3-977 | 4 | NHCONHCO | — | 2-NH ₂ -Ph |
| 3-978 | 4 | NHCONHCO | — | 4-NH ₂ -Ph |
| 3-979 | 4 | NHCONHCO | — | 2-(HO ₃ S)Ph |
| 3-980 | 4 | NHCONHCO | — | 4-(HO ₃ S)Ph |
| 3-981 | 4 | NHCONHCO | — | 2-(NH ₂ O ₂ S)Ph |
| 3-982 | 4 | NHCONHCO | — | 4-(NH ₂ O ₂ S)Ph |
| 3-983 | 4 | NHCONHCO | — | 2-CN-Ph |
| 3-984 | 4 | NHCONHCO | — | 4-CN-Ph |
| 3-985 | 4 | NHCONHCO | — | 2-(HOCH ₂)Ph |
| 3-986 | 4 | NHCONHCO | — | 4-(HOCH ₂)Ph |
| 3-987 | 4 | NHCONHCO | — | Me |
| 3-988 | 4 | NHCONHCO | — | Et |
| 3-989 | 4 | NHCONHCO | — | Pr |
| 3-990 | 4 | NHCONHCO | — | <i>t</i> Pr |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----------|---|---|
| 3-991 | 4 | NHCONHCO | — | Bu |
| 3-992 | 4 | NHCONHCO | — | HOOCCH ₂ - |
| 3-993 | 4 | NHCONHCO | — | MeOOCCH ₂ - |
| 3-994 | 4 | NHCONHCO | — | MeCH(COOH) |
| 3-995 | 4 | NHCONHCO | — | HOOC-(CH ₂) ₂ - |
| 3-996 | 4 | NHCONHCO | — | MeCH(COOMe) |
| 3-997 | 4 | NHCONHCO | — | 1-HOOC- <i>i</i> Bu |
| 3-998 | 4 | NHCONHCO | — | 1-MeOOC- <i>i</i> Bu |
| 3-999 | 4 | NHCONHCO | — | 1-HOOC- <i>i</i> Pn |
| 3-1000 | 4 | NHCONHCO | — | 1-MeOOC- <i>i</i> Pn |
| 3-1001 | 4 | NHCONHCO | — | 1-HOOC-2-Me-Bu |
| 3-1002 | 4 | NHCONHCO | — | 1-MeOOC-2-Me-Bu |
| 3-1003 | 4 | NHCONHCO | — | CH ₂ CH ₂ SO ₃ H |
| 3-1004 | 4 | NHCONHCO | — | MeO |
| 3-1005 | 4 | NHCONHCO | — | EtO |
| 3-1006 | 4 | NHCONHCO | — | PrO |
| 3-1007 | 4 | NHCONHCO | — | <i>i</i> PrO |
| 3-1008 | 4 | NHCONHCO | — | BuO |
| 3-1009 | 4 | NHCONHCO | — | <i>i</i> BuO |
| 3-1010 | 4 | NHCONHCO | — | <i>s</i> BuO |
| 3-1011 | 4 | NHCONHCO | — | <i>t</i> BuO |
| 3-1012 | 4 | NHCONHCO | — | HxO |
| 3-1013 | 4 | NHCONHCO | — | PhO |
| 3-1014 | 4 | NHCONHCO | — | BnO |
| 3-1015 | 4 | NHCONHCO | — | Z-1 |
| 3-1016 | 4 | NHCONHCO | — | Z-2 |
| 3-1017 | 4 | NHCONHCO | — | Z-3 |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------------------|---|------------------------|
| 3-1018 | 4 | NHCONHCO | — | Z-4 |
| 3-1019 | 4 | NHCONHCO | — | Z-5 |
| 3-1020 | 4 | NHCONHCO | — | Z-6 |
| 3-1021 | 4 | NHCONHCO | — | Z-7 |
| 3-1022 | 4 | NHCONHCO | — | Z-8 |
| 3-1023 | 4 | NHCONHCO | — | Z-9 |
| 3-1024 | 4 | NHCONHCO | — | Z-10 |
| 3-1025 | 4 | NHCONHCO | — | Z-11 |
| 3-1026 | 4 | NHCONHCO | — | Z-12 |
| 3-1027 | 4 | NHCONHCO | — | 3-Py |
| 3-1028 | 4 | NHCONHCO | — | 4-Py |
| 3-1029 | 4 | NHCONHSO ₂ | — | H |
| 3-1030 | 4 | NHCONHSO ₂ | — | Ph |
| 3-1031 | 4 | NHCONHSO ₂ | — | 2-Me-Ph |
| 3-1032 | 4 | NHCONHSO ₂ | — | 4-Me-Ph |
| 3-1033 | 4 | NHCONHSO ₂ | — | 2,4-diMe-Ph |
| 3-1034 | 4 | NHCONHSO ₂ | — | 3,4-diMe-Ph |
| 3-1035 | 4 | NHCONHSO ₂ | — | 2-(CF ₃)Ph |
| 3-1036 | 4 | NHCONHSO ₂ | — | 4-(CF ₃)Ph |
| 3-1037 | 4 | NHCONHSO ₂ | — | 2-MeOPh |
| 3-1038 | 4 | NHCONHSO ₂ | — | 4-MeOPh |
| 3-1039 | 4 | NHCONHSO ₂ | — | 2-EtOPh |
| 3-1040 | 4 | NHCONHSO ₂ | — | 4-EtOPh |
| 3-1041 | 4 | NHCONHSO ₂ | — | 2-HOPh |
| 3-1042 | 4 | NHCONHSO ₂ | — | 4-HOPh |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------------------|---|--|
| 3-1043 | 4 | NHCONHSO ₂ | — | 2-(HOOC)Ph |
| 3-1044 | 4 | NHCONHSO ₂ | — | 4-(HOOC)Ph |
| 3-1045 | 4 | NHCONHSO ₂ | — | 2-(MeOOC)Ph |
| 3-1046 | 4 | NHCONHSO ₂ | — | 4-(MeOOC)Ph |
| 3-1047 | 4 | NHCONHSO ₂ | — | 2-(EtOOC)Ph |
| 3-1048 | 4 | NHCONHSO ₂ | — | 4-(EtOOC)Ph |
| 3-1049 | 4 | NHCONHSO ₂ | — | 2-(<i>t</i> BuOOC)Ph |
| 3-1050 | 4 | NHCONHSO ₂ | — | 4-(<i>t</i> BuOOC)Ph |
| 3-1051 | 4 | NHCONHSO ₂ | — | 2-Cl-Ph |
| 3-1052 | 4 | NHCONHSO ₂ | — | 4-Cl-Ph |
| 3-1053 | 4 | NHCONHSO ₂ | — | 2-Br-Ph |
| 3-1054 | 4 | NHCONHSO ₂ | — | 4-Br-Ph |
| 3-1055 | 4 | NHCONHSO ₂ | — | 2-I-Ph |
| 3-1056 | 4 | NHCONHSO ₂ | — | 4-I-Ph |
| 3-1057 | 4 | NHCONHSO ₂ | — | 2-NO ₂ -Ph |
| 3-1058 | 4 | NHCONHSO ₂ | — | 4-NO ₂ -Ph |
| 3-1059 | 4 | NHCONHSO ₂ | — | 2-NH ₂ -Ph |
| 3-1060 | 4 | NHCONHSO ₂ | — | 4-NH ₂ -Ph |
| 3-1061 | 4 | NHCONHSO ₂ | — | 2-(HO ₃ S)Ph |
| 3-1062 | 4 | NHCONHSO ₂ | — | 4-(HO ₃ S)Ph |
| 3-1063 | 4 | NHCONHSO ₂ | — | 2-(NH ₂ O ₂ S)Ph |
| 3-1064 | 4 | NHCONHSO ₂ | — | 4-(NH ₂ O ₂ S)Ph |
| 3-1065 | 4 | NHCONHSO ₂ | — | 2-CN-Ph |
| 3-1066 | 4 | NHCONHSO ₂ | — | 4-CN-Ph |

Table 3 (cont.)

| Cpd.
No. | k | A | B | R ¹ |
|-------------|---|-----------------------|---|---|
| 3-1067 | 4 | NHCONHSO ₂ | — | 2-(HOCH ₂)Ph |
| 3-1068 | 4 | NHCONHSO ₂ | — | 4-(HOCH ₂)Ph |
| 3-1069 | 4 | NHCONHSO ₂ | — | Me |
| 3-1070 | 4 | NHCONHSO ₂ | — | Et |
| 3-1071 | 4 | NHCONHSO ₂ | — | Pr |
| 3-1072 | 4 | NHCONHSO ₂ | — | <i>i</i> Pr |
| 3-1073 | 4 | NHCONHSO ₂ | — | Bu |
| 3-1074 | 4 | NHCONHSO ₂ | — | HOOCCH ₂ - |
| 3-1075 | 4 | NHCONHSO ₂ | — | MeOOCCH ₂ - |
| 3-1076 | 4 | NHCONHSO ₂ | — | MeCH(COOH) |
| 3-1077 | 4 | NHCONHSO ₂ | — | HOOC-(CH ₂) ₂ - |
| 3-1078 | 4 | NHCONHSO ₂ | — | MeCH(COOMe) |
| 3-1079 | 4 | NHCONHSO ₂ | — | 1-HOOC- <i>i</i> Bu |
| 3-1080 | 4 | NHCONHSO ₂ | — | 1-MeOOC- <i>i</i> Bu |
| 3-1081 | 4 | NHCONHSO ₂ | — | 1-HOOC- <i>i</i> Pn |
| 3-1082 | 4 | NHCONHSO ₂ | — | 1-MeOOC- <i>i</i> Pn |
| 3-1083 | 4 | NHCONHSO ₂ | — | 1-HOOC-2-Me-Bu |
| 3-1084 | 4 | NHCONHSO ₂ | — | 1-MeOOC-2-Me-Bu |
| 3-1085 | 4 | NHCONHSO ₂ | — | CH ₂ CH ₂ SO ₃ H |
| 3-1086 | 4 | NHCONHSO ₂ | — | OH |
| 3-1087 | 4 | NHCONHSO ₂ | — | MeO |
| 3-1088 | 4 | NHCONHSO ₂ | — | EtO |
| 3-1089 | 4 | NHCONHSO ₂ | — | PrO |
| 3-1090 | 4 | NHCONHSO ₂ | — | <i>i</i> PrO |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------------------|----|----------------|
| 3-1091 | 4 | NHCONHSO ₂ | — | BuO |
| 3-1092 | 4 | NHCONHSO ₂ | — | <i>i</i> BuO |
| 3-1093 | 4 | NHCONHSO ₂ | — | <i>s</i> BuO |
| 3-1094 | 4 | NHCONHSO ₂ | — | <i>t</i> BuO |
| 3-1095 | 4 | NHCONHSO ₂ | — | HxO |
| 3-1096 | 4 | NHCONHSO ₂ | — | PhO |
| 3-1097 | 4 | NHCONHSO ₂ | — | BnO |
| 3-1098 | 4 | NHCONHSO ₂ | — | Z-1 |
| 3-1099 | 4 | NHCONHSO ₂ | — | Z-2 |
| 3-1100 | 4 | NHCONHSO ₂ | — | Z-3 |
| 3-1101 | 4 | NHCONHSO ₂ | — | Z-4 |
| 3-1102 | 4 | NHCONHSO ₂ | — | Z-5 |
| 3-1103 | 4 | NHCONHSO ₂ | — | Z-6 |
| 3-1104 | 4 | NHCONHSO ₂ | — | Z-7 |
| 3-1105 | 4 | NHCONHSO ₂ | — | Z-8 |
| 3-1106 | 4 | NHCONHSO ₂ | — | Z-9 |
| 3-1107 | 4 | NHCONHSO ₂ | — | Z-10 |
| 3-1108 | 4 | NHCONHSO ₂ | — | Z-11 |
| 3-1109 | 4 | NHCONHSO ₂ | — | Z-12 |
| 3-1110 | 4 | NHCONHSO ₂ | — | 3-Py |
| 3-1111 | 4 | NHCONHSO ₂ | — | 4-Py |
| 3-1112 | 4 | NHCONHSO ₂ | NH | H |
| 3-1113 | 4 | NHCONHSO ₂ | NH | Me |
| 3-1114 | 4 | NHCONHSO ₂ | NH | Et |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------------------|---------|----------------|
| 3-1115 | 4 | NHCONHSO ₂ | NH | Pr |
| 3-1116 | 4 | NHCONHSO ₂ | NH | iPr |
| 3-1117 | 4 | NHCONHSO ₂ | NH | Bu |
| 3-1118 | 4 | NHCONHSO ₂ | NMe | Me |
| 3-1119 | 4 | NHCONHSO ₂ | NMe | Et |
| 3-1120 | 4 | NHCONHSO ₂ | NMe | Pr |
| 3-1121 | 4 | NHCONHSO ₂ | NMe | iPr |
| 3-1122 | 4 | NHCONHSO ₂ | NMe | Bu |
| 3-1123 | 4 | — | NH | H |
| 3-1124 | 4 | — | NH | Me |
| 3-1125 | 4 | — | NH | Et |
| 3-1126 | 4 | — | NH | Pr |
| 3-1127 | 4 | — | NH | iPr |
| 3-1128 | 4 | — | NH | Bu |
| 3-1129 | 4 | CO | Pyr | |
| 3-1130 | 4 | CO | Pipri | |
| 3-1131 | 4 | CO | Pipra | |
| 3-1132 | 4 | CO | Mor | |
| 3-1133 | 4 | CO | Thmor | |
| 3-1134 | 4 | CO | NHPyr | |
| 3-1135 | 4 | CO | NHPipri | |
| 3-1136 | 4 | CO | NHPipra | |
| 3-1137 | 4 | CO | NHMor | |
| 3-1138 | 4 | CO | NHThmor | |
| 3-1139 | 4 | NHCO | Pyr | |
| 3-1140 | 4 | NHCO | Pipri | |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|---|----------------|
| 3-1141 | 4 | NHCO | | Pipra |
| 3-1142 | 4 | NHCO | | Mor |
| 3-1143 | 4 | NHCO | | Thmor |
| 3-1144 | 4 | NHCO | | NHPyr |
| 3-1145 | 4 | NHCO | | NHPipri |
| 3-1146 | 4 | NHCO | | NHPipra |
| 3-1147 | 4 | NHCO | | NHMor |
| 3-1148 | 4 | NHCO | | NHThmor |
| 3-1149 | 4 | CONHCO | | Pyr |
| 3-1150 | 4 | CONHCO | | Pipri |
| 3-1151 | 4 | CONHCO | | Pipra |
| 3-1152 | 4 | CONHCO | | Mor |
| 3-1153 | 4 | CONHCO | | Thmor |
| 3-1154 | 4 | CONHCO | | NHPyr |
| 3-1155 | 4 | CONHCO | | NHPipri |
| 3-1156 | 4 | CONHCO | | NHPipra |
| 3-1157 | 4 | CONHCO | | NHMor |
| 3-1158 | 4 | CONHCO | | NHThmor |
| 3-1159 | 4 | CONHSO ₂ | | Pyr |
| 3-1160 | 4 | CONHSO ₂ | | Pipri |
| 3-1161 | 4 | CONHSO ₂ | | Pipra |
| 3-1162 | 4 | CONHSO ₂ | | Mor |
| 3-1163 | 4 | CONHSO ₂ | | Thmor |
| 3-1164 | 4 | CONHSO ₂ | | NHPyr |
| 3-1165 | 4 | CONHSO ₂ | | NHPipri |
| 3-1166 | 4 | CONHSO ₂ | | NHPipra |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|---------|------------------------|
| 3-1167 | 4 | CONHSO ₂ | NHMor | |
| 3-1168 | 4 | CONHSO ₂ | NHThmor | |
| 3-1169 | 4 | NHSO ₂ | NH | Z-4 |
| 3-1170 | 4 | NHSO ₂ | — | Me |
| 3-1171 | 4 | NHSO ₂ | — | Et |
| 3-1172 | 4 | NHSO ₂ | — | Pr |
| 3-1173 | 4 | NHSO ₂ | — | CH ₂ -Cl |
| 3-1174 | 4 | NHSO ₂ | — | Ph |
| 3-1175 | 4 | NHSO ₂ | — | 4-Me-Ph |
| 3-1176 | 4 | CO | NMe | Ph |
| 3-1177 | 4 | CO | NMe | 2-Me-Ph |
| 3-1178 | 4 | CO | NMe | 4-Me-Ph |
| 3-1179 | 4 | CO | NMe | 2,4-diMe-Ph |
| 3-1180 | 4 | CO | NMe | 3,4-diMe-Ph |
| 3-1181 | 4 | CO | NMe | 2-(CF ₃)Ph |
| 3-1182 | 4 | CO | NMe | 4-(CF ₃)Ph |
| 3-1183 | 4 | CO | NMe | 2-MeOPh |
| 3-1184 | 4 | CO | NMe | 4-MeOPh |
| 3-1185 | 4 | CO | NMe | 2-EtOPh |
| 3-1186 | 4 | CO | NMe | 4-EtOPh |
| 3-1187 | 4 | CO | NMe | 2-HOPh |
| 3-1188 | 4 | CO | NMe | 4-HOPh |
| 3-1189 | 4 | CO | NMe | 2-(HOOC)Ph |
| 3-1190 | 4 | CO | NMe | 4-(HOOC)Ph |
| 3-1191 | 4 | CO | NMe | 2-(MeOOC)Ph |
| 3-1192 | 4 | CO | NMe | 4-(MeOOC)Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----|-----|--|
| 3-1193 | 4 | CO | NMe | 2-(EtOOC)Ph |
| 3-1194 | 4 | CO | NMe | 4-(EtOOC)Ph |
| 3-1195 | 4 | CO | NMe | 2-(<i>i</i> BuOOC)Ph |
| 3-1196 | 4 | CO | NMe | 4-(<i>i</i> BuOOC)Ph |
| 3-1197 | 4 | CO | NMe | 2-Cl-Ph |
| 3-1198 | 4 | CO | NMe | 4-Cl-Ph |
| 3-1199 | 4 | CO | NMe | 2-Br-Ph |
| 3-1200 | 4 | CO | NMe | 4-Br-Ph |
| 3-1201 | 4 | CO | NMe | 2-I-Ph |
| 3-1202 | 4 | CO | NMe | 4-I-Ph |
| 3-1203 | 4 | CO | NMe | 2-NO ₂ -Ph |
| 3-1204 | 4 | CO | NMe | 4-NO ₂ -Ph |
| 3-1205 | 4 | CO | NMe | 2-NH ₂ -Ph |
| 3-1206 | 4 | CO | NMe | 4-NH ₂ -Ph |
| 3-1207 | 4 | CO | NMe | 2-(HO ₃ S)Ph |
| 3-1208 | 4 | CO | NMe | 4-(HO ₃ S)Ph |
| 3-1209 | 4 | CO | NMe | 2-(NH ₂ O ₂ S)Ph |
| 3-1210 | 4 | CO | NMe | 4-(NH ₂ O ₂ S)Ph |
| 3-1211 | 4 | CO | NMe | 2-CN-Ph |
| 3-1212 | 4 | CO | NMe | 4-CN-Ph |
| 3-1213 | 4 | CO | NMe | 2-(HOCH ₂)Ph |
| 3-1214 | 4 | CO | NMe | 4-(HOCH ₂)Ph |
| 3-1215 | 4 | CO | NMe | Me |
| 3-1216 | 4 | CO | NMe | Et |
| 3-1217 | 4 | CO | NMe | Pr |
| 3-1218 | 4 | CO | NMe | <i>i</i> Pr |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----|-----|---|
| 3-1219 | 4 | CO | NMe | Bu |
| 3-1220 | 4 | CO | NMe | HOOCCH ₂ - |
| 3-1221 | 4 | CO | NMe | MeOOCCH ₂ - |
| 3-1222 | 4 | CO | NMe | MeCH(COOH) |
| 3-1223 | 4 | CO | NMe | HOOC-(CH ₂) ₂ - |
| 3-1224 | 4 | CO | NMe | MeCH(COOMe) |
| 3-1225 | 4 | CO | NMe | 1-HOOC- <i>i</i> Bu |
| 3-1226 | 4 | CO | NMe | 1-MeOOC- <i>i</i> Bu |
| 3-1227 | 4 | CO | NMe | 1-HOOC- <i>i</i> Pn |
| 3-1228 | 4 | CO | NMe | 1-MeOOC- <i>i</i> Pn |
| 3-1229 | 4 | CO | NMe | 1-HOOC-2-Me-Bu |
| 3-1230 | 4 | CO | NMe | 1-MeOOC-2-Me-Bu |
| 3-1231 | 4 | CO | NMe | CH ₂ CH ₂ SO ₃ H |
| 3-1232 | 4 | CO | NMe | OH |
| 3-1233 | 4 | CO | NMe | MeO |
| 3-1234 | 4 | CO | NMe | EtO |
| 3-1235 | 4 | CO | NMe | PrO |
| 3-1236 | 4 | CO | NMe | <i>i</i> PrO |
| 3-1237 | 4 | CO | NMe | BuO |
| 3-1238 | 4 | CO | NMe | <i>i</i> BuO |
| 3-1239 | 4 | CO | NMe | <i>s</i> BuO |
| 3-1240 | 4 | CO | NMe | <i>t</i> BuO |
| 3-1241 | 4 | CO | NMe | HxO |
| 3-1242 | 4 | CO | NMe | PhO |
| 3-1243 | 4 | CO | NMe | BnO |
| 3-1244 | 4 | CO | NMe | Z-1 |
| 3-1245 | 4 | CO | NMe | Z-2 |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|---------|------------------------|
| 3-1246 | 4 | CO | NMe | Z-3 |
| 3-1247 | 4 | CO | NMe | Z-4 |
| 3-1248 | 4 | CO | NMe | Z-5 |
| 3-1249 | 4 | CO | NMe | Z-6 |
| 3-1250 | 4 | CO | NMe | Z-7 |
| 3-1251 | 4 | CO | NMe | Z-8 |
| 3-1252 | 4 | CO | NMe | Z-9 |
| 3-1253 | 4 | CO | NMe | Z-10 |
| 3-1254 | 4 | CO | NMe | Z-11 |
| 3-1255 | 4 | CO | NMe | Z-12 |
| 3-1256 | 4 | CO | NMe | 3-Py |
| 3-1257 | 4 | CO | NMe | 4-Py |
| 3-1258 | 4 | CO | Thiad | |
| 3-1259 | 4 | CO | NHThiad | |
| 3-1260 | 4 | NHCO | Thiad | |
| 3-1261 | 4 | NHCO | NHThiad | |
| 3-1262 | 4 | CONHCO | Thiad | |
| 3-1263 | 4 | CONHCO | NHThiad | |
| 3-1264 | 4 | CONHSO ₂ | Thiad | |
| 3-1265 | 4 | CONHSO ₂ | NHThiad | |
| 3-1266 | 4 | NHCS | NH | H |
| 3-1267 | 4 | NHCS | NH | Me |
| 3-1268 | 4 | NHCS | NH | Et |
| 3-1269 | 4 | NHCS | NH | Ph |
| 3-1270 | 4 | NHCS | NH | HOOCCH ₂ - |
| 3-1271 | 4 | NHCS | NH | MeOOCCH ₂ - |
| 3-1272 | 4 | NHCS | NH | MeCH(COOH) |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|--------|----|--|
| 3-1273 | 4 | NHCS | NH | HOOC-(CH ₂) ₂ - |
| 3-1274 | 4 | NHCS | NH | MeCH(COOMe) |
| 3-1275 | 4 | CO | NH | HOOC-(CH ₂) ₃ - |
| 3-1276 | 4 | NHCO | NH | HOOC-(CH ₂) ₃ - |
| 3-1277 | 4 | NHCO | — | HOOC-(CH ₂) ₃ - |
| 3-1278 | 4 | NHCS | NH | HOOC-(CH ₂) ₃ - |
| 3-1279 | 4 | CO | NH | MeSO ₂ NHCOCH(Me) |
| 3-1280 | 4 | NHCO | NH | MeSO ₂ NHCOCH(Me) |
| 3-1281 | 4 | NHCO | — | MeSO ₂ NHCOCH(Me) |
| 3-1282 | 4 | NHCS | NH | MeSO ₂ NHCOCH(Me) |
| 3-1283 | 4 | — | NH | HOOCCH ₂ - |
| 3-1284 | 4 | — | NH | MeOOCCH ₂ - |
| 3-1285 | 4 | — | NH | MeCH(COOH) |
| 3-1286 | 4 | — | NH | HOOC-(CH ₂) ₂ - |
| 3-1287 | 4 | — | NH | MeCH(COOMe) |
| 3-1288 | 4 | — | NH | HOOC-(CH ₂) ₃ - |
| 3-1289 | 4 | NHCOCO | — | OH |
| 3-1290 | 4 | NHCOCO | — | MeO |
| 3-1291 | 4 | NHCOCO | — | EtO |
| 3-1292 | 4 | NHCOCO | — | PrO |
| 3-1293 | 4 | NHCOCO | — | iPrO |
| 3-1294 | 4 | NHCOCO | — | BuO |
| 3-1295 | 4 | NHCOCO | — | iBuO |
| 3-1296 | 4 | NHCOCO | — | sBuO |
| 3-1297 | 4 | NHCOCO | — | tBuO |
| 3-1298 | 4 | NHCOCO | — | HxO |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|--------|----|------------------------|
| 3-1299 | 4 | NHCOCO | — | PhO |
| 3-1300 | 4 | NHCOCO | — | BnO |
| 3-1301 | 5 | CO | NH | H |
| 3-1302 | 5 | CO | NH | Ph |
| 3-1303 | 5 | CO | NH | 2-Me-Ph |
| 3-1304 | 5 | CO | NH | 4-Me-Ph |
| 3-1305 | 5 | CO | NH | 2,4-diMe-Ph |
| 3-1306 | 5 | CO | NH | 3,4-diMe-Ph |
| 3-1307 | 5 | CO | NH | 2-(CF ₃)Ph |
| 3-1308 | 5 | CO | NH | 4-(CF ₃)Ph |
| 3-1309 | 5 | CO | NH | 2-MeOPh |
| 3-1310 | 5 | CO | NH | 4-MeOPh |
| 3-1311 | 5 | CO | NH | 2-EtOPh |
| 3-1312 | 5 | CO | NH | 4-EtOPh |
| 3-1313 | 5 | CO | NH | 2-HOPh |
| 3-1314 | 5 | CO | NH | 4-HOPh |
| 3-1315 | 5 | CO | NH | 2-(HOOC)Ph |
| 3-1316 | 5 | CO | NH | 4-(HOOC)Ph |
| 3-1317 | 5 | CO | NH | 2-(MeOOC)Ph |
| 3-1318 | 5 | CO | NH | 4-(MeOOC)Ph |
| 3-1319 | 5 | CO | NH | 2-(EtOOC)Ph |
| 3-1320 | 5 | CO | NH | 4-(EtOOC)Ph |
| 3-1321 | 5 | CO | NH | 2-(<i>t</i> BuOOC)Ph |
| 3-1322 | 5 | CO | NH | 4-(<i>t</i> BuOOC)Ph |
| 3-1323 | 5 | CO | NH | 2-Cl-Ph |
| 3-1324 | 5 | CO | NH | 4-Cl-Ph |
| 3-1325 | 5 | CO | NH | 2-Br-Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----|----|--|
| 3-1326 | 5 | CO | NH | 4-Br-Ph |
| 3-1327 | 5 | CO | NH | 2-I-Ph |
| 3-1328 | 5 | CO | NH | 4-I-Ph |
| 3-1329 | 5 | CO | NH | 2-NO ₂ -Ph |
| 3-1330 | 5 | CO | NH | 4-NO ₂ -Ph |
| 3-1331 | 5 | CO | NH | 2-NH ₂ -Ph |
| 3-1332 | 5 | CO | NH | 4-NH ₂ -Ph |
| 3-1333 | 5 | CO | NH | 2-(HO ₃ S)Ph |
| 3-1334 | 5 | CO | NH | 4-(HO ₃ S)Ph |
| 3-1335 | 5 | CO | NH | 2-(NH ₂ O ₂ S)Ph |
| 3-1336 | 5 | CO | NH | 4-(NH ₂ O ₂ S)Ph |
| 3-1337 | 5 | CO | NH | 2-CN-Ph |
| 3-1338 | 5 | CO | NH | 4-CN-Ph |
| 3-1339 | 5 | CO | NH | 2-(HOCH ₂)Ph |
| 3-1340 | 5 | CO | NH | 4-(HOCH ₂)Ph |
| 3-1341 | 5 | CO | NH | Me |
| 3-1342 | 5 | CO | NH | Et |
| 3-1343 | 5 | CO | NH | Pr |
| 3-1344 | 5 | CO | NH | <i>i</i> Pr |
| 3-1345 | 5 | CO | NH | Bu |
| 3-1346 | 5 | CO | NH | HOOCCH ₂ - |
| 3-1347 | 5 | CO | NH | MeOOCCH ₂ - |
| 3-1348 | 5 | CO | NH | MeCH(COOH) |
| 3-1349 | 5 | CO | NH | HOOC-(CH ₂) ₂ - |
| 3-1350 | 5 | CO | NH | MeCH(COOMe) |
| 3-1351 | 5 | CO | NH | 1-HOOC- <i>i</i> Bu |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----|----|---|
| 3-1352 | 5 | CO | NH | 1-MeOOC- <i>i</i> Bu |
| 3-1353 | 5 | CO | NH | 1-HOOC- <i>i</i> Pn |
| 3-1354 | 5 | CO | NH | 1-MeOOC- <i>i</i> Pn |
| 3-1355 | 5 | CO | NH | 1-HOOC-2-Me-Bu |
| 3-1356 | 5 | CO | NH | 1-MeOOC-2-Me-Bu |
| 3-1357 | 5 | CO | NH | CH ₂ CH ₂ SO ₃ H |
| 3-1358 | 5 | CO | NH | OH |
| 3-1359 | 5 | CO | NH | MeO |
| 3-1360 | 5 | CO | NH | EtO |
| 3-1361 | 5 | CO | NH | PrO |
| 3-1362 | 5 | CO | NH | <i>i</i> PrO |
| 3-1363 | 5 | CO | NH | BuO |
| 3-1364 | 5 | CO | NH | <i>i</i> BuO |
| 3-1365 | 5 | CO | NH | <i>s</i> BuO |
| 3-1366 | 5 | CO | NH | <i>t</i> BuO |
| 3-1367 | 5 | CO | NH | HxO |
| 3-1368 | 5 | CO | NH | PhO |
| 3-1369 | 5 | CO | NH | BnO |
| 3-1370 | 5 | CO | NH | Z-1 |
| 3-1371 | 5 | CO | NH | Z-2 |
| 3-1372 | 5 | CO | NH | Z-3 |
| 3-1373 | 5 | CO | NH | Z-4 |
| 3-1374 | 5 | CO | NH | Z-5 |
| 3-1375 | 5 | CO | NH | Z-6 |
| 3-1376 | 5 | CO | NH | Z-7 |
| 3-1377 | 5 | CO | NH | Z-8 |
| 3-1378 | 5 | CO | NH | Z-9 |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----|-------|------------------------|
| 3-1379 | 5 | CO | NH | Z-10 |
| 3-1380 | 5 | CO | NH | Z-11 |
| 3-1381 | 5 | CO | NH | Z-12 |
| 3-1382 | 5 | CO | NH | 3-Py |
| 3-1383 | 5 | CO | NH | 4-Py |
| 3-1384 | 5 | CO | N(Ac) | H |
| 3-1385 | 5 | CO | N(Ac) | Ph |
| 3-1386 | 5 | CO | N(Ac) | 2-Me-Ph |
| 3-1387 | 5 | CO | N(Ac) | 4-Me-Ph |
| 3-1388 | 5 | CO | N(Ac) | 2,4-diMe-Ph |
| 3-1389 | 5 | CO | N(Ac) | 3,4-diMe-Ph |
| 3-1390 | 5 | CO | N(Ac) | 2-(CF ₃)Ph |
| 3-1391 | 5 | CO | N(Ac) | 4-(CF ₃)Ph |
| 3-1392 | 5 | CO | N(Ac) | 2-MeOPh |
| 3-1393 | 5 | CO | N(Ac) | 4-MeOPh |
| 3-1394 | 5 | CO | N(Ac) | 2-EtOPh |
| 3-1395 | 5 | CO | N(Ac) | 4-EtOPh |
| 3-1396 | 5 | CO | N(Ac) | 2-HOPh |
| 3-1397 | 5 | CO | N(Ac) | 4-HOPh |
| 3-1398 | 5 | CO | N(Ac) | 2-(HOOC)Ph |
| 3-1399 | 5 | CO | N(Ac) | 4-(HOOC)Ph |
| 3-1400 | 5 | CO | N(Ac) | 2-(MeOOC)Ph |
| 3-1401 | 5 | CO | N(Ac) | 4-(MeOOC)Ph |
| 3-1402 | 5 | CO | N(Ac) | 2-(EtOOC)Ph |
| 3-1403 | 5 | CO | N(Ac) | 4-(EtOOC)Ph |
| 3-1404 | 5 | CO | N(Ac) | 2-(<i>t</i> BuOOC)Ph |
| 3-1405 | 5 | CO | N(Ac) | 4-(<i>t</i> BuOOC)Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----|-------|--|
| 3-1406 | 5 | CO | N(Ac) | 2-Cl-Ph |
| 3-1407 | 5 | CO | N(Ac) | 4-Cl-Ph |
| 3-1408 | 5 | CO | N(Ac) | 2-Br-Ph |
| 3-1409 | 5 | CO | N(Ac) | 4-Br-Ph |
| 3-1410 | 5 | CO | N(Ac) | 2-I-Ph |
| 3-1411 | 5 | CO | N(Ac) | 4-I-Ph |
| 3-1412 | 5 | CO | N(Ac) | 2-NO ₂ -Ph |
| 3-1413 | 5 | CO | N(Ac) | 4-NO ₂ -Ph |
| 3-1414 | 5 | CO | N(Ac) | 2-NH ₂ -Ph |
| 3-1415 | 5 | CO | N(Ac) | 4-NH ₂ -Ph |
| 3-1416 | 5 | CO | N(Ac) | 2-(HO ₃ S)Ph |
| 3-1417 | 5 | CO | N(Ac) | 4-(HO ₃ S)Ph |
| 3-1418 | 5 | CO | N(Ac) | 2-(NH ₂ O ₂ S)Ph |
| 3-1419 | 5 | CO | N(Ac) | 4-(NH ₂ O ₂ S)Ph |
| 3-1420 | 5 | CO | N(Ac) | 2-CN-Ph |
| 3-1421 | 5 | CO | N(Ac) | 4-CN-Ph |
| 3-1422 | 5 | CO | N(Ac) | 2-(HOCH ₂)Ph |
| 3-1423 | 5 | CO | N(Ac) | 4-(HOCH ₂)Ph |
| 3-1424 | 5 | CO | N(Ac) | Me |
| 3-1425 | 5 | CO | N(Ac) | Et |
| 3-1426 | 5 | CO | N(Ac) | Pr |
| 3-1427 | 5 | CO | N(Ac) | iPr |
| 3-1428 | 5 | CO | N(Ac) | Bu |
| 3-1429 | 5 | CO | N(Ac) | HOOCCH ₂ - |
| 3-1430 | 5 | CO | N(Ac) | MeOOCCH ₂ - |
| 3-1431 | 5 | CO | N(Ac) | MeCH(COOH) |

Table 3 (cont.)

| Cpd.
No. | k | A | B | R ¹ |
|-------------|---|----|-------|---|
| 3-1432 | 5 | CO | N(Ac) | HOOC-(CH ₂) ₂ - |
| 3-1433 | 5 | CO | N(Ac) | MeCH(COOMe) |
| 3-1434 | 5 | CO | N(Ac) | 1-HOOC- <i>i</i> Bu |
| 3-1435 | 5 | CO | N(Ac) | 1-MeOOC- <i>i</i> Bu |
| 3-1436 | 5 | CO | N(Ac) | 1-HOOC- <i>i</i> Pn |
| 3-1437 | 5 | CO | N(Ac) | 1-MeOOC- <i>i</i> Pn |
| 3-1438 | 5 | CO | N(Ac) | 1-HOOC-2-Me-Bu |
| 3-1439 | 5 | CO | N(Ac) | 1-MeOOC-2-Me-Bu |
| 3-1440 | 5 | CO | N(Ac) | CH ₂ CH ₂ SO ₃ H |
| 3-1441 | 5 | CO | N(Ac) | OH |
| 3-1442 | 5 | CO | N(Ac) | MeO |
| 3-1443 | 5 | CO | N(Ac) | EtO |
| 3-1444 | 5 | CO | N(Ac) | PrO |
| 3-1445 | 5 | CO | N(Ac) | <i>i</i> PrO |
| 3-1446 | 5 | CO | N(Ac) | BuO |
| 3-1447 | 5 | CO | N(Ac) | <i>i</i> BuO |
| 3-1448 | 5 | CO | N(Ac) | <i>s</i> BuO |
| 3-1449 | 5 | CO | N(Ac) | <i>t</i> BuO |
| 3-1450 | 5 | CO | N(Ac) | HxO |
| 3-1451 | 5 | CO | N(Ac) | PhO |
| 3-1452 | 5 | CO | N(Ac) | BnO |
| 3-1453 | 5 | CO | N(Ac) | Z-1 |
| 3-1454 | 5 | CO | N(Ac) | Z-2 |
| 3-1455 | 5 | CO | N(Ac) | Z-3 |
| 3-1456 | 5 | CO | N(Ac) | Z-4 |
| 3-1457 | 5 | CO | N(Ac) | Z-5 |
| 3-1458 | 5 | CO | N(Ac) | Z-6 |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----|-------|------------------------|
| 3-1459 | 5 | CO | N(Ac) | Z-7 |
| 3-1460 | 5 | CO | N(Ac) | Z-8 |
| 3-1461 | 5 | CO | N(Ac) | Z-9 |
| 3-1462 | 5 | CO | N(Ac) | Z-10 |
| 3-1463 | 5 | CO | N(Ac) | Z-11 |
| 3-1464 | 5 | CO | N(Ac) | Z-12 |
| 3-1465 | 5 | CO | N(Ac) | 3-Py |
| 3-1466 | 5 | CO | N(Ac) | 4-Py |
| 3-1467 | 5 | COO | — | H |
| 3-1468 | 5 | COO | — | Ph |
| 3-1469 | 5 | COO | — | 2-Me-Ph |
| 3-1470 | 5 | COO | — | 4-Me-Ph |
| 3-1471 | 5 | COO | — | 2,4-diMe-Ph |
| 3-1472 | 5 | COO | — | 3,4-diMe-Ph |
| 3-1473 | 5 | COO | — | 2-(CF ₃)Ph |
| 3-1474 | 5 | COO | — | 4-(CF ₃)Ph |
| 3-1475 | 5 | COO | — | 2-MeOPh |
| 3-1476 | 5 | COO | — | 4-MeOPh |
| 3-1477 | 5 | COO | — | 2-EtOPh |
| 3-1478 | 5 | COO | — | 4-EtOPh |
| 3-1479 | 5 | COO | — | 2-HOPh |
| 3-1480 | 5 | COO | — | 4-HOPh |
| 3-1481 | 5 | COO | — | 2-(HOOC)Ph |
| 3-1482 | 5 | COO | — | 4-(HOOC)Ph |
| 3-1483 | 5 | COO | — | 2-(MeOOC)Ph |
| 3-1484 | 5 | COO | — | 4-(MeOOC)Ph |
| 3-1485 | 5 | COO | — | 2-(EtOOC)Ph |

Table 3 (cont.)

| Cpd.
No. | k | A | B | R ¹ |
|-------------|---|-----|---|--|
| 3-1486 | 5 | COO | — | 4-(EtOOC)Ph |
| 3-1487 | 5 | COO | — | 2-(<i>t</i> BuOOC)Ph |
| 3-1488 | 5 | COO | — | 4-(<i>t</i> BuOOC)Ph |
| 3-1489 | 5 | COO | — | 2-Cl-Ph |
| 3-1490 | 5 | COO | — | 4-Cl-Ph |
| 3-1491 | 5 | COO | — | 2-Br-Ph |
| 3-1492 | 5 | COO | — | 4-Br-Ph |
| 3-1493 | 5 | COO | — | 2-I-Ph |
| 3-1494 | 5 | COO | — | 4-I-Ph |
| 3-1495 | 5 | COO | — | 2-NO ₂ -Ph |
| 3-1496 | 5 | COO | — | 4-NO ₂ -Ph |
| 3-1497 | 5 | COO | — | 2-NH ₂ -Ph |
| 3-1498 | 5 | COO | — | 4-NH ₂ -Ph |
| 3-1499 | 5 | COO | — | 2-(HO ₃ S)Ph |
| 3-1500 | 5 | COO | — | 4-(HO ₃ S)Ph |
| 3-1501 | 5 | COO | — | 2-(NH ₂ O ₂ S)Ph |
| 3-1502 | 5 | COO | — | 4-(NH ₂ O ₂ S)Ph |
| 3-1503 | 5 | COO | — | 2-CN-Ph |
| 3-1504 | 5 | COO | — | 4-CN-Ph |
| 3-1505 | 5 | COO | — | 2-(HOCH ₂)Ph |
| 3-1506 | 5 | COO | — | 4-(HOCH ₂)Ph |
| 3-1507 | 5 | COO | — | Me |
| 3-1508 | 5 | COO | — | Et |
| 3-1509 | 5 | COO | — | Pr |
| 3-1510 | 5 | COO | — | <i>i</i> Pr |
| 3-1511 | 5 | COO | — | Bu |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|--------|---|--|
| 3-1512 | 5 | COO | — | HOOCCH ₂ - |
| 3-1513 | 5 | COO | — | HOOC-(CH ₂) ₂ - |
| 3-1514 | 5 | COO | — | MeCH(COOMe) |
| 3-1515 | 5 | COO | — | 1-HOOC- <i>i</i> Bu |
| 3-1516 | 5 | COO | — | 1-HOOC- <i>i</i> Pn |
| 3-1517 | 5 | COO | — | Z-1 |
| 3-1518 | 5 | COO | — | Z-2 |
| 3-1519 | 5 | COO | — | Z-3 |
| 3-1520 | 5 | COO | — | Z-4 |
| 3-1521 | 5 | COO | — | Z-5 |
| 3-1522 | 5 | COO | — | Z-6 |
| 3-1523 | 5 | COO | — | Z-7 |
| 3-1524 | 5 | COO | — | Z-8 |
| 3-1525 | 5 | COO | — | Z-9 |
| 3-1526 | 5 | COO | — | Z-10 |
| 3-1527 | 5 | COO | — | Z-11 |
| 3-1528 | 5 | COO | — | Z-12 |
| 3-1529 | 5 | COO | — | 3-Py |
| 3-1530 | 5 | COO | — | 4-Py |
| 3-1531 | 5 | CONHCO | — | H |
| 3-1532 | 5 | CONHCO | — | Ph |
| 3-1533 | 5 | CONHCO | — | 2-Me-Ph |
| 3-1534 | 5 | CONHCO | — | 4-Me-Ph |
| 3-1535 | 5 | CONHCO | — | 2,4-diMe-Ph |
| 3-1536 | 5 | CONHCO | — | 3,4-diMe-Ph |
| 3-1537 | 5 | CONHCO | — | 2-(CF ₃)Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|--------|---|-------------------------|
| 3-1538 | 5 | CONHCO | — | 4-(CF ₃)Ph |
| 3-1539 | 5 | CONHCO | — | 2-MeOPh |
| 3-1540 | 5 | CONHCO | — | 4-MeOPh |
| 3-1541 | 5 | CONHCO | — | 2-EtOPh |
| 3-1542 | 5 | CONHCO | — | 4-EtOPh |
| 3-1543 | 5 | CONHCO | — | 2-HOPh |
| 3-1544 | 5 | CONHCO | — | 4-HOPh |
| 3-1545 | 5 | CONHCO | — | 2-(HOOC)Ph |
| 3-1546 | 5 | CONHCO | — | 4-(HOOC)Ph |
| 3-1547 | 5 | CONHCO | — | 2-(MeOOC)Ph |
| 3-1548 | 5 | CONHCO | — | 4-(MeOOC)Ph |
| 3-1549 | 5 | CONHCO | — | 2-(EtOOC)Ph |
| 3-1550 | 5 | CONHCO | — | 4-(EtOOC)Ph |
| 3-1551 | 5 | CONHCO | — | 2-(<i>t</i> BuOOC)Ph |
| 3-1552 | 5 | CONHCO | — | 4-(<i>t</i> BuOOC)Ph |
| 3-1553 | 5 | CONHCO | — | 2-Cl-Ph |
| 3-1554 | 5 | CONHCO | — | 4-Cl-Ph |
| 3-1555 | 5 | CONHCO | — | 2-Br-Ph |
| 3-1556 | 5 | CONHCO | — | 4-Br-Ph |
| 3-1557 | 5 | CONHCO | — | 2-I-Ph |
| 3-1558 | 5 | CONHCO | — | 4-I-Ph |
| 3-1559 | 5 | CONHCO | — | 2-NO ₂ -Ph |
| 3-1560 | 5 | CONHCO | — | 4-NO ₂ -Ph |
| 3-1561 | 5 | CONHCO | — | 2-NH ₂ -Ph |
| 3-1562 | 5 | CONHCO | — | 4-NH ₂ -Ph |
| 3-1563 | 5 | CONHCO | — | 2-(HO ₃ S)Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|--------|---|---|
| 3-1564 | 5 | CONHCO | — | 4-(HO ₃ S)Ph |
| 3-1565 | 5 | CONHCO | — | 2-(NH ₂ O ₂ S)Ph |
| 3-1566 | 5 | CONHCO | — | 4-(NH ₂ O ₂ S)Ph |
| 3-1567 | 5 | CONHCO | — | 2-CN-Ph |
| 3-1568 | 5 | CONHCO | — | 4-CN-Ph |
| 3-1569 | 5 | CONHCO | — | 2-(HOCH ₂)Ph |
| 3-1570 | 5 | CONHCO | — | 4-(HOCH ₂)Ph |
| 3-1571 | 5 | CONHCO | — | Me |
| 3-1572 | 5 | CONHCO | — | Et |
| 3-1573 | 5 | CONHCO | — | Pr |
| 3-1574 | 5 | CONHCO | — | <i>i</i> Pr |
| 3-1575 | 5 | CONHCO | — | Bu |
| 3-1576 | 5 | CONHCO | — | HOOCCH ₂ - |
| 3-1577 | 5 | CONHCO | — | MeOOCCH ₂ - |
| 3-1578 | 5 | CONHCO | — | MeCH(COOH) |
| 3-1579 | 5 | CONHCO | — | HOOC-(CH ₂) ₂ - |
| 3-1580 | 5 | CONHCO | — | MeCH(COOMe) |
| 3-1581 | 5 | CONHCO | — | 1-HOOC- <i>i</i> Bu |
| 3-1582 | 5 | CONHCO | — | 1-MeOOC- <i>i</i> Bu |
| 3-1583 | 5 | CONHCO | — | 1-HOOC- <i>i</i> Pn |
| 3-1584 | 5 | CONHCO | — | 1-MeOOC- <i>i</i> Pn |
| 3-1585 | 5 | CONHCO | — | 1-HOOC-2-Me-Bu |
| 3-1586 | 5 | CONHCO | — | 1-MeOOC-2-Me-Bu |
| 3-1587 | 5 | CONHCO | — | CH ₂ CH ₂ SO ₃ H |
| 3-1588 | 5 | CONHCO | — | Z-1 |
| 3-1589 | 5 | CONHCO | — | Z-2 |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------|---|------------------------|
| 3-1590 | 5 | CONHCO | — | Z-3 |
| 3-1591 | 5 | CONHCO | — | Z-4 |
| 3-1592 | 5 | CONHCO | — | Z-5 |
| 3-1593 | 5 | CONHCO | — | Z-6 |
| 3-1594 | 5 | CONHCO | — | Z-7 |
| 3-1595 | 5 | CONHCO | — | Z-8 |
| 3-1596 | 5 | CONHCO | — | Z-9 |
| 3-1597 | 5 | CONHCO | — | Z-10 |
| 3-1598 | 5 | CONHCO | — | Z-11 |
| 3-1599 | 5 | CONHCO | — | Z-12 |
| 3-1600 | 5 | CONHCO | — | 3-Py |
| 3-1601 | 5 | CONHCO | — | 4-Py |
| 3-1602 | 5 | CON(Ac)CO | — | H |
| 3-1603 | 5 | CON(Ac)CO | — | Ph |
| 3-1604 | 5 | CON(Ac)CO | — | 2-Me-Ph |
| 3-1605 | 5 | CON(Ac)CO | — | 4-Me-Ph |
| 3-1606 | 5 | CON(Ac)CO | — | 2,4-diMe-Ph |
| 3-1607 | 5 | CON(Ac)CO | — | 3,4-diMe-Ph |
| 3-1608 | 5 | CON(Ac)CO | — | 2-(CF ₃)Ph |
| 3-1609 | 5 | CON(Ac)CO | — | 4-(CF ₃)Ph |
| 3-1610 | 5 | CON(Ac)CO | — | 2-MeOPh |
| 3-1611 | 5 | CON(Ac)CO | — | 4-MeOPh |
| 3-1612 | 5 | CON(Ac)CO | — | 2-EtOPh |
| 3-1613 | 5 | CON(Ac)CO | — | 4-EtOPh |
| 3-1614 | 5 | CON(Ac)CO | — | 2-HOPh |
| 3-1615 | 5 | CON(Ac)CO | — | 4-HOPh |
| 3-1616 | 5 | CON(Ac)CO | — | 2-(HOOC)Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ^I |
|----------|---|-----------|---|--|
| 3-1617 | 5 | CON(Ac)CO | — | 4-(HOOC)Ph |
| 3-1618 | 5 | CON(Ac)CO | — | 2-(MeOOC)Ph |
| 3-1619 | 5 | CON(Ac)CO | — | 4-(MeOOC)Ph |
| 3-1620 | 5 | CON(Ac)CO | — | 2-(EtOOC)Ph |
| 3-1621 | 5 | CON(Ac)CO | — | 4-(EtOOC)Ph |
| 3-1622 | 5 | CON(Ac)CO | — | 2-(<i>t</i> BuOOC)Ph |
| 3-1623 | 5 | CON(Ac)CO | — | 4-(<i>t</i> BuOOC)Ph |
| 3-1624 | 5 | CON(Ac)CO | — | 2-Cl-Ph |
| 3-1625 | 5 | CON(Ac)CO | — | 4-Cl-Ph |
| 3-1626 | 5 | CON(Ac)CO | — | 2-Br-Ph |
| 3-1627 | 5 | CON(Ac)CO | — | 4-Br-Ph |
| 3-1628 | 5 | CON(Ac)CO | — | 2-I-Ph |
| 3-1629 | 5 | CON(Ac)CO | — | 4-I-Ph |
| 3-1630 | 5 | CON(Ac)CO | — | 2-NO ₂ -Ph |
| 3-1631 | 5 | CON(Ac)CO | — | 4-NO ₂ -Ph |
| 3-1632 | 5 | CON(Ac)CO | — | 2-NH ₂ -Ph |
| 3-1633 | 5 | CON(Ac)CO | — | 4-NH ₂ -Ph |
| 3-1634 | 5 | CON(Ac)CO | — | 2-(HO ₃ S)Ph |
| 3-1635 | 5 | CON(Ac)CO | — | 4-(HO ₃ S)Ph |
| 3-1636 | 5 | CON(Ac)CO | — | 2-(NH ₂ O ₂ S)Ph |
| 3-1637 | 5 | CON(Ac)CO | — | 4-(NH ₂ O ₂ S)Ph |
| 3-1638 | 5 | CON(Ac)CO | — | 2-CN-Ph |
| 3-1639 | 5 | CON(Ac)CO | — | 4-CN-Ph |
| 3-1640 | 5 | CON(Ac)CO | — | 2-(HOCH ₂)Ph |
| 3-1641 | 5 | CON(Ac)CO | — | 4-(HOCH ₂)Ph |
| 3-1642 | 5 | CON(Ac)CO | — | Me |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------|---|---|
| 3-1643 | 5 | CON(Ac)CO | — | Et |
| 3-1644 | 5 | CON(Ac)CO | — | Pr |
| 3-1645 | 5 | CON(Ac)CO | — | <i>i</i> Pr |
| 3-1646 | 5 | CON(Ac)CO | — | Bu |
| 3-1647 | 5 | CON(Ac)CO | — | HOOCCH ₂ - |
| 3-1648 | 5 | CON(Ac)CO | — | MeOOCCH ₂ - |
| 3-1649 | 5 | CON(Ac)CO | — | MeCH(COOH) |
| 3-1650 | 5 | CON(Ac)CO | — | HOOC-(CH ₂) ₂ - |
| 3-1651 | 5 | CON(Ac)CO | — | MeCH(COOMe) |
| 3-1652 | 5 | CON(Ac)CO | — | 1-HOOC- <i>i</i> Bu |
| 3-1653 | 5 | CON(Ac)CO | — | 1-MeOOC- <i>i</i> Bu |
| 3-1654 | 5 | CON(Ac)CO | — | 1-HOOC- <i>i</i> Pn |
| 3-1655 | 5 | CON(Ac)CO | — | 1-MeOOC- <i>i</i> Pn |
| 3-1656 | 5 | CON(Ac)CO | — | 1-HOOC-2-Me-Bu |
| 3-1657 | 5 | CON(Ac)CO | — | 1-MeOOC-2-Me-Bu |
| 3-1658 | 5 | CON(Ac)CO | — | CH ₂ CH ₂ SO ₃ H |
| 3-1659 | 5 | CON(Ac)CO | — | Z-1 |
| 3-1660 | 5 | CON(Ac)CO | — | Z-2 |
| 3-1661 | 5 | CON(Ac)CO | — | Z-3 |
| 3-1662 | 5 | CON(Ac)CO | — | Z-4 |
| 3-1663 | 5 | CON(Ac)CO | — | Z-5 |
| 3-1664 | 5 | CON(Ac)CO | — | Z-6 |
| 3-1665 | 5 | CON(Ac)CO | — | Z-7 |
| 3-1666 | 5 | CON(Ac)CO | — | Z-8 |
| 3-1667 | 5 | CON(Ac)CO | — | Z-9 |
| 3-1668 | 5 | CON(Ac)CO | — | Z-10 |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------|----|------------------------|
| 3-1669 | 5 | CON(Ac)CO | — | Z-11 |
| 3-1670 | 5 | CON(Ac)CO | — | Z-12 |
| 3-1671 | 5 | CON(Ac)CO | — | 3-Py |
| 3-1672 | 5 | CON(Ac)CO | — | 4-Py |
| 3-1673 | 5 | CONHCO | NH | H |
| 3-1674 | 5 | CONHCO | NH | Ph |
| 3-1675 | 5 | CONHCO | NH | 2-Me-Ph |
| 3-1676 | 5 | CONHCO | NH | 4-Me-Ph |
| 3-1677 | 5 | CONHCO | NH | 2,4-diMe-Ph |
| 3-1678 | 5 | CONHCO | NH | 3,4-diMe-Ph |
| 3-1679 | 5 | CONHCO | NH | 2-(CF ₃)Ph |
| 3-1680 | 5 | CONHCO | NH | 4-(CF ₃)Ph |
| 3-1681 | 5 | CONHCO | NH | 2-MeOPh |
| 3-1682 | 5 | CONHCO | NH | 4-MeOPh |
| 3-1683 | 5 | CONHCO | NH | 2-EtOPh |
| 3-1684 | 5 | CONHCO | NH | 4-EtOPh |
| 3-1685 | 5 | CONHCO | NH | 2-HOPh |
| 3-1686 | 5 | CONHCO | NH | 4-HOPh |
| 3-1687 | 5 | CONHCO | NH | 2-(HOOC)Ph |
| 3-1688 | 5 | CONHCO | NH | 4-(HOOC)Ph |
| 3-1689 | 5 | CONHCO | NH | 2-(MeOOC)Ph |
| 3-1690 | 5 | CONHCO | NH | 4-(MeOOC)Ph |
| 3-1691 | 5 | CONHCO | NH | 2-(EtOOC)Ph |
| 3-1692 | 5 | CONHCO | NH | 4-(EtOOC)Ph |
| 3-1693 | 5 | CONHCO | NH | 2-(<i>t</i> BuOOC)Ph |
| 3-1694 | 5 | CONHCO | NH | 4-(<i>t</i> BuOOC)Ph |
| 3-1695 | 5 | CONHCO | NH | 2-Cl-Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|--------|----|--|
| 3-1696 | 5 | CONHCO | NH | 4-Cl-Ph |
| 3-1697 | 5 | CONHCO | NH | 2-Br-Ph |
| 3-1698 | 5 | CONHCO | NH | 4-Br-Ph |
| 3-1699 | 5 | CONHCO | NH | 2-I-Ph |
| 3-1700 | 5 | CONHCO | NH | 4-I-Ph |
| 3-1701 | 5 | CONHCO | NH | 2-NO ₂ -Ph |
| 3-1702 | 5 | CONHCO | NH | 4-NO ₂ -Ph |
| 3-1703 | 5 | CONHCO | NH | 2-NH ₂ -Ph |
| 3-1704 | 5 | CONHCO | NH | 4-NH ₂ -Ph |
| 3-1705 | 5 | CONHCO | NH | 2-(HO ₃ S)Ph |
| 3-1706 | 5 | CONHCO | NH | 4-(HO ₃ S)Ph |
| 3-1707 | 5 | CONHCO | NH | 2-(NH ₂ O ₂ S)Ph |
| 3-1708 | 5 | CONHCO | NH | 4-(NH ₂ O ₂ S)Ph |
| 3-1709 | 5 | CONHCO | NH | 2-CN-Ph |
| 3-1710 | 5 | CONHCO | NH | 4-CN-Ph |
| 3-1711 | 5 | CONHCO | NH | 2-(HOCH ₂)Ph |
| 3-1712 | 5 | CONHCO | NH | 4-(HOCH ₂)Ph |
| 3-1713 | 5 | CONHCO | NH | Me |
| 3-1714 | 5 | CONHCO | NH | Et |
| 3-1715 | 5 | CONHCO | NH | Pr |
| 3-1716 | 5 | CONHCO | NH | iPr |
| 3-1717 | 5 | CONHCO | NH | Bu |
| 3-1718 | 5 | CONHCO | NH | HOOCCH ₂ - |
| 3-1719 | 5 | CONHCO | NH | MeOOCCH ₂ - |
| 3-1720 | 5 | CONHCO | NH | MeCH(COOH) |
| 3-1721 | 5 | CONHCO | NH | HOOC-(CH ₂) ₂ - |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|--------|----|---|
| 3-1722 | 5 | CONHCO | NH | MeCH(COOMe) |
| 3-1723 | 5 | CONHCO | NH | 1-HOOC- <i>i</i> Bu |
| 3-1724 | 5 | CONHCO | NH | 1-MeOOC- <i>i</i> Bu |
| 3-1725 | 5 | CONHCO | NH | 1-HOOC- <i>i</i> Pn |
| 3-1726 | 5 | CONHCO | NH | 1-MeOOC- <i>i</i> Pn |
| 3-1727 | 5 | CONHCO | NH | 1-HOOC-2-Me-Bu |
| 3-1728 | 5 | CONHCO | NH | 1-MeOOC-2-Me-Bu |
| 3-1729 | 5 | CONHCO | NH | CH ₂ CH ₂ SO ₃ H |
| 3-1730 | 5 | CONHCO | NH | HO |
| 3-1731 | 5 | CONHCO | NH | MeO |
| 3-1732 | 5 | CONHCO | NH | EtO |
| 3-1733 | 5 | CONHCO | NH | PrO |
| 3-1734 | 5 | CONHCO | NH | <i>i</i> PrO |
| 3-1735 | 5 | CONHCO | NH | BuO |
| 3-1736 | 5 | CONHCO | NH | <i>i</i> BuO |
| 3-1737 | 5 | CONHCO | NH | <i>s</i> BuO |
| 3-1738 | 5 | CONHCO | NH | <i>t</i> BuO |
| 3-1739 | 5 | CONHCO | NH | HxO |
| 3-1740 | 5 | CONHCO | NH | PhO |
| 3-1741 | 5 | CONHCO | NH | BnO |
| 3-1742 | 5 | CONHCO | NH | Z-1 |
| 3-1743 | 5 | CONHCO | NH | Z-2 |
| 3-1744 | 5 | CONHCO | NH | Z-3 |
| 3-1745 | 5 | CONHCO | NH | Z-4 |
| 3-1746 | 5 | CONHCO | NH | Z-5 |
| 3-1747 | 5 | CONHCO | NH | Z-6 |
| 3-1748 | 5 | CONHCO | NH | Z-7 |

Table 3 (cont.)

| Cpd.
No. | k | A | B | R ¹ |
|-------------|---|---------------------|----|------------------------|
| 3-1749 | 5 | CONHCO | NH | Z-8 |
| 3-1750 | 5 | CONHCO | NH | Z-9 |
| 3-1751 | 5 | CONHCO | NH | Z-10 |
| 3-1752 | 5 | CONHCO | NH | Z-11 |
| 3-1753 | 5 | CONHCO | NH | Z-12 |
| 3-1754 | 5 | CONHCO | NH | 3-Py |
| 3-1755 | 5 | CONHCO | NH | 4-Py |
| 3-1756 | 5 | CONHSO ₂ | — | H |
| 3-1757 | 5 | CONHSO ₂ | — | Ph |
| 3-1758 | 5 | CONHSO ₂ | — | 2-Me-Ph |
| 3-1759 | 5 | CONHSO ₂ | — | 4-Me-Ph |
| 3-1760 | 5 | CONHSO ₂ | — | 2,4-diMe-Ph |
| 3-1761 | 5 | CONHSO ₂ | — | 3,4-diMe-Ph |
| 3-1762 | 5 | CONHSO ₂ | — | 2-(CF ₃)Ph |
| 3-1763 | 5 | CONHSO ₂ | — | 4-(CF ₃)Ph |
| 3-1764 | 5 | CONHSO ₂ | — | 2-MeOPh |
| 3-1765 | 5 | CONHSO ₂ | — | 4-MeOPh |
| 3-1766 | 5 | CONHSO ₂ | — | 2-EtOPh |
| 3-1767 | 5 | CONHSO ₂ | — | 4-EtOPh |
| 3-1768 | 5 | CONHSO ₂ | — | 2-HOPh |
| 3-1769 | 5 | CONHSO ₂ | — | 4-HOPh |
| 3-1770 | 5 | CONHSO ₂ | — | 2-(HOOC)Ph |
| 3-1771 | 5 | CONHSO ₂ | — | 4-(HOOC)Ph |
| 3-1772 | 5 | CONHSO ₂ | — | 2-(MeOOC)Ph |
| 3-1773 | 5 | CONHSO ₂ | — | 4-(MeOOC)Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|---|--|
| 3-1774 | 5 | CONHSO ₂ | — | 2-(EtOOC)Ph |
| 3-1775 | 5 | CONHSO ₂ | — | 4-(EtOOC)Ph |
| 3-1776 | 5 | CONHSO ₂ | — | 2-(<i>t</i> BuOOC)Ph |
| 3-1777 | 5 | CONHSO ₂ | — | 4-(<i>t</i> BuOOC)Ph |
| 3-1778 | 5 | CONHSO ₂ | — | 2-Cl-Ph |
| 3-1779 | 5 | CONHSO ₂ | — | 4-Cl-Ph |
| 3-1780 | 5 | CONHSO ₂ | — | 2-Br-Ph |
| 3-1781 | 5 | CONHSO ₂ | — | 4-Br-Ph |
| 3-1782 | 5 | CONHSO ₂ | — | 2-I-Ph |
| 3-1783 | 5 | CONHSO ₂ | — | 4-I-Ph |
| 3-1784 | 5 | CONHSO ₂ | — | 2-NO ₂ -Ph |
| 3-1785 | 5 | CONHSO ₂ | — | 4-NO ₂ -Ph |
| 3-1786 | 5 | CONHSO ₂ | — | 2-NH ₂ -Ph |
| 3-1787 | 5 | CONHSO ₂ | — | 4-NH ₂ -Ph |
| 3-1788 | 5 | CONHSO ₂ | — | 2-(HO ₃ S)Ph |
| 3-1789 | 5 | CONHSO ₂ | — | 4-(HO ₃ S)Ph |
| 3-1790 | 5 | CONHSO ₂ | — | 2-(NH ₂ O ₂ S)Ph |
| 3-1791 | 5 | CONHSO ₂ | — | 4-(NH ₂ O ₂ S)Ph |
| 3-1792 | 5 | CONHSO ₂ | — | 2-CN-Ph |
| 3-1793 | 5 | CONHSO ₂ | — | 4-CN-Ph |
| 3-1794 | 5 | CONHSO ₂ | — | 2-(HOCH ₂)Ph |
| 3-1795 | 5 | CONHSO ₂ | — | 4-(HOCH ₂)Ph |
| 3-1796 | 5 | CONHSO ₂ | — | Me |
| 3-1797 | 5 | CONHSO ₂ | — | Et |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|---|---|
| 3-1798 | 5 | CONHSO ₂ | — | Pr |
| 3-1799 | 5 | CONHSO ₂ | — | <i>i</i> Pr |
| 3-1800 | 5 | CONHSO ₂ | — | Bu |
| 3-1801 | 5 | CONHSO ₂ | — | HOOCCH ₂ - |
| 3-1802 | 5 | CONHSO ₂ | — | MeOOCCH ₂ - |
| 3-1803 | 5 | CONHSO ₂ | — | MeCH(COOH) |
| 3-1804 | 5 | CONHSO ₂ | — | HOOC-(CH ₂) ₂ - |
| 3-1805 | 5 | CONHSO ₂ | — | MeCH(COOMe) |
| 3-1806 | 5 | CONHSO ₂ | — | 1-HOOC- <i>i</i> Bu |
| 3-1807 | 5 | CONHSO ₂ | — | 1-MeOOC- <i>i</i> Bu |
| 3-1808 | 5 | CONHSO ₂ | — | 1-HOOC- <i>i</i> Pn |
| 3-1809 | 5 | CONHSO ₂ | — | 1-MeOOC- <i>i</i> Pn |
| 3-1810 | 5 | CONHSO ₂ | — | 1-HOOC-2-Me-Bu |
| 3-1811 | 5 | CONHSO ₂ | — | 1-MeOOC-2-Me-Bu |
| 3-1812 | 5 | CONHSO ₂ | — | CH ₂ CH ₂ SO ₃ H |
| 3-1813 | 5 | CONHSO ₂ | — | OH |
| 3-1814 | 5 | CONHSO ₂ | — | MeO |
| 3-1815 | 5 | CONHSO ₂ | — | EtO |
| 3-1816 | 5 | CONHSO ₂ | — | PrO |
| 3-1817 | 5 | CONHSO ₂ | — | <i>i</i> PrO |
| 3-1818 | 5 | CONHSO ₂ | — | BuO |
| 3-1819 | 5 | CONHSO ₂ | — | <i>i</i> BuO |
| 3-1820 | 5 | CONHSO ₂ | — | <i>s</i> BuO |
| 3-1821 | 5 | CONHSO ₂ | — | <i>t</i> BuO |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|----|------------------------|
| 3-1822 | 5 | CONHSO ₂ | — | HxO |
| 3-1823 | 5 | CONHSO ₂ | — | PhO |
| 3-1824 | 5 | CONHSO ₂ | — | BnO |
| 3-1825 | 5 | CONHSO ₂ | — | Z-1 |
| 3-1826 | 5 | CONHSO ₂ | — | Z-2 |
| 3-1827 | 5 | CONHSO ₂ | — | Z-3 |
| 3-1828 | 5 | CONHSO ₂ | — | Z-4 |
| 3-1829 | 5 | CONHSO ₂ | — | Z-5 |
| 3-1830 | 5 | CONHSO ₂ | — | Z-6 |
| 3-1831 | 5 | CONHSO ₂ | — | Z-7 |
| 3-1832 | 5 | CONHSO ₂ | — | Z-8 |
| 3-1833 | 5 | CONHSO ₂ | — | Z-9 |
| 3-1834 | 5 | CONHSO ₂ | — | Z-10 |
| 3-1835 | 5 | CONHSO ₂ | — | Z-11 |
| 3-1836 | 5 | CONHSO ₂ | — | Z-12 |
| 3-1837 | 5 | CONHSO ₂ | — | 3-Py |
| 3-1838 | 5 | CONHSO ₂ | — | 4-Py |
| 3-1839 | 5 | CONHSO ₂ | NH | H |
| 3-1840 | 5 | CONHSO ₂ | NH | Ph |
| 3-1841 | 5 | CONHSO ₂ | NH | 2-Me-Ph |
| 3-1842 | 5 | CONHSO ₂ | NH | 4-Me-Ph |
| 3-1843 | 5 | CONHSO ₂ | NH | 2,4-diMe-Ph |
| 3-1844 | 5 | CONHSO ₂ | NH | 3,4-diMe-Ph |
| 3-1845 | 5 | CONHSO ₂ | NH | 2-(CF ₃)Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|----|------------------------|
| 3-1846 | 5 | CONHSO ₂ | NH | 4-(CF ₃)Ph |
| 3-1847 | 5 | CONHSO ₂ | NH | 2-MeOPh |
| 3-1848 | 5 | CONHSO ₂ | NH | 4-MeOPh |
| 3-1849 | 5 | CONHSO ₂ | NH | 2-EtOPh |
| 3-1850 | 5 | CONHSO ₂ | NH | 4-EtOPh |
| 3-1851 | 5 | CONHSO ₂ | NH | 2-HOPh |
| 3-1852 | 5 | CONHSO ₂ | NH | 4-HOPh |
| 3-1853 | 5 | CONHSO ₂ | NH | 2-(HOOC)Ph |
| 3-1854 | 5 | CONHSO ₂ | NH | 4-(HOOC)Ph |
| 3-1855 | 5 | CONHSO ₂ | NH | 2-(MeOOC)Ph |
| 3-1856 | 5 | CONHSO ₂ | NH | 4-(MeOOC)Ph |
| 3-1857 | 5 | CONHSO ₂ | NH | 2-(EtOOC)Ph |
| 3-1858 | 5 | CONHSO ₂ | NH | 4-(EtOOC)Ph |
| 3-1859 | 5 | CONHSO ₂ | NH | 2-(<i>t</i> BuOOC)Ph |
| 3-1860 | 5 | CONHSO ₂ | NH | 4-(<i>t</i> BuOOC)Ph |
| 3-1861 | 5 | CONHSO ₂ | NH | 2-Cl-Ph |
| 3-1862 | 5 | CONHSO ₂ | NH | 4-Cl-Ph |
| 3-1863 | 5 | CONHSO ₂ | NH | 2-Br-Ph |
| 3-1864 | 5 | CONHSO ₂ | NH | 4-Br-Ph |
| 3-1865 | 5 | CONHSO ₂ | NH | 2-I-Ph |
| 3-1866 | 5 | CONHSO ₂ | NH | 4-I-Ph |
| 3-1867 | 5 | CONHSO ₂ | NH | 2-NO ₂ -Ph |
| 3-1868 | 5 | CONHSO ₂ | NH | 4-NO ₂ -Ph |
| 3-1869 | 5 | CONHSO ₂ | NH | 2-NH ₂ -Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|----|--|
| 3-1870 | 5 | CONHSO ₂ | NH | 4-NH ₂ -Ph |
| 3-1871 | 5 | CONHSO ₂ | NH | 2-(HO ₃ S)Ph |
| 3-1872 | 5 | CONHSO ₂ | NH | 4-(HO ₃ S)Ph |
| 3-1873 | 5 | CONHSO ₂ | NH | 2-(NH ₂ O ₂ S)Ph |
| 3-1874 | 5 | CONHSO ₂ | NH | 4-(NH ₂ O ₂ S)Ph |
| 3-1875 | 5 | CONHSO ₂ | NH | 2-CN-Ph |
| 3-1876 | 5 | CONHSO ₂ | NH | 4-CN-Ph |
| 3-1877 | 5 | CONHSO ₂ | NH | 2-(HOCH ₂)Ph |
| 3-1878 | 5 | CONHSO ₂ | NH | 4-(HOCH ₂)Ph |
| 3-1879 | 5 | CONHSO ₂ | NH | Me |
| 3-1880 | 5 | CONHSO ₂ | NH | Et |
| 3-1881 | 5 | CONHSO ₂ | NH | Pr |
| 3-1882 | 5 | CONHSO ₂ | NH | <i>i</i> Pr |
| 3-1883 | 5 | CONHSO ₂ | NH | Bu |
| 3-1884 | 5 | CONHSO ₂ | NH | HOOCCH ₂ - |
| 3-1885 | 5 | CONHSO ₂ | NH | MeOOCCH ₂ - |
| 3-1886 | 5 | CONHSO ₂ | NH | MeCH(COOH) |
| 3-1887 | 5 | CONHSO ₂ | NH | HOOC-(CH ₂) ₂ - |
| 3-1888 | 5 | CONHSO ₂ | NH | MeCH(COOMe) |
| 3-1889 | 5 | CONHSO ₂ | NH | 1-HOOC- <i>i</i> Bu |
| 3-1890 | 5 | CONHSO ₂ | NH | 1-MeOOC- <i>i</i> Bu |
| 3-1891 | 5 | CONHSO ₂ | NH | 1-HOOC- <i>i</i> Pn |
| 3-1892 | 5 | CONHSO ₂ | NH | 1-MeOOC- <i>i</i> Pn |
| 3-1893 | 5 | CONHSO ₂ | NH | 1-HOOC-2-Me-Bu |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|----|---|
| 3-1894 | 5 | CONHSO ₂ | NH | 1-MeOOC-2-Me-Bu |
| 3-1895 | 5 | CONHSO ₂ | NH | CH ₂ CH ₂ SO ₃ H |
| 3-1896 | 5 | CONHSO ₂ | NH | OH |
| 3-1897 | 5 | CONHSO ₂ | NH | MeO |
| 3-1898 | 5 | CONHSO ₂ | NH | EtO |
| 3-1899 | 5 | CONHSO ₂ | NH | PrO |
| 3-1900 | 5 | CONHSO ₂ | NH | <i>i</i> PrO |
| 3-1901 | 5 | CONHSO ₂ | NH | BuO |
| 3-1902 | 5 | CONHSO ₂ | NH | <i>i</i> BuO |
| 3-1903 | 5 | CONHSO ₂ | NH | <i>s</i> BuO |
| 3-1904 | 5 | CONHSO ₂ | NH | <i>t</i> BuO |
| 3-1905 | 5 | CONHSO ₂ | NH | HxO |
| 3-1906 | 5 | CONHSO ₂ | NH | PhO |
| 3-1907 | 5 | CONHSO ₂ | NH | BnO |
| 3-1908 | 5 | CONHSO ₂ | NH | Z-1 |
| 3-1909 | 5 | CONHSO ₂ | NH | Z-2 |
| 3-1910 | 5 | CONHSO ₂ | NH | Z-3 |
| 3-1911 | 5 | CONHSO ₂ | NH | Z-4 |
| 3-1912 | 5 | CONHSO ₂ | NH | Z-5 |
| 3-1913 | 5 | CONHSO ₂ | NH | Z-6 |
| 3-1914 | 5 | CONHSO ₂ | NH | Z-7 |
| 3-1915 | 5 | CONHSO ₂ | NH | Z-8 |
| 3-1916 | 5 | CONHSO ₂ | NH | Z-9 |
| 3-1917 | 5 | CONHSO ₂ | NH | Z-10 |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|----|------------------------|
| 3-1918 | 5 | CONHSO ₂ | NH | Z-11 |
| 3-1919 | 5 | CONHSO ₂ | NH | Z-12 |
| 3-1920 | 5 | CONHSO ₂ | NH | 3-Py |
| 3-1921 | 5 | CONHSO ₂ | NH | 4-Py |
| 3-1922 | 5 | NHCO | — | H |
| 3-1923 | 5 | NHCO | — | Ph |
| 3-1924 | 5 | NHCO | — | 2-Me-Ph |
| 3-1925 | 5 | NHCO | — | 4-Me-Ph |
| 3-1926 | 5 | NHCO | — | 2,4-diMe-Ph |
| 3-1927 | 5 | NHCO | — | 3,4-diMe-Ph |
| 3-1928 | 5 | NHCO | — | 2-(CF ₃)Ph |
| 3-1929 | 5 | NHCO | — | 4-(CF ₃)Ph |
| 3-1930 | 5 | NHCO | — | 2-MeOPh |
| 3-1931 | 5 | NHCO | — | 4-MeOPh |
| 3-1932 | 5 | NHCO | — | 2-EtOPh |
| 3-1933 | 5 | NHCO | — | 4-EtOPh |
| 3-1934 | 5 | NHCO | — | 2-HOPh |
| 3-1935 | 5 | NHCO | — | 4-HOPh |
| 3-1936 | 5 | NHCO | — | 2-(HOOC)Ph |
| 3-1937 | 5 | NHCO | — | 4-(HOOC)Ph |
| 3-1938 | 5 | NHCO | — | 2-(MeOOC)Ph |
| 3-1939 | 5 | NHCO | — | 4-(MeOOC)Ph |
| 3-1940 | 5 | NHCO | — | 2-(EtOOC)Ph |
| 3-1941 | 5 | NHCO | — | 4-(EtOOC)Ph |
| 3-1942 | 5 | NHCO | — | 2-(<i>t</i> BuOOC)Ph |
| 3-1943 | 5 | NHCO | — | 4-(<i>t</i> BuOOC)Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|---|--|
| 3-1944 | 5 | NHCO | — | 2-Cl-Ph |
| 3-1945 | 5 | NHCO | — | 4-Cl-Ph |
| 3-1946 | 5 | NHCO | — | 2-Br-Ph |
| 3-1947 | 5 | NHCO | — | 4-Br-Ph |
| 3-1948 | 5 | NHCO | — | 2-I-Ph |
| 3-1949 | 5 | NHCO | — | 4-I-Ph |
| 3-1950 | 5 | NHCO | — | 2-NO ₂ -Ph |
| 3-1951 | 5 | NHCO | — | 4-NO ₂ -Ph |
| 3-1952 | 5 | NHCO | — | 2-NH ₂ -Ph |
| 3-1953 | 5 | NHCO | — | 4-NH ₂ -Ph |
| 3-1954 | 5 | NHCO | — | 2-(HO ₃ S)Ph |
| 3-1955 | 5 | NHCO | — | 4-(HO ₃ S)Ph |
| 3-1956 | 5 | NHCO | — | 2-(NH ₂ O ₂ S)Ph |
| 3-1957 | 5 | NHCO | — | 4-(NH ₂ O ₂ S)Ph |
| 3-1958 | 5 | NHCO | — | 2-CN-Ph |
| 3-1959 | 5 | NHCO | — | 4-CN-Ph |
| 3-1960 | 5 | NHCO | — | 2-(HOCH ₂)Ph |
| 3-1961 | 5 | NHCO | — | 4-(HOCH ₂)Ph |
| 3-1962 | 5 | NHCO | — | Me |
| 3-1963 | 5 | NHCO | — | Et |
| 3-1964 | 5 | NHCO | — | Pr |
| 3-1965 | 5 | NHCO | — | <i>i</i> Pr |
| 3-1966 | 5 | NHCO | — | Bu |
| 3-1967 | 5 | NHCO | — | HOOCCH ₂ - |
| 3-1968 | 5 | NHCO | — | MeOOCCH ₂ - |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|----|---|
| 3-1969 | 5 | NHCO | — | MeCH(COOH) |
| 3-1970 | 5 | NHCO | — | HOOC-(CH ₂) ₂ - |
| 3-1971 | 5 | NHCO | — | MeCH(COOMe) |
| 3-1972 | 5 | NHCO | — | 1-HOOC- <i>i</i> Bu |
| 3-1973 | 5 | NHCO | — | 1-HOOC- <i>i</i> Pn |
| 3-1974 | 5 | NHCO | — | 1-HOOC-2-Me-Bu |
| 3-1975 | 5 | NHCO | — | CH ₂ CH ₂ SO ₃ H |
| 3-1976 | 5 | NHCO | — | MeO |
| 3-1977 | 5 | NHCO | — | EtO |
| 3-1978 | 5 | NHCO | — | PrO |
| 3-1979 | 5 | NHCO | — | Z-1 |
| 3-1980 | 5 | NHCO | — | Z-2 |
| 3-1981 | 5 | NHCO | — | Z-3 |
| 3-1982 | 5 | NHCO | — | Z-4 |
| 3-1983 | 5 | NHCO | — | Z-5 |
| 3-1984 | 5 | NHCO | — | Z-6 |
| 3-1985 | 5 | NHCO | — | Z-7 |
| 3-1986 | 5 | NHCO | — | Z-8 |
| 3-1987 | 5 | NHCO | — | Z-9 |
| 3-1988 | 5 | NHCO | — | Z-10 |
| 3-1989 | 5 | NHCO | — | Z-11 |
| 3-1990 | 5 | NHCO | — | Z-12 |
| 3-1991 | 5 | NHCO | — | 3-Py |
| 3-1992 | 5 | NHCO | — | 4-Py |
| 3-1993 | 5 | NHCO | NH | H |
| 3-1994 | 5 | NHCO | NH | Ph |
| 3-1995 | 5 | NHCO | NH | 2-Me-Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|----|------------------------|
| 3-1996 | 5 | NHCO | NH | 4-Me-Ph |
| 3-1997 | 5 | NHCO | NH | 2,4-diMe-Ph |
| 3-1998 | 5 | NHCO | NH | 3,4-diMe-Ph |
| 3-1999 | 5 | NHCO | NH | 2-(CF ₃)Ph |
| 3-2000 | 5 | NHCO | NH | 4-(CF ₃)Ph |
| 3-2001 | 5 | NHCO | NH | 2-MeOPh |
| 3-2002 | 5 | NHCO | NH | 4-MeOPh |
| 3-2003 | 5 | NHCO | NH | 2-EtOPh |
| 3-2004 | 5 | NHCO | NH | 4-EtOPh |
| 3-2005 | 5 | NHCO | NH | 2-HOPh |
| 3-2006 | 5 | NHCO | NH | 4-HOPh |
| 3-2007 | 5 | NHCO | NH | 2-(HOOC)Ph |
| 3-2008 | 5 | NHCO | NH | 4-(HOOC)Ph |
| 3-2009 | 5 | NHCO | NH | 2-(MeOOC)Ph |
| 3-2010 | 5 | NHCO | NH | 4-(MeOOC)Ph |
| 3-2011 | 5 | NHCO | NH | 2-(EtOOC)Ph |
| 3-2012 | 5 | NHCO | NH | 4-(EtOOC)Ph |
| 3-2013 | 5 | NHCO | NH | 2-(<i>t</i> BuOOC)Ph |
| 3-2014 | 5 | NHCO | NH | 4-(<i>t</i> BuOOC)Ph |
| 3-2015 | 5 | NHCO | NH | 2-Cl-Ph |
| 3-2016 | 5 | NHCO | NH | 4-Cl-Ph |
| 3-2017 | 5 | NHCO | NH | 2-Br-Ph |
| 3-2018 | 5 | NHCO | NH | 4-Br-Ph |
| 3-2019 | 5 | NHCO | NH | 2-I-Ph |
| 3-2020 | 5 | NHCO | NH | 4-I-Ph |
| 3-2021 | 5 | NHCO | NH | 2-NO ₂ -Ph |
| 3-2022 | 5 | NHCO | NH | 4-NO ₂ -Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|----|--|
| 3-2023 | 5 | NHCO | NH | 2-NH ₂ -Ph |
| 3-2024 | 5 | NHCO | NH | 4-NH ₂ -Ph |
| 3-2025 | 5 | NHCO | NH | 2-(HO ₃ S)Ph |
| 3-2026 | 5 | NHCO | NH | 4-(HO ₃ S)Ph |
| 3-2027 | 5 | NHCO | NH | 2-(NH ₂ O ₂ S)Ph |
| 3-2028 | 5 | NHCO | NH | 4-(NH ₂ O ₂ S)Ph |
| 3-2029 | 5 | NHCO | NH | 2-CN-Ph |
| 3-2030 | 5 | NHCO | NH | 4-CN-Ph |
| 3-2031 | 5 | NHCO | NH | 2-(HOCH ₂)Ph |
| 3-2032 | 5 | NHCO | NH | 4-(HOCH ₂)Ph |
| 3-2033 | 5 | NHCO | NH | Me |
| 3-2034 | 5 | NHCO | NH | Et |
| 3-2035 | 5 | NHCO | NH | Pr |
| 3-2036 | 5 | NHCO | NH | <i>i</i> Pr |
| 3-2037 | 5 | NHCO | NH | Bu |
| 3-2038 | 5 | NHCO | NH | HOOCCH ₂ - |
| 3-2039 | 5 | NHCO | NH | MeOOCCH ₂ - |
| 3-2040 | 5 | NHCO | NH | MeCH(COOH) |
| 3-2041 | 5 | NHCO | NH | HOOC-(CH ₂) ₂ - |
| 3-2042 | 5 | NHCO | NH | MeCH(COOMe) |
| 3-2043 | 5 | NHCO | NH | 1-HOOC- <i>i</i> Bu |
| 3-2044 | 5 | NHCO | NH | 1-MeOOC- <i>i</i> Bu |
| 3-2045 | 5 | NHCO | NH | 1-HOOC- <i>i</i> Pn |
| 3-2046 | 5 | NHCO | NH | 1-MeOOC- <i>i</i> Pn |
| 3-2047 | 5 | NHCO | NH | 1-HOOC-2-Me-Bu |
| 3-2048 | 5 | NHCO | NH | 1-MeOOC-2-Me-Bu |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|----|---|
| 3-2049 | 5 | NHCO | NH | CH ₂ CH ₂ SO ₃ H |
| 3-2050 | 5 | NHCO | NH | OH |
| 3-2051 | 5 | NHCO | NH | MeO |
| 3-2052 | 5 | NHCO | NH | EtO |
| 3-2053 | 5 | NHCO | NH | PrO |
| 3-2054 | 5 | NHCO | NH | <i>i</i> PrO |
| 3-2055 | 5 | NHCO | NH | BuO |
| 3-2056 | 5 | NHCO | NH | <i>i</i> BuO |
| 3-2057 | 5 | NHCO | NH | <i>s</i> BuO |
| 3-2058 | 5 | NHCO | NH | <i>t</i> BuO |
| 3-2059 | 5 | NHCO | NH | HxO |
| 3-2060 | 5 | NHCO | NH | PhO |
| 3-2061 | 5 | NHCO | NH | BnO |
| 3-2062 | 5 | NHCO | NH | Z-1 |
| 3-2063 | 5 | NHCO | NH | Z-2 |
| 3-2064 | 5 | NHCO | NH | Z-3 |
| 3-2065 | 5 | NHCO | NH | Z-4 |
| 3-2066 | 5 | NHCO | NH | Z-5 |
| 3-2067 | 5 | NHCO | NH | Z-6 |
| 3-2068 | 5 | NHCO | NH | Z-7 |
| 3-2069 | 5 | NHCO | NH | Z-8 |
| 3-2070 | 5 | NHCO | NH | Z-9 |
| 3-2071 | 5 | NHCO | NH | Z-10 |
| 3-2072 | 5 | NHCO | NH | Z-11 |
| 3-2073 | 5 | NHCO | NH | Z-12 |
| 3-2074 | 5 | NHCO | NH | 3-Py |
| 3-2075 | 5 | NHCO | NH | 4-Py |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|-----|------------------------|
| 3-2076 | 5 | NHCO | NMe | Ph |
| 3-2077 | 5 | NHCO | NMe | 2-Me-Ph |
| 3-2078 | 5 | NHCO | NMe | 4-Me-Ph |
| 3-2079 | 5 | NHCO | NMe | 2,4-diMe-Ph |
| 3-2080 | 5 | NHCO | NMe | 3,4-diMe-Ph |
| 3-2081 | 5 | NHCO | NMe | 2-(CF ₃)Ph |
| 3-2082 | 5 | NHCO | NMe | 4-(CF ₃)Ph |
| 3-2083 | 5 | NHCO | NMe | 2-MeOPh |
| 3-2084 | 5 | NHCO | NMe | 4-MeOPh |
| 3-2085 | 5 | NHCO | NMe | 2-EtOPh |
| 3-2086 | 5 | NHCO | NMe | 4-EtOPh |
| 3-2087 | 5 | NHCO | NMe | 2-HOPh |
| 3-2088 | 5 | NHCO | NMe | 4-HOPh |
| 3-2089 | 5 | NHCO | NMe | 2-(HOOC)Ph |
| 3-2090 | 5 | NHCO | NMe | 4-(HOOC)Ph |
| 3-2091 | 5 | NHCO | NMe | 2-(MeOOC)Ph |
| 3-2092 | 5 | NHCO | NMe | 4-(MeOOC)Ph |
| 3-2093 | 5 | NHCO | NMe | 2-(EtOOC)Ph |
| 3-2094 | 5 | NHCO | NMe | 4-(EtOOC)Ph |
| 3-2095 | 5 | NHCO | NMe | 2-(<i>t</i> BuOOC)Ph |
| 3-2096 | 5 | NHCO | NMe | 4-(<i>t</i> BuOOC)Ph |
| 3-2097 | 5 | NHCO | NMe | 2-Cl-Ph |
| 3-2098 | 5 | NHCO | NMe | 4-Cl-Ph |
| 3-2099 | 5 | NHCO | NMe | 2-Br-Ph |
| 3-2100 | 5 | NHCO | NMe | 4-Br-Ph |
| 3-2101 | 5 | NHCO | NMe | 2-I-Ph |
| 3-2102 | 5 | NHCO | NMe | 4-I-Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|-----|--|
| 3-2103 | 5 | NHCO | NMe | 2-NO ₂ -Ph |
| 3-2104 | 5 | NHCO | NMe | 4-NO ₂ -Ph |
| 3-2105 | 5 | NHCO | NMe | 2-NH ₂ -Ph |
| 3-2106 | 5 | NHCO | NMe | 4-NH ₂ -Ph |
| 3-2107 | 5 | NHCO | NMe | 2-(HO ₃ S)Ph |
| 3-2108 | 5 | NHCO | NMe | 4-(HO ₃ S)Ph |
| 3-2109 | 5 | NHCO | NMe | 2-(NH ₂ O ₂ S)Ph |
| 3-2110 | 5 | NHCO | NMe | 4-(NH ₂ O ₂ S)Ph |
| 3-2111 | 5 | NHCO | NMe | 2-CN-Ph |
| 3-2112 | 5 | NHCO | NMe | 4-CN-Ph |
| 3-2113 | 5 | NHCO | NMe | 2-(HOCH ₂)Ph |
| 3-2114 | 5 | NHCO | NMe | 4-(HOCH ₂)Ph |
| 3-2115 | 5 | NHCO | NMe | Me |
| 3-2116 | 5 | NHCO | NMe | Et |
| 3-2117 | 5 | NHCO | NMe | Pr |
| 3-2118 | 5 | NHCO | NMe | <i>i</i> Pr |
| 3-2119 | 5 | NHCO | NMe | Bu |
| 3-2120 | 5 | NHCO | NMe | HOOCCH ₂ - |
| 3-2121 | 5 | NHCO | NMe | MeOOCCH ₂ - |
| 3-2122 | 5 | NHCO | NMe | MeCH(COOH) |
| 3-2123 | 5 | NHCO | NMe | HOOC-(CH ₂) ₂ - |
| 3-2124 | 5 | NHCO | NMe | MeCH(COOMe) |
| 3-2125 | 5 | NHCO | NMe | 1-HOOC- <i>i</i> Bu |
| 3-2126 | 5 | NHCO | NMe | 1-MeOOC- <i>i</i> Bu |
| 3-2127 | 5 | NHCO | NMe | 1-HOOC- <i>i</i> Pn |
| 3-2128 | 5 | NHCO | NMe | 1-MeOOC- <i>i</i> Pn |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|-----|---|
| 3-2129 | 5 | NHCO | NMe | 1-HOOC-2-Me-Bu |
| 3-2130 | 5 | NHCO | NMe | 1-MeOOC-2-Me-Bu |
| 3-2131 | 5 | NHCO | NMe | CH ₂ CH ₂ SO ₃ H |
| 3-2132 | 5 | NHCO | NMe | OH |
| 3-2133 | 5 | NHCO | NMe | MeO |
| 3-2134 | 5 | NHCO | NMe | EtO |
| 3-2135 | 5 | NHCO | NMe | PrO |
| 3-2136 | 5 | NHCO | NMe | <i>i</i> PrO |
| 3-2137 | 5 | NHCO | NMe | BuO |
| 3-2138 | 5 | NHCO | NMe | <i>i</i> BuO |
| 3-2139 | 5 | NHCO | NMe | <i>s</i> BuO |
| 3-2140 | 5 | NHCO | NMe | <i>t</i> BuO |
| 3-2141 | 5 | NHCO | NMe | HxO |
| 3-2142 | 5 | NHCO | NMe | PhO |
| 3-2143 | 5 | NHCO | NMe | BnO |
| 3-2144 | 5 | NHCO | NMe | Z-1 |
| 3-2145 | 5 | NHCO | NMe | Z-2 |
| 3-2146 | 5 | NHCO | NMe | Z-3 |
| 3-2147 | 5 | NHCO | NMe | Z-4 |
| 3-2148 | 5 | NHCO | NMe | Z-5 |
| 3-2149 | 5 | NHCO | NMe | Z-6 |
| 3-2150 | 5 | NHCO | NMe | Z-7 |
| 3-2151 | 5 | NHCO | NMe | Z-8 |
| 3-2152 | 5 | NHCO | NMe | Z-9 |
| 3-2153 | 5 | NHCO | NMe | Z-10 |
| 3-2154 | 5 | NHCO | NMe | Z-11 |
| 3-2155 | 5 | NHCO | NMe | Z-12 |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------------|-------|------------------------|
| 3-2156 | 5 | NHCO | NMe | 3-Py |
| 3-2157 | 5 | NHCO | NMe | 4-Py |
| 3-2158 | 5 | NHCO | NHNH | H |
| 3-2159 | 5 | NHCO | NHNH | Me |
| 3-2160 | 5 | NHCO | NHNH | Et |
| 3-2161 | 5 | NHCO | NHNMe | Me |
| 3-2162 | 5 | NHCO | NHNMe | Et |
| 3-2163 | 5 | NHCO | NHNMe | Pr |
| 3-2164 | 5 | NHCONHNHCO | NH | H |
| 3-2165 | 5 | NHCONHNHCO | NH | Ph |
| 3-2166 | 5 | NHCONHNHCO | NH | 2-Me-Ph |
| 3-2167 | 5 | NHCONHNHCO | NH | 4-Me-Ph |
| 3-2168 | 5 | NHCONHNHCO | NH | 2,4-diMe-Ph |
| 3-2169 | 5 | NHCONHNHCO | NH | 3,4-diMe-Ph |
| 3-2170 | 5 | NHCONHNHCO | NH | 2-(CF ₃)Ph |
| 3-2171 | 5 | NHCONHNHCO | NH | 4-(CF ₃)Ph |
| 3-2172 | 5 | NHCONHNHCO | NH | 2-MeOPh |
| 3-2173 | 5 | NHCONHNHCO | NH | 4-MeOPh |
| 3-2174 | 5 | NHCONHNHCO | NH | 2-EtOPh |
| 3-2175 | 5 | NHCONHNHCO | NH | 4-EtOPh |
| 3-2176 | 5 | NHCONHNHCO | NH | 2-HOPh |
| 3-2177 | 5 | NHCONHNHCO | NH | 4-HOPh |
| 3-2178 | 5 | NHCONHNHCO | NH | 2-(HOOC)Ph |
| 3-2179 | 5 | NHCONHNHCO | NH | 4-(HOOC)Ph |
| 3-2180 | 5 | NHCONHNHCO | NH | 2-(MeOOC)Ph |
| 3-2181 | 5 | NHCONHNHCO | NH | 4-(MeOOC)Ph |
| 3-2182 | 5 | NHCONHNHCO | NH | 2-(EtOOC)Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------------|----|--|
| 3-2183 | 5 | NHCONHNHCO | NH | 4-(EtOOC)Ph |
| 3-2184 | 5 | NHCONHNHCO | NH | 2-(<i>t</i> BuOOC)Ph |
| 3-2185 | 5 | NHCONHNHCO | NH | 4-(<i>t</i> BuOOC)Ph |
| 3-2186 | 5 | NHCONHNHCO | NH | 2-Cl-Ph |
| 3-2187 | 5 | NHCONHNHCO | NH | 4-Cl-Ph |
| 3-2188 | 5 | NHCONHNHCO | NH | 2-Br-Ph |
| 3-2189 | 5 | NHCONHNHCO | NH | 4-Br-Ph |
| 3-2190 | 5 | NHCONHNHCO | NH | 2-I-Ph |
| 3-2191 | 5 | NHCONHNHCO | NH | 4-I-Ph |
| 3-2192 | 5 | NHCONHNHCO | NH | 2-NO ₂ -Ph |
| 3-2193 | 5 | NHCONHNHCO | NH | 4-NO ₂ -Ph |
| 3-2194 | 5 | NHCONHNHCO | NH | 2-NH ₂ -Ph |
| 3-2195 | 5 | NHCONHNHCO | NH | 4-NH ₂ -Ph |
| 3-2196 | 5 | NHCONHNHCO | NH | 2-(HO ₃ S)Ph |
| 3-2197 | 5 | NHCONHNHCO | NH | 4-(HO ₃ S)Ph |
| 3-2198 | 5 | NHCONHNHCO | NH | 2-(NH ₂ O ₂ S)Ph |
| 3-2199 | 5 | NHCONHNHCO | NH | 4-(NH ₂ O ₂ S)Ph |
| 3-2200 | 5 | NHCONHNHCO | NH | 2-CN-Ph |
| 3-2201 | 5 | NHCONHNHCO | NH | 4-CN-Ph |
| 3-2202 | 5 | NHCONHNHCO | NH | 2-(HOCH ₂)Ph |
| 3-2203 | 5 | NHCONHNHCO | NH | 4-(HOCH ₂)Ph |
| 3-2204 | 5 | NHCONHNHCO | NH | Me |
| 3-2205 | 5 | NHCONHNHCO | NH | Et |
| 3-2206 | 5 | NHCONHNHCO | NH | Pr |
| 3-2207 | 5 | NHCONHNHCO | NH | <i>i</i> Pr |
| 3-2208 | 5 | NHCONHNHCO | NH | Bu |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------------|----|---|
| 3-2209 | 5 | NHCONHNHCO | NH | HOOCCH ₂ - |
| 3-2210 | 5 | NHCONHNHCO | NH | MeOOCCH ₂ - |
| 3-2211 | 5 | NHCONHNHCO | NH | MeCH(COOH) |
| 3-2212 | 5 | NHCONHNHCO | NH | HOOC-(CH ₂) ₂ - |
| 3-2213 | 5 | NHCONHNHCO | NH | MeCH(COOMe) |
| 3-2214 | 5 | NHCONHNHCO | NH | 1-HOOC- <i>i</i> Bu |
| 3-2215 | 5 | NHCONHNHCO | NH | 1-MeOOC- <i>i</i> Bu |
| 3-2216 | 5 | NHCONHNHCO | NH | 1-HOOC- <i>i</i> Pn |
| 3-2217 | 5 | NHCONHNHCO | NH | 1-MeOOC- <i>i</i> Pn |
| 3-2218 | 5 | NHCONHNHCO | NH | 1-HOOC-2-Me-Bu |
| 3-2219 | 5 | NHCONHNHCO | NH | 1-MeOOC-2-Me-Bu |
| 3-2220 | 5 | NHCONHNHCO | NH | CH ₂ CH ₂ SO ₃ H |
| 3-2221 | 5 | NHCONHNHCO | NH | OH |
| 3-2222 | 5 | NHCONHNHCO | NH | MeO |
| 3-2223 | 5 | NHCONHNHCO | NH | EtO |
| 3-2224 | 5 | NHCONHNHCO | NH | PrO |
| 3-2225 | 5 | NHCONHNHCO | NH | <i>i</i> PrO |
| 3-2226 | 5 | NHCONHNHCO | NH | BuO |
| 3-2227 | 5 | NHCONHNHCO | NH | <i>i</i> BuO |
| 3-2228 | 5 | NHCONHNHCO | NH | <i>s</i> BuO |
| 3-2229 | 5 | NHCONHNHCO | NH | <i>t</i> BuO |
| 3-2230 | 5 | NHCONHNHCO | NH | HxO |
| 3-2231 | 5 | NHCONHNHCO | NH | PhO |
| 3-2232 | 5 | NHCONHNHCO | NH | BnO |
| 3-2233 | 5 | NHCONHNHCO | NH | Z-1 |
| 3-2234 | 5 | NHCONHNHCO | NH | Z-2 |
| 3-2235 | 5 | NHCONHNHCO | NH | Z-3 |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------------|----|------------------------|
| 3-2236 | 5 | NHCONHNHCO | NH | Z-4 |
| 3-2237 | 5 | NHCONHNHCO | NH | Z-5 |
| 3-2238 | 5 | NHCONHNHCO | NH | Z-6 |
| 3-2239 | 5 | NHCONHNHCO | NH | Z-7 |
| 3-2240 | 5 | NHCONHNHCO | NH | Z-8 |
| 3-2241 | 5 | NHCONHNHCO | NH | Z-9 |
| 3-2242 | 5 | NHCONHNHCO | NH | Z-10 |
| 3-2243 | 5 | NHCONHNHCO | NH | Z-11 |
| 3-2244 | 5 | NHCONHNHCO | NH | Z-12 |
| 3-2245 | 5 | NHCONHNHCO | NH | 3-Py |
| 3-2246 | 5 | NHCONHNHCO | NH | 4-Py |
| 3-2247 | 5 | NHCONHCO | — | H |
| 3-2248 | 5 | NHCONHCO | — | Ph |
| 3-2249 | 5 | NHCONHCO | — | 2-Me-Ph |
| 3-2250 | 5 | NHCONHCO | — | 4-Me-Ph |
| 3-2251 | 5 | NHCONHCO | — | 2,4-diMe-Ph |
| 3-2252 | 5 | NHCONHCO | — | 3,4-diMe-Ph |
| 3-2253 | 5 | NHCONHCO | — | 2-(CF ₃)Ph |
| 3-2254 | 5 | NHCONHCO | — | 4-(CF ₃)Ph |
| 3-2255 | 5 | NHCONHCO | — | 2-MeOPh |
| 3-2256 | 5 | NHCONHCO | — | 4-MeOPh |
| 3-2257 | 5 | NHCONHCO | — | 2-EtOPh |
| 3-2258 | 5 | NHCONHCO | — | 4-EtOPh |
| 3-2259 | 5 | NHCONHCO | — | 2-HOPh |
| 3-2260 | 5 | NHCONHCO | — | 4-HOPh |
| 3-2261 | 5 | NHCONHCO | — | 2-(HOOC)Ph |
| 3-2262 | 5 | NHCONHCO | — | 4-(HOOC)Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----------|---|--|
| 3-2263 | 5 | NHCONHCO | — | 2-(MeOOC)Ph |
| 3-2264 | 5 | NHCONHCO | — | 4-(MeOOC)Ph |
| 3-2265 | 5 | NHCONHCO | — | 2-(EtOOC)Ph |
| 3-2266 | 5 | NHCONHCO | — | 4-(EtOOC)Ph |
| 3-2267 | 5 | NHCONHCO | — | 2-(<i>t</i> BuOOC)Ph |
| 3-2268 | 5 | NHCONHCO | — | 4-(<i>t</i> BuOOC)Ph |
| 3-2269 | 5 | NHCONHCO | — | 2-Cl-Ph |
| 3-2270 | 5 | NHCONHCO | — | 4-Cl-Ph |
| 3-2271 | 5 | NHCONHCO | — | 2-Br-Ph |
| 3-2272 | 5 | NHCONHCO | — | 4-Br-Ph |
| 3-2273 | 5 | NHCONHCO | — | 2-I-Ph |
| 3-2274 | 5 | NHCONHCO | — | 4-I-Ph |
| 3-2275 | 5 | NHCONHCO | — | 2-NO ₂ -Ph |
| 3-2276 | 5 | NHCONHCO | — | 4-NO ₂ -Ph |
| 3-2277 | 5 | NHCONHCO | — | 2-NH ₂ -Ph |
| 3-2278 | 5 | NHCONHCO | — | 4-NH ₂ -Ph |
| 3-2279 | 5 | NHCONHCO | — | 2-(HO ₃ S)Ph |
| 3-2280 | 5 | NHCONHCO | — | 4-(HO ₃ S)Ph |
| 3-2281 | 5 | NHCONHCO | — | 2-(NH ₂ O ₂ S)Ph |
| 3-2282 | 5 | NHCONHCO | — | 4-(NH ₂ O ₂ S)Ph |
| 3-2283 | 5 | NHCONHCO | — | 2-CN-Ph |
| 3-2284 | 5 | NHCONHCO | — | 4-CN-Ph |
| 3-2285 | 5 | NHCONHCO | — | 2-(HOCH ₂)Ph |
| 3-2286 | 5 | NHCONHCO | — | 4-(HOCH ₂)Ph |
| 3-2287 | 5 | NHCONHCO | — | Me |
| 3-2288 | 5 | NHCONHCO | — | Et |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----------|---|---|
| 3-2289 | 5 | NHCONHCO | — | Pr |
| 3-2290 | 5 | NHCONHCO | — | <i>i</i> Pr |
| 3-2291 | 5 | NHCONHCO | — | Bu |
| 3-2292 | 5 | NHCONHCO | — | HOOCCH ₂ - |
| 3-2293 | 5 | NHCONHCO | — | MeOOCCH ₂ - |
| 3-2294 | 5 | NHCONHCO | — | MeCH(COOH) |
| 3-2295 | 5 | NHCONHCO | — | HOOC-(CH ₂) ₂ - |
| 3-2296 | 5 | NHCONHCO | — | MeCH(COOMe) |
| 3-2297 | 5 | NHCONHCO | — | 1-HOOC- <i>i</i> Bu |
| 3-2298 | 5 | NHCONHCO | — | 1-MeOOC- <i>i</i> Bu |
| 3-2299 | 5 | NHCONHCO | — | 1-HOOC- <i>i</i> Pn |
| 3-2300 | 5 | NHCONHCO | — | 1-MeOOC- <i>i</i> Pn |
| 3-2301 | 5 | NHCONHCO | — | 1-HOOC-2-Me-Bu |
| 3-2302 | 5 | NHCONHCO | — | 1-MeOOC-2-Me-Bu |
| 3-2303 | 5 | NHCONHCO | — | CH ₂ CH ₂ SO ₃ H |
| 3-2304 | 5 | NHCONHCO | — | MeO |
| 3-2305 | 5 | NHCONHCO | — | EtO |
| 3-2306 | 5 | NHCONHCO | — | PrO |
| 3-2307 | 5 | NHCONHCO | — | <i>i</i> PrO |
| 3-2308 | 5 | NHCONHCO | — | BuO |
| 3-2309 | 5 | NHCONHCO | — | <i>i</i> BuO |
| 3-2310 | 5 | NHCONHCO | — | <i>s</i> BuO |
| 3-2311 | 5 | NHCONHCO | — | <i>t</i> BuO |
| 3-2312 | 5 | NHCONHCO | — | HxO |
| 3-2313 | 5 | NHCONHCO | — | PhO |
| 3-2314 | 5 | NHCONHCO | — | BnO |

Table 3 (cont.)

| Cpd.
No. | k | A | B | R ¹ |
|-------------|---|-----------------------|---|------------------------|
| 3-2315 | 5 | NHCONHCO | — | Z-1 |
| 3-2316 | 5 | NHCONHCO | — | Z-2 |
| 3-2317 | 5 | NHCONHCO | — | Z-3 |
| 3-2318 | 5 | NHCONHCO | — | Z-4 |
| 3-2319 | 5 | NHCONHCO | — | Z-5 |
| 3-2320 | 5 | NHCONHCO | — | Z-6 |
| 3-2321 | 5 | NHCONHCO | — | Z-7 |
| 3-2322 | 5 | NHCONHCO | — | Z-8 |
| 3-2323 | 5 | NHCONHCO | — | Z-9 |
| 3-2324 | 5 | NHCONHCO | — | Z-10 |
| 3-2325 | 5 | NHCONHCO | — | Z-11 |
| 3-2326 | 5 | NHCONHCO | — | Z-12 |
| 3-2327 | 5 | NHCONHCO | — | 3-Py |
| 3-2328 | 5 | NHCONHCO | — | 4-Py |
| 3-2329 | 5 | NHCONHSO ₂ | — | H |
| 3-2330 | 5 | NHCONHSO ₂ | — | Ph |
| 3-2331 | 5 | NHCONHSO ₂ | — | 2-Me-Ph |
| 3-2332 | 5 | NHCONHSO ₂ | — | 4-Me-Ph |
| 3-2333 | 5 | NHCONHSO ₂ | — | 2,4-diMe-Ph |
| 3-2334 | 5 | NHCONHSO ₂ | — | 3,4-diMe-Ph |
| 3-2335 | 5 | NHCONHSO ₂ | — | 2-(CF ₃)Ph |
| 3-2336 | 5 | NHCONHSO ₂ | — | 4-(CF ₃)Ph |
| 3-2337 | 5 | NHCONHSO ₂ | — | 2-MeOPh |
| 3-2338 | 5 | NHCONHSO ₂ | — | 4-MeOPh |
| 3-2339 | 5 | NHCONHSO ₂ | — | 2-EtOPh |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------------------|---|--|
| 3-2340 | 5 | NHCONHSO ₂ | — | 4-EtOPh |
| 3-2341 | 5 | NHCONHSO ₂ | — | 2-HOPh |
| 3-2342 | 5 | NHCONHSO ₂ | — | 4-HOPh |
| 3-2343 | 5 | NHCONHSO ₂ | — | 2-(HOOC)Ph |
| 3-2344 | 5 | NHCONHSO ₂ | — | 4-(HOOC)Ph |
| 3-2345 | 5 | NHCONHSO ₂ | — | 2-(MeOOC)Ph |
| 3-2346 | 5 | NHCONHSO ₂ | — | 4-(MeOOC)Ph |
| 3-2347 | 5 | NHCONHSO ₂ | — | 2-(EtOOC)Ph |
| 3-2348 | 5 | NHCONHSO ₂ | — | 4-(EtOOC)Ph |
| 3-2349 | 5 | NHCONHSO ₂ | — | 2-(<i>t</i> BuOOC)Ph |
| 3-2350 | 5 | NHCONHSO ₂ | — | 4-(<i>t</i> BuOOC)Ph |
| 3-2351 | 5 | NHCONHSO ₂ | — | 2-Cl-Ph |
| 3-2352 | 5 | NHCONHSO ₂ | — | 4-Cl-Ph |
| 3-2353 | 5 | NHCONHSO ₂ | — | 2-Br-Ph |
| 3-2354 | 5 | NHCONHSO ₂ | — | 4-Br-Ph |
| 3-2355 | 5 | NHCONHSO ₂ | — | 2-I-Ph |
| 3-2356 | 5 | NHCONHSO ₂ | — | 4-I-Ph |
| 3-2357 | 5 | NHCONHSO ₂ | — | 2-NO ₂ -Ph |
| 3-2358 | 5 | NHCONHSO ₂ | — | 4-NO ₂ -Ph |
| 3-2359 | 5 | NHCONHSO ₂ | — | 2-NH ₂ -Ph |
| 3-2360 | 5 | NHCONHSO ₂ | — | 4-NH ₂ -Ph |
| 3-2361 | 5 | NHCONHSO ₂ | — | 2-(HO ₃ S)Ph |
| 3-2362 | 5 | NHCONHSO ₂ | — | 4-(HO ₃ S)Ph |
| 3-2363 | 5 | NHCONHSO ₂ | — | 2-(NH ₂ O ₂ S)Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------------------|---|---|
| 3-2364 | 5 | NHCONHSO ₂ | — | 4-(NH ₂ O ₂ S)Ph |
| 3-2365 | 5 | NHCONHSO ₂ | — | 2-CN-Ph |
| 3-2366 | 5 | NHCONHSO ₂ | — | 4-CN-Ph |
| 3-2367 | 5 | NHCONHSO ₂ | — | 2-(HOCH ₂)Ph |
| 3-2368 | 5 | NHCONHSO ₂ | — | 4-(HOCH ₂)Ph |
| 3-2369 | 5 | NHCONHSO ₂ | — | Me |
| 3-2370 | 5 | NHCONHSO ₂ | — | Et |
| 3-2371 | 5 | NHCONHSO ₂ | — | Pr |
| 3-2372 | 5 | NHCONHSO ₂ | — | <i>i</i> Pr |
| 3-2373 | 5 | NHCONHSO ₂ | — | Bu |
| 3-2374 | 5 | NHCONHSO ₂ | — | HOOCCH ₂ |
| 3-2375 | 5 | NHCONHSO ₂ | — | MeOOCCH ₂ |
| 3-2376 | 5 | NHCONHSO ₂ | — | MeCH(COOH) |
| 3-2377 | 5 | NHCONHSO ₂ | — | HOOC-(CH ₂) ₂ |
| 3-2378 | 5 | NHCONHSO ₂ | — | MeCH(COOMe) |
| 3-2379 | 5 | NHCONHSO ₂ | — | 1-HOOC- <i>i</i> Bu |
| 3-2380 | 5 | NHCONHSO ₂ | — | 1-MeOOC- <i>i</i> Bu |
| 3-2381 | 5 | NHCONHSO ₂ | — | 1-HOOC- <i>i</i> Pn |
| 3-2382 | 5 | NHCONHSO ₂ | — | 1-MeOOC- <i>i</i> Pn |
| 3-2383 | 5 | NHCONHSO ₂ | — | 1-HOOC-2-Me-Bu |
| 3-2384 | 5 | NHCONHSO ₂ | — | 1-MeOOC-2-Me-Bu |
| 3-2385 | 5 | NHCONHSO ₂ | — | CH ₂ CH ₂ SO ₃ H |
| 3-2386 | 5 | NHCONHSO ₂ | — | OH |
| 3-2387 | 5 | NHCONHSO ₂ | — | MeO |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------------------|---|----------------|
| 3-2388 | 5 | NHCONHSO ₂ | — | EtO |
| 3-2389 | 5 | NHCONHSO ₂ | — | PrO |
| 3-2390 | 5 | NHCONHSO ₂ | — | <i>i</i> PrO |
| 3-2391 | 5 | NHCONHSO ₂ | — | BuO |
| 3-2392 | 5 | NHCONHSO ₂ | — | <i>i</i> BuO |
| 3-2393 | 5 | NHCONHSO ₂ | — | <i>s</i> BuO |
| 3-2394 | 5 | NHCONHSO ₂ | — | <i>t</i> BuO |
| 3-2395 | 5 | NHCONHSO ₂ | — | HxO |
| 3-2396 | 5 | NHCONHSO ₂ | — | PhO |
| 3-2397 | 5 | NHCONHSO ₂ | — | BnO |
| 3-2398 | 5 | NHCONHSO ₂ | — | Z-1 |
| 3-2399 | 5 | NHCONHSO ₂ | — | Z-2 |
| 3-2400 | 5 | NHCONHSO ₂ | — | Z-3 |
| 3-2401 | 5 | NHCONHSO ₂ | — | Z-4 |
| 3-2402 | 5 | NHCONHSO ₂ | — | Z-5 |
| 3-2403 | 5 | NHCONHSO ₂ | — | Z-6 |
| 3-2404 | 5 | NHCONHSO ₂ | — | Z-7 |
| 3-2405 | 5 | NHCONHSO ₂ | — | Z-8 |
| 3-2406 | 5 | NHCONHSO ₂ | — | Z-9 |
| 3-2407 | 5 | NHCONHSO ₂ | — | Z-10 |
| 3-2408 | 5 | NHCONHSO ₂ | — | Z-11 |
| 3-2409 | 5 | NHCONHSO ₂ | — | Z-12 |
| 3-2410 | 5 | NHCONHSO ₂ | — | 3-Py |
| 3-2411 | 5 | NHCONHSO ₂ | — | 4-Py |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|-----------------------|---------|----------------|
| 3-2412 | 5 | NHCONHSO ₂ | NH | H |
| 3-2413 | 5 | NHCONHSO ₂ | NH | Me |
| 3-2414 | 5 | NHCONHSO ₂ | NH | Et |
| 3-2415 | 5 | NHCONHSO ₂ | NH | Pr |
| 3-2416 | 5 | NHCONHSO ₂ | NH | iPr |
| 3-2417 | 5 | NHCONHSO ₂ | NH | Bu |
| 3-2418 | 5 | NHCONHSO ₂ | NMe | Me |
| 3-2419 | 5 | NHCONHSO ₂ | NMe | Et |
| 3-2420 | 5 | NHCONHSO ₂ | NMe | Pr |
| 3-2421 | 5 | NHCONHSO ₂ | NMe | iPr |
| 3-2422 | 5 | NHCONHSO ₂ | NMe | Bu |
| 3-2423 | 5 | — | NH | H |
| 3-2424 | 5 | — | NH | Me |
| 3-2425 | 5 | — | NH | Et |
| 3-2426 | 5 | — | NH | Pr |
| 3-2427 | 5 | — | NH | iPr |
| 3-2428 | 5 | — | NH | Bu |
| 3-2429 | 5 | CO | Pyr | |
| 3-2430 | 5 | CO | Pipri | |
| 3-2431 | 5 | CO | Pipra | |
| 3-2432 | 5 | CO | Mor | |
| 3-2433 | 5 | CO | Thmor | |
| 3-2434 | 5 | CO | NHPyr | |
| 3-2435 | 5 | CO | NHPipri | |
| 3-2436 | 5 | CO | NHPipra | |
| 3-2437 | 5 | CO | NHMor | |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|---|----------------|
| 3-2438 | 5 | CO | | NHThmor |
| 3-2439 | 5 | NHCO | | Pyr |
| 3-2440 | 5 | NHCO | | Pipri |
| 3-2441 | 5 | NHCO | | Pipra |
| 3-2442 | 5 | NHCO | | Mor |
| 3-2443 | 5 | NHCO | | Thmor |
| 3-2444 | 5 | NHCO | | NHPyr |
| 3-2445 | 5 | NHCO | | NHPipri |
| 3-2446 | 5 | NHCO | | NHPipra |
| 3-2447 | 5 | NHCO | | NHMor |
| 3-2448 | 5 | NHCO | | NHThmor |
| 3-2449 | 5 | CONHCO | | Pyr |
| 3-2450 | 5 | CONHCO | | Pipri |
| 3-2451 | 5 | CONHCO | | Pipra |
| 3-2452 | 5 | CONHCO | | Mor |
| 3-2453 | 5 | CONHCO | | Thmor |
| 3-2454 | 5 | CONHCO | | NHPyr |
| 3-2455 | 5 | CONHCO | | NHPipri |
| 3-2456 | 5 | CONHCO | | NHPipra |
| 3-2457 | 5 | CONHCO | | NHMor |
| 3-2458 | 5 | CONHCO | | NHThmor |
| 3-2459 | 5 | CONHSO ₂ | | Pyr |
| 3-2460 | 5 | CONHSO ₂ | | Pipri |
| 3-2461 | 5 | CONHSO ₂ | | Pipra |
| 3-2462 | 5 | CONHSO ₂ | | Mor |
| 3-2463 | 5 | CONHSO ₂ | | Thmor |

Table 3 (cont.)

| Cpd.
No. | k | A | B | R ¹ |
|-------------|---|---------------------|-----|------------------------|
| 3-2464 | 5 | CONHSO ₂ | | NHPyr |
| 3-2465 | 5 | CONHSO ₂ | | NHPipri |
| 3-2466 | 5 | CONHSO ₂ | | NHPipra |
| 3-2467 | 5 | CONHSO ₂ | | NHMor |
| 3-2468 | 5 | CONHSO ₂ | | NHThmor |
| 3-2469 | 5 | NHSO ₂ | NH | Z-4 |
| 3-2470 | 5 | NHSO ₂ | — | Me |
| 3-2471 | 5 | NHSO ₂ | — | Et |
| 3-2472 | 5 | NHSO ₂ | — | Pr |
| 3-2473 | 5 | NHSO ₂ | — | CH ₂ -Cl |
| 3-2474 | 5 | NHSO ₂ | — | Ph |
| 3-2475 | 5 | NHSO ₂ | — | 4-Me-Ph |
| 3-2476 | 5 | CO | NMe | Ph |
| 3-2477 | 5 | CO | NMe | 2-Me-Ph |
| 3-2478 | 5 | CO | NMe | 4-Me-Ph |
| 3-2479 | 5 | CO | NMe | 2,4-diMe-Ph |
| 3-2480 | 5 | CO | NMe | 3,4-diMe-Ph |
| 3-2481 | 5 | CO | NMe | 2-(CF ₃)Ph |
| 3-2482 | 5 | CO | NMe | 4-(CF ₃)Ph |
| 3-2483 | 5 | CO | NMe | 2-MeOPh |
| 3-2484 | 5 | CO | NMe | 4-MeOPh |
| 3-2485 | 5 | CO | NMe | 2-EtOPh |
| 3-2486 | 5 | CO | NMe | 4-EtOPh |
| 3-2487 | 5 | CO | NMe | 2-HOPh |
| 3-2488 | 5 | CO | NMe | 4-HOPh |
| 3-2489 | 5 | CO | NMe | 2-(HOOC)Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----|-----|--|
| 3-2490 | 5 | CO | NMe | 4-(HOOC)Ph |
| 3-2491 | 5 | CO | NMe | 2-(MeOOC)Ph |
| 3-2492 | 5 | CO | NMe | 4-(MeOOC)Ph |
| 3-2493 | 5 | CO | NMe | 2-(EtOOC)Ph |
| 3-2494 | 5 | CO | NMe | 4-(EtOOC)Ph |
| 3-2495 | 5 | CO | NMe | 2-(<i>t</i> BuOOC)Ph |
| 3-2496 | 5 | CO | NMe | 4-(<i>t</i> BuOOC)Ph |
| 3-2497 | 5 | CO | NMe | 2-Cl-Ph |
| 3-2498 | 5 | CO | NMe | 4-Cl-Ph |
| 3-2499 | 5 | CO | NMe | 2-Br-Ph |
| 3-2500 | 5 | CO | NMe | 4-Br-Ph |
| 3-2501 | 5 | CO | NMe | 2-I-Ph |
| 3-2502 | 5 | CO | NMe | 4-I-Ph |
| 3-2503 | 5 | CO | NMe | 2-NO ₂ -Ph |
| 3-2504 | 5 | CO | NMe | 4-NO ₂ -Ph |
| 3-2505 | 5 | CO | NMe | 2-NH ₂ -Ph |
| 3-2506 | 5 | CO | NMe | 4-NH ₂ -Ph |
| 3-2507 | 5 | CO | NMe | 2-(HO ₃ S)Ph |
| 3-2508 | 5 | CO | NMe | 4-(HO ₃ S)Ph |
| 3-2509 | 5 | CO | NMe | 2-(NH ₂ O ₂ S)Ph |
| 3-2510 | 5 | CO | NMe | 4-(NH ₂ O ₂ S)Ph |
| 3-2511 | 5 | CO | NMe | 2-CN-Ph |
| 3-2512 | 5 | CO | NMe | 4-CN-Ph |
| 3-2513 | 5 | CO | NMe | 2-(HOCH ₂)Ph |
| 3-2514 | 5 | CO | NMe | 4-(HOCH ₂)Ph |
| 3-2515 | 5 | CO | NMe | Me |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----|-----|---|
| 3-2516 | 5 | CO | NMe | Et |
| 3-2517 | 5 | CO | NMe | Pr |
| 3-2518 | 5 | CO | NMe | <i>i</i> Pr |
| 3-2519 | 5 | CO | NMe | Bu |
| 3-2520 | 5 | CO | NMe | HOOCCH ₂ |
| 3-2521 | 5 | CO | NMe | HOOC-(CH ₂) ₂ |
| 3-2522 | 5 | CO | NMe | MeCH(COOH) |
| 3-2523 | 5 | CO | NMe | HOOC-(CH ₂) ₃ |
| 3-2524 | 5 | CO | NMe | MeCH(COOMe) |
| 3-2525 | 5 | CO | NMe | 1-HOOC- <i>i</i> Bu |
| 3-2526 | 5 | CO | NMe | 1-MeOOC- <i>i</i> Bu |
| 3-2527 | 5 | CO | NMe | 1-HOOC- <i>i</i> Pn |
| 3-2528 | 5 | CO | NMe | 1-MeOOC- <i>i</i> Pn |
| 3-2529 | 5 | CO | NMe | 1-HOOC-2-Me-Bu |
| 3-2530 | 5 | CO | NMe | 1-MeOOC-2-Me-Bu |
| 3-2531 | 5 | CO | NMe | CH ₂ CH ₂ SO ₃ H |
| 3-2532 | 5 | CO | NMe | OH |
| 3-2533 | 5 | CO | NMe | MeO |
| 3-2534 | 5 | CO | NMe | EtO |
| 3-2535 | 5 | CO | NMe | PrO |
| 3-2536 | 5 | CO | NMe | <i>i</i> PrO |
| 3-2537 | 5 | CO | NMe | BuO |
| 3-2538 | 5 | CO | NMe | <i>i</i> BuO |
| 3-2539 | 5 | CO | NMe | <i>s</i> BuO |
| 3-2540 | 5 | CO | NMe | <i>t</i> BuO |
| 3-2541 | 5 | CO | NMe | HxO |
| 3-2542 | 5 | CO | NMe | PhO |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|---------------------|---------|----------------|
| 3-2543 | 5 | CO | NMe | BnO |
| 3-2544 | 5 | CO | NMe | Z-1 |
| 3-2545 | 5 | CO | NMe | Z-2 |
| 3-2546 | 5 | CO | NMe | Z-3 |
| 3-2547 | 5 | CO | NMe | Z-4 |
| 3-2548 | 5 | CO | NMe | Z-5 |
| 3-2549 | 5 | CO | NMe | Z-6 |
| 3-2550 | 5 | CO | NMe | Z-7 |
| 3-2551 | 5 | CO | NMe | Z-8 |
| 3-2552 | 5 | CO | NMe | Z-9 |
| 3-2553 | 5 | CO | NMe | Z-10 |
| 3-2554 | 5 | CO | NMe | Z-11 |
| 3-2555 | 5 | CO | NMe | Z-12 |
| 3-2556 | 5 | CO | NMe | 3-Py |
| 3-2557 | 5 | CO | NMe | 4-Py |
| 3-2558 | 5 | CO | Thiad | |
| 3-2559 | 5 | CO | NHThiad | |
| 3-2560 | 5 | NHCO | Thiad | |
| 3-2561 | 5 | NHCO | NHThiad | |
| 3-2562 | 5 | CONHCO | Thiad | |
| 3-2563 | 5 | CONHCO | NHThiad | |
| 3-2564 | 5 | CONHSO ₂ | Thiad | |
| 3-2565 | 5 | CONHSO ₂ | NHThiad | |
| 3-2566 | 5 | NHCS | NH | H |
| 3-2567 | 5 | NHCS | NH | Me |
| 3-2568 | 5 | NHCS | NH | Et |
| 3-2569 | 5 | NHCS | NH | Ph |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|--------|----|--|
| 3-2570 | 5 | NHCS | NH | HOOCCH ₂ |
| 3-2571 | 5 | NHCS | NH | MeOOCCH ₂ |
| 3-2572 | 5 | NHCS | NH | MeCH(COOH) |
| 3-2573 | 5 | NHCS | NH | HOOC-(CH ₂) ₂ |
| 3-2574 | 5 | NHCS | NH | MeCH(COOMe) |
| 3-2575 | 5 | CO | NH | HOOC-(CH ₂) ₃ - |
| 3-2576 | 5 | NHCO | NH | HOOC-(CH ₂) ₃ - |
| 3-2577 | 5 | NHCO | — | HOOC-(CH ₂) ₃ - |
| 3-2578 | 5 | NHCS | NH | HOOC-(CH ₂) ₃ - |
| 3-2579 | 5 | CO | NH | MeSO ₂ NHCOCH(Me) |
| 3-2580 | 5 | NHCO | NH | MeSO ₂ NHCOCH(Me) |
| 3-2581 | 5 | NHCO | — | MeSO ₂ NHCOCH(Me) |
| 3-2582 | 5 | NHCS | NH | MeSO ₂ NHCOCH(Me) |
| 3-2583 | 5 | — | NH | HOOCCH ₂ |
| 3-2584 | 5 | — | NH | MeOOCCH ₂ |
| 3-2585 | 5 | — | NH | MeCH(COOH) |
| 3-2586 | 5 | — | NH | HOOC-(CH ₂) ₂ |
| 3-2587 | 5 | — | NH | MeCH(COOMe) |
| 3-2588 | 5 | — | NH | HOOC-(CH ₂) ₃ - |
| 3-2589 | 5 | NHCOCO | — | OH |
| 3-2590 | 5 | NHCOCO | — | MeO |
| 3-2591 | 5 | NHCOCO | — | EtO |
| 3-2592 | 5 | NHCOCO | — | PrO |
| 3-2593 | 5 | NHCOCO | — | <i>i</i> PrO |
| 3-2594 | 5 | NHCOCO | — | BuO |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|----|----------------------------|--------------|----------------|
| 3-2595 | 5 | NHCOCO | — | <i>i</i> BuO |
| 3-2596 | 5 | NHCOCO | — | <i>s</i> BuO |
| 3-2597 | 5 | NHCOCO | — | <i>t</i> BuO |
| 3-2598 | 5 | NHCOCO | — | HxO |
| 3-2599 | 5 | NHCOCO | — | PhO |
| 3-2600 | 5 | NHCOCO | — | BnO |
| 3-2601 | 0 | — | 1,3-diox-Ind | |
| 3-2602 | 1 | — | 1,3-diox-Ind | |
| 3-2603 | 2 | — | 1,3-diox-Ind | |
| 3-2604 | 3 | — | 1,3-diox-Ind | |
| 3-2605 | 4 | — | 1,3-diox-Ind | |
| 3-2606 | 5 | — | 1,3-diox-Ind | |
| 3-2607 | 6 | — | 1,3-diox-Ind | |
| 3-2608 | 7 | — | 1,3-diox-Ind | |
| 3-2609 | 8 | — | 1,3-diox-Ind | |
| 3-2610 | 9 | — | 1,3-diox-Ind | |
| 3-2611 | 10 | — | 1,3-diox-Ind | |
| 3-2612 | 11 | — | 1,3-diox-Ind | |
| 3-2613 | 12 | — | 1,3-diox-Ind | |
| 3-2614 | 4 | NHCONHSO ₂ NHCO | NH | Z-4 |
| 3-2615 | 4 | NHCONHSO ₂ NHCO | NH | Pn |
| 3-2616 | 2 | O | — | H |
| 3-2617 | 4 | O | — | H |
| 3-2618 | 5 | O | — | H |
| 3-2619 | 5 | O | — | Ph |
| 3-2620 | 5 | O | — | 2-Py |
| 3-2621 | 5 | O | — | 3-Py |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|------|----|---|
| 3-2622 | 5 | O | — | 4-Py |
| 3-2623 | 5 | O | — | Z-1 |
| 3-2624 | 5 | O | — | Z-2 |
| 3-2625 | 5 | O | — | Z-3 |
| 3-2626 | 5 | O | — | Z-4 |
| 3-2627 | 5 | O | — | Z-5 |
| 3-2628 | 5 | O | — | Z-6 |
| 3-2629 | 5 | O | — | Z-7 |
| 3-2630 | 5 | O | — | Z-8 |
| 3-2631 | 5 | O | — | Z-9 |
| 3-2632 | 5 | O | — | Z-10 |
| 3-2633 | 5 | O | — | Z-11 |
| 3-2634 | 5 | O | — | Z-12 |
| 3-2635 | 4 | NHCO | — | 3-Py |
| 3-2636 | 5 | NHCO | — | 3-Py |
| 3-2637 | 4 | CO | NH | HOCH ₂ CH(CH ₃)CH ₂ |
| 3-2638 | 5 | CO | NH | HOCH ₂ CH(CH ₃)CH ₂ |
| 3-2639 | 4 | NHCO | NH | HOCH ₂ CH(CH ₃)CH ₂ |
| 3-2640 | 5 | NHCO | NH | HOCH ₂ CH(CH ₃)CH ₂ |
| 3-2641 | 4 | CO | NH | MeSO ₂ NHCOCH ₂ |
| 3-2642 | 5 | CO | NH | MeSO ₂ NHCOCH ₂ |
| 3-2643 | 4 | NHCO | NH | MeSO ₂ NHCOCH ₂ |
| 3-2644 | 5 | NHCO | NH | MeSO ₂ NHCOCH ₂ |
| 3-2645 | 4 | CO | NH | H ₂ NSO ₂ NHCOCH ₂ |
| 3-2646 | 5 | CO | NH | H ₂ NSO ₂ NHCOCH ₂ |
| 3-2647 | 4 | NHCO | NH | H ₂ NSO ₂ NHCOCH ₂ |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----------------------|-----|---|
| 3-2648 | 5 | NHCO | NH | H ₂ NSO ₂ NHCOCH ₂ |
| 3-2649 | 4 | CO | NH | 1-(MeSO ₂ NHCO)-Et |
| 3-2650 | 5 | CO | NH | 1-(MeSO ₂ NHCO)-Et |
| 3-2651 | 4 | NHCO | NH | 1-(MeSO ₂ NHCO)-Et |
| 3-2652 | 5 | NHCO | NH | 1-(MeSO ₂ NHCO)-Et |
| 3-2653 | 4 | CO | NH | 1-(H ₂ NSO ₂ NHCO)-Et |
| 3-2654 | 5 | CO | NH | 1-(H ₂ NSO ₂ NHCO)-Et |
| 3-2655 | 4 | NHCO | NH | 1-(H ₂ NSO ₂ NHCO)-Et |
| 3-2656 | 5 | NHCO | NH | 1-(H ₂ NSO ₂ NHCO)-Et |
| 3-2657 | 4 | CO | NH | HOOC-(CH ₂) ₄ |
| 3-2658 | 5 | CO | NH | HOOC-(CH ₂) ₄ |
| 3-2659 | 4 | NHCO | NH | HOOC-(CH ₂) ₄ |
| 3-2660 | 5 | NHCO | NH | HOOC-(CH ₂) ₄ |
| 3-2661 | 4 | CO | NH | HO-(CH ₂) ₂ |
| 3-2662 | 5 | CO | NH | HO-(CH ₂) ₂ |
| 3-2663 | 4 | NHCO | NH | HO-(CH ₂) ₂ |
| 3-2664 | 5 | NHCO | NH | HO-(CH ₂) ₂ |
| 3-2665 | 4 | CO | NH | HO-CH ₂ -CH(CH ₃) |
| 3-2666 | 5 | CO | NH | HO-CH ₂ -CH(CH ₃) |
| 3-2667 | 4 | NHCO | NH | HO-CH ₂ -CH(CH ₃) |
| 3-2668 | 5 | NHCO | NH | HO-CH ₂ -CH(CH ₃) |
| 3-2669 | 4 | CO | NMe | HOOC-(CH ₂) ₃ |
| 3-2670 | 4 | NHCO | NMe | HOOC-(CH ₂) ₃ |
| 3-2671 | 5 | NHCO | NMe | HOOC-(CH ₂) ₃ |
| 3-2672 | 4 | CONMeSO ₂ | — | Me |

Table 3 (cont.)

| Cpd. No. | k | A | B | R ¹ |
|----------|---|----------------------|---|--------------------------|
| 3-2673 | 5 | CONMeSO ₂ | — | Me |
| 3-2674 | 4 | CO | | 1-Indn |
| 3-2675 | 5 | CO | | 1-Indn |
| 3-2676 | 4 | NHCO | | 1-Indn |
| 3-2677 | 5 | NHCO | | 1-Indn |
| 3-2678 | 4 | CO | | 2-(HOOC)-1-Indn |
| 3-2679 | 5 | CO | | 2-(HOOC)-1-Indn |
| 3-2680 | 4 | NHCO | | 2-(HOOC)-1-Indn |
| 3-2681 | 5 | NHCO | | 2-(HOOC)-1-Indn |
| 3-2682 | 4 | — | | 3,4-diMe-2,5-diox-1-Imdd |
| 3-2683 | 5 | — | | 3,4-diMe-2,5-diox-1-Imdd |

Of the above compounds, preferred compounds are Compounds No.: 1-1, 1-2, 1-3, 1-4, 1-5, 1-6, 1-7, 1-8, 1-9, 1-10, 1-11, 1-12, 1-13, 1-14, 1-15, 1-16, 1-17, 1-18, 1-19, 1-20, 1-21, 1-22, 1-23, 1-24, 1-25, 1-26, 1-27, 1-28, 1-29, 1-30, 1-31, 1-32, 1-33, 1-34, 1-35, 1-36, 1-37, 1-38, 1-39, 1-40, 1-41, 1-42, 1-43, 1-44, 1-45, 1-46, 1-47, 1-48, 1-49, 1-50, 1-51, 1-52, 1-53, 1-54, 1-55, 1-56, 1-57, 1-58, 1-59, 1-60, 1-61, 1-62, 1-63, 1-64, 1-65, 1-66, 1-67, 1-68, 1-69, 1-70, 1-71, 1-72, 1-73, 1-74, 1-75, 1-76, 1-77, 1-78, 1-79, 1-80, 1-81, 1-82, 1-83, 1-232, 1-233, 1-234, 1-235, 1-236, 1-237, 1-238, 1-239, 1-240, 1-241, 1-242, 1-243, 1-244, 1-245, 1-246, 1-247, 1-248, 1-249, 1-250, 1-251, 1-252, 1-253, 1-254, 1-255, 1-256, 1-257, 1-258, 1-259, 1-260, 1-261, 1-262, 1-263, 1-264, 1-265, 1-266, 1-267, 1-268, 1-269, 1-270, 1-271, 1-272, 1-273, 1-274, 1-275, 1-276, 1-277, 1-278, 1-279, 1-280, 1-281, 1-282, 1-283, 1-284, 1-285, 1-286, 1-287, 1-288, 1-289, 1-290, 1-291, 1-292, 1-293, 1-294, 1-295, 1-296, 1-297, 1-298, 1-299, 1-300, 1-301, 1-302, 1-303, 1-304, 1-305, 1-306, 1-307, 1-308, 1-309, 1-310, 1-311, 1-312, 1-313, 1-314, 1-315, 1-316, 1-317, 1-318, 1-319, 1-320, 1-321, 1-322, 1-323, 1-324, 1-325, 1-326, 1-327, 1-328, 1-329, 1-330, 1-331, 1-332, 1-333, 1-334, 1-335, 1-336, 1-337, 1-338, 1-339, 1-340, 1-341, 1-342, 1-343, 1-344, 1-345, 1-346, 1-347, 1-348, 1-349, 1-350, 1-351, 1-352, 1-353, 1-354, 1-355, 1-356, 1-357, 1-358, 1-359, 1-360, 1-361, 1-362, 1-363, 1-364, 1-365, 1-366, 1-367, 1-368, 1-369, 1-370, 1-371, 1-372, 1-373, 1-374, 1-375, 1-376, 1-377, 1-378, 1-379, 1-380, 1-381, 1-382, 1-383, 1-384, 1-385, 1-386, 1-387, 1-388, 1-389, 1-390, 1-391, 1-392, 1-393, 1-394, 1-395, 1-396, 1-397, 1-398, 1-399, 1-400, 1-401, 1-402, 1-403, 1-404, 1-405, 1-406, 1-407, 1-408, 1-409, 1-410, 1-411, 1-412, 1-413, 1-414, 1-415, 1-416, 1-417, 1-418, 1-419, 1-420, 1-421, 1-422, 1-423, 1-424, 1-425, 1-426, 1-427, 1-428, 1-429, 1-430, 1-431, 1-432, 1-433, 1-434, 1-435, 1-436, 1-437, 1-438, 1-439, 1-440, 1-441, 1-442, 1-443, 1-444, 1-445, 1-446, 1-447, 1-448, 1-449, 1-450, 1-451, 1-452, 1-453, 1-454, 1-455, 1-456, 1-457, 1-458, 1-459, 1-460, 1-461, 1-462, 1-463, 1-464, 1-465, 1-466, 1-467, 1-468, 1-469, 1-470, 1-471, 1-472, 1-473, 1-474, 1-475, 1-476, 1-477, 1-478, 1-479, 1-480, 1-481, 1-482, 1-483, 1-484, 1-485, 1-486, 1-487, 1-488, 1-489, 1-490, 1-491, 1-492, 1-493, 1-494, 1-495, 1-496, 1-497, 1-498, 1-499, 1-500, 1-501, 1-502, 1-503, 1-504, 1-505, 1-506, 1-507, 1-508, 1-509, 1-510, 1-511, 1-512, 1-513, 1-514, 1-515, 1-516, 1-517, 1-518, 1-519, 1-520, 1-521, 1-522, 1-523, 1-524, 1-525, 1-526, 1-527, 1-528, 1-529, 1-530, 1-531, 1-532, 1-533, 1-534, 1-535, 1-536, 1-537, 1-538, 1-539, 1-540, 1-541, 1-542, 1-543, 1-544, 1-545, 1-546, 1-547, 1-548, 1-549, 1-550, 1-551, 1-552, 1-553, 1-554, 1-555, 1-556, 1-557, 1-558, 1-559, 1-560, 1-561, 1-562, 1-563, 1-564, 1-565, 1-566, 1-567, 1-568, 1-569, 1-570, 1-571, 1-572, 1-573, 1-574, 1-575, 1-576, 1-577, 1-578, 1-579, 1-580, 1-581, 1-582, 1-583, 1-584, 1-585, 1-586, 1-587, 1-588, 1-589, 1-590, 1-591, 1-592, 1-593, 1-594,

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The following compounds are more preferred, that is Compounds No.: 1-2, 1-3, 1-4, 1-5, 1-6, 1-7, 1-8, 1-9, 1-10, 1-11, 1-12, 1-13, 1-14, 1-15, 1-16, 1-17, 1-18, 1-19, 1-20, 1-21, 1-22, 1-23, 1-24, 1-25, 1-26, 1-27, 1-28, 1-29, 1-30, 1-31, 1-32, 1-33, 1-34, 1-35, 1-36, 1-37, 1-38, 1-39, 1-40, 1-41, 1-42, 1-43, 1-44, 1-45, 1-46, 1-47, 1-48, 1-49, 1-50, 1-51, 1-52, 1-53, 1-54, 1-55, 1-56, 1-57, 1-58, 1-59, 1-60, 1-61, 1-62, 1-63, 1-64, 1-65, 1-66, 1-67, 1-68, 1-69, 1-70, 1-71, 1-72, 1-73, 1-74, 1-75, 1-76, 1-77, 1-78, 1-79, 1-80, 1-271, 1-272, 1-273, 1-274, 1-275, 1-276, 1-277, 1-278, 1-279, 1-280, 1-281, 1-282, 1-283, 1-284, 1-285, 1-286, 1-287, 1-288, 1-289, 1-290, 1-291, 1-292, 1-293, 1-294, 1-295, 1-296, 1-297, 1-298, 1-299, 1-300, 1-301, 1-302, 1-303, 1-304, 1-305, 1-306, 1-307, 1-308, 1-309, 1-310, 1-311, 1-312, 1-313, 1-314, 1-315, 1-316, 1-317, 1-318, 1-319, 1-320, 1-321, 1-322, 1-323, 1-324, 1-325, 1-326, 1-327, 1-328, 1-329, 1-330, 1-331, 1-332, 1-333, 1-334, 1-335, 1-336, 1-337, 1-338, 1-339, 1-340, 1-341, 1-342, 1-343, 1-344, 1-345, 1-346, 1-347, 1-348, 1-349, 1-350, 1-351, 1-352, 1-353, 1-354, 1-355, 1-356, 1-357, 1-358, 1-359, 1-360, 1-361, 1-362, 1-363, 1-364, 1-365, 1-366, 1-367, 1-368, 1-369, 1-370, 1-371, 1-372, 1-373, 1-374, 1-375, 1-376, 1-377, 1-378, 1-379, 1-380, 1-381, 1-382, 1-383, 1-384, 1-385, 1-386, 1-387, 1-388, 1-389, 1-390, 1-391, 1-392, 1-393, 1-394, 1-395, 1-396, 1-397, 1-398, 1-399, 1-400, 1-401, 1-402, 1-403, 1-404, 1-405, 1-406, 1-407, 1-408, 1-409, 1-410, 1-411, 1-412, 1-413, 1-414, 1-415, 1-416, 1-417, 1-418, 1-419, 1-420, 1-421, 1-422, 1-423, 1-424, 1-425, 1-426, 1-427, 1-428, 1-429, 1-430, 1-431, 1-432, 1-433, 1-434, 1-435, 1-436, 1-437, 1-438, 1-439, 1-440, 1-441, 1-442, 1-443, 1-444, 1-445, 1-446, 1-447, 1-448, 1-449, 1-450, 1-451, 1-452, 1-453, 1-454, 1-455, 1-456, 1-457, 1-458, 1-459, 1-460, 1-461, 1-462, 1-463, 1-464, 1-465, 1-466, 1-467, 1-468, 1-469, 1-470, 1-471, 1-472, 1-473, 1-474, 1-475, 1-476, 1-477, 1-478, 1-479, 1-480, 1-481, 1-482, 1-483, 1-484, 1-485, 1-486, 1-487, 1-488, 1-489, 1-490, 1-491, 1-492, 1-493, 1-494, 1-495, 1-496, 1-497, 1-498, 1-499, 1-500, 1-501, 1-502, 1-503, 1-504, 1-505, 1-506, 1-507, 1-508, 1-509, 1-510, 1-511, 1-512, 1-513, 1-514, 1-515, 1-516, 1-517, 1-518, 1-519, 1-520, 1-521, 1-522, 1-523, 1-524, 1-525, 1-526, 1-527, 1-528, 1-529, 1-530, 1-531, 1-532, 1-533, 1-534, 1-535, 1-536, 1-537, 1-538, 1-539, 1-540, 1-541, 1-542, 1-543, 1-544, 1-545, 1-546, 1-547, 1-548, 1-549, 1-550, 1-551, 1-552, 1-553, 1-554, 1-555, 1-556, 1-557, 1-558, 1-559, 1-560, 1-561, 1-562, 1-563, 1-564, 1-565, 1-566, 1-567, 1-568, 1-569, 1-570, 1-571, 1-572, 1-573, 1-574, 1-575, 1-576, 1-577, 1-578, 1-579, 1-580, 1-581, 1-582, 1-583, 1-584, 1-585, 1-586, 1-587, 1-588, 1-589, 1-590, 1-591, 1-592, 1-593, 1-594, 1-595, 1-596, 1-597, 1-598, 1-599, 1-600, 1-601, 1-602, 1-603, 1-604, 1-605, 1-606, 1-607, 1-608, 1-609, 1-610, 1-611, 1-612, 1-613, 1-614, 1-615, 1-616, 1-617, 1-618, 1-619, 1-620, 1-621, 1-622, 1-623, 1-624, 1-625, 1-626, 1-627, 1-628, 1-629, 1-630, 1-631, 1-632, 1-633, 1-634, 1-635, 1-636, 1-637, 1-638, 1-639, 1-640, 1-641, 1-642, 1-643, 1-644, 1-645, 1-646, 1-647, 1-648, 1-649, 1-650, 1-651, 1-652, 1-653, 1-654, 1-655, 1-656, 1-657, 1-658, 1-659, 1-660, 1-661, 1-662, 1-663, 1-664, 1-665, 1-666, 1-667, 1-668, 1-669, 1-670, 1-671, 1-672, 1-673, 1-674, 1-675, 1-676, 1-677, 1-678, 1-679, 1-680, 1-681, 1-682, 1-683, 1-684, 1-685, 1-686, 1-687, 1-688, 1-689, 1-690, 1-691, 1-692, 1-693, 1-694, 1-695, 1-696, 1-697, 1-698, 1-699, 1-700, 1-701, 1-702, 1-703, 1-704, 1-705, 1-706, 1-707, 1-708, 1-709, 1-710, 1-711, 1-712, 1-713, 1-714, 1-715, 1-716, 1-717, 1-718, 1-719, 1-720, 1-721, 1-722, 1-723, 1-724, 1-725, 1-726, 1-727, 1-728, 1-729, 1-730, 1-731, 1-732, 1-733, 1-734, 1-735, 1-736, 1-737, 1-738, 1-739, 1-740, 1-741, 1-742, 1-743, 1-744, 1-745, 1-746, 1-747, 1-748, 1-749, 1-750, 1-751, 1-752, 1-753, 1-754, 1-755, 1-756, 1-757, 1-758, 1-759, 1-760, 1-761, 1-762, 1-763, 1-764, 1-765, 1-766, 1-767, 1-768, 1-769, 1-770, 1-771, 1-772, 1-773, 1-774, 1-775, 1-776, 1-777, 1-778, 1-779, 1-780, 1-781, 1-782, 1-783, 1-784, 1-785, 1-786, 1-7

The following compounds are still more preferred, that is Compounds No.: 1-41, 1-42, 1-43, 1-44, 1-45, 1-46, 1-47, 1-48, 1-49, 1-50, 1-51, 1-52, 1-53, 1-54, 1-55, 1-56, 1-57, 1-58, 1-59, 1-60, 1-61, 1-62, 1-63, 1-64, 1-65, 1-66, 1-67, 1-68, 1-69, 1-70, 1-71, 1-72, 1-73, 1-74, 1-75, 1-76, 1-77, 1-78, 1-79, 1-80, 1-271, 1-272, 1-273, 1-274, 1-275, 1-276,

1-277, 1-278, 1-279, 1-280, 1-281, 1-282, 1-283, 1-284, 1-285, 1-286, 1-457, 1-458, 1-459, 1-460, 1-461, 1-462, 1-463, 1-464, 1-465, 1-466, 1-467, 1-468, 1-469, 1-470, 1-471, 1-472, 1-473, 1-474, 1-475, 1-476, 1-477, 1-478, 1-479, 1-480, 1-481, 1-482, 1-483, 1-484, 1-485, 1-486, 1-487, 1-488, 1-489, 1-490, 1-491, 1-492, 1-493, 1-494, 1-495, 1-496, 1-497, 1-498, 1-499, 1-500, 1-501, 1-502, 1-503, 1-504, 1-505, 1-506, 1-507, 1-508, 1-509, 1-510, 1-511, 1-512, 1-513, 1-514, 1-515, 1-516, 1-517, 1-518, 1-519, 1-520, 1-521, 1-522, 1-523, 1-524, 1-525, 1-526, 1-527, 1-528, 1-529, 1-530, 1-531, 1-532, 1-533, 1-534, 1-535, 1-536, 1-537, 1-538, 1-539, 1-733, 1-734, 1-735, 1-736, 1-737, 1-738, 1-739, 1-740, 1-741, 1-742, 1-743, 1-744, 1-745, 1-746, 1-747, 1-748, 1-749, 1-750, 1-815, 1-816, 1-817, 1-818, 1-819, 1-820, 1-821, 1-822, 1-823, 1-824, 1-825, 1-826, 1-827, 1-828, 1-829, 1-830, 1-831, 1-832, 1-833, 1-834, 1-835, 1-836, 1-837, 1-838, 1-839, 1-840, 1-841, 1-842, 1-843, 1-844, 1-845, 1-846, 1-847, 1-848, 1-849, 1-850, 1-851, 1-852, 1-853, 1-854, 1-855, 1-856, 1-857, 1-858, 1-859, 1-860, 1-861, 1-862, 1-863, 1-1129, 1-1130, 1-1131, 1-1132, 1-1133, 1-1134, 1-1135, 1-1136, 1-1137, 1-1138, 1-1139, 1-1140, 1-1141, 1-1142, 1-1143, 1-1144, 1-1145, 1-1146, 1-1147, 1-1148, 1-1224, 1-1258, 1-1259, 1-1260, 1-1261, 1-1262, 1-1263, 1-1264, 1-1265, 1-1266, 1-1267, 1-1268, 1-1269, 1-1270, 1-1271, 1-1272, 1-1273, 1-1274, 1-1275, 1-1276, 1-1277, 1-1278, 1-1279, 1-1280, 1-1962, 1-1963, 1-1964, 1-1965, 1-1966, 1-1967, 1-1968, 1-1969, 1-1970, 1-1971, 1-1972, 1-1973, 1-1974, 1-1975, 1-1976, 1-1977, 1-1978, 1-1979, 1-1980, 1-1981, 1-1982, 1-1983, 1-1984, 1-1985, 1-1986, 1-1987, 1-1988, 1-1989, 1-1990, 1-1991, 1-1992, 1-1993, 1-2470, 1-2471, 1-2472, 1-2473, 1-2474, 1-2475, 1-2476, 1-2477, 1-2478, 1-2479, 1-2480, 1-2481, 1-2482, 1-2483, 1-2484, 1-2485, 1-2486, 1-2487, 1-2488, 1-2489, 1-2490, 1-2491, 1-2492, 1-2493, 1-2494, 1-2495, 1-2496, 1-2497, 1-2498, 1-2499, 1-2500, 1-2501, 1-2502, 1-2503, 1-2504, 1-2505, 1-2506, 1-2507, 1-2508, 1-2509, 1-2510, 1-2511, 1-2512, 1-2513, 1-2514, 1-2515, 1-2516, 1-2517, 1-2518, 1-2519, 1-2520, 1-2521, 1-2522, 1-2523, 1-2524, 1-2525, 1-2526, 1-2527, 1-2528, 1-2529, 1-2530, 1-2531, 1-2532, 1-2533, 1-2534, 1-2535, 1-2536, 1-2537, 1-2538, 1-2539, 1-2540, 1-2541, 1-2542, 1-2543, 1-2544, 1-2545, 1-2546, 1-2547, 1-2548, 1-2549, 1-2550, 1-2551, 1-2552, 1-2553, 1-2554, 1-2555, 1-2556, 1-2557, 1-2558, 1-2559, 1-2560, 1-2561, 1-2562, 1-2563, 1-2564, 1-2565, 1-2566, 1-2567, 1-2568, 1-2569, 1-2570, 1-2571, 1-2572, 1-2573, 1-2574, 1-2575, 1-2576, 1-2577, 1-2578, 1-2657, 1-2665, 1-2667 and 1-2669.

The following compounds are even more preferred, that is Compounds No.: 1-46, 1-47, 148, 149, 1-50, 1-51, 1-52, 1-53, 1-54, 1-55, 1-56, 1-57, 1-58, 1-59, 1-60, 1-61, 1-62, 1-63, 1-64, 1-65, 1-66, 1-67, 1-68, 1-69, 1-70, 1-71, 1-271, 1-272, 1-273, 1-274, 1-275, 1-276, 1-277, 1-278, 1-279, 1-280, 1-281, 1-282, 1-283, 1-284, 1-285, 1-286, 1-457, 1-458, 1-459, 1-460, 1-461, 1-462, 1-463, 1-464, 1465, 1-466, 1-467, 1-468, 1-469, 1-470, 1-471, 1-472, 1473, 1-474, 1475, 1-476, 1-477, 1-478, 1-479, 1-480, 1-481, 1-482, 1483, 1-484, 1-485, 1486, 1-487, 1-488, 1-489, 1-490, 1-491, 1-492, 1-493, 1-494, 1-495, 1-496, 1-497, 1-498, 1499, 1-500, 1-501, 1-502, 1-503, 1-504, 1-505, 1-506, 1-507, 1-508, 1-509, 1-510, 1-511, 1-512, 1-513, 1-514, 1-515, 1-516, 1-517, 1-518, 1-519, 1-520, 1-521, 1-522, 1-523, 1-524, 1-525, 1-526, 1-527, 1-528, 1-529, 1-530, 1-531, 1-532, 1-533, 1-534, 1-535, 1-536, 1-537, 1-538, 1-539, 1-733, 1-734, 1-735, 1-736, 1-737, 1-738, 1-739, 1-740, 1-741, 1-742, 1-743, 1-744, 1-745, 1-746, 1-747, 1-748, 1-749, 1-750, 1-815, 1-820, 1-861, 1-1134, 1-1135, 1-1136, 1-1137, 1-1138, 1-1139, 1-1140, 1-1141, 1-1142, 1-1143, 1-1144, 1-1145, 1-1146, 1-1147, 1-1148, 1-1224, 1-1258, 1-1259, 1-1260, 1-1261, 1-1262, 1-1263, 1-1264, 1-1265, 1-1266, 1-1267, 1-1268, 1-1269, 1-1270, 1-1271, 1-1272, 1-1273, 1-1274, 1-1275, 1-1276, 1-1277, 1-1278, 1-1279, 1-1280, 1-1963, 1-1993, 1-2470, 1-2520, 1-2566, 1-2567, 1-2568, 1-2569, 1-2570, 1-2571, 1-2572, 1-2573, 1-2574, 1-2575, 1-2576, 1-2577, 1-2578, 1-2657, 1-2665, 1-2667 and 1-2669.

The following compounds are further preferred, that is Compounds No.: 1-46, 1-47, 1-48, 1-49, 1-50, 1-71, 1-271, 1-496, 1-539, 1-733, 1-738, 1-739, 1-740, 1-741, 1-742, 1-815, 1-820, 1-861, 1-1135, 1-1145, 1-1224, 1-1258, 1-1260, 1-1275, 1-1276, 1-1280, 1-1963, 1-1993, 1-2470, 1-2520, 1-2567, 1-2657, 1-2665, 1-2667 and 1-2669.

The following compounds are particularly preferred, that is Compounds No.: 1-49, 1-271, 1-496, 1-539, 1-733, 1-738, 1-739, 1-740, 1-741, 1-742, 1-820, 1-861, 1-1135, 1-1224, 1-1258, 1-1260, 1-1275, 1-1963, 1-2470, 1-2520, 1-2567, 1-2657, 1-2665, 1-2667 and 1-2669.

The most preferred compounds are

N-[5-(1,2-Dithiolan-3-yl)pentanoyl]methanesulphonamide (Compound No. 1-496);

Methyl 3-[4-(1,2-dithiolan-3-yl)butyl]ureidoacetate (Compound No. 1-739);

2(S)-{3-[4-(1,2-Dithiolan-3-yl)butyl]ureido}propionic acid (Compound No. 1-740);

Methyl 2(S)-{3-[4-(1,2-dithiolan-3-yl)butyl]ureido}propionate (Compound No. 1-742);

Ethyl 3-[4-(1,2-dithiolan-3-yl)butyl]-1-methylureidoacetate (Compound No. 1-820 ethyl ster); and

N-[5-(1,2-Dithiolan-3-yl)pentyl]methanesulphonamide (Compound No. 1-2470);

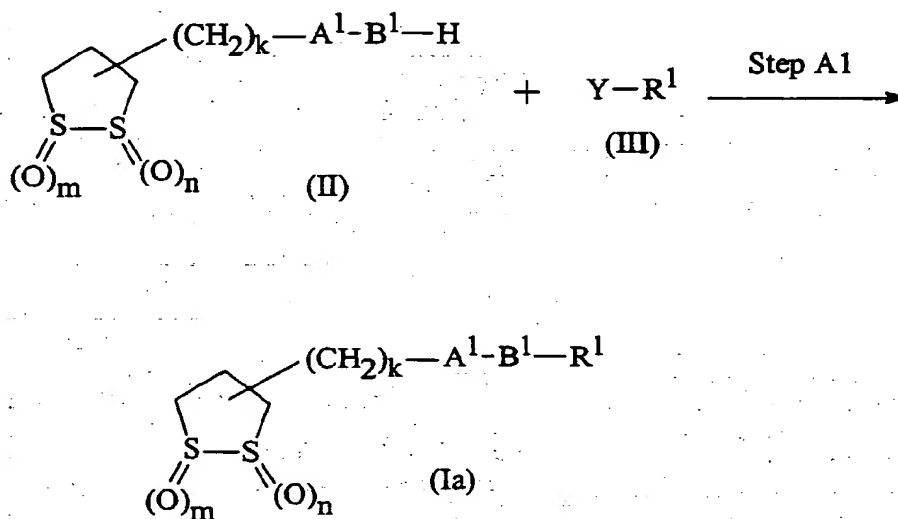
and pharmaceutically acceptable salts thereof.

The compounds of the present invention may be prepared by a variety of methods well known for the preparation of compounds of this general type. For example, they may be prepared by the following Methods A to G.

Method A

In this Method, a compound of formula (II) is reacted with a compound of formula (III), to give a compound of formula (Ia), which is a compound of formula (I) in which the meanings of A and B are somewhat restricted.

Reaction Scheme A:



In the above formulae:

R^1 , \underline{k} , \underline{m} and \underline{n} are as defined above;

A^1 represents any of the groups defined above for A, other than the groups of formulae ---CO---O--- and $\text{---N(R}^2\text{)O---}$ [in which R^2 is as defined above];

B^1 represents a group of formula $\text{---N(R}^5\text{)---}$ or $\text{---N(R}^5\text{)N(R}^6\text{)---}$ [in which R^5 and R^6 are as defined above]; and

Y represents a group to be eliminated.

There is no particular restriction on the group to be eliminated, provided that it can be eliminated as a nucleophilic residue, and examples of such groups are well known to those skilled in the art. Specific examples of such groups include:

halogen atoms, such as the chlorine, bromine and iodine atoms

trihalomethyl groups, such as the trichloromethyl group;

lower alkanesulphonyloxy groups, such as the methanesulphonyloxy and ethanesulphonyloxy groups;

lower haloalkanesulphonyloxy groups, such as the trifluoromethanesulphonyloxy and pentafluoroethanesulphonyloxy groups; and

arylsulphonyloxy groups, such as the benzenesulphonyloxy, *p*-toluenesulphonyloxy and *p*-nitrobenzenesulphonyloxy groups.

Of these, a halogen atom or an alkanesulphonyl group is preferred.

St p A1

In this Step, a dithiolan derivative of formula (Ia) is prepared by reacting a compound of formula (II) with a compound of formula (III) in a solvent in the presence of a base.

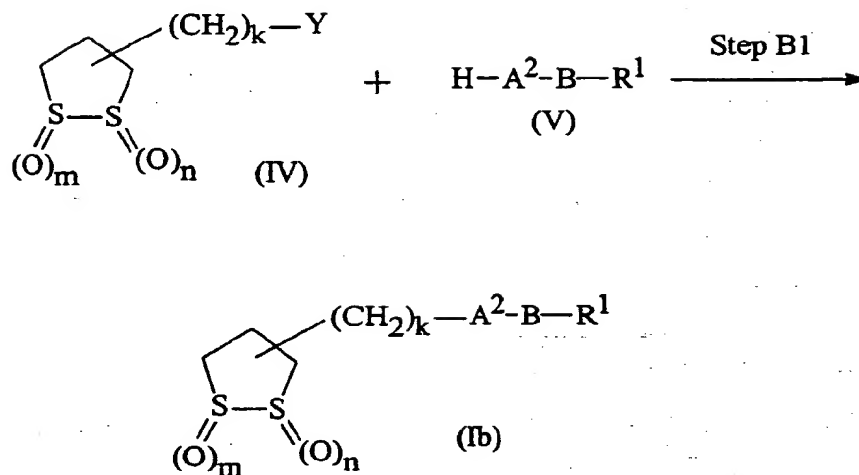
The reaction is normally and preferably effected in the presence of a solvent. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or on the reagents involved and that it can dissolve the reagents, at least to some extent. Examples of suitable solvents include: aromatic hydrocarbons, such as benzene, toluene and xylene; halogenated hydrocarbons, such as methylene chloride, chloroform, carbon tetrachloride, dichloroethane, chlorobenzene and dichlorobenzene; ethers, such as diethyl ether, diisopropyl ether, tetrahydrofuran, dioxane, dimethoxyethane and diethylene glycol dimethyl ether; ketones, such as acetone, methyl ethyl ketone, methyl isobutyl ketone, isophorone and cyclohexanone; nitriles, such as acetonitrile, propionitrile and isobutyronitrile; amides, such as formamide, dimethylformamide, *N,N*-dimethylacetamide, *N*-methyl-2-pyrrolidone, *N*-methylpyrrolidinone and hexamethylphosphoric triamide; sulfoxides, such as dimethyl sulfoxide; and sulphones, such as sulpholane. Of these, we prefer the ketones, ethers and amides, more preferably acetone, tetrahydrofuran, dimethylformamide and *N,N*-dimethylacetamide.

There is likewise no particular restriction on the nature of the bases used, and any base commonly used in reactions of this type may equally be used here. Examples of such bases include: inorganic bases, such as alkali metal carbonates (for example sodium carbonate, potassium carbonate, lithium carbonate or cesium carbonate), alkali metal hydrogen carbonates (for example sodium hydrogencarbonate, potassium hydrogencarbonate or lithium hydrogencarbonate), alkali metal hydrides (for example lithium hydride, sodium hydride or potassium hydride), alkali metal or alkaline earth metal hydroxides (for example sodium hydroxide, potassium hydroxide, barium hydroxide or lithium hydroxide) and alkali metal fluorides (for example sodium fluoride or potassium fluoride); and alkali metal alkoxides, such as sodium methoxide, sodium ethoxide, potassium methoxide, potassium ethoxide, potassium *t*-butoxide or lithium methoxide. Of these, the alkali metal carbonates, alkali metal hydrides and alkali metal alkoxides are preferred, and potassium carbonate, sodium hydride and potassium *t*-butoxide are most preferred.

The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. The preferred reaction temperature will depend upon such factors as the nature of the solvent, and the starting material or reagent used. However, in general, we find it convenient to carry out the reaction at a temperature of from -20°C to 100°C, more preferably from 0°C to 50°C. The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents and solvent employed. However, provided that the reaction is effected under the preferred conditions outlined above, a period of from 10 minutes to 24 hours, more preferably from 30 minutes to 12 hours, will usually suffice.

Method B

This demonstrates the preparation of a compound of formula (Ib), that is a compound of formula (I) in which A represents an oxygen atom, or a group of formula -N(R²)CO-, -N(R²)SO₂-, -ON(R²)CO-, -ON(R²)SO₂-, -N(R²)N(R³)CO-, -N(R²)N(R³)SO₂-, -N(R²)CON(R³)N(R⁴)CO-, -N(R²)CON(R³)CO- or -N(R²)CON(R³)SO₂- [in which R², R³ and R⁴ are as defined above].

Reaction Scheme B:

In the above formulae:

B, R¹, Y, k, m and n are as defined above; and

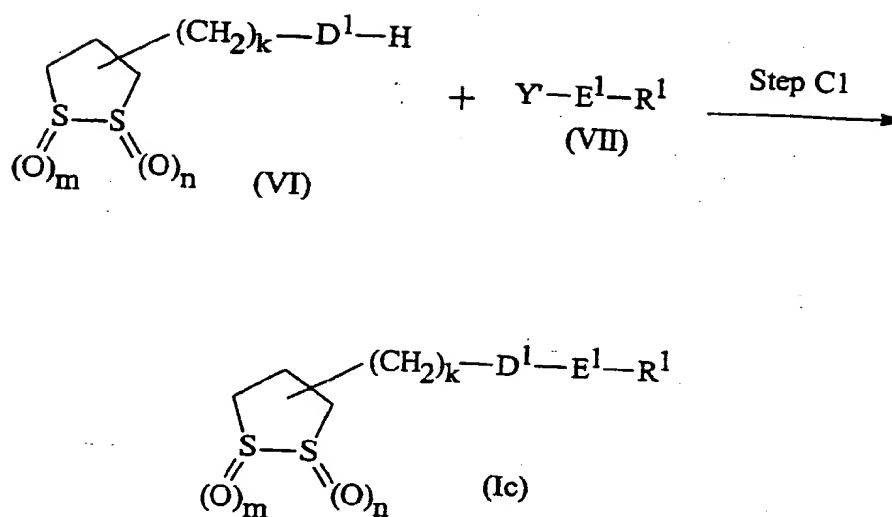
A² represents an oxygen atom, or a group of formula -N(R²)CO-, -N(R²)SO₂-, -ON(R²)CO-, -ON(R²)SO₂-, -N(R²)N(R³)CO-, -N(R²)N(R³)SO₂-, -N(R²)CON(R³)N(R⁴)CO-, -N(R²)CON(R³)CO- or -N(R²)CON(R³)SO₂- [in which R², R³ and R⁴ are as defined above].

Step B1

In this Step, a dithiolan derivative of formula (Ib) is prepared by reacting a compound of formula (IV) with a compound of formula (V) in a solvent in the presence of a base. The reaction is essentially the same as that described above in Step A1, and may be carried out using the same solvents, bases and reaction conditions.

Method C

This demonstrates the preparation of a compound of formula (Ic), that is a compound of formula (I) in which A represents a group of formula -N(R²)CO-, -N(R²)SO₂-, -CON(R²)N(R³)CO-, -CON(R²)CO-, -CON(R²)SO₂-, -O-CO-, -ON(R²)CO-, -ON(R²)SO₂-, -O-CON(R²)N(R³)CO-, -O-CON(R²)CO-, -O-CON(R²)SO₂-, -CO-CON(R²)N(R³)CO-, -CO-CON(R²)CO-, -CO-CON(R²)SO₂-, -N(R²)CO-CO-, -N(R²)N(R³)CO-, -N(R²)N(R³)SO₂-, -N(R²)CON(R³)N(R⁴)CO-, -N(R²)CON(R³)CO- or -N(R²)CON(R³)SO₂- [in which R², R³ and R⁴ are as defined above], and B represents a single bond.

Reaction Scheme C:

In the above formulae:

R¹, k, m and n are as defined above,

D¹ represents an oxygen atom, or a group of formula -N(R²)-, -CON(R²)-, -ON(R²)-, -O-CON(R²)-, -N(R²)N(R³)- or -N(R²)CON(R³)- [in which R² and R³ are as defined above],

E¹ represents a carbonyl group, a sulphonyl group or a group of formula -COCO-, and

Y' represents a group to be eliminated, as in the definition of Y; however, the imidazolyl group, or an active ester residue, including acyloxy groups, such as the acetoxy group, or alkoxyacyloxy groups, such as the methoxyacetoxy group, are preferred.

Step C1

In this Step, a dithiolane derivative of formula (Ic) is prepared by acylating or sulphonylating a compound of formula (VI) with a compound of formula (VII) in a solvent in the presence of a base.

The reaction is normally and preferably effected in the presence of a solvent. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or on the reagents involved and that it can dissolve the reagents, at least to some extent. Examples of suitable solvents include: aromatic hydrocarbons, such as benzene, toluene and xylene; halogenated hydrocarbons, such as methylene chloride, chloroform, carbon tetrachloride, dichloroethane, chlorobenzene and dichlorobenzene; ethers, such as diethyl ether, diisopropyl ether, tetrahydrofuran, dioxane, dimethoxyethane and diethylene glycol dimethyl ether; ketones, such as acetone, methyl ethyl ketone, methyl isobutyl ketone, isophorone and cyclohexanone; nitriles, such as acetonitrile, propionitrile and isobutyronitrile; and amides, such as formamide, dimethylformamide, N,N-dimethylacetamide, N-methyl-2-pyrrolidone, N-methylpyrrolidinone and hexamethylphosphoric triamide. Of these, the aromatic hydrocarbons, halogenated hydrocarbons, ethers and amides are preferred, and halogenated hydrocarbons, ethers and amides are more preferred.

There is likewise no particular restriction on the nature of the bases used, and any base commonly used in reactions of this type may equally be used here. Examples of such bases include: organic bases, such as N-methylmorpholine, triethylamine, tripropylamine, tributylamine, diisopropylethylamine, N-methyldicyclohexylamine, N-methylpiperidine,

pyridine, 4-pyrrolidinopyridine, picoline, 4-(N,N-dimethylamino)pyridine, 2,6-di(t-butyl)-4-methylpyridine, quinoline, N,N-dimethylaniline, N,N-diethylaniline, 1,5-diazabicyclo[4.3.0]non-5-ene (DBN), 1,4-diazabicyclo[2.2.2]octane (DABCO) and 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU), of these, triethylamine and diisopropylethylamine are preferred.

The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. The preferred reaction temperature will depend upon such factors as the nature of the solvent, and the starting material or reagent used. However, in general, we find it convenient to carry out the reaction at a temperature of from -20°C to 100°C, more preferably from 0°C to 80°C. The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents and solvent employed. However, provided that the reaction is effected under the preferred conditions outlined above, a period of from 5 minutes to 2 days, more preferably from 20 minutes to 1 day, will usually suffice.

As an alternative, where the compound of formula (VI) is reacted with a compound of formula (VII) in which E¹ represents a carbonyl group, the reaction may also be accomplished using a compound of formula HOOC-R¹ (in which R¹ is as defined above) by reacting the compound of formula (VI) with the compound of formula (VII) using a condensing agent in a solvent in the presence or absence of a base.

There is no particular restriction on the nature of the condensing agents used, and any condensing agent commonly used in reactions of this type may equally be used here. Examples of such condensing agents include:

(1) a combination of a phosphoric acid ester, such as diethyl cyanophosphate or diphenylphosphoryl azide, and the base described below;

(2) a carbodiimide, such as 1,3-dicyclohexylcarbodiimide, 1,3-diisopropylcarbodiimide or 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide; a combination of one or more of the above carbodiimides and the base described below; a combination of one or more of the above carbodiimides and an N-hydroxy compound, such as N-hydroxysuccinimide, 1-hydroxybenzotriazole or N-hydroxy-5-norbornene-2,3-dicarboxyimide;

(3) a combination of a disulphide, such as 2,2'-dipyridyl disulphide or 2,2'-dibenzothiazolyl disulphide, and a phosphine, such as triphenylphosphine or tributylphosphine;

(4) a carbonate, such as N,N'-disuccinimidyl carbonate, di-2-pyridyl carbonate or S,S'-bis(1-phenyl-1H-tetrazol-5-yl)dithiocarbonate;

(5) a phosphinic chloride, such as N,N'-bis(2-oxo-3-oxazolidinyl)phosphinic chloride;

(6) an oxalate, such as N,N'-disuccinnidyl oxalate, N,N'-diphthalimide oxalate, N,N'-bis(5-norbornene-2,3-dicarboxyimidyl) oxalate, 1,1'-bis(benzotriazolyl) oxalate, 1,1'-bis(6-chlorobenzotriazolyl) oxalate or 1,1'-bis(6-trifluoromethylbenzotriazolyl) oxalate;

(7) a combination of one or more of the above phosphines and an azodicarboxylic acid ester, such as diethyl azodicarboxylate, or an azodicarboxylic amide, such as 1,1'-(azodicarbonyl)dipiperidine; a combination of one or more of the above phosphines and the base described below;

(8) an N-lower alkyl-5-arylisoxazolium-3'-sulphonate, such as N-ethyl-5-phenylisoxazolium-3'-sulphonate;

(9) a diheteroaryldiselenide, such as di-2-pyridyl diselenide;

(10) an arylsulphonyltriazolide, such as p-nitrobenzenesulphonyltriazolide;

(11) a 2-halo-1-lower alkylpyridinium halide, such as 2-chloro-1-methylpyridinium iodide;

(12) an imidazole, such as 1,1'-oxalyldiimidazole or N,N'-carbonyldiimidazole;

(13) a 3-lower alkyl-2-halobenzothiazolium fluoroborate such as 3-ethyl-2-chloro-benzothiazolium fluoroborate;

(14) a 3-lower alkyl-benzothiazole-2-serone, such as 3-methyl-benzothiazol-2-serone;

(15) a phosphate, such as phenyldichlorophosphate or polyphosphate ester;

(16) a halosulphonyl isocyanate, such as chlorosulphonyl isocyanate;

(17) a halosilane, such as trimethylsilyl chloride or triethylsilyl chloride;

(18) a combination of a lower alkanesulphonyl halide, such as methanesulphonyl chloride and the base described below;

(19) an N,N,N',N'-tetra lower alkylhaloformamidium chloride, such as N,N,N',N'-tetramethylchloroformamidium chloride; and

(20) a combination of a lower alkyloxycarbonyl halide, such as ethyl chlorocarbonate and the base described below;

preferably the above (1), (2), (7), (12) and (20).

The reaction is normally and preferably effected in the presence of a solvent. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or on the reagents involved and that it can dissolve the reagents, at least to some extent. Examples of suitable solvents include: aliphatic hydrocarbons, such as hexane and heptane; aromatic hydrocarbons, such as benzene, toluene and xylene; halogenated hydrocarbons, such as methylene chloride, chloroform, carbon tetrachloride, dichloroethane, chlorobenzene and dichlorobenzene; esters, such as ethyl formate, ethyl acetate, propyl acetate, butyl acetate and diethyl carbonate; ethers, such as diethyl ether, diisopropyl ether, tetrahydrofuran, dioxane, dimethoxyethane and diethylene glycol dimethyl ether; nitriles, such as acetonitrile and isobutyronitrile; and amides, such as formamide, dimethylformamide, N,N-dimethylacetamide, N-methyl-2-pyrrolidone, N-methylpyrrolidinone and hexamethylphosphoric triamide.

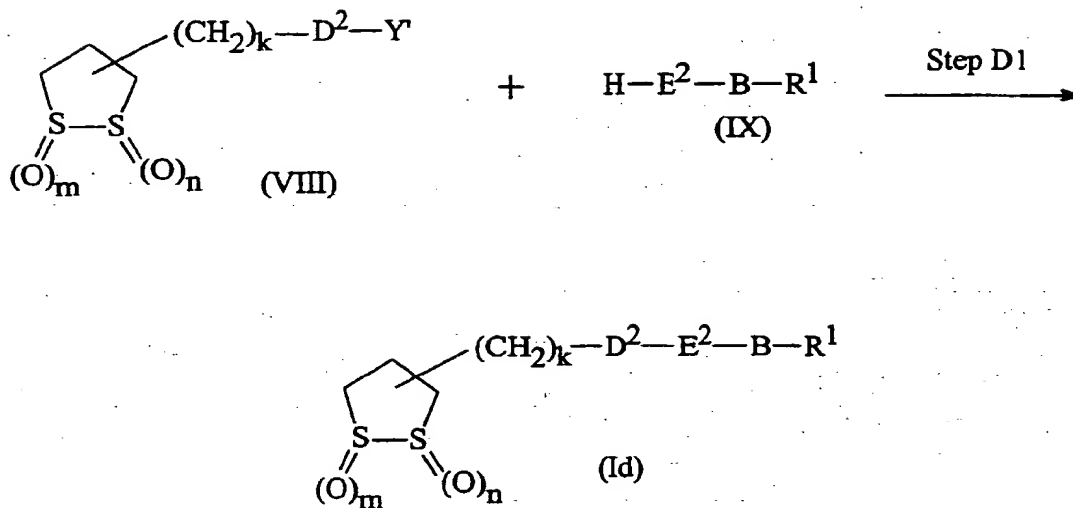
There is likewise no particular restriction on the nature of the bases used, and any base commonly used in reactions of this type may equally be used here. Examples of such bases include: organic bases, such as N-methylmorpholine, triethylamine, tributylamine, diisopropylethylamine, dicyclohexylamine, N-methylpiperidine, pyridine, 4-pyrrolidinopyridine, picoline, 4-(N,N-dimethylamino)pyridine, 2,6-di(*t*-butyl)-4-methylpyridine, quinoline, N,N-dimethylaniline and N,N-diethylaniline.

If desired, 4-(N,N-dimethylamino)pyridine and 4-pyrrolidinopyridine can be combined with other bases and used in a catalytic amount. Also, in order to carry out the reaction more effectively, a dehydrating agent such as a molecular sieve, a quaternary ammonium salt (for example benzyltriethylammonium chloride or tetrabutylammonium chloride), a crown ether, such as dibenzo-18-crown-6, or an acid trapping agent, such as 3,4-dihydro-2H-pyrido[1,2-a]pyrimidin-2-one, can be added to the reaction mixture.

The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. The preferred reaction temperature will depend upon such factors as the nature of the solvent, and the starting material or reagent used. However, in general, we find it convenient to carry out the reaction at a temperature of from -20°C to 80°C, more preferably from 0°C to room temperature. The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents and solvent employed. However, provided that the reaction is effected under the preferred conditions outlined above, a period of from 10 minutes to 3 days, more preferably from 30 minutes to 1 day, will usually suffice.

Method D

This demonstrates the preparation of a compound of formula (Id), that is a compound of formula (I) in which A represents a carbonyl group, or a group of formula -CON(R²)N(R³)CO-, -CON(R²)CO-, -CON(R²)SO₂-, -CO-O-, -CO-CON(R²)N(R³)CO-, -CO-CON(R²)CO- or -CO-CON(R²)SO₂- [in which R² and R³ are as defined above].

Reaction Scheme D:

In the above formulae:

B, R¹, Y¹, k, m and n are as defined above,

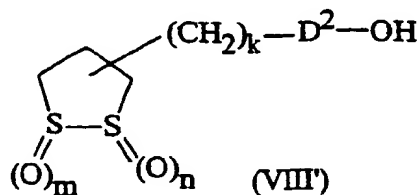
D² represents a carbonyl group or a group of formula -CO-CO-, and

E² represents an oxygen atom, or a group of formula -N(R²)N(R³)CO-, -N(R²)CO- or -N(R²)SO₂- [in which R² and R³ are as defined above].

Step D1

In this Step, a dithiolan derivative of formula (Id) is prepared by acylating a compound of formula (IX) with a compound of formula (VIII) in a solvent in the presence of a base. The reaction is essentially the same as that described above in Step C1, and may be carried out using the same solvents, bases and reaction conditions.

Alternatively, the dithiolan derivative of formula (Id) can be prepared by reacting a compound of formula (VIII') with the compound of formula (IX) using a condensing agent in a solvent in the presence or absence of a base.



(in which D², k, m and n are as defined above.)

The reaction is normally and preferably effected in the presence of a solvent. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or on the reagents involved and that it can dissolve the reagents, at least to some extent. Examples of suitable solvents include: aliphatic hydrocarbons, such as hexane and heptane; aromatic hydrocarbons, such as benzene, toluene and xylene; halogen-

ated hydrocarbons, such as methylene chloride, chloroform, carbon tetrachloride, dichloroethane, chlorobenzene and dichlorobenzene; esters, such as ethyl formate, ethyl acetate, propyl acetate, butyl acetate and diethyl carbonate; ethers, such as diethyl ether, diisopropyl ether, tetrahydrofuran, dioxane, dimethoxyethane and diethylene glycol dimethyl ether; nitriles, such as acetonitrile and isobutyronitrile; and amides, such as formamide, dimethylformamide, N,N-dimethylacetamide, N-methyl-2-pyrrolidone, N-methylpyrrolidinone and hexamethylphosphoric triamide.

There is likewise no particular restriction on the nature of the bases used, and any base commonly used in reactions of this type may equally be used here. Examples of such bases include: organic bases, such as N-methylmorpholine, triethylamine, tributylamine, diisopropylethylamine, dicyclohexylamine, N-methylpiperidine, pyridine, 4-pyrrolidinopyridine, picoline, 4-(N,N-dimethylamino)pyridine, 2,6-di(*t*-butyl)-4-methylpyridine, quinoline, N,N-dimethylaniline and N,N-diethylaniline.

If desired, 4-(N,N-dimethylamino)pyridine and 4-pyrrolidinopyridine can be combined with other bases and used in a catalytic amount. Also, in order to carry out the reaction more effectively, a dehydrating agent, such as a molecular sieve, a quaternary ammonium salt (for example benzyltriethylammonium chloride or tetrabutylammonium chloride), a crown ether, such as dibenzo-18-crown-6, or an acid trapping agent, such as 3,4-dihydro-2H-pyrido[1,2-a]pyrimidin-2-one, can be added to the reaction mixture.

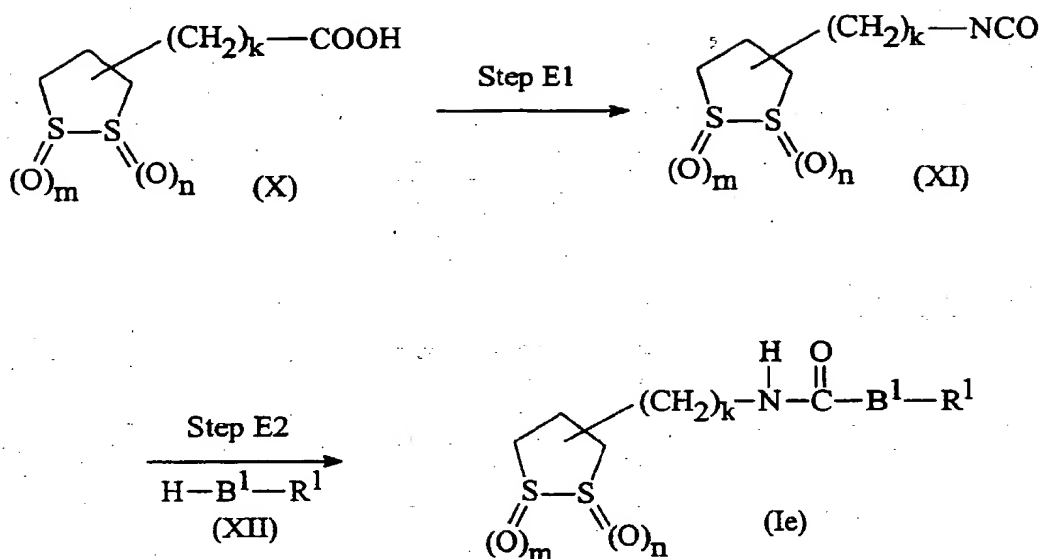
The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. The preferred reaction temperature will depend upon such factors as the nature of the solvent, and the starting material or reagent used. However, in general, we find it convenient to carry out the reaction at a temperature of from -20°C to 80°C, more preferably from 0°C to room temperature. The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents and solvent employed. However, provided that the reaction is effected under the preferred conditions outlined above, a period of from 10 minutes to 3 days, more preferably from 30 minutes to 1 day, will usually suffice.

Method E

This demonstrates the preparation of a compound of formula (Ie), that is a compound of formula (I) in which:

A represents a group of formula -N(R²)CO- [in which R² represents a hydrogen atom], and

B represents a group of formula -N(R⁵)- or -N(R⁵)N(R⁶)- [in which R⁵ and R⁶ are as defined above].

Reaction Scheme E:

In the above formulae: B^1 , R^1 , k , m and n are as defined above.

Step E1

In this Step, an isocyanic acid ester of formula (XI) is prepared by azidating the carboxy group of a compound of formula (X) in a solvent in the presence or absence of a catalyst to obtain an acid azide compound and then heating it.

The azidation reaction is normally and preferably effected in the presence of a solvent. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or on the reagents involved and that it can dissolve the reagents, at least to some extent. Examples of suitable solvents include: aromatic hydrocarbons, such as benzene, toluene and xylene; halogenated hydrocarbons, such as methylene chloride and chloroform; ethers, such as diethyl ether, tetrahydrofuran, dioxane and dimethoxyethane; nitriles, such as acetonitrile; and amides, such as formamide, dimethylformamide, *N,N*-dimethylacetamide, *N*-methyl-2-pyrrolidone, *N*-methylpyrrolidinone and hexamethylphosphoric triamide. Of these, we prefer the aromatic hydrocarbons, the halogenated hydrocarbons and the ethers.

There is likewise no particular restriction on the nature of the azidation agents used, and any azidation agent commonly used in reactions of this type may equally be used here. Examples of such azidation agents include: diarylphosphoryl azide derivatives, such as diphenylphosphoryl azide; trialkylsilyl azides, such as trimethylsilyl azide or triethylsilyl azide; and alkali metal salt azides, such as sodium azide, potassium azide or lithium azide. Of these, we prefer the diarylphosphoryl azide derivatives.

There is likewise no particular restriction on the nature of the catalysts used, and any catalyst commonly used in reactions of this type may equally be used here. Examples of such catalysts include: Lewis acids, such as trialkylsilyl triflates (e.g. trimethylsilyl triflate and triethylsilyl triflate), trifluoroborane etherate, aluminium chloride and zinc chloride; and organic bases, such as *N*-methylmorpholine, triethylamine, tributylamine, diisopropylethylamine, dicyclohexylamine, *N*-methylpiperidine, pyridine, 4-pyrrolidinopyridine, picoline, 4-(*N,N*-dimethylamino)pyridine, 2,6-di-*t*-butyl-4-methylpyridine, quinoline, *N,N*-dimethylaniline and *N,N*-diethylaniline.

The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. The preferred reaction temperature will depend upon such factors as the nature of the solvent, and the starting material or reagent used. However, in general, we find it convenient to carry out the reaction at a temperature of from 20°C to 180°C, more preferably from 50°C to 150°C. The time required for the reaction may also vary widely,

depending on many factors, notably the reaction temperature and the nature of the reagents and solvent employed. However, provided that the reaction is effected under the preferred conditions outlined above, a period of from 10 minutes to 24 hours, more preferably from 30 minutes to 8 hours, will usually suffice.

Step E2

In this Step, a dithiolan derivative of formula (Ie) is prepared by reacting an isocyanic acid ester (XI) with a compound of formula (XII) in a solvent.

The reaction is normally and preferably effected in the presence of a solvent. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or on the reagents involved and that it can dissolve the reagents, at least to some extent. Examples of suitable solvents include: aromatic hydrocarbons, such as benzene, toluene and xylene; halogenated hydrocarbons, such as methylene chloride, chloroform, carbon tetrachloride, dichloroethane, chlorobenzene and dichlorobenzene; ethers, such as diethyl ether, diisopropyl ether, tetrahydrofuran, dioxane, dimethoxyethane and diethylene glycol dimethyl ether; ketones, such as acetone, methyl ethyl ketone, methyl isobutyl ketone, isophorone and cyclohexanone; nitriles, such as acetonitrile, propionitrile and isobutyronitrile; amides, such as formamide, dimethylformamide, *N,N*-dimethylacetamide, *N*-methyl-2-pyrrolidone, *N*-methylpyrrolidinone and hexamethylphosphoric triamide; sulphoxides, such as dimethyl sulphoxide; and sulphones, such as sulfolane. Of these, we prefer the aromatic hydrocarbons, halogenated hydrocarbons, ethers, nitriles and amides.

The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. The preferred reaction temperature will depend upon such factors as the nature of the solvent, and the starting material or reagent used. However, in general, we find it convenient to carry out the reaction at a temperature of from -20°C to 100°C, more preferably from 0°C to 80°C. The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents and solvent employed. However, provided that the reaction is effected under the preferred conditions outlined above, a period of from 5 minutes to 2 days, more preferably from 10 minutes to 1 day, will usually suffice.

Alternatively, the compound of formula (I) in which A represents a group of formula $-N(R^2)CON(R^3)N(R^4)CO-$, $-N(R^2)CON(R^3)CO-$ or $-N(R^2)CON(R^3)SO_2-$ [in which R^2 represents a hydrogen atom, and R^3 and R^4 are as defined above] can be prepared by reacting the above isocyanic acid ester of formula (XI) with a compound of formula: $H-D^3-B-R^1$ [in which B and R^1 are as defined above, and D^3 represents a group of formula $-N(R^3)N(R^4)CO-$, $-N(R^3)CO-$ or $-N(R^3)SO_2-$ [in which R^3 and R^4 are as defined above]], following the same procedure as described above.

A compound of formula (I) in which A represents a group of formula $-N(R^2)CO-$ (in which R^2 represents a hydrogen atom), B represents a single bond, and R^1 represents a group OR^7 (in which R^7 is as defined above) can be prepared by reacting the above isocyanic acid ester of formula (XI) with a compound of formula: HOR^7 (in which R^7 is as defined above), following the same procedure as described above.

A hydrogen atom of an amino, amide or imide group can be replaced by another group by reacting a compound of formula (I) in which R^2 , R^3 , R^4 , R^5 and/or R^6 represent a hydrogen atom with a compound of formula: $Y-R^8$ (in which Y is as defined above and R^8 represents a group other than a hydrogen atom in the definition of R^2 , R^3 , R^4 and R^6) according to the above Method A, or by alkylation using a combination of an alcohol and a carbodiimide, such as dicyclohexylcarbodiimide. For example, after the dithiolan derivative of the present invention is synthesized according to the above Method E, a hydrogen atom of an amide group in the compound can be replaced by another group by these processes.

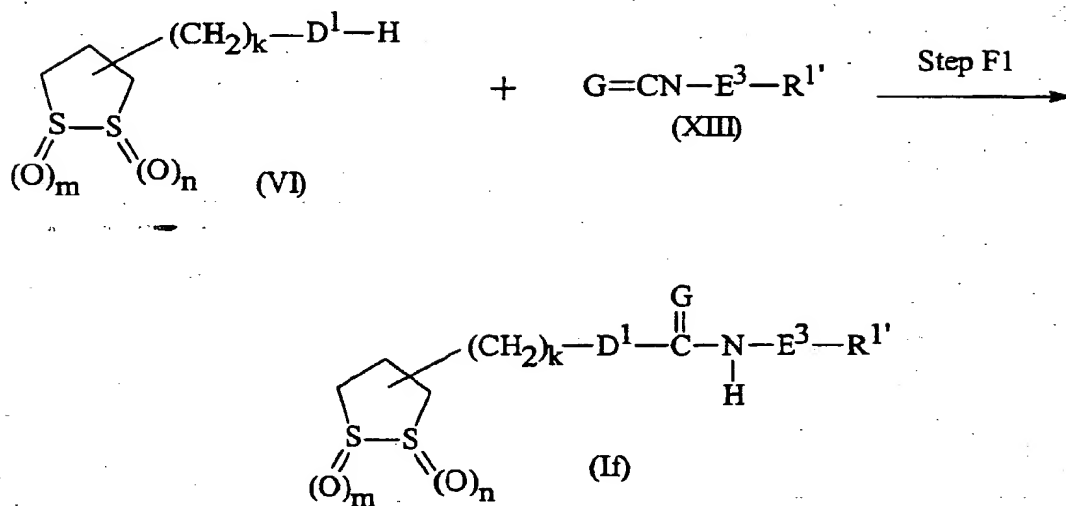
Method F

This demonstrates the preparation of a compound of formula (If), that is a compound of formula (I) in which:

A represents a group of formula $-O-CO-$, $-N(R^2)CO-$, $-N(R^2)CS-$, $-CON(R^2)CO-$, $-CON(R^2)CS-$, $-ON(R^2)CO-$, $-OCON(R^2)CO-$, $-N(R^2)N(R^3)CO-$ or $-N(R^2)CON(R^3)CO-$ [in which R^2 and R^3 are as defined above],

B represents a single bond or a group of formula $-N(R^5)-$ [in which R^5 represents the groups other than hydrogen in the definition of R^5], and

R^1 represents a group as defined above other than hydrogen.

Reaction Scheme F:

In the above formulae:

D^1 , k , m and n are as defined above,

E^3 represents a single bond or a group of formula $\text{---N(R}^5\text{)---}$ [in which R^5 is as defined above],

G represents an oxygen atom or a sulphur atom, and

$\text{R}^{1'}$ represents a group as defined above for R^1 other than hydrogen.

Step F1

In this Step, a dithiolan derivative of formula (If) is prepared by reacting an isocyanic acid ester or an isothiocyanic acid ester of formula (XIII) with a compound of formula (VI). The reaction is essentially the same as that described above in Step E2, and may be carried out using the same solvents, bases and reaction conditions.

The compound of formula (If) in which E^3 represents a single bond and $\text{R}^{1'}$ represents a hydrogen atom can also be prepared by carrying out the reaction using a compound of formula G=CN-R^9 [in which G is as defined above and R^9 represents a silyl group, such as a tri-lower alkylsilyl group, e.g. trimethylsilyl, triethylsilyl, isopropyl dimethylsilyl, t-butyl dimethylsilyl, methyl diisopropylsilyl, methyl di-t-butylsilyl or triisopropylsilyl, or a tri-lower alkylsilyl group substituted with 1 or 2 aryl groups, such as a diphenylmethylsilyl, diphenylbutylsilyl, diphenylisopropylsilyl or phenyl diisopropylsilyl group] instead of the isocyanic acid ester or the isothiocyanic acid ester of formula (XIII).

Where the dithiolan ring is subjected to ring-opening in the course of carrying out the reactions described in Methods A to F to produce a dithiol compound, a dithiolan derivative can be obtained by oxidizing the ring-opened compound to form a disulphide bond. The oxidation reaction is usually carried out using an oxidizing agent in the presence of a solvent.

The reaction is normally and preferably effected in the presence of a solvent. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or on the reagents involved and that it can dissolve the reagents, at least to some extent. Preferred solvents include water-containing organic solvents. Such organic solvents include: ketones, such as acetone; halogenated hydrocarbons, such as methylene chloride, chloroform or carbon tetrachloride; nitriles, such as acetonitrile; ethers, such as diethyl ether, tetrahydrofuran and dioxane; amides, such as dimethylformamide, dimethylacetamide or hexamethylphosphoric triamide;

sulphoxides, such as dimethyl sulphoxide; and alcohols, such as methanol or ethanol.

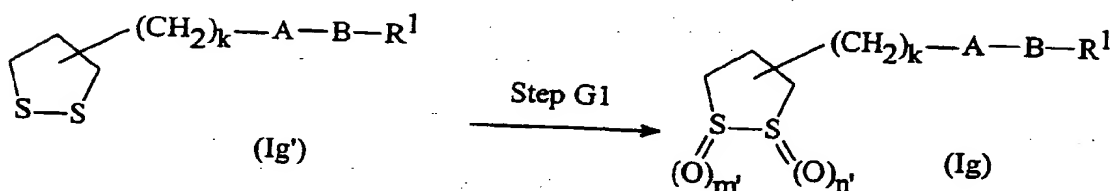
There is likewise no particular restriction on the nature of the oxidizing agents used, and any oxidizing agent commonly used in reactions of this type may equally be used here provided it can form a disulphide bond. Examples of such oxidizing agents include ferric chloride.

The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. The preferred reaction temperature will depend upon such factors as the nature of the solvent, and the starting material or reagent used. However, in general, we find it convenient to carry out the reaction at a temperature of from 0 to 150°C. The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents and solvent employed. However, provided that the reaction is effected under the preferred conditions outlined above, a period of from 10 minutes to 24 hours will usually suffice.

Method G

This illustrates the preparation of a compound of formula (I) in which at least one of \underline{m} and \underline{n} is 1 or 2, that is a compound of formula (Ig) from a compound of formula in which \underline{m} and \underline{n} are both zero, that is a compound of formula (Ig').

Reaction Scheme G:



In the above formulae:

A, B, R¹ and \underline{k} are as defined above; and

\underline{m}' and \underline{n}' are as defined above for \underline{m} and \underline{n} provided that at least one is not 0.

Step G1

In this Step, a dithiolan derivative of formula (Ig) is prepared by oxidizing a compound of formula (Ig') [a compound of formula (I) in which \underline{n} and \underline{m} are 0].

There is no particular restriction on the nature of the oxidizing agents used, and any oxidizing agent commonly used in reactions of this type may equally be used here, provided that it is capable of oxidising a sulphide to a sulfoxide or a sulphone. Examples of such oxidizing agents include: hydroperoxides, such as hydrogen peroxide, t-butyl hydroperoxide or pentyl hydroperoxide; dialkyl peroxides, such as di-t-butyl peroxide; peracids, such as perbenzoic acid, \underline{m} -chloroperbenzoic acid or peracetic acid; peracid esters, such as methyl perbenzoate; and diacyl peroxides, such as benzoyl peroxide. Of these, we particularly prefer hydrogen peroxide, \underline{m} -chloroperbenzoic acid and t-butyl hydroperoxide.

The reaction is normally and preferably effected in the presence of a solvent. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or on the reagents involved and that it can dissolve the reagents, at least to some extent. Examples of suitable solvents include: aromatic hydrocarbons, such as benzene, toluene and xylene; halogenated hydrocarbons, such as methylene chloride, chloroform, carbon tetrachloride, dichloroethane, chlorobenzene and dichlorobenzene; ethers, such as diethyl ether, diisopropyl ether, tetrahydrofuran, dioxane, dimethoxyethane and diethylene glycol dimethyl ether; ketones, such as acetone, methyl ethyl ketone, methyl isobutyl ketone, isophorone and cyclohexanone; nitriles, such as acetonitrile, propionitrile and isobutyronitrile; amides, such as formamide, dimethylformamide, N,N-dimethylacetamide, N-methyl-2-pyrrolidone, N-methylpyrrolidinone and hexamethylphosphoric triamide; sulphoxides, such as dimethyl sulphoxide; sulphones, such as sulfolane; alcohols, such as methanol and ethanol; esters, such as ethyl formate, ethyl acetate,

propyl acetate, butyl acetate and diethyl carbonate; and water. Of these, we prefer the aromatic hydrocarbons, halogenated hydrocarbons, ketones, amides, alcohols and water, more preferably the halogenated hydrocarbons, ketones, amides, alcohols and water.

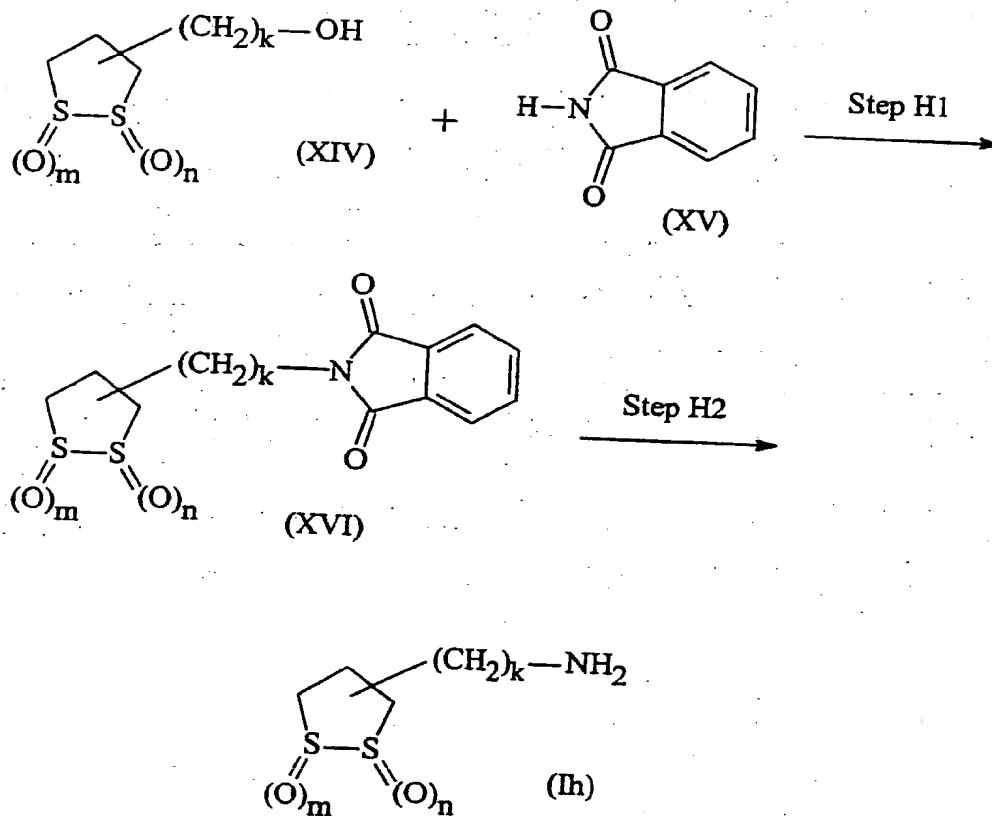
The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. The preferred reaction temperature will depend upon such factors as the nature of the solvent, and the starting material or reagent used. However, in general, we find it convenient to carry out the reaction at a temperature of from -50 to 100°C, more preferably from -20 to 50°C. The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents and solvent employed. However, provided that the reaction is effected under the preferred conditions outlined above, a period of from 10 minutes to 2 days, more preferably from 30 minutes to 12 hours, will usually suffice.

After completion of each of the above reactions, the desired compound may be recovered from the reaction mixture by conventional methods.

For example, the desired compound may be obtained by: suitably neutralising the reaction mixture; removing insolubles by filtration if insolubles exist; adding a water-immiscible organic solvent, such as ethyl acetate to the reaction mixture; washing with water or another suitable solvent; separating the organic layer containing the desired compound; drying it over a drying agent, such as anhydrous sodium sulphate or anhydrous magnesium sulphate; and removing the solvent, e.g. by evaporation.

The compound thus obtained can be separated and purified, if necessary, by appropriately combining conventional methods, for example, recrystallization, reprecipitation or other methods commonly used in the separation and purification of organic compounds, for example, adsorption column chromatography using a carrier such as silica gel, alumina or magnesium-silica gel type Florisil; a method using a synthesized adsorbent such as partition column chromatography using a carrier such as Sephadex LH-20 (trade mark, manufactured by Pharmacia Co, Ltd.), Amberlite XAD-11 (trade mark, manufactured by Rohm and Haas Co. Ltd.) and Diaion HP-20 (trade mark, manufactured by Mitsubishi Kasei Corporation), a method using an ion exchange chromatogram, or normal phase or reverse phase column chromatography using silica gel or alkylated silica gel (preferably high performance liquid chromatography), and eluting with a suitable eluent.

The starting materials in Methods A to G are known compounds or are compounds synthesized from known compound by conventional methods. For example, the amino derivative of formula (Ih), which is a starting material in Method A, Method C and Method F can be prepared by the following Method H.

Method H**Reaction Scheme H:**

In the above formulae: k , m and n are as defined above.

Step H1

In this Step, a phthalimide derivative of formula (XVI) is prepared by carrying out a Mitsunobu reaction between a compound of formula (XIV) and phthalimide of formula (XV).

There is no particular restriction on the nature of the reagents used in the Mitsunobu reaction, and any reagent commonly used in reactions of this type may equally be used here. Examples of such reagents include: a combination of an azo compound, such as a di-lower alkyl azodicarboxylate (for example dimethyl azodicarboxylate, diethyl azodicarboxylate or diisopropyl azodicarboxylate) or an azodicarboxamide [such as 1,1'-(azodicarbonyl)dipiperidine] and a phosphine, such as a triarylphosphine (for example triphenylphosphine) or a tri-lower alkyl phosphine (for example tributylphosphine), more particularly a combination of a di-lower alkyl azodicarboxylate and a triarylphosphine, most preferably a combination of dimethyl azodicarboxylate and triphenylphosphine.

The reaction is normally and preferably effected in the presence of a solvent. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or on the reagents involved and that it can dissolve the reagents, at least to some extent. Examples of suitable solvents include: aromatic hydrocarbons, such as benzene, toluene and xylene; halogenated hydrocarbons, such as methylene chloride, chloroform, carbon tetrachloride, dichloroethane, chlorobenzene and dichlorobenzene; esters, such as ethyl formate, ethyl acetate, propyl acetate, butyl acetate and diethyl carbonate; ethers, such as diethyl ether, diisopropyl ether, tetrahy-

drofuran, dioxane, dimethoxyethane and diethylene glycol dimethyl ether; nitriles, such as acetonitrile and isobutyronitrile; amides, such as formamide, dimethylformamide, *N,N*-dimethylacetamide, *N*-methyl-2-pyrrolidone, *N*-methylpyrrolidinone and hexamethylphosphoric triamide; and sulphoxides, such as dimethyl sulphoxide and sulpholane. Of these, we prefer the aromatic hydrocarbons and ethers.

The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. The preferred reaction temperature will depend upon such factors as the nature of the solvent, and the starting material or reagent used. However, in general, we find it convenient to carry out the reaction at a temperature of from -20 to 100°C, more preferably from 0 to 50°C. The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents and solvent employed. However, provided that the reaction is effected under the preferred conditions outlined above, a period of from 10 minutes to 3 days, more preferably from 30 minutes to 12 hours, will usually suffice.

Step H2

In this Step, an amino derivative of formula (Ih) is prepared by reacting the phthalimide derivative of formula (XVI) with butylamine or hydrazine in a solvent. For example it may be accomplished by reacting the phthalimide derivative of formula (XVI) with butylamine in methanol at room temperature for 6 hours.

Since the dithiolan derivatives have the effect of increasing the activity of glutathione reductase, a composition which increases the activity of glutathione reductase, containing those compounds or pharmaceutically acceptable salts thereof can be used for the prevention or treatment of diseases resulting from oxidative stress. Examples of diseases resulting from oxidative stress are disease or pathologic states including damage caused by alcohol abuse, exposure to xenobiotic agents or radiation; intracellular oxidative states caused by hepatic diseases; intoxication from drugs and chemical agents (e.g. carcinostats including platinum chelate, antibiotics, antiparasitics, paraquat, carbon tetrachloride and halothane); intoxication from heavy metals; disorders of the nervous system including brain and neurone degenerative disorders (e.g. cerebral ischaemia, cerebral ictus, hypoglycaemia, epileptic attacks, amyotrophic lateral sclerosis, Alzheimer's disease, Parkinson's disease and Huntington's chorea); diseases related to an altered functionality of the immune system, in particular tumour immunotherapy; infertility, in particular male infertility; coronary heart disease; ophthalmologic disorders such as cataract, retinopathy of prematurity and siderosis; pulmonary diseases such as idiopathic pulmonary fibrosis, adult respiratory distress syndrome, emphysema, asthma, bronchopulmonary dysplasia and interstitial pulmonary fibrosis; chronic renal failure; gastric ulcer; canceration and metastases of cancer, including colorectal cancer; diabetes; hepatocyte necrosis and apoptosis including ethanol-induced hepatopathy; viral diseases including influenza, hepatitis B and HIV; abnormalities of blood or blood vessels such as Fanconi's anemia, septicemia, enhanced permeability through blood vessels and leukocyte adherence; various malformations such as Down's syndrome, Duchenne muscular dystrophy, Becker dystrophy, Dubin-Johnson-Spring syndrome and favism; and inflammatory diseases such as nephritis, pancreatitis, dermatitis, fatigue and rheumatism. In particular, the dithiolan derivatives and pharmaceutically acceptable salts thereof of the present invention are useful for the prevention or treatment of diseases or pathologic states such as damage caused by radiation, intracellular oxidative states caused by hepatic diseases, intoxication (i.e. side effects) from carcinostats including platinum chelate, disorders of the nervous system, cataract, diabetes, hepatocyte necrosis and apoptosis, viral diseases, and inflammatory diseases.

Among the above-described diseases resulting from oxidative stress, there are some diseases where the effects are irreversible, once they have occurred. A therapeutic agent for such a disease means a medicament which prevents or delays the progress of the disease.

The dithiolan derivatives of formula (I) or pharmaceutically acceptable salts thereof of the present invention can be used together with a medicament which is known as a preventive agent or therapeutic agent for a disease listed above as the diseases resulting from oxidative stress and may show a synergistic effect.

Cyanamide, disulphiram, adenine and cysteine are known as medicaments for treating the damage caused by alcohol abuse, exposure to xenobiotic agents or radiation; aminoethylsulphonic acid, protoporphyrin disodium and diisopropylamine dichloroacetate are known as medicaments for treating intracellular oxidative states caused by hepatic diseases; glutathione, dimercaprol, and calcium disodium edetate are known as medicaments for treating intoxication from drugs and chemical agents (e.g. carcinostats including platinum chelate, antibiotics, antiparasitics, paraquat, carbon tetrachloride and halothane) or for treating intoxication from heavy metals; phenobarbital, phenytoin, bromocriptine mesylate, sulpiride, sodium valproate, haloperidol, levodopa-carbidopa, idebenone and aniracetam are known as medicaments for treating disorders of the nervous system including brain and neurone degenerative disorders (e.g. cerebral ischaemia, cerebral ictus, hypoglycaemia, epileptic attacks, amyotrophic lateral sclerosis, Alzheimer's disease, Parkinson's disease and Huntington's chorea); cyclophosphamide, interferon- α and interferon- β are known as medicaments for treating diseases related to an altered functionality of the immune system, in particular tumour immunotherapy; sildenafil is known as a medicament for treating infertility, in particular male infertility; digitoxin and digoxin are known as medicaments for treating coronary heart disease; pirenixine is known as a medicament for

treating ophthalmologic disorders such as cataract, retinopathy of prematurity and siderosis; theophylline, ketotifen fumarate, epinastine hydrochloride, pranlukast and suplatast tosylate are known as medicaments for treating pulmonary diseases such as idiopathic pulmonary fibrosis, adult respiratory distress syndrome, emphysema, asthma, bronchopulmonary dysplasia and interstitial pulmonary fibrosis; furosemide, etacrynic acid and bumetanide are known as medicaments for treating chronic renal failure; teprenone, rebamipide, ecabet sodium, plaunotol, famotidine, ranitidine hydrochloride and lansoprazole are known as medicaments for treating gastric ulcer; BB-2516 and AG3340 are known to be useful against cancer and metastases of cancer including colorectal cancer; epalrestat, voglibose, acarbose, insulin, glibenclamide and troglitazone are known as medicaments for treating diabetes; aminoethylsulphonic acid, protoporphyrin disodium and diisopropylamine dichloroacetate are known as medicaments for treating hepatocyte necrosis and apoptosis including ethanol-induced hepatopathy; acyclovir, zidovudine, interferon- α , interferon- β and interferon- γ are known as medicaments for treating viral diseases including influenza, hepatitis B and HIV; erythropoietin derivatives are known as medicaments for treating abnormalities of the blood or blood vessels such as Fanconi's anemia, septicemia, enhanced permeability through blood vessels and leukocyte adherence; fenipentol, camostat mesylate, indomethacin, loxoprofen sodium and diclofenac sodium are known as medicaments for treating inflammatory diseases such as nephritis, pancreatitis, dermatitis, fatigue and rheumatism.

The compounds of the present invention can be administered in any conventional pharmaceutical formulation, the nature of which will depend on the patient and the intended route of administration. For example for oral administration, suitable formulations include tablets, capsules, granules, powders or syrups. For parenteral administration suitable formulations include injections or suppositories. These formulations can be prepared by well-known methods using additives such as excipients, lubricants, binders, disintegrating agents, stabilizers, corrigents and diluents.

Examples of suitable excipients include organic excipients, for example: sugar derivatives such as lactose, sucrose, glucose, mannitol or sorbitol; starch derivatives such as corn starch, potato starch, α -starch, dextrin or carboxymethyl starch; cellulose derivatives such as crystalline cellulose, low substituted hydroxypropylcellulose, hydroxypropylmethylcellulose, carboxymethylcellulose, carboxymethylcellulose calcium or internally bridged carboxymethylcellulose sodium; gum arabic; dextran; and Pullulan; inorganic excipients including silicate derivatives such as light silicic acid anhydride, synthetic aluminium silicate or magnesium meta-silicic acid aluminate; phosphates such as calcium phosphate; carbonates such as calcium carbonate; and sulphates such as calcium sulphate.

Examples of suitable lubricants include stearic acid, metal stearates such as calcium stearate or magnesium stearate; talc; colloidal silica; waxes such as beeswax or spermaceti; boric acid; adipic acid; sulphates such as sodium sulphate; glycol; fumaric acid; sodium benzoate; DL-leucine; sodium salts of fatty acids; lauryl sulphates such as sodium lauryl sulphate or magnesium lauryl sulphate; silicates such as silicic acid anhydride or silicic acid hydrate; and the foregoing starch derivatives.

Examples of suitable binders include polyvinylpyrrolidone, Macrogol, and similar compounds to the excipients described above.

Examples of suitable disintegrating agents include similar compounds to the excipients described above; and chemically modified starches or celluloses such as crosscarmellose sodium, sodium carboxymethylstarch or bridged polyvinylpyrrolidone.

Examples of suitable stabilisers include paraoxybenzoates such as methylparaben or propylparaben; alcohols such as chlorobutanol, benzyl alcohol or phenylethyl alcohol; benzalkonium chloride; phenols such as phenol or cresol; thimerosal; dehydroacetic acid; and sorbic acid.

Examples of suitable corrigents include sweeteners, vinegar or perfumes such as those conventionally used.

Moreover, since the dithiolan derivative or the pharmaceutically acceptable salt thereof of the present invention is less stimulating for the eyes, it can be topically administered to the eyes. Suitable formulations for the topical administration to the eyes include solutions, suspensions, gels, ointments and solid inserting agents.

The formulation of these compositions for topical administration may contain the dithiolan derivative or the pharmaceutically acceptable salt thereof at a level of from 0.001% (preferably 0.01%) as a lower limit to 10% (preferably 5%) as an upper limit.

The pharmaceutical formulation containing an active compound can, if desired, be mixed with a non-toxic inorganic or organic carrier for pharmaceuticals.

Typical pharmaceutically acceptable carriers include water, a mixture of water and a water-miscible solvent such as a lower alkanol or aralkanol, a vegetable oil, polyalkylene glycol, a jelly using a petroleum as a base material, ethylcellulose, ethyl oleate, carboxymethylcellulose, polyvinylpyrrolidone, isopropyl myristate and other acceptable carriers which can be preferably used. The formulation may contain non-toxic auxiliary substances such as an emulsifier, a preservative, a wetting agent and an excipient, for example, polyethylene glycol 200, 300, 400 and 600, carbowax 1000, 1500, 4000, 6000 and 10000, *p*-hydroxybenzoic acid esters such as methyl *p*-hydroxybenzoate or propyl *p*-hydroxybenzoate, a quat many ammonium compound (for example, benzetonium chloride or benzalkonium chloride) which are known as compounds having anti-fungal properties at low temperatures and are non-toxic when used, an anti-fungal agent such as a phenyl mercury salt, a buffering component such as thimerosal, methyl- and propylparaben,

benzyl alcohol, phenylethanol, sodium chloride, sodium borate and sodium acetate, a gluconic acid buffering agent and sorbitan monolaurate, triethanolamine, polyoxyethylenesorbitan monopalmitate, sodium dioctyl sulphosuccinate, monothioglycerol, thiosorbitol and ethylenediaminetetraacetic acid.

Ophthalmological excipients can be used as a desired support medium for the compounds of the present invention and examples include the usual phosphoric acid buffering excipients (for example, a sodium phosphate buffer or a potassium phosphate buffer), isotonic boric acid excipients, isotonic sodium chloride excipients and isotonic sodium borat excipients.

As a further alternative, the pharmaceutical formulation may have the form of a solid insert which remains almost intact after the formulation has been administered, or it may also be formulated as a disintegrating insert which dissolves in the tear fluid or is disintegrated by other methods.

The dose of the dithiolan derivative of formula (I) or the pharmaceutically acceptable salt thereof of the present invention will vary, depending upon the condition and age of the patient and the form and route of administration. However, for example, in the case of oral administration, for an adult human patient, it is desirable to administer from 0.1 mg (preferably 1 mg) as a lower limit to 10000 mg (preferably 5000 mg) as an upper limit per day. In the case of intravenous administration, it is desirable to administer from 0.01 mg (preferably 0.1 mg) as a lower limit to 5000 mg (preferably 2000 mg) as an upper limit per day. In the case of topical administration to the eyes, it is desirable to administer from 0.001 mg (preferably 0.01 mg) as a lower limit to 500 mg (preferably 200 mg) as an upper limit per day. All of the above may be administered as a single dose or in divided doses. The dose and dosage regime will depend on the condition of the patient.

Pharmaceutical preparations of the present invention are illustrated by the following non-limiting Formulation Examples.

FORMULATION EXAMPLE 1

Powder

5 g of N-[5-(1,2-dithiolan-3-yl)pentanoyl]methanesulphonamide (the compound of Example 2 hereafter), 895 g of lactose and 100 g of corn starch are mixed by means of a blender to obtain a powder.

FORMULATION EXAMPLE 2

Granules

5 g of N-[5-(1,2-dithiolan-3-yl)pentanoyl]sulphamide (the compound of Example 7 hereafter), 865 g of lactose and 100 g of low substituted hydroxypropylcellulose are mixed. 300 g of a 10% w/v aqueous solution of hydroxypropyl cellulose are then added to the mixture, and then the resulting mixture is kneaded. The mixture is then granulated using an extruding granulator, after which it is dried to obtain a granule formulation.

FORMULATION EXAMPLE 3

Capsules

5 g of N-[4-(1,2-dithiolan-3-yl)butyl-N'-methylurea (the compound of Example 8 hereafter), 115 g of lactose, 58 g of corn starch and 2 g of magnesium stearate are mixed using a V-type mixer. 180 mg of the mixture are then encapsulated in a No. 3 capsule to obtain a capsule formulation.

FORMULATION EXAMPLE 4

Tablet

5 g of (R)-N-[5-(1,2-dithiolan-3-yl)pentanoyl]methanesulphonamide (the compound of Example 40 hereafter), 90 g of lactose, 34 g of corn starch, 20 g of crystalline cellulose and 1 g of magnesium stearate are mixed by means of a blender. The mixture is then pelletised by means of a tablet making machine to obtain tablets.

FORMULATION EXAMPLE 5**Eye drops**

The following components are mixed:

| | |
|---|---------|
| (R)-N-[5-(1,2-dithiolan-3-yl)pentanoyl]methanesulphonamide (the Compound of Example 40) | 0.2 g |
| Disodium phosphate | 0.716 g |
| Sodium phosphate | 0.728 g |
| Sodium chloride | 0.400 g |
| Methyl p-hydroxybenzoate | 0.026 g |
| Propyl p-hydroxybenzoate | 0.014 g |
| Sterilised purified water | q.s. |
| Sodium hydroxide | q.s. |
| Total | 100 ml |

The pH of the mixture is adjusted to 7.0 and eye drops are prepared by a conventional method.

FORMULATION EXAMPLE 6**Eye drops**

The following components are mixed:

| | |
|---|---------|
| (R)-N-[5-(1,2-dithiolan-3-yl)pentanoyl]methanesulphonamide (the Compound of Example 40) | 0.2 g |
| Disodium phosphate | 0.716 g |
| Sodium phosphate | 0.728 g |
| Sodium chloride | 0.400 g |
| Methyl p-hydroxybenzoate | 0.026 g |
| Propyl p-hydroxybenzoate | 0.014 g |
| Sterilised purified water | q.s. |
| Ascorbic acid | q.s. |
| Sodium hydroxide | q.s. |
| Total | 100 ml |

The pH of the mixture is adjusted to 7.0 and eye drops are prepared by a conventional method.

BIOLOGICAL ACTIVITY

The biological activity of the compounds of the present invention is illustrated by the following Test Examples.

TEST EXAMPLE 1**Measurement of Glutathione Reductase Activity****(a) Lens Tissue Culture**

The test animals were 6 to 8 week old male SD rats (supplier: Nippon SLC). The animals were sacrificed by suffocation by inhalation of carbon dioxide. Both eyeballs of each test animal were then excised. An incision was made in the sclera on the back of the eyeballs, and then the vitreous body and iris-ciliary body were removed, followed by removal of the lens.

Each lens obtained in this manner was cultured by immersing it in 3 ml of the culture solution described below in a 6-well tissue culture plate (FALCON). Culturing was performed for 72 hours in a CO₂ incubator maintained at 37°C and 100% humidity in the presence of 5% CO₂ (in air).

Medium 199 (Gibco) containing penicillin (20 units/ml) and streptomycin (20 µg/ml) was used as the control culture

solution.

The test culture solution contained the test compound added to the above-mentioned culture solution. The cultured lenses were placed in frozen storage until the time of the test.

(b) Measurement of Glutathione Reductase Activity

After homogenising each frozen rat lens in 2 ml of distilled water, the resulting homogenate was separated by centrifugation (10,000 g, 20 minutes) after which the resulting supernatant was used as the enzyme sample.

400 μ l of enzyme sample were added to 0.6 ml of phosphate buffer containing 1 mM oxidized glutathione (GSSG) and 100 μ M NADPH. After the mixture had reacted at 25°C for 6 minutes, the absorbance of the reaction mixture (at 340 nm: i.e. OD_{340nm}) was measured. The difference (ΔOD_{340nm}) between the OD_{340nm} value before reaction and the OD_{340nm} value after completion of the reaction was used as an indicator of glutathione reductase activity.

The results for the compound of Example 2 are shown in the following Table 4.

Table 4

| Concentration of the compound of Example 2 (μ M) | $\Delta OD_{340nm}/min/g$ protein |
|---|-----------------------------------|
| 0 | 3.10 \pm 0.11 |
| 10 | 3.24 \pm 0.10 |
| 30 | 3.20 \pm 0.09 |
| 100 | 3.59 \pm 0.05 (p<0.05) |
| 300 | 3.70 \pm 0.08 (p<0.05) |
| 1000 | 4.16 \pm 0.18 (p<0.05) |

The dithiolan derivatives of the present invention exhibited excellent glutathione reductase activity enhancing effects.

TEXT EXAMPLE 2

Anti-cataract Test

The test animals were 6 week old male SD rats (supplier: Nippon SLC). The animals were sacrificed by suffocation by inhalation of carbon dioxide. Both eyeballs of each test animal were then excised. The excised lenses were cultured at 37°C for 24 hours in Medium 199 (Gibco) containing 0.05 mg/ml of the test compound and 5 mM hydrogen peroxide. For the control test, excised lenses were cultured at 37°C for 24 hours in normal culture liquid (Medium 199, Gibco) or Medium 199 (Gibco) containing 5 mM hydrogen peroxide.

After culturing for 24 hours, the lenses were washed with physiological saline. Surface moisture was removed by placing the lenses on a piece of filter paper, and then the lenses were placed on a slide glass after which lens turbidity was scored under stereomicroscope from "-" (turbidity degree of the lens cultured in a normal culture medium) to "++++" (turbidity degree of the lens cultured in a medium containing hydrogen peroxide). The results are shown in Table 5.

Table 5

| Compound of
Example No. | Rat Lens turbidity in 5 mM
H ₂ O ₂ , 24 hours |
|----------------------------|--|
| 1 | ++ |
| 2 | ++ |
| 7 | + |
| 8 | ++ |
| 21 | ++ |
| 43 | ++ |
| 45 | ++ |
| 46 | + |
| 50 | + |
| 52 | + |
| 55 | + |
| 56 | ++ |
| 57 | + |
| 59 | + |

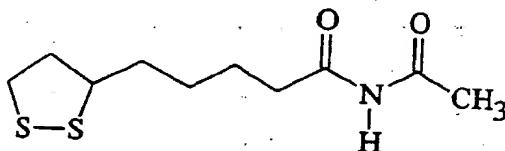
Table 5 (cont.)

| Compound of
Example No. | Rat Lens turbidity in 5 mM
H ₂ O ₂ , 24 hours |
|----------------------------|--|
| 61 | + |
| 63 | ++ |
| 70 | ++ |
| 74 | ++ |
| 79 | ++ |
| 80 | ++ |
| 86 | ++ |
| 103 | ++ |
| 106 | ++ |
| 109 | + |
| 118 | ++ |
| 123 | ++ |
| lipoic acid | +++ |
| normal lens | - |
| without drug | ++++ |

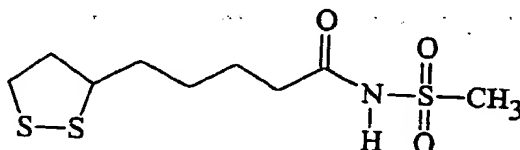
As can be seen from the above results, the compounds of the present invention substantially improve the opacity of the lens.

EXAMPLES

The present invention is further illustrated by reference to the following non-limiting Examples.

EXAMPLE 1**N-Acetyl- α -thiooctamide (Compound No. 1-271)**

A mixture of 0.76 g of D,L- α -thiooctamide, 1.5 g of acetic anhydride and 10 ml of pyridine was heated under reflux for 20 hours. The pyridine was then removed from the reaction mixture by distillation under reduced pressure, and water was added to the residue, which was then extracted with ethyl acetate. The extraction solution was washed twice with water and dried over anhydrous magnesium sulphate. 0.76 g of the crude product obtained by evaporating the solvent under reduced pressure was purified by silica gel column chromatography, using a 2 : 1 by volume mixture of hexane and ethyl acetate as eluent, to obtain 0.45 g of 3-(4-cyanobutyl)-1,2-dithiolan having [an R_f value of 0.84 (silica gel thin layer chromatography, using a 1 : 1 by volume mixture of hexane and ethyl acetate as the developing solvent)] as a first component and 0.17 g of the title compound, melting at 67°C to 69°C as a second component.

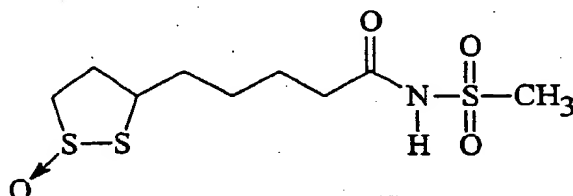
EXAMPLE 2**N-[5-(1,2-Dithiolan-3-yl)pentanoyl]methanesulphonamide (Compound No. 1-496)**

(a) 0.88 g of sodium hydride (as a 55% by weight dispersion in mineral oil) was washed with hexane, and 20 ml of dimethylformamide and 1.96 g of methanesulphonamide were added to the dispersion at room temperature. The resulting mixture was subjected to ultrasonic treatment for three hours and then left to stand at room temperature overnight, to give reaction mixture (A).

Separately, 2.06 g of D,L- α -lipoic acid were dissolved in 20 ml of dimethylformamide, and 1.63 g of N,N'-carbonyldiimidazole were added to the solution, whilst ice-cooling. The resulting mixture was then left to stand at room temperature overnight. At the end of this time, the reaction mixture was added dropwise to the above reaction mixture (A) at room temperature, and the mixture was stirred for 7 hours. The reaction mixture was then heated at 130°C for 3 hours, after which it was left to cool, and then poured into ice-water. Diluted aqueous hydrochloric acid was added to the mixture to adjust the pH to 5, and the mixture was extracted with ethyl acetate. The extraction solution was washed three times with a saturated aqueous solution of sodium chloride and then dried over anhydrous magnesium sulphate. The solvent was then removed by distillation under reduced pressure, and the resulting residue was purified by silica gel column chromatography, using a 4 : 1 by volume mixture of ethyl acetate and hexane and then ethyl acetate alone as the eluent, to obtain 0.12 g of the title compound, melting at 87°C to 88°C.

(b) 25.0 g of D,L- α -lipoic acid were dissolved in 500 ml of anhydrous dimethylformamide, and 21.57 g of N,N'-carbonyldiimidazole were added to the solution, whilst ice-cooling, after which the resulting mixture was stirred at room temperature for 2 hours and 30 minutes. 12.65 g of methanesulphonamide and 5.80 g of sodium hydride (as a 55% w/w dispersion in mineral oil) were then added, whilst ice-cooling, to the reaction mixture, and the mixture was stirred at room temperature for 4 hours and then left to stand at room temperature overnight. At the end of this time, the solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue, after which it was extracted with ethyl acetate. The extraction solution was washed with

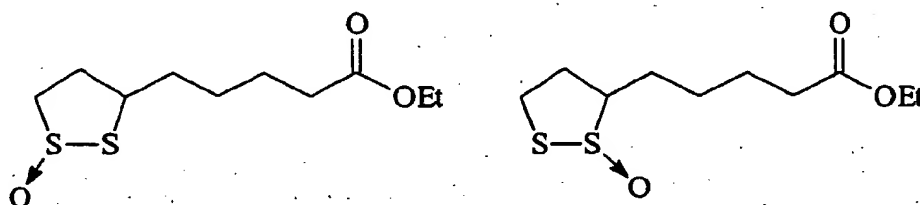
a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate, and then the solvent was removed by evaporation under reduced pressure. The residue thus obtained was purified by silica gel column chromatography, using 1 : 1, 2 : 1 and 3 : 1 by volume mixtures of ethyl acetate and hexane as eluent, to obtain 19.85 g of the title compound, melting at 85°C to 88°C.

EXAMPLE 3**N-[5-(1-Oxo-1,2-dithiolan-3-yl)pentanoyl]methanesulphonamide (Compound No. 2-496)**

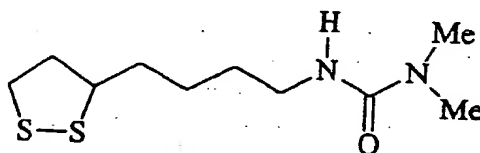
500 mg of N-[5-(1,2-dithiolan-3-yl)pentanoyl]methanesulphonamide (prepared as described in Example 2) were dissolved in 10 ml of acetone, and 0.44 ml of a 31% w/v aqueous solution of hydrogen peroxide was added to the solution, whilst ice-cooling. The mixture was stirred and then left to stand at room temperature overnight. At the end of this time, a further 0.2 ml of a 31% w/v aqueous solution of hydrogen peroxide was added to the reaction mixture, and then the mixture was stirred at room temperature for 30 minutes, and then stirred on an oil bath at 50°C for 1 hour. The mixture was then left to stand at room temperature for 3 days, after which it was stirred on an oil bath at 50°C for 10 hours; it was then left to stand at room temperature overnight. The solvent was then removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue thus obtained, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate, and then the solvent was removed by evaporation under reduced pressure. The residue thus obtained was purified by reverse phase preparative silica gel column chromatography, using 1 : 3 and 2 : 3 by volume mixtures of acetonitrile and water as the eluent. The solvent was evaporated from the eluted fraction thus obtained under reduced pressure, and the fraction was lyophilised, to obtain 0.15 g of the title compound (diastereomer mixture) as a colorless oil having an R_f value of 0.43 (silica gel thin layer chromatography, using a 10 : 1 by volume mixture of ethyl acetate and methanol as the developing solvent).

EXAMPLE 4**N-[5-(1,2-Dithiolan-3-yl)pentanoyl]methanesulphonamide sodium salt (Compound No. 1-496.sodium salt)**

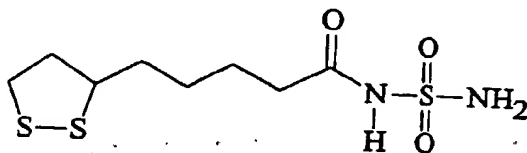
750 mg of N-[5-(1,2-dithiolan-3-yl)pentanoyl]methanesulphonamide (prepared as described in Example 2) were dissolved in 15 ml of ethyl acetate, and 482 mg of sodium 2-ethylhexoate was added to the mixture at room temperature. The mixture was stirred for 2 hours, after which it was left to stand for 2 days at room temperature. The crystals which precipitated from the reaction mixture were collected by filtration, to obtain 550 mg of the title compound, melting at 202°C to 204°C.

EXAMPLE 5**Ethyl 5-(1-oxo-1,2-dithiolan-3-yl)pentanoate and ethyl 5-(2-oxo-1,2-dithiolan-3-yl)pentanoate (Compound No. 2-208 and Compound No. 3-208)**

1.00 g of D,L- α -lipoic acid was dissolved in 20 ml of acetone, and 0.58 g of a 31% w/v aqueous solution of hydrogen peroxide was added to the solution on a dry ice-acetone bath. The mixture was stirred for 2 hours, and it was then left to stand at room temperature overnight. At the end of this time, the solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate, and then the solvent was removed by evaporation under reduced pressure. 16 ml of anhydrous ethanol and 4 ml of a 4 N solution of hydrogen chloride in ethyl acetate were added to the residue thus obtained, and the mixture was stirred for 2 hours. The solvent was then removed from the reaction mixture by evaporation under reduced pressure, and the residue thus obtained was purified by silica gel column chromatography, using 1:1, 2:1 and 3:1 by volume mixtures of ethyl acetate and hexane as eluent, to obtain 0.26 g of ethyl 5-(2-oxo-1,2-dithiolan-3-yl)pentanoate having an Rf value of 0.41 (silica gel thin layer chromatography; using a 2:1 by volume mixture of ethyl acetate and hexane as the developing solvent) and 0.67 g of ethyl 5-(1-oxo-1,2-dithiolan-3-yl)pentanoate having an Rf value of 0.29 (silica gel thin layer chromatography; using a 2:1 by volume mixture of ethyl acetate and hexane as the developing solvent).

EXAMPLE 6**N-[4-(1,2-Dithiolan-3-yl)butyl]-N',N'-dimethylurea (Compound No. 1-815)**

1.00 g of D,L- α -lipoic acid was dissolved in 20 ml of toluene, and 2.00 ml of triethylamine and 1.25 ml of diphenylphosphoryl azide were added to the resulting solution at room temperature, and then the mixture was stirred on an oil bath at 80°C for 2 hours. 0.47 g of dimethylamine hydrochloride was then added to the reaction mixture, and the mixture was stirred at room temperature for 4 hours. At the end of this time, the solvent was removed from the reaction mixture by evaporation under reduced pressure, and 20 ml of anhydrous tetrahydrofuran and 0.52 ml of a 50% v/v aqueous solution of dimethylamine were added to the residue thus obtained; the mixture was then left to stand at room temperature overnight. The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue thus obtained, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate, and then the solvent was removed by evaporation under reduced pressure. The residue thus obtained was purified by silica gel column chromatography, using ethyl acetate and then a 9:1 by volume mixture of ethyl acetate and methanol as eluent. The solvent was evaporated from the fraction including the title compound under reduced pressure, and the residue thus obtained was dissolved in dioxane. The solution was lyophilised, to obtain 832 mg of the title compound, melting at 52°C to 53°C.

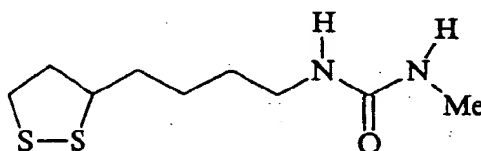
EXAMPLE 7**N-[5-(1,2-Dithiolan-3-yl)pentanoyl]sulphamide (Compound No. 1-539)**

50 mg of D,L- α -lipoic acid were dissolved in 10 ml of anhydrous dimethylformamide, and 421 mg of N,N'-carbonyldiimidazole were added to the solution, whilst ice-cooling, and then the mixture was stirred at room temperature for 3 hours. 461 mg of sulphamide and 113 mg of sodium hydride (as a 55% w/w dispersion in mineral oil) were then added to the reaction mixture, whilst ice-cooling, and the mixture was stirred for 4 hours and then left to stand at room

temperature overnight. At the end of this time, the solvent was removed from the reaction mixture by evaporation under reduced pressure, and water and 2 N aqueous hydrochloric acid were added to the residue thus obtained to adjust the pH to 5 to 6, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate, and then the solvent was removed by evaporation under reduced pressure. The residue thus obtained was subjected to silica gel column chromatography, using a 3 : 2 and then a 2 : 1 by volume mixture of ethyl acetate and hexane as the eluent, and the resulting fraction was then recrystallized from a 1 : 2 : 1 by volume mixture of ethanol, diisopropyl ether and hexane, to obtain 119 mg of the title compound, melting at 141°C to 142°C.

EXAMPLE 8

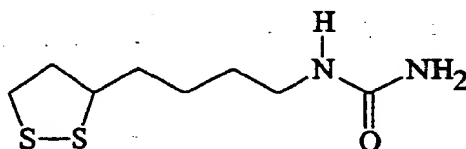
N-[4-(1,2-Dithiolan-3-yl)butyl]-N'-methylurea (Compound No. 1-733)



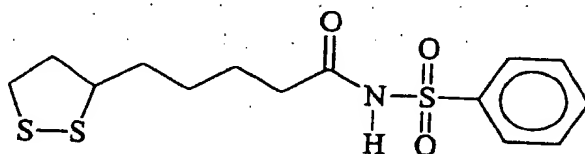
1.00 g of D,L- α -lipoic acid was dissolved in 20 ml of toluene, and 2.00 ml of triethylamine and 1.25 ml of diphenylphosphoryl azide were added to the resulting solution at room temperature, after which the resulting mixture was stirred on an oil bath at 80°C for 3 hours. The solvent was then removed from the reaction mixture by evaporation under reduced pressure, and 20 ml of tetrahydrofuran and 0.45 ml of a 40% v/v aqueous solution of methylamine were added to the residue thus obtained, whilst ice-cooling, and the mixture was stirred at room temperature for 3 hours and then left to stand at room temperature overnight. The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue thus obtained, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate, and then the solvent was removed by evaporation under reduced pressure. The residue thus obtained was purified by silica gel column chromatography, using ethyl acetate and then 20 : 1 and 10 : 1 by volume mixtures of ethyl acetate and methanol as the eluent, to obtain 425 mg of the title compound, melting at 89°C to 90°C.

EXAMPLE 9

N-[4-(1,2-Dithiolan-3-yl)butyl]urea (Compound No. 1-693)



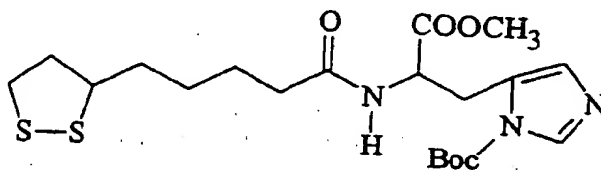
1.00 g of D,L- α -lipoic acid was dissolved in 20 ml of toluene, and 0.80 ml of triethylamine and 1.25 ml of diphenylphosphoryl azide were added to the solution at room temperature, after which the resulting mixture was stirred on an oil bath at 80°C for 4 hours. The solvent was then removed from the reaction mixture by evaporation under reduced pressure, and 20 ml of tetrahydrofuran and 0.49 ml of 28% w/v aqueous ammonia were added to the residue thus obtained. The mixture was then stirred at room temperature for 4 hours, after which it was left to stand overnight. The solvent was then removed from the reaction mixture by evaporation under reduced pressure, and water and 2 N aqueous hydrochloric acid were added to the mixture to adjust the pH to 2, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate, and then the solvent was removed by evaporation under reduced pressure. The residue thus obtained was purified by silica gel column chromatography, using 10 : 1, 4 : 1 and 3 : 1 by volume mixtures of ethyl acetate and methanol as eluent, to obtain 340 mg of the title compound, melting at 110°C to 113°C.

EXAMPLE 10**N-[5-(1,2-Dithiolan-3-yl)pentanoyl]benzenesulphonamide (Compound No. 1-457)**

1.00 g of D,L- α -lipoic acid was dissolved in 20 ml of anhydrous dimethylformamide, and 0.86 g of N,N'-carbonyldiimidazole was added to the solution, whilst ice-cooling. The mixture was then stirred at room temperature for 2 hours and 30 minutes. 0.83 g of benzenesulphonamide and 0.23 g of sodium hydride (as a 55% w/w dispersion in mineral oil) were added to the reaction mixture, whilst ice-cooling, and the mixture was stirred for 2 hours. The solvent was then removed from the reaction mixture by evaporation under reduced pressure, and water and 2 N aqueous hydrochloric acid were added to the residue thus obtained to adjust the pH to 2, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate, and then the solvent was removed by evaporation under reduced pressure. The residue thus obtained was purified by silica gel column chromatography, using 1 : 2, 1 : 1 and 2 : 1 by volume mixtures of ethyl acetate and hexane as eluent, and then by reverse phase preparative silica gel column chromatography, using 3 : 7, 1 : 1 and 7 : 3 by volume mixtures of acetonitrile and water as eluent. The solvent was evaporated under reduced pressure from the fraction containing the title compound, and the residue thus obtained was dissolved in dioxane. The resulting solution was lyophilised, to obtain 0.61 g of the title compound having an R_f value of 0.51 (silica gel thin layer chromatography; using a 2 : 1 by volume mixture of ethyl acetate and hexane as the developing solvent).

EXAMPLE 11**N-[5-(1,2-Dithiolan-3-yl)pentanoyl]benzenesulphonamide sodium salt (Compound No. 1-457.sodium salt)**

492 mg of N-[5-(1,2-dithiolan-3-yl)pentanoyl]benzenesulphonamide (prepared as described in Example 10) were dissolved in a mixture of 8 ml of ethyl acetate and 1 ml of tetrahydrofuran, and 283 mg of sodium 2-ethylhexoate were added to the mixture at room temperature. The resulting mixture was stirred for 1 hour and 30 minutes, after which it was left to stand for 2 days. The crystals which precipitated from the reaction mixture were collected by filtration to obtain 349 mg of the title compound, melting at 213°C to 215°C.

EXAMPLE 12**N α -[5-(1,2-Dithiolan-3-yl)pentanoyl]-N^{im}-t-butoxycarbonylhistidine methyl ester (Compound No. 1-70)**

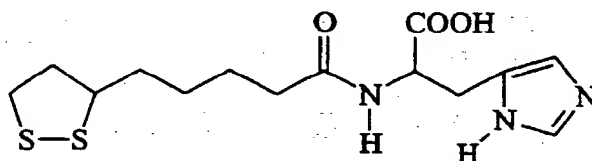
(Boc is t-butoxycarbonyl)

500 mg of D,L- α -lipoic acid were dissolved in 10 ml of anhydrous dimethylformamide, and 422 mg of N,N'-carbonyldiimidazole were added to the solution, whilst ice-cooling. The mixture was then stirred at room temperature for 2 hours. At the end of this time, 629 mg of L-histidine methyl ester dihydrochloride salt and 0.70 ml of triethylamine were added to the reaction mixture, whilst ice-cooling, and the mixture was stirred for 1 hour whilst ice-cooling, and then stirred at room temperature for a further 1 hour. The solvent was then removed from the reaction mixture by evaporation

under reduced pressure, and water was added to the residue thus obtained, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate, and then the solvent was removed by evaporation under reduced pressure. The residue thus obtained was purified by silica gel column chromatography, using ethyl acetate and then 20 : 1, 10 : 1 and 5 : 1 by volume mixtures of ethyl acetate and methanol as eluent. The solvent was evaporated from the fraction containing the product under reduced pressure, and then 5 ml of ethyl acetate were added to the residue thus obtained. 0.55 ml of di-*t*-butyl dicarbonate, 0.33 ml of triethylamine and a catalytic amount of *N,N*-dimethylaminopyridine were added to the resulting solution, and the mixture was stirred for 1 hour. The reaction mixture was then purified by silica gel column chromatography, using a 2 : 1 by volume mixture of ethyl acetate and hexane and then ethyl acetate alone as eluent. The solvent was evaporated from the fraction containing the title compound under reduced pressure and the residue thus obtained was dissolved in dioxane. The solution was lyophilised, to obtain 844 mg of the title compound having an *R_f* value of 0.41 (silica gel thin layer chromatography; using ethyl acetate as the developing solvent).

EXAMPLE 13

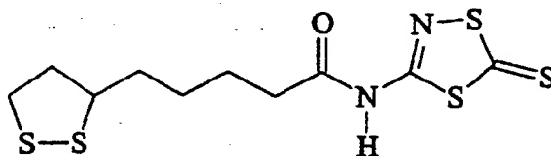
N^α-[5-(1,2-Dithiolan-3-yl)pentanoyl] histidine (Compound No. 1-71)



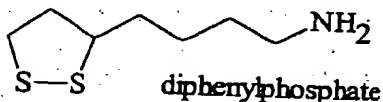
764 mg of *N*^α-[5-(1,2-dithiolan-3-yl)pentanoyl]-*N*^{im}-*t*-butoxycarbonylhistidine methyl ester (prepared as described in Example 12) were dissolved in 5 ml of methanol, and 5.1 ml of a 1 N aqueous solution of sodium hydroxide were added to the solution at room temperature, after which the resulting mixture was stirred for 2 hours and 30 minutes. 2.60 ml of 2 N aqueous hydrochloric acid were then added to the mixture, and the resulting mixture was stirred and then left to stand overnight. The solvent was removed from the reaction mixture by evaporation under reduced pressure and the residue thus obtained was purified by reverse phase preparative silica gel column chromatography, using a 3 : 7 by volume mixture of acetonitrile and water as eluent, to obtain 0.51 g of a mixture of the title compound (77%), melting at 122°C to 126°C, and sodium chloride (23%).

EXAMPLE 14

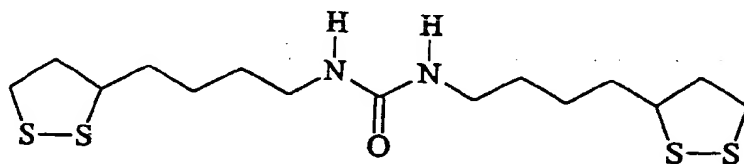
5-[5-(1,2-Dithiolan-3-yl)pentanoylamino]-1,2,4-dithiazole-3-thione (Compound No. 1-72)



500 mg of *D,L*-α-lipoic acid were dissolved in 10 ml of anhydrous dimethylformamide, and 428 mg of *N,N'*-carbonyldiimidazole were added to the solution, whilst ice-cooling. The mixture was then stirred at room temperature for 3 hours, after which 391 mg of 3-amino-1,2,4-dithiazole-5-thione were added to the reaction mixture, whilst ice-cooling, and the mixture was stirred for 1 hour and 30 minutes. It was then left to stand at room temperature overnight. The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue thus obtained, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate, and then the solvent was removed by evaporation under reduced pressure. The residue thus obtained was purified by silica gel column chromatography, using 1 : 2, 1 : 1 and 2 : 1 by volume mixtures of ethyl acetate and hexane as eluent, and then recrystallized from a mixture of ethyl acetate and hexane, to obtain 372 mg of the title compound, melting at 158°C to 161°C.

EXAMPLE 15**4-(1,2-Dithiolan-3-yl)butylamine diphenylphosphate (Compound No. 1-1123 diphenylphosphate)**

1.00 g of D,L- α -lipoic acid was dissolved in 20 ml of toluene, and 2.00 ml of triethylamine and 1.25 ml of diphenylphosphoryl azide were added to the solution at room temperature, after which the resulting mixture was stirred on an oil bath at 80°C for 2 hours and 30 minutes. The solvent was then removed from the reaction mixture by evaporation under reduced pressure, and 20 ml of tetrahydrofuran and 1.21 ml of a 40% w/v aqueous solution of *O*-methylhydroxylamine hydrochloride were added to the residue thus obtained, whilst ice-cooling. 2 ml of methanol were then added to the mixture, after which the mixture was stirred at room temperature for 6 hours and then left to stand overnight. The solvent was then removed from the reaction mixture by evaporation under reduced pressure, and water and 2 N aqueous hydrochloric acid were added to the residue thus obtained to adjust the pH to 2, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate, and then the solvent was removed by evaporation under reduced pressure. The residue thus obtained was purified by silica gel column chromatography, using ethyl acetate and then a 3 : 1 by volume mixture of ethyl acetate and methanol as eluent, followed by reverse phase preparative silica gel column chromatography (using 1 : 4 and 1 : by volume mixtures of acetonitrile and water as the eluent). The solvent was then removed from the fraction containing the title compound by evaporation under reduced pressure, and water was added to the residue thus obtained, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate, and then the solvent was removed by evaporation under reduced pressure. Ethyl acetate was added to the residue thus obtained to recrystallise it, giving 116 mg of the title compound, melting at 100°C to 103°C.

EXAMPLE 16**N,N'-Bis[4-(1,2-dithiolan-3-yl)butyl]urea (Compound No. 1-765)**

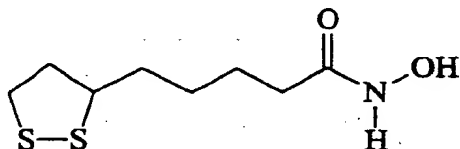
3.0 g of D,L- α -lipoic acid were dissolved in 60 ml of toluene, and 2.40 ml of triethylamine and 3.70 ml of diphenylphosphoryl azide were added to the resulting solution at room temperature, after which the resulting mixture was stirred on an oil bath at 80°C for 2 hours. The solvent was then removed from the reaction mixture by evaporation under reduced pressure, and 60 ml of *t*-butanol were added at room temperature to the residue thus obtained. The mixture was then stirred and then left to stand for 5 days. At the end of this time, the solvent was removed from the reaction mixture by evaporation under reduced pressure, and the residue thus obtained was purified by silica gel column chromatography, using 1 : 5 and 1 : 3 by volume mixtures of ethyl acetate and hexane as eluent. The solvent was then removed by evaporation under reduced pressure, and 5 ml of dioxane were added to the resulting residue. The solvent was then removed by evaporation under reduced pressure. 5 ml of 2 N aqueous hydrochloric acid and 10 ml of methanol were added to the residue thus obtained, and the mixture was stirred on an oil bath at 60°C for 2 hours. The solvent was then removed from the reaction mixture by evaporation under reduced pressure. Water was added to the residue and the mixture was neutralized with triethylamine, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was recrystallized from ethyl acetate, to obtain 260 mg of a crude product.

160 mg of this crude product were purified by reverse phase preparative silica gel column chromatography, using

2 : 3, 1 : 1, 3 : 2 and 7 : 3 by volume mixtures of acetonitrile and water as the eluent. The solvent was then evaporated from the fraction containing the title compound under reduced pressure, to obtain 87 mg of the title compound, melting at 115°C to 116°C.

EXAMPLE 17

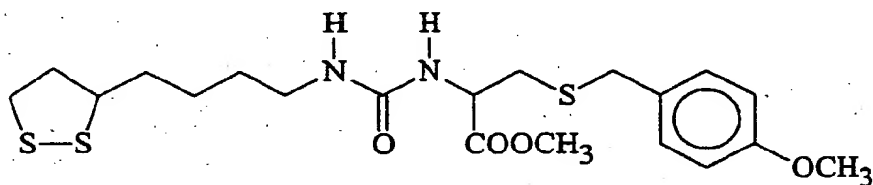
5-(1,2-Dithiolan-3-yl)pentanohydroxamic acid (Compound No. 1-58)



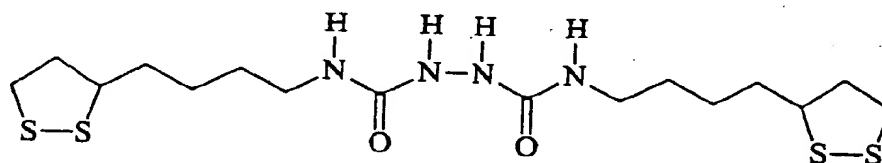
500 mg of D,L- α -lipoic acid were dissolved in 10 ml of anhydrous dimethylformamide, and 428 mg of N,N'-carbonyldiimidazole were added to the solution, whilst ice-cooling, and then the mixture was stirred at room temperature for 2 hours and 30 minutes. 0.67 ml of triethylamine and 334 mg of hydroxylamine hydrochloride were then added to the reaction mixture, whilst ice-cooling, and the mixture was stirred at room temperature for 2 hours and then left to stand overnight. At the end of this time, the solvent was removed from the reaction mixture by evaporation under reduced pressure, and water and 2 N aqueous hydrochloric acid were added to the residue thus obtained to adjust the pH to 6 to 7, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate, and then the solvent was removed by evaporation under reduced pressure. The residue thus obtained was purified by silica gel column chromatography, using a 4 : 1 by volume mixture of ethyl acetate and hexane, followed by a 20 : 1 by volume mixture of ethyl acetate and methanol, as eluent, and then by reverse phase preparative silica gel column chromatography, using 3 : 7 and 1 : 1 by volume mixtures of acetonitrile and water as eluent. The product thus obtained was lyophilised, to obtain 0.38 g of the title compound, melting at 63°C to 64°C.

EXAMPLE 18

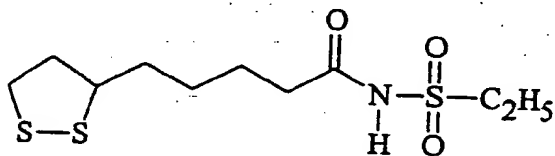
N-[4-(1,2-Dithiolan-3-yl)butyl-N'-[1-methoxycarbonyl-2-(4-methoxybenzylthio)ethyl]urea (Compound No. 1-766)



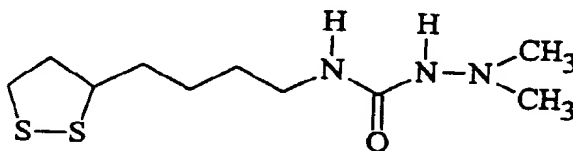
300 mg of D,L- α -lipoic acid were dissolved in 6 ml of toluene, and 0.24 ml of triethylamine and 0.37 ml of diphenylphosphoryl azide were added to the solution at room temperature. The mixture was then stirred on an oil bath at 80°C for 2 hours. At the end of this time, a solution of 434 mg of S-(4-methoxybenzyl)-L-cysteine methyl ester in 3 ml of anhydrous tetrahydrofuran was added to the reaction mixture, whilst ice-cooling, and the mixture was stirred at room temperature for 3 hours and then left to stand overnight. The solvent was then removed from the reaction mixture by evaporation under reduced pressure, and the mixture was recrystallized from ethyl acetate, to obtain 434 mg of the title compound, melting at 105°C to 107°C.

EXAMPLE 19**Hydrazine-N,N'-dicarboxylic acid bis[4-(1,2-dithiolan-3-yl)butylamide] (Compound No. 1-936)**

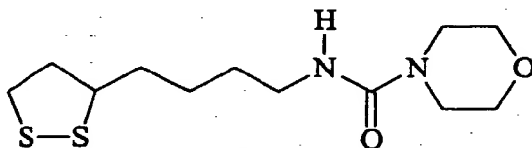
1.00 g of D,L- α -lipoic acid was dissolved in 20 ml of toluene, and 2.00 ml of triethylamine and 1.25 ml of diphenylphosphoryl azide were added to the resulting solution. The mixture was then stirred on an oil bath at 70°C for 2 hours. 0.19 ml of anhydrous hydrazine was then added to the reaction mixture, whilst ice-cooling, and the mixture was stirred at room temperature for 2 hours. The solvent was then removed from the reaction mixture by evaporation under reduced pressure, and the residue thus obtained was washed with water and with ethyl acetate. The insolubles were then collected by filtration. The insolubles thus obtained were washed with a 5 : 2 : 1 by volume mixture of methanol, tetrahydrofuran and dimethylformamide, to obtain 401 mg of the title compound, melting at 205°C to 207°C.

EXAMPLE 20**N-[5-(1,2-Dithiolan-3-yl)pentanoyl]ethanesulphonamide (Compound No. 1-497)**

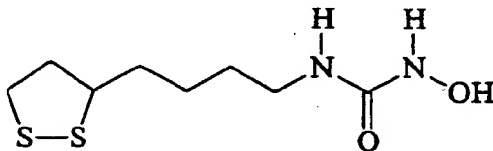
500 mg of D,L- α -lipoic acid were dissolved in 10 ml of anhydrous dimethylformamide, and 428 mg of N,N'-carbonyldiimidazole were added to the solution, whilst ice-cooling. The mixture was then stirred at room temperature for 1 hour. At the end of this time, a solution of 284 mg of ethanesulphonamide in 3 ml of dimethylformamide and 113 mg of sodium hydride (as a 55% w/w dispersion in mineral oil) were added to the reaction mixture, whilst ice-cooling, and the mixture was stirred at room temperature for 1 hour and then left to stand for 3 days. The solvent was then removed from the reaction mixture by evaporation under reduced pressure, and water and 2 N aqueous hydrochloric acid were added to the residue thus obtained to adjust the pH to 2, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate, and then the solvent was removed by evaporation under reduced pressure. The residue thus obtained was purified by silica gel column chromatography, using 1 : 1 and 2 : 1 by volume mixtures of ethyl acetate and hexane as eluent, followed by reverse phase preparative silica gel column chromatography, using 3 : 7, 2 : 3 and 1 : 1 by volume mixtures of acetonitrile and water as eluent. The solvent was then removed from the eluted fraction thus obtained by evaporation under reduced pressure, and the residue thus obtained was dissolved in dioxane. The solution was lyophilised, to obtain 30 mg of the title compound, melting at 98°C to 100°C.

EXAMPLE 21**1,1-Dimethyl-4-[4-(1,2-dithiolan-3-yl)butyl]semicarbazide (Compound No. 1-861)**

1.00 g of D,L- α -lipoic acid was dissolved in 10 ml of toluene, and 0.80 ml of triethylamine and 1.25 ml of diphenylphosphoryl azide were added to the resulting solution, after which the resulting mixture was stirred on an oil bath at 80°C for 1 hour. 0.55 ml of 1,1-dimethylhydrazine was then added to the mixture, whilst ice-cooling, and the mixture was stirred at room temperature for 1 hour and then left to stand overnight. At the end of this time, the solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue thus obtained, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate, and then the solvent was removed by evaporation under reduced pressure. The residue thus obtained was purified by silica gel column chromatography, using a 3 : 1 by volume mixture of ethyl acetate and hexane and then a 10 : 1 by volume mixture of ethyl acetate and methanol as eluent, followed by reverse phase preparative silica gel column chromatography, using 7 : 13 and 2 : 3 by volume mixtures of acetonitrile and water as eluent. The eluted fraction thus obtained was lyophilised, to obtain 0.99 g of the title compound, melting at 60°C to 61°C.

EXAMPLE 22**Morpholine-4-carboxylic acid 4-(1,2-dithiolan-3-yl)butylamide (Compound No. 1-1142)**

500 mg of D,L- α -lipoic acid were dissolved in 10 ml of toluene, and 0.36 ml of triethylamine and 0.56 ml of diphenylphosphoryl azide were added to the resulting solution, after which the resulting mixture was stirred on an oil bath at 80°C for 1 hour and 30 minutes. 0.23 ml of morpholine was then added to the mixture, whilst ice-cooling, and the mixture was stirred at room temperature for 2 hours and then left to stand overnight. The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue thus obtained, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate, and then the solvent was removed by evaporation under reduced pressure. The residue thus obtained was purified by silica gel column chromatography, using ethyl acetate and then a 10 : 1 by volume mixture of ethyl acetate and methanol as eluent, followed by reverse phase preparative silica gel column chromatography, using 3 : 7 and 2 : 3 by volume mixtures of acetonitrile and water as eluent. The solvent was evaporated from the eluted fraction thus obtained under reduced pressure, and water was added to the residue, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate, and then the solvent was removed by evaporation under reduced pressure. The residue thus obtained was dissolved in dioxane, and the solution was lyophilised, to obtain 0.51 g of the title compound, melting at 74°C to 77°C.

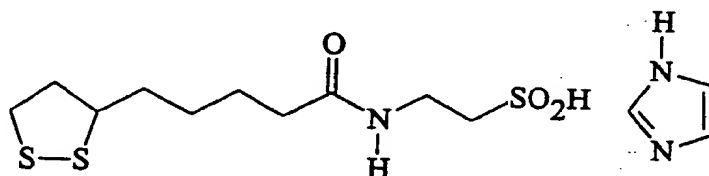
EXAMPLE 23**N-Hydroxy-N'-[4-(1,2-dithiolan-3-yl)butyl]urea (Compound No. 1-750)**

500 mg of D,L- α -lipoic acid were dissolved in 10 ml of toluene, and 0.69 ml of triethylamine and 0.56 ml of diphenylphosphoryl azide were added to the resulting solution, after which the resulting mixture was stirred on an oil bath at 80°C for 2 hours. The solvent was then removed from the reaction mixture by evaporation under reduced pressure, and 10 ml of anhydrous tetrahydrofuran and 181 mg of hydroxylamine hydrochloride were added to the residue thus obtained on a bath containing ice and an aqueous solution of sodium chloride. The mixture was stirred for 2 hours at

the bath temperature, and then stirred at room temperature for 3 hours, after which it was left to stand for 3 days. The solvent was then removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue thus obtained, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate, and then the solvent was removed by evaporation under reduced pressure. The residue thus obtained was subjected to silica gel column chromatography, eluted first with a 2 : 1 by volume mixture of ethyl acetate and hexane, then with ethyl acetate alone, and finally with a 10 : 1 by volume mixture of ethyl acetate and methanol. The solvent was removed from the eluted fraction thus obtained by evaporation under reduced pressure, and the precipitated powder was washed with a mixture of dimethylformamide, tetrahydrofuran, methanol and ethyl acetate and subjected to reverse phase preparative silica gel column chromatography, using 3 : 7 and 1 : 1 by volume mixtures of acetonitrile and water as eluent. The eluted fraction thus obtained was concentrated by evaporation under reduced pressure, and the crystals which precipitated were collected by filtration, to obtain 85 mg of the title compound, melting at 100°C to 101°C.

EXAMPLE 24

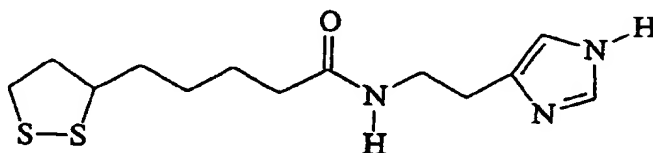
2-[5-(1,2-Dithiolan-3-yl)pentanoylamino]ethanesulphonic acid imidazole salt (Compound No. 1-57, imidazole salt)



500 mg of D,L- α -lipoic acid were dissolved in 10 ml of anhydrous dimethylformamide, and 428 mg of N,N'-carbonyldiimidazole were added to the resulting solution, whilst ice-cooling, and then the mixture was stirred at room temperature for 4 hours. At the end of this time, 0.50 ml of triethylamine and 450 mg of 2-aminoethanesulphonic acid were added to the reaction mixture, whilst ice-cooling, and the mixture was stirred at room temperature for 4 hours and 30 minutes and then left to stand for 2 days. After this time, the reaction mixture was stirred on an oil bath at 50°C for 6 hours and then stirred on an oil bath at 70°C for 1 hour. It was then left to stand at room temperature overnight. The reaction mixture was then stirred on an oil bath at 70°C for 2 hours and the solvent was removed from the reaction mixture by evaporation under reduced pressure. The residue thus obtained was washed with ethyl acetate and subjected to reverse phase preparative silica gel column chromatography, using a 1 : 9 by volume mixture of acetonitrile and water as eluent. The eluted fraction thus obtained was lyophilised, to obtain 268 mg of the title compound, melting at 96°C to 99°C.

EXAMPLE 25

N^B-[5-(1,2-Dithiolan-3-yl)pentanoyl]histamine (Compound No. 1-75)

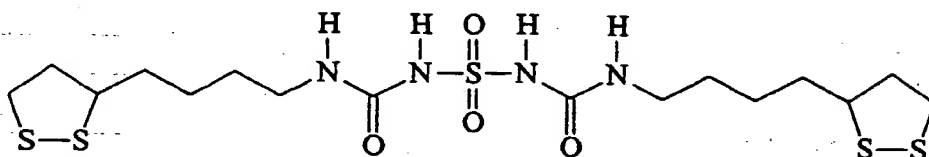


500 mg of D,L- α -lipoic acid were dissolved in 10 ml of anhydrous dimethylformamide, and 422 mg of N,N'-carbonyldiimidazole were added to the resulting solution, whilst ice-cooling. The mixture was then stirred at room temperature for 2 hours and 30 minutes. 0.73 ml of triethylamine and 479 mg of histamine dihydrochloride were then added to the reaction mixture at room temperature, and the mixture was stirred for 4 hours and 30 minutes. At the end of this time, the solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue thus obtained, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate, and then the solvent was removed by evaporation under reduced pressure. The residue thus obtained was purified by silica gel column chromatography.

matography, using 1 : 0, 5 : 1 and 3 : 1 by volume mixtures of ethyl acetate and ethanol as eluent. It was then recrystallized from a 1 : 2 by volume mixture of ethyl acetate and diisopropyl ether, to obtain 270 mg of the title compound, melting at 108°C to 110°C.

EXAMPLE 26

N,N'-Bis[4-(1,2-dithiolan-3-yl)butylcarbamoyl]sulphamide (Compound No. 1-2614)

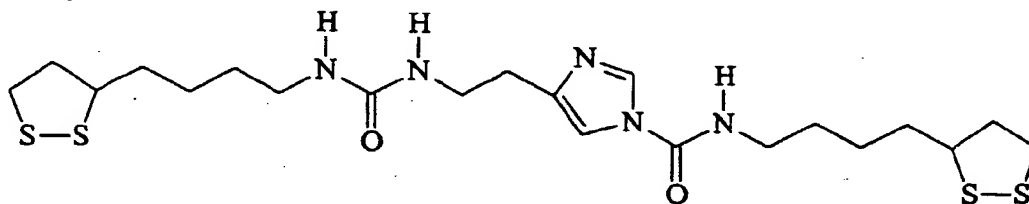


500 mg of D,L- α -lipoic acid were dissolved in 10 ml of toluene, and then 0.36 ml of triethylamine and 0.56 ml of diphenylphosphoryl azide were added to the mixture, after which the resulting mixture was stirred on an oil bath at 80°C for 2 hours. The solvent was then removed from the reaction mixture by evaporation under reduced pressure, and 6 ml of anhydrous dimethylformamide were added to the residue thus obtained, to give a dimethylformamide solution.

Separately, 113 mg of sodium hydride (as a 55% w/w dispersion in mineral oil) were dispersed in 4 ml of dimethylformamide, and 252 mg of sulphamide were added to the suspension, whilst ice-cooling, after which the resulting mixture was stirred at room temperature for 2 hours. The above dimethylformamide solution was then added to the reaction mixture on a bath containing ice and an aqueous solution of sodium chloride, and the mixture was stirred at the same temperature for 1 hour and 30 minutes. The reaction mixture was then stirred at room temperature for 4 hours, after which it was left to stand at room temperature overnight. The solvent was then removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue thus obtained, after which it was neutralized by the addition of 2 N aqueous hydrochloric acid. The crystals which precipitated were washed with ethyl acetate, with water and with ethanol, to obtain 223 mg of the title compound, melting at 154°C to 156°C.

EXAMPLE 27

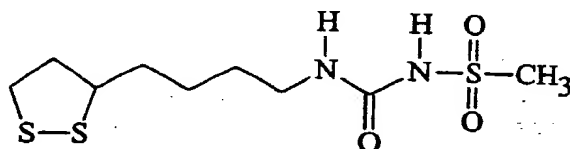
N ^{β} ,N ^{α} -Bis[4-(1,2-dithiolan-3-yl)butylcarbamoyl]histamine



500 mg of D,L- α -lipoic acid were dissolved in 10 ml of toluene, and then 0.36 ml of triethylamine and 0.56 ml of diphenylphosphoryl azide were added to the solution, after which the resulting mixture was stirred on an oil bath at 80°C for 1 hour and 30 minutes. The solvent was then removed from the reaction mixture by evaporation under reduced pressure, and 5 ml of anhydrous tetrahydrofuran were added to the residue thus obtained, to give a tetrahydrofuran solution.

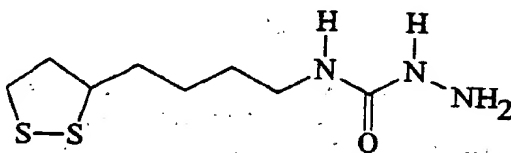
Separately, 479 mg of histamine dihydrochloride were dissolved in 2 ml of anhydrous dimethylformamide, and then 0.72 ml of triethylamine was added to the solution, after which the resulting mixture was stirred at room temperature for 1 hour and 30 minutes. 5 ml of anhydrous tetrahydrofuran were then added to the reaction mixture, and then the above anhydrous tetrahydrofuran solution was added thereto, whilst ice-cooling. The mixture was stirred at room temperature for 3 hours and then left to stand overnight. At the end of this time, the solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue thus obtained, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate, and then the solvent was removed by evaporation under reduced pressure.

The residue thus obtained was subjected to silica gel column chromatography, using 1 : 0, 5 : 1 and 3 : 1 by volume mixtures of ethyl acetate and methanol as the eluent, after which it was subjected to reverse phase preparative silica gel column chromatography, using 1 : 4, 3 : 7, 2 : 3 and 1 : 1 by volume mixtures of acetonitrile and water as eluent. The solvent was evaporated under reduced pressure from the fraction containing the title compound, and water was added to the residue thus obtained, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The solvent was removed from the extraction solution by evaporation under reduced pressure, and the residue thus obtained was dissolved in dioxane and lyophilised, to obtain 52 mg of the title compound, melting at 115°C to 117°C.

EXAMPLE 28**N-[4-(1,2-Dithiolan-3-yl)butyl]-N'-methanesulphonylurea (Compound No. 1-1069)**

500 mg of D,L- α -lipoic acid were dissolved in 10 ml of toluene, and then 0.36 ml of triethylamine and 0.56 ml of diphenylphosphoryl azide were added to the solution; after which the resulting mixture was stirred on an oil bath at 80°C for 2 hours. The solvent was removed from the reaction mixture by evaporation under reduced pressure, and 5 ml of anhydrous dimethylformamide were added to the residue thus obtained, to give a dimethylformamide solution.

Separately, 247 mg of methanesulphonamide were dissolved in 5 ml of anhydrous dimethylformamide, and then 113 mg of sodium hydride (as a 55% w/w dispersion in mineral oil) were added to the solution, after which the resulting mixture was stirred at room temperature for 2 hours. The above anhydrous dimethylformamide solution was then added to the reaction mixture, whilst ice-cooling, and the mixture was stirred at room temperature for 3 hours and then left to stand at room temperature for 3 days. The solvent was removed from the reaction mixture by evaporation under reduced pressure. Water was added to the residue thus obtained, and the mixture was neutralized by the addition of 2 N aqueous hydrochloric acid, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The solvent was removed from the extraction solution by evaporation under reduced pressure. The residue thus obtained was purified by silica gel column chromatography, using ethyl acetate as eluent, and the active fraction was then recrystallized from ethyl acetate, to obtain 302 mg of the title compound, melting at 125°C to 127°C.

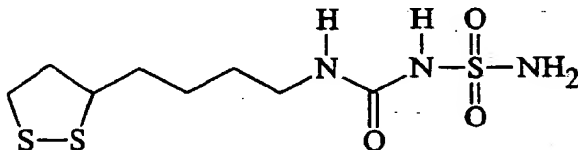
EXAMPLE 29**4-[4-(1,2-Dithiolan-3-yl)butyl]semicarbazide diphenylphosphate (Compound No. 1-858 diphenylphosphate)****diphenylphosphate**

500 mg of D,L- α -lipoic acid were dissolved in 10 ml of toluene, and then 0.36 ml of triethylamine and 0.56 ml of diphenylphosphoryl azide were added to the solution, after which the resulting mixture was stirred on an oil bath at 80°C for 1 hour and 30 minutes. The solvent was removed from the reaction mixture by evaporation under reduced pressure, and 3.5 ml of anhydrous tetrahydrofuran were added to the residue thus obtained. The solution was added to 0.75 mg of hydrazine and then 2 ml of anhydrous dimethylformamide were added thereto. The mixture was stirred at room temperature for 5 hours and then left to stand overnight. At the end of this time, the solvent was removed from the reaction mixture by evaporation under reduced pressure, and a saturated aqueous solution of sodium chloride was added to the residue thus obtained, after which it was extracted with ethyl acetate. The extraction solution was washed

with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The solvent was removed from the extraction solution by evaporation under reduced pressure. The residue thus obtained was purified by silica gel column chromatography, using 1 : 0, 10 : 1 and 5 : 1 by volume mixtures of ethyl acetate and methanol as eluent, after which it was recrystallized from a 1 : 1 by volume mixture of ethyl acetate and diisopropyl ether, to obtain 125 mg of the title compound, melting at 134°C to 139°C.

EXAMPLE 30

N-[4-(1,2-Dithiolan-3-yl)butyl]-N'-aminosulphonylurea (Compound No. 1-1112)

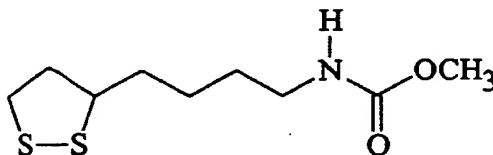


500 mg of D,L- α -lipoic acid were dissolved in 10 ml of toluene, and then 0.36 ml of triethylamine and 0.56 ml of diphenylphosphoryl azide were added to the solution, after which the resulting mixture was stirred on an oil bath at 70°C for 2 hours. The solvent was then removed from the reaction mixture by evaporation under reduced pressure, and 5 ml of anhydrous dimethylformamide were added to the residue thus obtained, to give a dimethylformamide solution.

Separately, 1.15 g of sulphamide were dissolved in 10 ml of anhydrous dimethylformamide, and then 524 mg of sodium hydride (as a 55% w/w dispersion in mineral oil) were added to the solution, whilst ice-cooling, after which the resulting mixture was stirred at room temperature for 1 hour and 30 minutes. The above dimethylformamide solution was then added to the reaction mixture, whilst ice-cooling, and the mixture was stirred at room temperature for 4 hours and then left to stand for 2 days. At the end of this time, the solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue thus obtained. The mixture was neutralized by the addition of 2 N aqueous hydrochloric acid, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The solvent was removed from the extraction solution by evaporation under reduced pressure. The residue thus obtained was purified by silica gel column chromatography, using 1 : 1, 2 : 1 and 4 : 1 by volume mixtures of ethyl acetate and hexane as eluent. It was then recrystallized from ethanol, to obtain 126 mg of the title compound, melting at 123°C to 125°C.

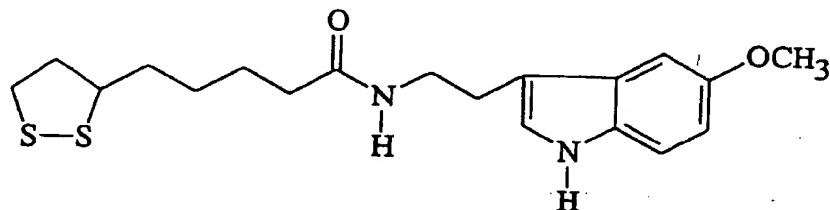
EXAMPLE 31

Methyl N-[4-(1,2-Dithiolan-3-yl)butyl]carbamate (Compound No. 1-676)

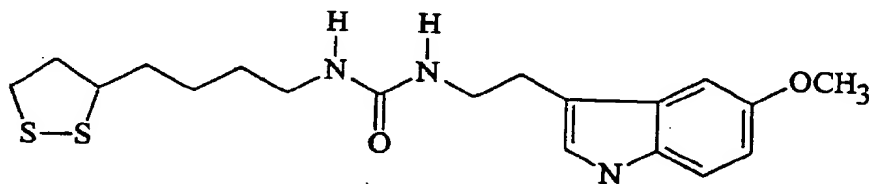


500 mg of D,L- α -lipoic acid were dissolved in 10 ml of toluene, and then 0.36 ml of triethylamine and 0.56 ml of diphenylphosphoryl azide were added to the solution, after which the resulting mixture was stirred on an oil bath at 80°C for 1 hour. The solvent was then removed from the reaction mixture by evaporation under reduced pressure, and 10 ml of anhydrous methanol were added to the residue thus obtained. The mixture was stirred at room temperature for 6 hours and then left to stand overnight. At the end of this time, the solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue thus obtained, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The solvent was removed from the extraction solution by evaporation under reduced pressure. The residue thus obtained was purified by silica gel column chromatography, using 1 : 4 and 1 : 2 by volume mixtures of ethyl acetate and hexane as eluent, after which it was subjected to reverse phase column chromatography,

using 1 : 4, 3 : 7, 2 : 3 and 1 : 1 by volume mixtures of acetonitrile and water as eluent. The solvent was evaporated under reduced pressure from the fraction containing the title compound, and water was added to the residue thus obtained, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The solvent was removed from the extraction solution by evaporation under reduced pressure, and the residue was dissolved in dioxane and lyophilised, to obtain 324 mg of the title compound, melting at 31°C to 32°C.

EXAMPLE 32**N-[2-(5-Methoxy-1H-indol-3-yl)ethyl]lipoamide (Compound No. 1-80)**

500 mg of D,L- α -lipoic acid were dissolved in 10 ml of anhydrous dimethylformamide, and 422 mg of N,N'-carbonyldiimidazole were added to the solution, whilst ice-cooling. The mixture was then stirred at room temperature for 3 hours and 30 minutes. At the end of this time, 495 mg of 5-methoxytryptamine were added to the reaction mixture, whilst ice-cooling, and the mixture was stirred at room temperature for 3 hours and then left to stand at room temperature overnight. The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue thus obtained. The residue was extracted with ethyl acetate and then dried over anhydrous sodium sulphate. The solvent was removed from the extraction solution by evaporation under reduced pressure. The residue thus obtained was purified by silica gel column chromatography, using 2 : 1, 4 : 1 and 1 : 0 by volume mixtures of ethyl acetate and hexane as eluent, to obtain 515 mg of the title compound as a yellow oil having an Rf value of 0.26 (silica gel thin layer chromatography; using a 2 : 1 by volume mixture of ethyl acetate and hexane as the developing solvent).

EXAMPLE 33**N-[4-(1,2-Dithiolan-3-yl)butyl]-N'-[2-(5-methoxy-1H-indol-3-yl)ethyl]urea (Compound No. 1-772)**

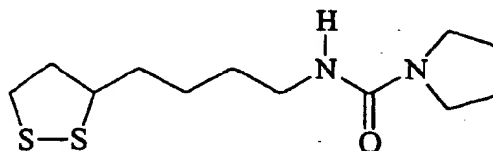
500 mg of D,L- α -lipoic acid were dissolved in 10 ml of toluene, and then 0.36 ml of triethylamine and 0.56 ml of diphenylphosphoryl azide were added to the solution, after which the resulting mixture was stirred on an oil bath at 80°C for 1 hour. The solvent was then removed from the reaction mixture by evaporation under reduced pressure, and 5 ml of anhydrous tetrahydrofuran were added to the residue thus obtained, to give a tetrahydrofuran solution.

Separately, 1.37 mg of 5-methoxytryptamine were dissolved in a mixture of 10 ml of anhydrous tetrahydrofuran and 4 ml of anhydrous dimethylformamide, and the resulting solution was added to the above anhydrous tetrahydrofuran solution, whilst ice-cooling. The mixture was stirred, whilst ice-cooling, for 1 hour and then at room temperature for 4 hours, after which it was left to stand at room temperature overnight. The solvent was then removed from the reaction mixture by evaporation under reduced pressure, and a saturated aqueous solution of sodium chloride was added to the residue thus obtained, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. The solvent was removed from the extraction solution by evaporation under reduced pressure. The residue thus obtained was purified by silica gel column chromatography, using 3 : 1 and 1 : 0 by volume mixtures of ethyl acetate and hexane and then

a 10 : 1 by volume mixture of ethyl acetate and methanol as eluent, after which it was recrystallized from ethyl acetate, to obtain 674 mg of the title compound, melting at 100°C to 101°C.

EXAMPLE 34

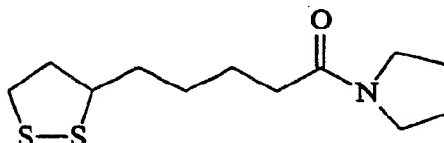
N-[4-(1,2-Dithiolan-3-yl)butyl]pyrrolidine-1-carboxamide (Compound No. 1-1139)



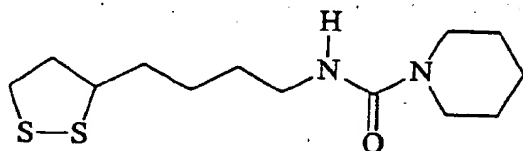
500 mg of D,L- α -lipoic acid were dissolved in 10 ml of anhydrous toluene, and then 0.56 ml of diphenylphosphoryl azide was added to the solution, after which the resulting mixture was stirred on an oil bath at 80°C for 1 hour and 30 minutes. 0.22 ml of pyrrolidine was then added to the reaction mixture, whilst ice-cooling, and the mixture was stirred at room temperature for 1 hour and then left to stand at room temperature overnight. At the end of this time, the solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue thus obtained, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. The solvent was removed from the extraction solution by evaporation under reduced pressure. The residue thus obtained was purified by silica gel column chromatography, using 1 : 0 and 10 : 1 by volume mixtures of ethyl acetate and methanol as eluent; after which it was recrystallized from a 1 : 1 : 1 by volume mixture of ethyl acetate, methanol and acetonitrile, to obtain 231 mg of the title compound, melting at 91°C to 93°C.

EXAMPLE 35

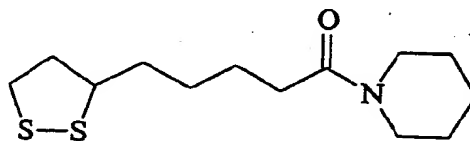
1-[5-(1,2-Dithiolan-3-yl)pentanoyl]pyrrolidine (Compound No. 1-1129)



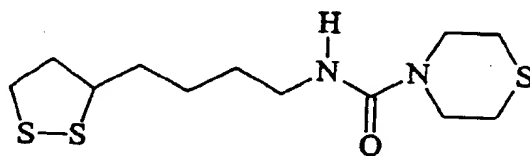
500 mg of D,L- α -lipoic acid were dissolved in 10 ml of anhydrous dimethylformamide, and then 422 mg of N,N'-carbonyldiimidazole were added to the solution. The resulting mixture was then stirred at room temperature for 1 hour and 30 minutes. 0.22 ml of pyrrolidine was added to the reaction mixture, whilst ice-cooling, and the mixture was stirred at room temperature for 2 hours. At the end of this time, the solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue thus obtained, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The solvent was removed from the extraction solution by evaporation under reduced pressure. The residue thus obtained was purified by silica gel column chromatography, using 2 : 1, 3 : 1 and 1 : 0 by volume mixtures of ethyl acetate and hexane as eluent, after which it was dissolved in dioxane and lyophilised, to obtain 364 mg of the title compound as a yellow oil having an R_f value of 0.15 (silica gel thin layer chromatography, using ethyl acetate as the developing solvent).

EXAMPLE 36**N-[4-(1,2-Dithiolan-3-yl)butyl]piperidine-1-carboxamid (Compound No. 1-1140)**

500 mg of D,L- α -lipoic acid were dissolved in 10 ml of anhydrous toluene, and then 0.36 ml of triethylamine and 0.56 ml of diphenylphosphoryl azide were added to the solution, after which the resulting mixture was stirred on an oil bath at 80°C for 1 hour. 0.26 ml of piperidine was then added to the reaction mixture, whilst ice-cooling, and the mixture was left to stand at room temperature overnight. At the end of this time, the solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue thus obtained, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. The solvent was then removed from the extraction solution by evaporation under reduced pressure. The residue thus obtained was purified by silica gel column chromatography, using 2 : 1, 4 : 1 and 1 : 0 by volume mixtures of ethyl acetate and hexane as eluent. It was then recrystallized from a 1 : 1 by volume mixture of ethyl acetate and methanol, to obtain 252 mg of the title compound, melting at 90°C to 91°C.

EXAMPLE 37**1-[5-(1,2-Dithiolan-3-yl)pentanoyl]piperidine (Compound No. 1-1130)**

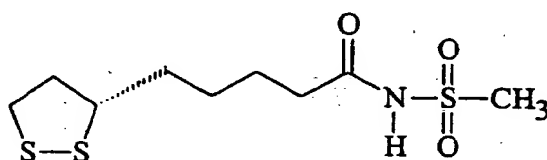
500 mg of D,L- α -lipoic acid were dissolved in 10 ml of anhydrous dimethylformamide, and then 422 mg of N,N'-carbonyldiimidazole were added to the solution. The mixture was then stirred at room temperature for 3 hours. At the end of this time, 0.26 ml of piperidine was added to the reaction mixture, and the mixture was stirred at room temperature for 4 hours and then left to stand overnight. The solvent was then removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue thus obtained, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The solvent was removed from the extraction solution by evaporation under reduced pressure. The residue thus obtained was purified by silica gel column chromatography, using 3 : 2 and 3 : 1 by volume mixtures of ethyl acetate and hexane as eluent. It was then dissolved in dioxane and lyophilised, to obtain 381 mg of the title compound as a yellow oil having an R_f value of 0.30 (silica gel thin layer chromatography, using a 3 : 2 by volume mixture of ethyl acetate and hexane as the developing solvent).

EXAMPLE 38**N-[4-(1,2-Dithiolan-3-yl)butyl]thiomorpholine-4-carboxamide (Compound No. 1-1143)**

500 mg of D,L- α -lipoic acid were dissolved in 10 ml of anhydrous toluene, and then 0.36 ml of triethylamine and 0.56 ml of diphenylphosphoryl azide were added to the solution, after which the resulting mixture was stirred on an oil bath at 80°C for 1 hour. 0.25 ml of thiomorpholine was then added to the reaction mixture at room temperature, and the mixture was stirred for 5 hours and then left to stand for 2 days. At the end of this time, the solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue thus obtained, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. The solvent was removed from the extraction solution by evaporation under reduced pressure. The residue thus obtained was purified by silica gel column chromatography, using 3 : 2, 3 : 1 and 1 : 0 by volume mixtures of ethyl acetate and hexane as eluent. It was then dissolved in dioxane and lyophilised, to obtain 583 mg of the title compound, melting at 80°C to 81°C.

EXAMPLE 39

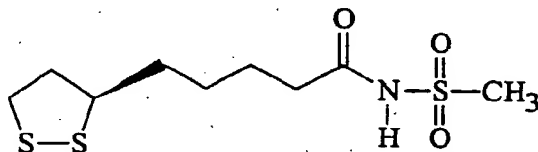
(S)-N-[5-(1,2-Dithiolan-3-yl)pentanoyl]methanesulphonamide (Compound No. 1-496)



300 mg of (S)- α -lipoic acid were dissolved in 6 ml of anhydrous dimethylformamide, and 276 mg of N,N'-carbonyldiimidazole and 1 ml of anhydrous dimethylformamide were added to the solution, whilst ice-cooling. The mixture was then stirred at room temperature for 1 hour and 30 minutes. At the end of this time, 162 mg of methanesulphonamide and 74 mg of sodium hydride (as a 55% w/w dispersion in mineral oil) were added to the reaction mixture, whilst ice-cooling, and the mixture was stirred at room temperature for 1 hour and then left to stand for 2 days. The solvent was then removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue thus obtained, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The solvent was then removed from the extraction solution by evaporation under reduced pressure. The residue thus obtained was purified by silica gel column chromatography, using 1 : 1 and 3 : 1 by volume mixtures of ethyl acetate and hexane as eluent. It was then recrystallized from a 1 : 2 by volume mixture of ethyl acetate and hexane, to obtain 154 mg of the title compound, melting at 91°C to 92°C.

EXAMPLE 40

(R)-N-[5-(1,2-Dithiolan-3-yl)pentanoyl]methanesulphonamide (Compound No. 1-496)

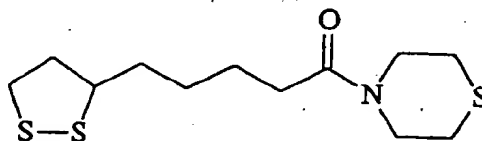


100 mg of (R)- α -lipoic acid were dissolved in 2 ml of anhydrous dimethylformamide, and 97 mg of N,N'-carbonyldiimidazole were added to the solution, whilst ice-cooling. The mixture was then stirred at room temperature for 4 hours. At the end of this time, 57 mg of methanesulphonamide and 26 mg of sodium hydride (as a 55% w/w dispersion in mineral oil) were added to the reaction mixture, whilst ice-cooling, and the mixture was stirred at room temperature for 5 hours and then left to stand overnight. The solvent was then removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue thus obtained. The resulting mixture was neutralized by the addition of 2 N aqueous hydrochloric acid, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. The solvent was removed from the extraction solution by evaporation under reduced pressure. The residue thus ob-

tained was purified by silica gel column chromatography, using 1 : 1 and 3 : 1 by volume mixtures of ethyl acetate and hexane as eluent, after which it was dissolved in dioxane and lyophilised, to obtain 68 mg of the title compound, melting at 71°C to 73°C.

EXAMPLE 41

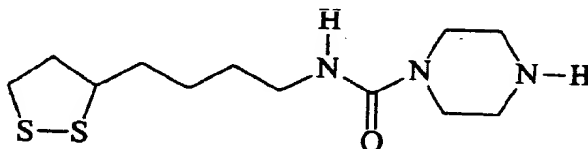
4-[5-(1,2-Dithiolan-3-yl)pentanoyl]thiomorpholine (Compound No. 1-1133)



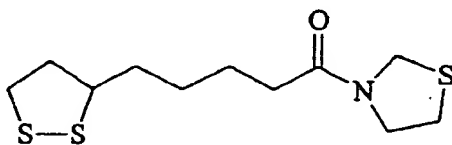
500 mg of D,L- α -lipoic acid were dissolved in 10 ml of anhydrous dimethylformamide, and then 422 mg of N,N'-carbonyldiimidazole were added to the solution. The mixture was then stirred at room temperature for 1 hour and 30 minutes, after which it was left to stand overnight. At the end of this time, 0.25 ml of thiomorpholine was added to the reaction mixture, and the mixture was stirred at room temperature for 5 hours and then left to stand overnight. The solvent was then removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue thus obtained, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The solvent was then removed from the extraction solution by evaporation under reduced pressure. The residue thus obtained was purified by silica gel column chromatography, using 1 : 1 and 2 : 1 by volume mixtures of ethyl acetate and hexane as eluent. It was then dissolved in dioxane and lyophilised, to obtain 385 mg of the title compound as a yellow amorphous substance, melting at 31°C to 32°C.

EXAMPLE 42

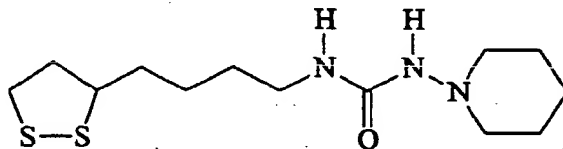
N-[4-(1,2-Dithiolan-3-yl)butyl] 1-piperazinylcarboxamide (Compound No. 1-1141)



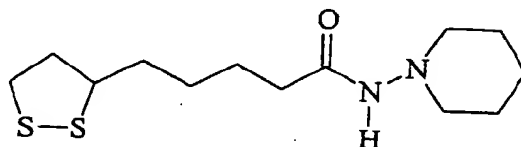
500 mg of D,L- α -lipoic acid were dissolved in 10 ml of anhydrous toluene, and then 0.36 ml of triethylamine and 0.56 ml of diphenylphosphoryl azide were added to the solution, after which the resulting mixture was stirred on an oil bath at 80°C for 2 hours. A solution of 1.03 g of piperazine in 10 ml of anhydrous dimethylformamide was then added to the reaction mixture, whilst ice-cooling, and the mixture was stirred at room temperature for 3 hours and 30 minutes and then left to stand overnight. At the end of this time, the solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue thus obtained, after which it was neutralised by the addition of 2 N aqueous hydrochloric acid. The crystals which precipitated were collected by filtration and washed with water and ethyl acetate, to obtain 107 mg of the title compound, melting at 175°C to 177°C.

EXAMPLE 43**3-[5-(1,2-Dithiolan-3-yl)pentanoyl]thiazolidine (Compound No. 1-1258)**

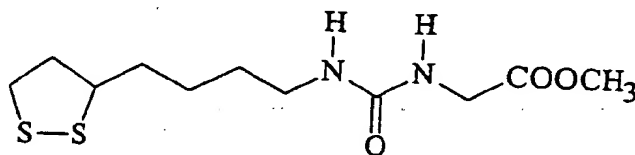
422 mg of N,N'-carbonyldiimidazole were added to a solution of 500 mg of D,L- α -lipoic acid in 10 ml of anhydrous dimethylformamide. The resulting mixture was stirred at room temperature for 1 hour and 30 minutes. 0.20 ml of thiazolidine was then added dropwise to the reaction mixture, and then the mixture was stirred at room temperature for 4 hours. The reaction mixture was allowed to stand overnight at room temperature and then the solvent was removed by distillation under reduced pressure. Water was added to the residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. The residue was subjected to silica gel column chromatography, using 1 : 1, 3 : 1 and 1 : 0 by volume mixtures of ethyl acetate and hexane as eluent, followed by reverse phase preparative silica gel column chromatography, using a 2 : 3 by volume mixture of acetonitrile and water as eluent. Acetonitrile was removed from the eluate thus obtained by distillation under reduced pressure, and the residue was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure, and the resulting residue was dissolved in dioxane. The resulting solution was lyophilised, to give 317 mg of the title compound as a pale yellow amorphous substance, melting at 40 to 41°C.

EXAMPLE 44**N-[4-(1,2-Dithiolan-3-yl)butyl]-N'-(1-piperidyl)urea (Compound No. 1-1145)**

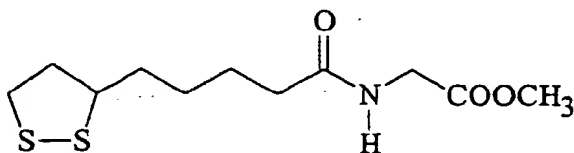
0.36 ml of triethylamine and 0.56 ml of diphenylphosphoryl azide were added to a solution of 500 mg of D,L- α -lipoic acid in 10 ml of anhydrous toluene. The resulting mixture was stirred on an oil bath at 80°C for 1 hour and 30 minutes. 0.28 ml of 1-aminopiperidine was then added dropwise to the reaction mixture, whilst ice-cooling, and then the mixture was stirred at room temperature for 5 hours. The reaction mixture was then allowed to stand overnight at room temperature. The solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure, and the residue was subjected to silica gel column chromatography, using 1 : 0 and 5 : 1 by volume mixtures of ethyl acetate and ethanol as eluent. The solvent was removed from the eluate by distillation under reduced pressure. The residue was dissolved in dioxane, after which it was lyophilised, to give 593 mg of the title compound as a yellow amorphous substance, melting at 67 to 69°C.

EXAMPLE 45**N-(1-Piperidyl)-5-(1,2-dithiolan-3-yl)pentanamide (Compound No. 1-1135)**

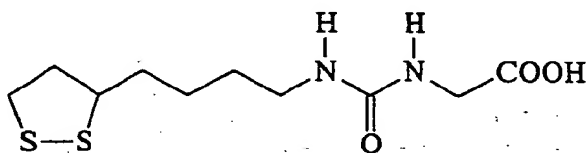
The reaction was effected as described in Example 43, but using 500 mg of D,L- α -lipoic acid, 10 ml of anhydrous dimethylformamide, 422 mg of N,N'-carbonyl-diimidazole and 0.28 ml of 1-aminopiperidine. The solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. The residue was subjected to silica gel column chromatography, using 2 : 1 and 1 : 0 by volume mixtures of ethyl acetate and hexane as eluent, after which it was recrystallised from ethyl acetate, to give 298 mg of the title compound as a yellow needle-like crystals, melting at 108 to 109°C.

EXAMPLE 46**Methyl 3-[4-(1,2-dithiolan-3-yl)butyl]ureidoacetate (Compound No. 1-739)**

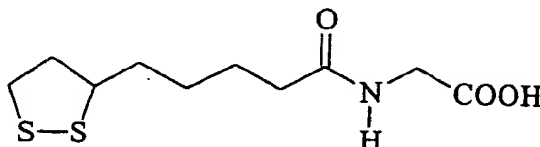
0.73 ml of triethylamine and 0.56 ml of diphenylphosphoryl azide were added to a solution of 500 mg of D,L- α -lipoic acid in 10 ml of anhydrous toluene. The resulting mixture was stirred on an oil bath at 80°C for 1 hour and 30 minutes. The solvent was then removed from the reaction mixture by distillation under reduced pressure. 10 ml of anhydrous dimethylformamide were then added to the residue, after which 301 mg of L-glycine methyl ester hydrochloride were added, whilst ice-cooling. The resulting mixture was then stirred at room temperature for one hour. At the end of this time, the reaction mixture was allowed to stand overnight at room temperature, after which the solvent was removed by distillation under reduced pressure. Water was added to the residue, which was then extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure, and the residue was subjected to silica gel column chromatography, using 2 : 1 and 1 : 0 by volume mixtures of ethyl acetate and hexane as eluent, followed by reverse phase preparative silica gel column chromatography, using 3 : 17, 3 : 7 and 3 : 2 by volume mixtures of acetonitrile and water as eluent. Acetonitrile was removed from the eluate so obtained by distillation under reduced pressure, and the residue was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. The residue was dissolved in dioxane, after which it was lyophilised, to give 336 mg of the title compound as a pale yellow amorphous substance, melting at 62 to 64°C.

EXAMPLE 47**Methyl [5-(1,2-dithiolan-3-yl)pentanoylamino]acetate (Compound No. 1-47)**

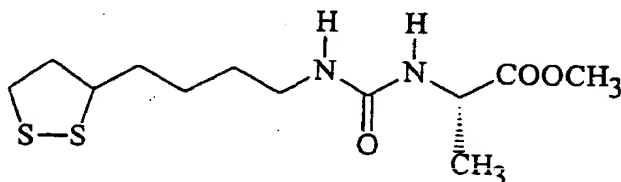
422 mg of *N,N'*-carbonyldiimidazole were added to a solution of 500 mg of *D,L*- α -lipoic acid in 10 ml of anhydrous dimethylformamide. The resulting mixture was stirred at room temperature for 2 hours, after which 0.36 ml of triethylamine was added dropwise to the reaction mixture. 301 mg of glycine methyl ester hydrochloride were then added to the reaction mixture, and then the mixture was stirred at room temperature for 1 hour. The reaction mixture was allowed to stand at room temperature for two days, and then the solvent was removed by distillation under reduced pressure. Water was added to the residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. The residue was subjected to silica gel column chromatography, using 3 : 1 and 1 : 0 by volume mixtures of ethyl acetate and hexane as eluent, followed by reverse phase preparative silica gel column chromatography, using 1 : 4, 3 : 7 and 1 : 1 by volume mixtures of acetonitrile and water as eluent. Acetonitrile was removed from the eluate thus obtained by distillation under reduced pressure, and the residue was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure and the residue was dissolved in dioxane, after which it was lyophilised, to give 320 mg of the title compound as a yellow oil having an *R_f* value of 0.26 (silica gel thin layer chromatography; using a 3 : 1 by volume mixture of ethyl acetate and hexane as the developing solvent).

EXAMPLE 48**{3-[4-(1,2-Dithiolan-3-yl)butyl]ureido}acetic acid (Compound No. 1-738)**

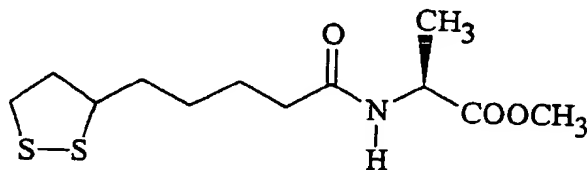
2.1 ml of a 1 N aqueous solution of sodium hydroxide were added dropwise to a solution of 218 mg of methyl {3-[4-(1,2-dithiolan-3-yl)butyl]ureido}acetate (prepared as described in Example 46) in 4 ml of methanol, and then the mixture was stirred at room temperature for 5 hours. The reaction mixture was then allowed to stand overnight at room temperature, after which the solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue. The resulting mixture was neutralized by the addition of 2 N aqueous hydrochloric acid, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. The residue was recrystallized from a 3 : 1 by volume mixture of ethyl acetate and hexane, to give 64 mg of the title compound as a pale yellow powder, melting at 95 to 96°C.

EXAMPLE 49**[5-(1,2-Dithiolan-3-yl)pentanoylamino]acetic acid (Compound No. 1-46)**

0.28 g of methyl 5-(1,2-dithiolan-3-yl)pentanoylaminoacetate (prepared as described in Example 47) was dissolved in a mixture of 2 ml of methanol and 2 ml of tetrahydrofuran. 2.0 ml of a 1 N aqueous solution of sodium hydroxide were added dropwise to the resulting solution, and then the mixture was stirred at room temperature for 2 hours. The reaction mixture was then allowed to stand overnight at room temperature, after which the solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue. The resulting mixture was neutralized by the addition of 2 N aqueous hydrochloric acid, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. The residue was dissolved in di-oxane, after which it was lyophilised, to give 156 mg of the title compound as a yellow oil having an Rf value of 0.12 (silica gel thin layer chromatography; using a 5 : 1 by volume mixture of ethyl acetate and methanol as the developing solvent).

EXAMPLE 50**Methyl 2(S)-[3-[4-(1,2-dithiolan-3-yl)butyl]ureido]propionate (Compound No. 1-742)**

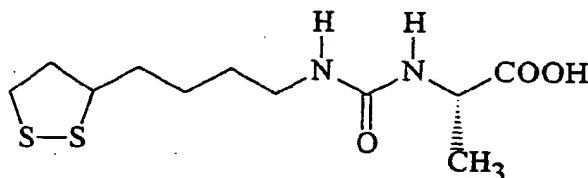
The reaction was effected as described in Example 46, but using 500 mg of D,L-α-lipoic acid, 10 ml of anhydrous toluene, 0.73 ml of triethylamine, 0.56 ml of diphenylphosphoryl azide, 10 ml of anhydrous dimethylformamide and 335 mg of L-alanine methyl ester hydrochloride. The solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure and the residue was subjected to silica gel column chromatography, using 2 : 1 and 1 : 0 by volume mixtures of ethyl acetate and hexane as eluent. Ethyl acetate was removed from the eluate by distillation under reduced pressure, and the residue was recrystallized from a 1 : 1 : 1 by volume mixture of ethyl acetate, diisopropyl ether and hexane, to give 142 mg of the title compound as yellow crystals, melting at 90 to 92°C.

EXAMPLE 51**Methyl 2(S)-[5-(1,2-dithiolan-3-yl)pentanoylamino]propionate (Compound No. 1-50)**

The reaction was effected as described in Example 47, but using 500 mg of D,L- α -lipoic acid, 10 ml of anhydrous dimethylformamide, 422 mg of N,N'-carbonyldiimidazole, 0.36 ml of triethylamine and 335 mg of L-alanine methyl ester hydrochloride. The solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. The residue was subjected to silica gel column chromatography, using a 2 : 1 by volume mixture of ethyl acetate and hexane as eluent, followed by reverse phase preparative silica gel column chromatography, using by volume 3 : 7 and 1 : 1 mixtures of acetonitrile and water as eluent. Acetonitrile was removed from the eluate so obtained by distillation under reduced pressure, and the residue was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. The residue was dissolved in dioxane, after which it was lyophilised, to give 271 mg of the title compound as a pale yellow amorphous substance, melting at 48 to 49°C.

EXAMPLE 52

2(S)-[3-[4-(1,2-Dithiolan-3-yl)butyl]ureido]propionic acid (Compound No. 1-740)

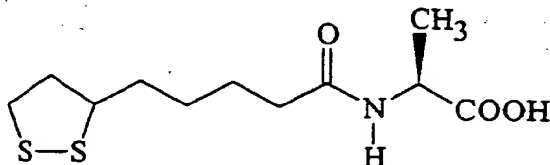


The reaction was effected as described in Example 46, but using 1.00 g of D,L- α -lipoic acid, 20 ml of anhydrous toluene, 1.47 ml of triethylamine, 1.14 ml of diphenylphosphoryl azide, 740 mg of L-alanine methyl ester hydrochloride and 20 ml of anhydrous dimethylformamide. The solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. The residue was subjected to silica gel column chromatography, using 2 : 1 and 1 : 0 by volume mixtures of ethyl acetate and hexane as eluent, after which it was recrystallised from ethyl acetate, to give 0.80 g of yellow crystals.

The resulting crystals were dissolved in a mixture of 10 ml of methanol and 3 ml of tetrahydrofuran, and then 16.8 ml of a 1 N aqueous solution of sodium hydroxide were added dropwise thereto. The resulting mixture was stirred at room temperature for 6 hours and 30 minutes. The solvent was then removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue. The resulting mixture was neutralized by the addition of 2 N aqueous hydrochloric acid, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure and the residue was recrystallized from ethyl acetate, to give 141 mg of the title compound as pale yellow crystals, melting at 128 to 130°C.

EXAMPLE 53

2(S)-[5-(1,2-dithiolan-3-yl)pentanoylamino]propionic acid (Compound No. 1.48)

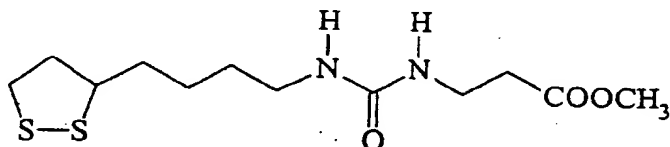


The reaction was effected as described in Example 49, but using 153 mg of methyl 2(S)-[5-(1,2-dithiolan-3-yl)pentanoylamino]propionate (prepared as described in Example 51), 3 ml of methanol and 1.3 ml of a 1 N aqueous solution of sodium hydroxide. The solvent was removed from the reaction mixture by distillation under reduced pressure.

Water was added to the residue. The resulting mixture was neutralized by the addition of 2 N aqueous hydrochloric acid, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. The residue was dissolved in dioxane, after which it was lyophilised, to give 90 mg of the title compound as a yellow oil having an R_f value of 0.18 (silica gel thin layer chromatography; using ethyl acetate as the developing solvent).

EXAMPLE 54

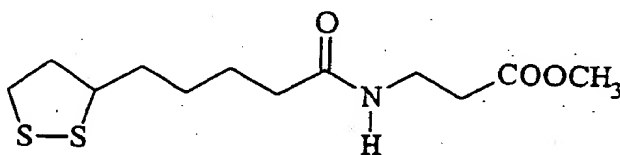
Methyl 3-[3-[4-(1,2-dithiolan-3-yl)butyl]ureido]propionate (Compound No. 1-741 methyl ester)



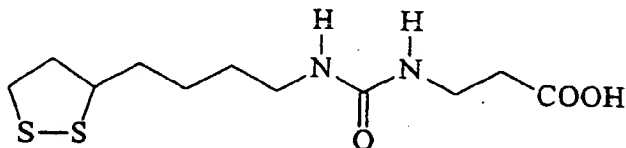
The reaction was effected as described in Example 46, but using 500 mg of D,L-α-lipoic acid, 10 ml of anhydrous toluene, 0.74 ml of triethylamine, 0.56 ml of diphenylphosphoryl azide, 335 mg of β-alanine methyl ester hydrochloride and 10 ml of anhydrous dimethylformamide. The solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure and the residue was subjected to silica gel column chromatography, using 3 : 1 and 1 : 0 by volume mixtures of ethyl acetate and hexane as eluent, after which it was recrystallised from a 1 : 2 by volume mixture of ethyl acetate and hexane, to give 213 mg of the title compound as yellow crystals, melting at 67 to 69°C.

EXAMPLE 55

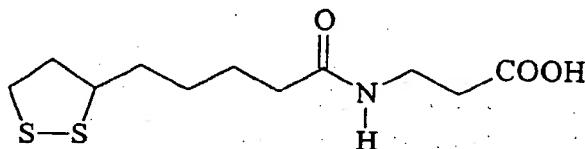
Methyl 3-[5-(1,2-dithiolan-3-yl)pentanoylamino]propionate (Compound No. 1-49 methyl ester)



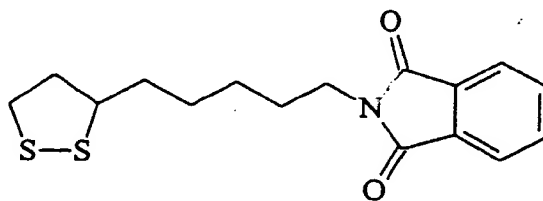
The reaction was effected as described in Example 47, but using 500 mg of D,L-α-lipoic acid, 10 ml of anhydrous dimethylformamide, 422 mg of N,N'-carbonyldiimidazole, 0.36 ml of triethylamine and 335 mg of β-alanine methyl ester hydrochloride. The solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. The residue was subjected to silica gel column chromatography, using 2 : 1 and 1 : 0 by volume mixtures of ethyl acetate and hexane as eluent, after which it was recrystallised from a 1 : 2 by volume mixture of ethyl acetate and hexane, to give 333 mg of the title compound as a yellow plate-like crystals, melting at 54 to 55°C.

EXAMPLE 56**3-[3-(4-(1,2-Dithiolan-3-yl)butyl)ureido]propionic acid (Compound No. 1-741)**

The reaction was effected as described in Example 48, but using 115 mg of methyl 3-[3-(4-(1,2-dithiolan-3-yl)butyl)ureido]propionate (prepared as described in Example 54), 3 ml of methanol, 2 ml of tetrahydrofuran and 1.40 ml of a 1 N aqueous solution of sodium hydroxide. The solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue. The resulting mixture was neutralized by the addition of 2 N aqueous hydrochloric acid, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure and the crystals so precipitated were collected by filtration, to give 70 mg of the title compound as a yellow powder, melting at 108 to 110°C.

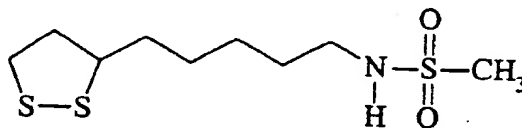
EXAMPLE 57**3-[5-(1,2-Dithiolan-3-yl)pentanoylamino]propionic acid (Compound No. 1-49)**

The reaction was effected as described in Example 49, but using 213 mg of methyl 3-[5-(1,2-dithiolan-3-yl)pentanoylamino]propionate (prepared as described in Example 55), 4 ml of methanol and 1.80 ml of a 1 N aqueous solution of sodium hydroxide. The solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue. The resulting mixture was neutralized by the addition of 2 N aqueous hydrochloric acid, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. The residue was dissolved in dioxane, after which it was lyophilised, to give 0.11 g of the title compound as a pale yellow amorphous substance, melting at 74 to 76°C.

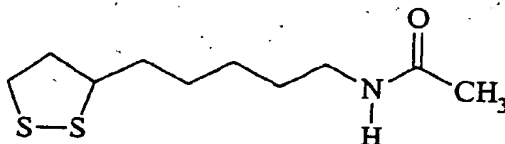
EXAMPLE 58**2-[5-(1,2-Dithiolan-3-yl)pentyl]isoindole-1,3-dione (Compound No. 1-2606)**

3.25 ml of dimethyl azodicarboxylate were added dropwise to a solution of 5.77 g of triphenylphosphine in 20 ml of tetrahydrofuran, whilst ice-cooling, and then the mixture was stirred at room temperature for 30 minutes. 3.24 g of

phthalimide were then added to the reaction mixture, after which a mixture of 20 mmol of 5-(1,2-dithiolan-3-yl)pentanol (prepared as described in Preparation 1) in 30 ml of toluene and 10 ml of tetrahydrofuran was added dropwise, and the mixture was stirred at room temperature for one hour. The reaction mixture was allowed to stand overnight at room temperature, after which 1.57 g of triphenylphosphine and 0.89 ml of dimethyl azodicarboxylate were added. The resulting mixture was stirred at room temperature for 7 hours and 30 minutes. 0.88 g of phthalimide, 1.57 g of triphenylphosphine and 0.89 ml of dimethyl azodicarboxylate were then added to the reaction mixture. The reaction mixture was allowed to stand at room temperature for 4 days. At the end of this time, the solvent was removed from the reaction mixture by distillation under reduced pressure, and the residue was subjected to silica gel column chromatography, using 1 : 6 and 1 : 4 by volume mixtures of ethyl acetate and hexane as eluent. The solvent was removed from the eluate by distillation under reduced pressure, and 30 ml of toluene were added to the residue. 1 ml of the resulting mixture was weighed, and the solvent was removed from it by distillation under reduced pressure, to give 165 mg of the title compound as an orange oil having an R_f value of 0.35 (silica gel thin layer chromatography; using a 1 : 4 by volume mixture of ethyl acetate and hexane as the developing solvent).

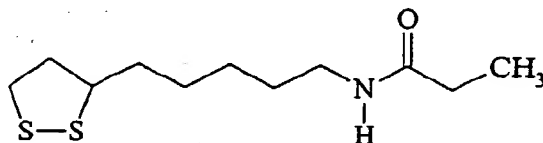
EXAMPLE 59**N-[5-(1,2-Dithiolan-3-yl)pentyl]methanesulphonamide (Compound No. 1-2470)**

1 ml of butylamine was added to a solution of 0.24 g of 2-[5-(1,2-dithiolan-3-yl)pentyl]isoindole-1,3-dione in 1 ml of methanol. The resulting mixture was stirred at room temperature for 6 hours. The reaction mixture was then allowed to stand overnight at room temperature. At the end of this time, the solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. 2 ml of tetrahydrofuran were added to the residue, and then 0.22 ml of triethylamine and 0.12 ml of methanesulphonyl chloride were added dropwise thereto, whilst ice-cooling. The mixture was then stirred at room temperature for 3 hours. At the end of this time, the solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure, and the residue was subjected to silica gel column chromatography, using 1 : 1 and 2 : 1 by volume mixtures of ethyl acetate and hexane as eluent, followed by reverse phase preparative silica gel column chromatography, using a 1 : 1 by volume mixture of acetonitrile and water as eluent. Acetonitrile was removed from the eluate so obtained by distillation under reduced pressure, and the residue was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure, and the residue was dissolved in dioxane, after which it was lyophilised, to give 100 mg of the title compound as a pale yellow amorphous substance, melting at 43 to 46°C.

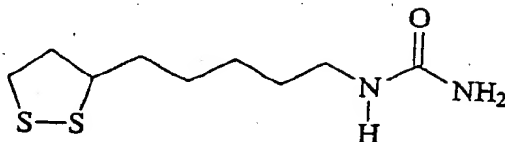
EXAMPLE 60**N-[5-(1,2-Dithiolan-3-yl)pentyl]acetamide (Compound No. 1-1962)**

1 ml of butylamine was added to a mixture of 1.3 mmol of 2-[5-(1,2-dithiolan-3-yl)pentyl]isoindole-1,3-dione, 9 ml

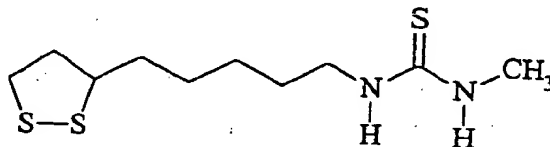
of toluene and 2 ml of methanol. The resulting mixture was stirred at room temperature for 3 hours, after which the reaction mixture was allowed to stand at room temperature for 2 days. 1 ml of butylamine was then added to the reaction mixture. The resulting mixture was stirred at room temperature for 3 hours. The solvent was then removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. 5 ml of anhydrous tetrahydrofuran were then added to the residue. 0.28 ml of triethylamine and 0.14 ml of acetyl chloride were then added dropwise to the resulting mixture, whilst ice-cooling, and then the mixture was stirred at room temperature for 1 hour and 30 minutes. At the end of this time, the solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. The residue was subjected to silica gel column chromatography, using 1 : 0 and 10 : 1 by volume mixtures of ethyl acetate and methanol as eluent. The solvent was removed from the eluate by distillation under reduced pressure. The residue was dissolved in dioxane, after which it was lyophilised, to give 161 mg of the title compound as yellow crystals, melting at 28 to 33°C.

EXAMPLE 61**N-[5-(1,2-Dithiolan-3-yl)pentyl]propionamide (Compound No. 1-1963)**

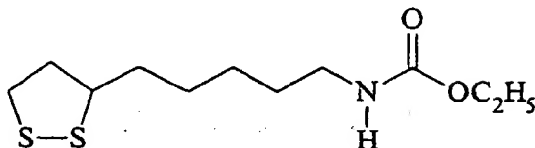
2 ml of methanol and 2 ml of butylamine were added to a solution of 1.6 mmol of 2-[5-(1,2-dithiolan-3-yl)pentyl]isindole-1,3-dione in 3 ml of toluene. The resulting mixture was stirred at room temperature for 6 hours. The reaction mixture was then allowed to stand overnight at room temperature. At the end of this time, the solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. 5 ml of pyridine were then added to the residue. 0.31 ml of propionic anhydride was added dropwise to the resulting mixture, and then the mixture was stirred at room temperature for 2 hours and 30 minutes. The solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. The residue was thereafter subjected to silica gel column chromatography, using 2 : 1, 3 : 1 and 1 : 0 by volume mixtures of ethyl acetate and hexane as eluent, followed by reverse phase preparative silica gel column chromatography, using 1 : 4, 3 : 7, 2 : 3 and 1 : 1 by volume mixtures of acetonitrile and water as eluent. Acetonitrile was removed from the eluate so obtained by distillation under reduced pressure, and the residue was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. The residue was dissolved in dioxane, after which it was lyophilised, to give 125 mg of the title compound as a yellow oil having an R_f value of 0.45 (silica gel thin layer chromatography; using ethyl acetate as the developing solvent).

EXAMPLE 62**[5-(1,2-Dithiolan-3-yl)pentyl]urea (Compound No. 1-1993)**

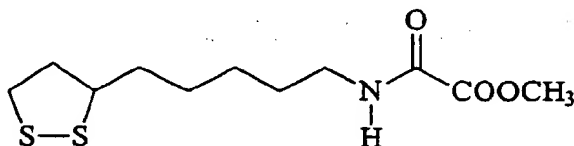
2 ml of methanol and 2 ml of butylamine were added to a solution of 1.6 mmol of 2-[5-(1,2-dithiolan-3-yl)pentyl]isoindole-1,3-dione in 3 ml of toluene. The resulting mixture was stirred at room temperature for 5 hours and 30 minutes. The reaction mixture was then allowed to stand overnight at room temperature. At the end of this time, the solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the resulting residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. 5 ml of tetrahydrofuran were added to the residue. 0.32 ml of trimethylsilyl isocyanate was then added dropwise to the resulting mixture, whilst ice-cooling, and then the mixture was stirred at room temperature for 3 hours and 30 minutes. At the end of this time, the solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure and the residue was subjected to silica gel column chromatography, using 1 : 0 and 5 : 1 by volume mixtures of ethyl acetate and methanol as eluent. The solvent was removed from the eluate by distillation under reduced pressure. The residue was recrystallized from ethyl acetate, to give 80 mg of the title compound as yellow crystals, melting at 74 to 78°C.

EXAMPLE 63**1-[5-(1,2-Dithiolan-3-yl)pentyl]-3-methylthiourea (Compound No. 1-2567)**

The reaction was effected as described in Example 62, but using a solution of 1.5 mmol of 2-[5-(1,2-dithiolan-3-yl)pentyl]isoindole-1,3-dione in 3 ml of toluene, 2 ml of methanol, 2 ml of butylamine, 5 ml of anhydrous tetrahydrofuran and 0.12 ml of methyl isothiocyanate. The solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. The residue was subjected to silica gel column chromatography, using 1 : 0 and 20 : 1 by volume mixtures of ethyl acetate and methanol as eluent, followed by reverse phase preparative silica gel column chromatography, using 3 : 7 and 1 : 1 by volume mixtures of acetonitrile and water as eluent. Acetonitrile was removed from the eluate so obtained by distillation under reduced pressure. The residue was thereafter extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. The residue was recrystallized from a 1 : 1 by volume mixture of ethyl acetate and hexane, to give 183 mg of the title compound as yellow crystals, melting at 64 to 65°C.

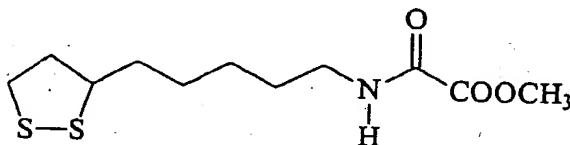
EXAMPLE 64**Ethyl [5-(1,2-dithiolan-3-yl)pentyl]carbamate (Compound No. 1-1977)**

2 ml of methanol and 2 ml of butylamine were added to a solution of 1.6 mmol of 2-[5-(1,2-dithiolan-3-yl)pentyl]isoindole-1,3-dione in 3 ml of toluene. The resulting mixture was stirred at room temperature for 7 hours. At the end of this time, the reaction mixture was allowed to stand overnight at room temperature. The solvent was then removed from the reaction mixture by distillation under reduced pressure. Water was added to the resulting residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. 2 ml of anhydrous tetrahydrofuran were added to the residue, and then 0.33 ml of triethylamine and 0.23 ml of ethyl chloroformate were added dropwise, whilst ice-cooling. The resulting mixture was stirred at room temperature for 2 hours. The solvent was then removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure, and the residue was subjected to silica gel column chromatography, using 1 : 3 and 1 : 2 by volume mixtures of ethyl acetate and hexane as eluent, followed by reverse phase preparative silica gel column chromatography, using a 1 : 1 by volume mixture of acetonitrile and water as eluent. Acetonitrile was removed from the eluate so obtained by distillation under reduced pressure, and the residue was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. The residue was dissolved in dioxane, after which it was lyophilised, to give 75 mg of the title compound as a red oil having an R_f value of 0.46 (silica gel thin layer chromatography; using a 1 : 2 by volume mixture of ethyl acetate and hexane as the developing solvent).

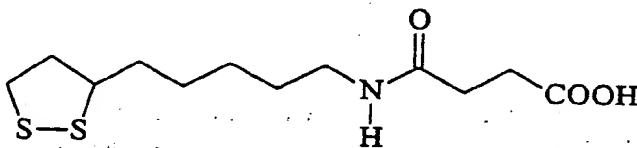
EXAMPLE 65**Methyl N-[5-(1,2-dithiolan-3-yl)pentyl]oxalamidate (Compound No. 1-2590)**

2 ml of methanol and 2 ml of butylamine were added to a solution of 1.5 mmol of 2-[5-(1,2-dithiolan-3-yl)pentyl]isoindole-1,3-dione in 3 ml of toluene. The resulting mixture was stirred at room temperature for one hour. The reaction mixture was then allowed to stand overnight at room temperature. At the end of this time, the solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. 4 ml of anhydrous tetrahydrofuran were added to the residue, and then 0.32 ml of triethylamine and 0.21 ml of methyloxalyl acid chloride were added dropwise, whilst ice-cooling. The resulting mixture was stirred at room temperature for 2 hours. At the end of this time, the solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure and the residue was subjected to reverse phase preparative silica gel column chromatography, using a 2 : 3 by volume mixture of acetonitrile and water as eluent.

Acetonitrile was removed from the eluate so obtained by distillation under reduced pressure. The residue was thereafter extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. The residue was dissolved in dioxane, after which it was lyophilised, to give 154 mg of the title compound as a pale yellow amorphous substance, melting at 42 to 43°C.

EXAMPLE 65**Methyl N-[5-(1,2-dithiolan-3-yl)pentyl]oxalamidate (Compound No. 1-2590)**

2 ml of methanol and 2 ml of butylamine were added to a solution of 1.5 mmol of 2-[5-(1,2-dithiolan-3-yl)pentyl]isindole-1,3-dione in 3 ml of toluene. The resulting mixture was stirred at room temperature for one hour. The reaction mixture was then allowed to stand overnight at room temperature. At the end of this time, the solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. 4 ml of anhydrous tetrahydrofuran were added to the residue, and then 0.32 ml of triethylamine and 0.21 ml of methyloxalyl acid chloride were added dropwise, whilst ice-cooling. The resulting mixture was stirred at room temperature for 2 hours. At the end of this time, the solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure and the residue was subjected to reverse phase preparative silica gel column chromatography, using a 2 : 3 by volume mixture of acetonitrile and water as eluent. Acetonitrile was removed from the eluate so obtained by distillation under reduced pressure. The residue was thereafter extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. The residue was dissolved in dioxane, after which it was lyophilised, to give 154 mg of the title compound as a pale yellow amorphous substance, melting at 42 to 43°C.

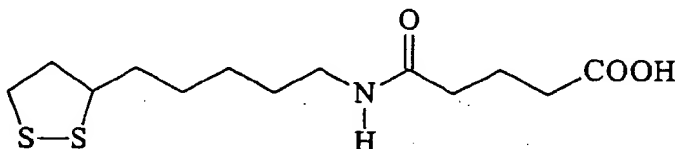
EXAMPLE 66**N-[5-(1,2-Dithiolan-3-yl)pentyl]succinamic acid (Compound No. 1-1970)**

The reaction was effected as described in Example 61, but using a solution of 1.5 mmol of 2-[5-(1,2-dithiolan-3-yl)pentyl]isindole-1,3-dione in 3 ml of toluene, 2 ml of methanol, 2 ml of butylamine, 4 ml of pyridine and 230 mg of succinic anhydride. The solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure and the residue was subjected to reverse phase preparative silica gel column chromatography, using 2 : 3, 1 : 1 and 3 : 2 by volume mixtures of acetonitrile and water as eluent. Acetonitrile was removed from the eluate so obtained by distillation under reduced pressure. The residue was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. The

residue was dissolved in dioxane, after which it was lyophilised, to give 166 mg of the title compound as a pale yellow amorphous substance, melting at 74°C.

EXAMPLE 67

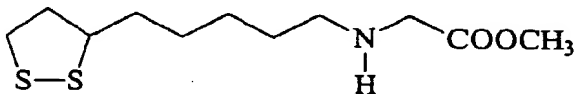
4-[5-(1,2-Dithiolan-3-yl)pentyl]carbamoyl]butanoic acid (Compound No. 1-2577)



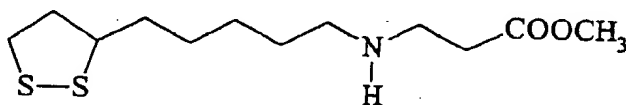
The reaction was effected as described in Example 61, but using a solution of 1.5 mmol of 2-[5-(1,2-dithiolan-3-yl)pentyl]isoindole-1,3-dione in 3 ml of toluene, 2 ml of methanol, 2 ml of butylamine, 4 ml of pyridine and 262 mg of glutaryl anhydride. The solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure and the residue was subjected to reverse phase preparative silica gel column chromatography, using a 2 : 3 by volume mixture of acetonitrile and water as eluent. Acetonitrile was removed from the eluate so obtained by distillation under reduced pressure. The residue was then extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. The residue was dissolved in dioxane, after which it was lyophilised, to give 132 mg of the title compound as a pale yellow amorphous substance, melting at 60 to 62°C.

EXAMPLE 68

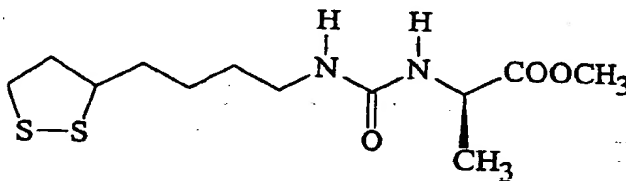
Methyl [5-(1,2-dithiolan-3-yl)pentylamino]acetate (Compound No. 1-2584)



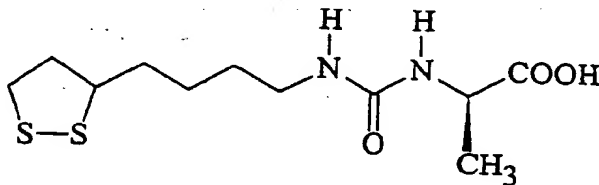
2 ml of methanol and 2 ml of butylamine were added to a solution of 1.6 mmol of 2-[5-(1,2-dithiolan-3-yl)pentyl]isoindole-1,3-dione in 3 ml of toluene. The resulting mixture was allowed to stand overnight at room temperature. The solvent was then removed from the reaction mixture by distillation under reduced pressure. Water was added to the resulting residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. 4 ml of anhydrous tetrahydrofuran were added to the residue, and then 0.33 ml of triethylamine and 0.17 ml of methyl bromoacetate were added dropwise, whilst ice-cooling. The resulting mixture was stirred for one hour, whilst ice-cooling and then for 5 hours at room temperature. The reaction mixture was then allowed to stand at room temperature for 2 days. At the end of this time, the solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure, and the residue was subjected to silica gel column chromatography, using 1 : 0 and 20 : 1 by volume mixtures of ethyl acetate and methanol as eluent. The solvent was removed from the eluate so obtained by distillation under reduced pressure. The residue was dissolved in dioxane, after which it was lyophilised, to give 195 mg of the title compound as a yellow oil having an R_f value of 0.55 (silica gel thin layer chromatography; using a 4 : 1 by volume mixture of ethyl acetate and methanol as the developing solvent).

EXAMPLE 69**Methyl 3-[5-(1,2-dithiolan-3-yl)pentylamino]propionate (Compound No. 1-2586 methyl ester)**

The reaction was effected as described in Example 68, but using a solution of 1.5 mmol of 2-[5-(1,2-dithiolan-3-yl)pentyl]isoindole-1,3-dione, 2 ml of methanol, 2 ml of butylamine, 4 ml of anhydrous tetrahydrofuran, 0.24 ml of triethylamine and 0.19 ml of methyl bromopropionate. The solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. The residue was subjected to silica gel column chromatography, using 1 : 0, 20 : 1 and 4 : 1 by volume mixtures of ethyl acetate and methanol as eluent. The solvent was removed from the eluate so obtained by distillation under reduced pressure. The residue was dissolved in dioxane, after which it was lyophilised, to give 161 mg of the title compound as a yellow oil having an R_f value of 0.21 (silica gel thin layer chromatography, using a 4 : 1 by volume mixture of ethyl acetate and methanol as the developing solvent).

EXAMPLE 70**Methyl 2(R)-[3-[4-(1,2-dithiolan-3-yl)butyl]ureido]propionate (Compound No. 1-742)**

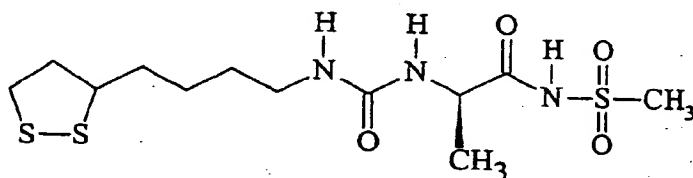
The reaction was effected as described in Example 46, but using 0.51 g of D,L- α -lipoic acid, 10 ml of anhydrous toluene, 0.75 ml of triethylamine, 0.59 ml of diphenylphosphoryl azide, 10 ml of anhydrous dimethylformamide and 0.34 g of D-alanine methyl ester hydrochloride. The solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. The residue was subjected to silica gel column chromatography, using 1 : 1 and 1 : 0 by volume mixtures of ethyl acetate and hexane as eluent. The solvent was removed from the eluate so obtained by distillation under reduced pressure. The residue was dissolved in dioxane, after which it was lyophilised, to give 0.27 g of the title compound as a yellow powder, melting at 72 to 82°C.

EXAMPLE 71**2(R)-[3-[4-(1,2-Dithiolan-3-yl)butyl]ureido]propionic acid (Compound No. 1-740)**

The reaction was effected as described in Example 48, but using 1.74 g of methyl 2(R)-{3-[4-(1,2-dithiolan-3-yl)butyl]ureido}propionate (prepared as described in Example 70), 30 ml of methanol, 22 ml of tetrahydrofuran and 17.0 ml of a 1 N aqueous solution of sodium hydroxide. The solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue. After neutralisation with 2 N aqueous hydrochloric acid, the mixture was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. The residue was recrystallized from ethyl acetate, to give 0.56 g of the title compound as yellow crystals, melting at 131 to 134°C.

EXAMPLE 72

N-(2-{3-[4-(1,2-Dithiolan-3-yl)butyl]ureido}propionyl)methanesulphonamide (Compound No. 1-1280)

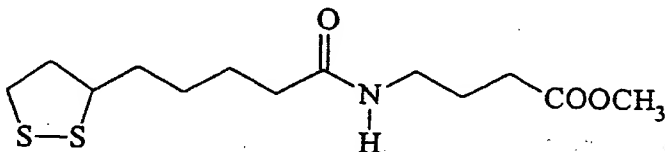


(a) 127 mg of *N,N'*-carbonyldiimidazole were added to a solution of 208 mg of 2(R)-{3-[4-(1,2-dithiolan-3-yl)butyl]ureido}propionic acid (prepared as described in Example 71) in 2 ml of anhydrous dimethylformamide, and then the mixture was stirred at room temperature for 3 hours and 10 minutes.

(b) Meanwhile, 34 mg of sodium hydride (as a 55% w/w dispersion in mineral oil) were washed with hexane, and then 3 ml of anhydrous dimethylformamide were added. 74 mg of methanesulphonamide were added to the resulting mixture, whilst ice-cooling, and then the mixture was stirred at room temperature for 3 hours and 45 minutes. At the end of this time, the solution prepared as described in step (a) above was added dropwise to the reaction mixture, and the resulting mixture was stirred at room temperature for 4 hours. The reaction mixture was then allowed to stand overnight at room temperature. The solvent was then removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue. After neutralisation with 2 N aqueous hydrochloric acid, the resulting mixture was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. The residue was recrystallized from methanol, to give 77 mg of the title compound as a pale yellow powder, melting at 140 to 150°C.

EXAMPLE 73

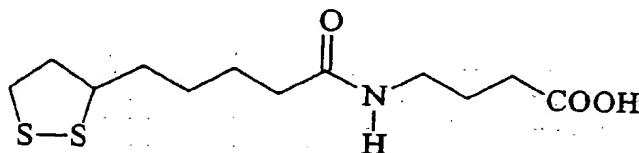
Methyl 4-[5-(1,2-dithiolan-3-yl)pentanoylamino]butanoate (Compound No. 1-1275 methyl ester)



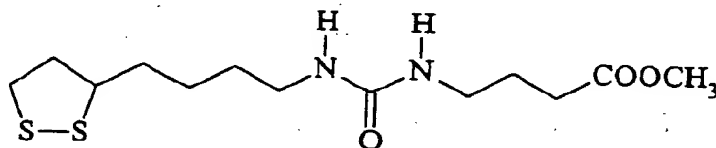
(a) 0.86 g of *N,N'*-carbonyldiimidazole was added to a solution of 1.00 g of *D,L*-α-lipoic acid in 20 ml of anhydrous dimethylformamide, whilst ice-cooling. The resulting mixture was stirred at room temperature for 1 hour and 25 minutes.

(b) Meanwhile, 0.23 g of sodium hydride (as a 55% w/w dispersion in mineral oil) was washed with hexane, after which 20 ml of anhydrous dimethylformamide were added. 0.82 g of methyl 4-aminobutanoate hydrochloride was then added, whilst ice-cooling, and then the resulting mixture was stirred at room temperature for 1 hour and 45 minutes. The solution prepared as described in step (a) above was then added dropwise to the reaction mixture, whilst ice-cooling, and then the mixture was stirred at room temperature for 1 hour and 30 minutes. The reaction

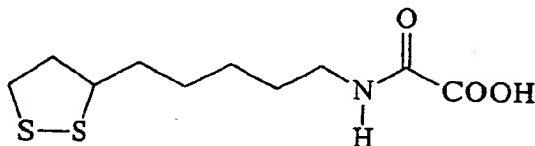
mixture was allowed to stand overnight at room temperature, after which the solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue. After neutralisation with 2 N aqueous hydrochloric acid, the resulting mixture was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure, and the residue was subjected to silica gel column chromatography, using 1 : 1 and 1 : 0 by volume mixtures of ethyl acetate and hexane as eluent. The ethyl acetate was removed from the eluate so obtained by distillation under reduced pressure. The residue was dissolved in dioxane, after which it was lyophilised, to give 0.83 g of the title compound as a yellow powder, melting at 30 to 32°C.

EXAMPLE 74**4-[5-(1,2-Dithiolan-3-yl)pentanoylamino]butanoic acid (Compound No. 1-1275)**

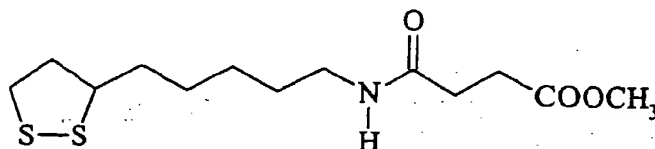
The reaction was effected as described in Example 49, but using 0.65 g of methyl 4-[5-(1,2-dithiolan-3-yl)pentanoylamino]butanoate (prepared as described in Example 73), 13 ml of methanol and a 1 N aqueous solution of sodium hydroxide. The solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue. After neutralisation with 2 N aqueous hydrochloric acid, the resulting mixture was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. The residue was recrystallized from ethyl acetate, to give 0.28 g of the title compound as yellow crystals, melting at 56 to 58°C.

EXAMPLE 75**Methyl 4-[3-[4-(1,2-dithiolan-3-yl)butyl]ureido]butanoate (Compound No. 1-1276 methyl ester)**

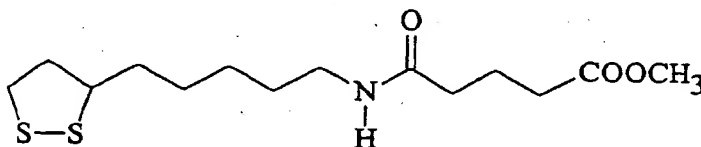
The reaction was effected as described in Example 46, but using 1.00 g of D,L-α-lipoic acid, 20 ml of anhydrous toluene, 1.48 ml of triethylamine, 1.15 ml of diphenylphosphoryl azide and 0.74 g of methyl 4-aminobutanoate hydrochloride. The solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. The residue was subjected to silica gel column chromatography, using ethyl acetate as eluent. The ethyl acetate was removed from the eluate so obtained by distillation under reduced pressure, to give 1.18 g of the title compound as yellow crystals, melting at 63 to 70°C.

EXAMPLE 76**N-[5-(1,2-Dithiolan-3-yl)pentyl]oxalamic acid (Compound No. 1-2589)**

The reaction was effected as described in Example 49, but using 92 mg of methyl N-[5-(1,2-dithiolan-3-yl)pentyl] oxalamidate (prepared as described in Example 65), 4 ml of methanol, 1 ml of tetrahydrofuran and 0.6 ml of a 1 N aqueous solution of sodium hydroxide. The solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue. The resulting mixture was neutralized by the addition of 2 N aqueous hydrochloric acid, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. The residue was dissolved in dioxane, after which it was lyophilised, to give 64 mg of the title compound as a pale yellow amorphous substance, melting at 75 to 79°C.

EXAMPLE 77**Methyl N-[5-(1,2-dithiolan-3-yl)pentyl]succinamidate (Compound No. 1-1970 methyl ester)**

0.25 ml of a hexane solution containing 2.0 M of (trimethylsilyl)diazomethane was added dropwise to a mixture of 89 mg of N-[5-(1,2-dithiolan-3-yl)pentyl]succinamic acid, 1 ml of methanol and 1.5 ml of toluene, and then the mixture was stirred at room temperature for 30 minutes. At the end of this time, the solvent was removed from the reaction mixture by distillation under reduced pressure. The resulting residue was subjected to silica gel column chromatography, using 1 : 1 and 1 : 0 by volume mixtures of ethyl acetate and hexane as eluent. Ethyl acetate and hexane were removed from the eluate so obtained by distillation under reduced pressure. The resulting residue was dissolved in dioxane, after which it was lyophilised, to give 77 mg of the title compound as a pale yellow amorphous substance, melting at 46 to 48°C.

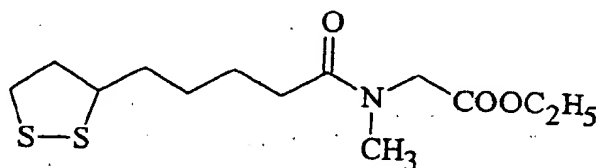
EXAMPLE 78**Methyl 4-[5-(1,2-dithiolan-3-yl)pentylcarbamoyl]butanoate (Compound No. 1-2577 methyl ester)**

The reaction was effected as described in Example 77, but using 68 mg of 4-[5-(1,2-dithiolan-3-yl)pentylcarbamoyl] butanoic acid (prepared as described in Example 67), 1 ml of methanol, 1 ml of toluene and 0.40 ml of a hexane solution containing 2.0 M of (trimethylsilyl)diazomethane. The solvent was then removed from the reaction mixture by distillation under reduced pressure. The residue was subjected to silica gel column chromatography, using 3 : 1 and 1 : 0 by

volume mixtures of ethyl acetate and hexane as eluent. The solvent was removed from the eluate so obtained by distillation under reduced pressure. The residue was dissolved in dioxane, after which it was lyophilised, to give 62 mg of the title compound as a pale yellow amorphous substance, melting at 69 to 71°C.

EXAMPLE 79

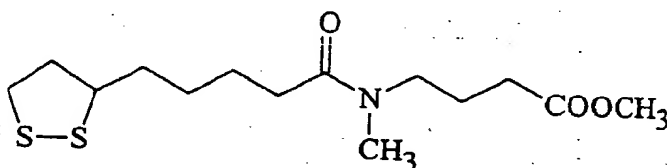
Ethyl {N-[5-(1,2-dithiolan-3-yl)pentanoyl]-N-methylamino}acetate (Compound No. 1-2520 ethyl ester)



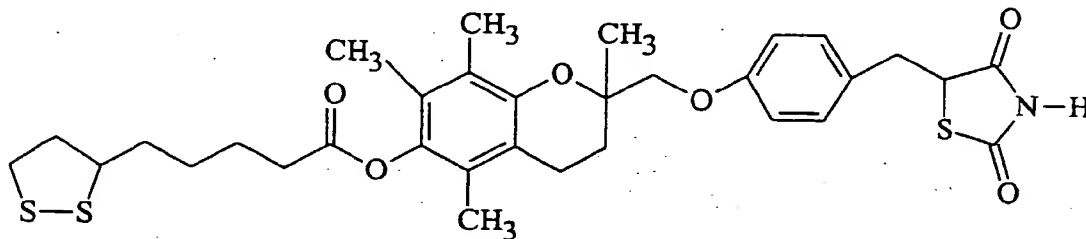
The reaction was effected as described in Example 47, but using 500 mg of D,L- α -lipoic acid, 10 ml of anhydrous dimethylformamide, 422 mg of N,N'-carbonyldiimidazole, 0.36 ml of triethylamine and 399 mg of sarcosine ethyl ester hydrochloride. The solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure, and the residue was subjected to silica gel column chromatography, using a 2 : 1 by volume mixture of ethyl acetate and hexane as eluent. The solvent was removed from the eluate by distillation under reduced pressure. The residue was dissolved in dioxane, after which it was lyophilised, to give 558 mg of the title compound as a yellow oil having an R_f value of 0.39 (silica gel thin layer chromatography; using a 2 : 1 by volume mixture of ethyl acetate and hexane as the developing solvent).

EXAMPLE 80

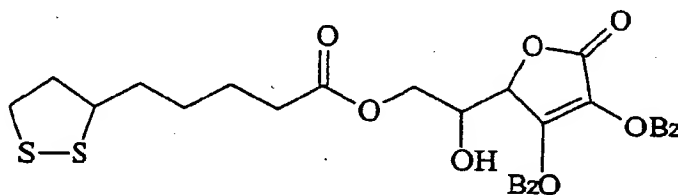
Methyl 4-{N-[5-(1,2-dithiolan-3-yl)pentanoyl]-N-methylamino}butanoate (Compound No. 1-2669 methyl ester)



The reaction was effected as described in Example 47, but using 500 mg of D,L- α -lipoic acid, 10 ml of anhydrous dimethylformamide, 422 mg of N,N'-carbonyldiimidazole, 0.36 ml of triethylamine and 486 mg of methyl 4-(methylamino)butanoate hydrochloride. The solvent was removed from the reaction mixture by distillation under reduced pressure. Water was added to the residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure, and the residue was subjected to silica gel column chromatography, using 2 : 1 and 4 : 1 by volume mixtures of ethyl acetate and hexane as eluent, followed by reverse phase preparative silica gel column chromatography, using 2 : 3 and 9 : 11 by volume mixtures of acetonitrile and water as eluent. Acetonitrile was removed from the eluate so obtained by distillation under reduced pressure, and the residue was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure. The residue was then dissolved in dioxane, after which it was lyophilised, to give 229 mg of the title compound as a yellow oil having an R_f value of 0.37 (silica gel thin layer chromatography; using a 4 : 1 by volume mixture of ethyl acetate and hexane developing solvent).

EXAMPLE 81**2-[4-(2,4-Dioxothiazolidin-5-ylmethyl)phenoxy]methyl-2,5,7,8-tetramethylchroman-6-yl 5-(1,2-dithiolan-3-yl)pentanoate**

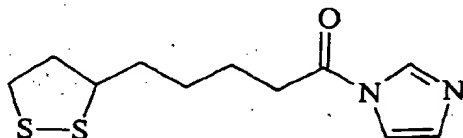
0.36 ml of triethylamine and then 0.25 ml of ethyl chloroformate were added dropwise to 10 ml of a solution of 500 mg of D,L- α -lipoic acid in anhydrous dimethylformamide, whilst ice-cooling, and the mixture was stirred at room temperature for 2 hours. At the end of this time, 1.06 g of 5-[4-(2,5,7,8-tetramethylchroman-2-ylmethoxy)benzyl]thiazolidine-2,4-dione were added to the reaction solution, whilst ice-cooling, and the mixture was stirred at room temperature for 5 hours, after which it was left to stand at room temperature overnight. The mixture was then stirred on an oil bath at 50°C for 1 hour, and then the solvent was removed from the reaction mixture by evaporation under reduced pressure. Water was added to the residue, and the mixture was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was subjected to silica gel column chromatography, using a 3 : 2 by volume mixture of ethyl acetate and hexane as the eluent. The residue was then subjected to reverse phase preparative silica gel column chromatography, using 3 : 1 and 4 : 1 by volume mixtures of acetonitrile and water as eluent. The acetonitrile was removed from the solution by evaporation under reduced pressure, after which the residue was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was dissolved in dioxane and then lyophilised, to obtain 0.57 g of the title compound as a yellow oil having an R_f value of 0.42 (silica gel thin layer chromatography; using a 1 : 2 by volume mixture of ethyl acetate and hexane as developing solvent).

EXAMPLE 82**2-(3,4-Dibenzoyloxy-5-oxo-2,5-dihydrofuran-2-yl)-2-hydroxyethyl 5-(1,2-dithiolan-3-yl)pentanoate**

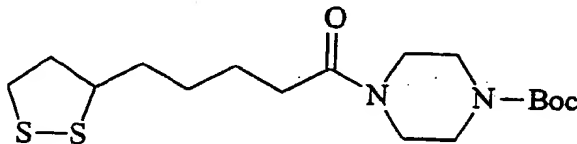
("Bz" is benzyl)

276 mg of N,N'-carbonyldiimidazole were added, whilst ice-cooling, to 6 ml of a solution of 300 mg of D,L- α -lipoic acid in anhydrous dimethylformamide and the mixture was stirred at room temperature for 1 hour and 30 minutes. At the end of this time, 0.24 ml of triethylamine and 536 mg of 2,3-O-dibenzylascorbic acid were added, whilst ice-cooling, to the reaction solution, and the mixture was stirred at room temperature for 1 hour, after which the reaction mixture was left to stand at room temperature for 2 days. The solvent was then removed from the reaction mixture by evaporation under reduced pressure. Water was added to the residue, and the mixture was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the

residue was subjected to silica gel column chromatography, using 1 : 3 and 1 : 1 by volume mixtures of ethyl acetate and hexane as the eluent. The residue was then subjected to reverse phase preparative silica gel column chromatography, using 11 : 9, 3 : 2 and 13 : 7 by volume mixtures of acetonitrile and water as eluent, and the acetonitrile was removed from the solution by evaporation under reduced pressure, after which the residue was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was dissolved in dioxane and then lyophilised, to obtain 321 mg of the title compound as a yellow oil having an R_f value of 0.34 (silica gel thin layer chromatography; using a 1 : 2 by volume mixture of ethyl acetate and hexane as developing solvent).

EXAMPLE 83**5-(1,2-Dithiolan-3-yl)-1-(imidazol-1-yl)pentan-1-one**

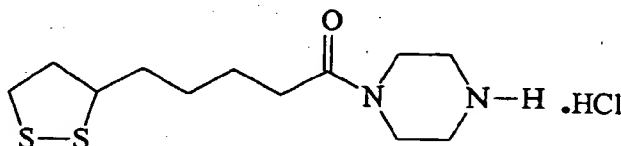
0.86 g of *N,N'*-carbonyldiimidazole was added, whilst ice-cooling, to 20 ml of a solution of 1.00 g of *D,L*- α -lipoic acid in anhydrous dimethylformamide, and the mixture was stirred at room temperature for 1 hour. At the end of this time, 311 mg of chloromethanesulphonamide and 104 mg of sodium hydride (as a 55% w/w dispersion in mineral oil) were added, whilst ice-cooling, to the reaction solution, and the mixture was stirred at room temperature for 1 hour. The reaction mixture was then left to stand at room temperature overnight, after which the solvent was removed from the reaction mixture by evaporation under reduced pressure. Water was added to the residue and the mixture was neutralized by the addition of 2 N aqueous hydrochloric acid, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was subjected to silica gel column chromatography, using 2 : 1, 4 : 1 and 1 : 0 by volume mixtures of ethyl acetate and hexane as the eluent. The residue was then subjected to reverse phase preparative silica gel column chromatography, using 2 : 3 and 1 : 1 by volume mixtures of acetonitrile and water as eluent, and the acetonitrile was removed from the solution by evaporation under reduced pressure, after which the residue was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was dissolved in dioxane and then lyophilised, to obtain 245 mg of the title compound as a pale yellow amorphous substance, melting at 37 to 39°C.

EXAMPLE 84**t-Butyl 4-[5-(1,2-dithiolan-3-yl)pentanoyl]piperazine-1-carboxylate (Compound No. 1-1131 t-butoxycarbonyl derivative)**

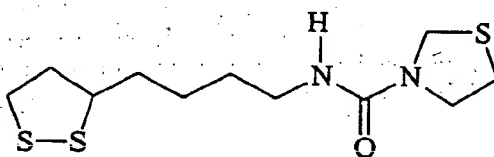
("Boc" is t-butoxycarbonyl)

The reaction was carried out as described in Example 43, but using 500 mg of *D,L*- α -lipoic acid, 10 ml of anhydrous dimethylformamide, 422 mg of *N,N'*-carbonyldiimidazole and 484 mg of *N*-t-butoxycarbonylpiperazine. The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue,

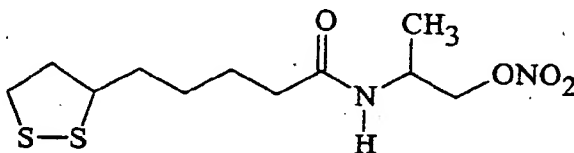
after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was subjected to silica gel column chromatography, using 2 : 1 and 1 : 0 by volume mixtures of ethyl acetate and hexane as the eluent. The residue was dissolved in dioxane and then lyophilised, to obtain 520 mg of the title compound as a pale yellow amorphous substance, melting at 70 to 71°C.

EXAMPLE 85**5-(1,2-Dithiolan-3-yl)-1-(piperazin-1-yl)pentan-1-one hydrochloride (Compound No. 1-1131 hydrochloride)**

3 ml of a 4 N solution of hydrogen chloride in ethyl acetate were added dropwise to 5 ml of a solution of 260 mg of t-butyl 4-[5-(1,2-dithiolan-3-yl)pentanoyl]piperazine-1-carboxylate (prepared as described in Example 84) in ethyl acetate, and the mixture was stirred at room temperature for 3 hours. The crystals which precipitated were then collected by filtration, to obtain 217 mg of the title compound as a pale yellow powder, melting at 84 to 86°C.

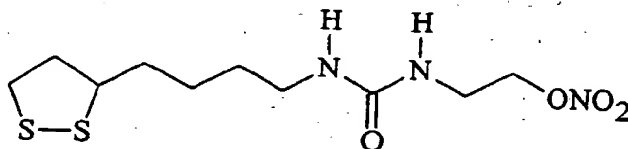
EXAMPLE 86**Thiazolidine-3-carboxylic acid [4-(1,2-dithiolan-3-yl)butyl]amide (Compound No. 1-1260)**

The reaction was carried out as described in Example 44, but using 500 mg of D,L-α-lipoic acid, 10 ml of anhydrous toluene, 0.36 ml of triethylamine, 0.56 ml of diphenylphosphoryl azide and 0.20 ml of thiazolidine. The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was subjected to silica gel column chromatography, using 2 : 1 and 1 : 0 by volume mixtures of ethyl acetate and hexane as the eluent. The solvent was removed from the eluate by evaporation under reduced pressure, and the residue was recrystallized from a 1 : 1 by volume mixture of ethyl acetate and hexane, to obtain 386 mg of the title compound as yellow crystals, melting at 76 to 77°C.

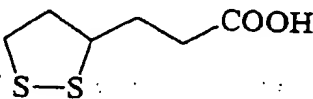
EXAMPLE 87**5-(1,2-Dithiolan-3-yl)pentanoic acid (1-methyl-2-nitroxyethyl)amide (Compound No. 1-2665 nitrate)**

The reaction was carried out as described in Example 47, but using 300 mg of D,L-α-lipoic acid, 9 ml of anhydrous

dimethylformamide, 259 mg of *N,N'*-carbonyldiimidazole, 0.22 ml of triethylamine and 251 mg of 1-methyl-2-nitroxyethylamine hydrochloride. The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was subjected to silica gel column chromatography, using 3 : 2 and 2 : 1 by volume mixtures of ethyl acetate and hexane as the eluent. The residue was then subjected to reverse phase preparative silica gel column chromatography, using 3 : 7, 2 : 3 and 7 : 3 by volume mixtures of acetonitrile and water as eluent, and the acetonitrile was removed from the solution by evaporation under reduced pressure, after which the residue was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was dissolved in dioxane and then lyophilised, to obtain 119 mg of the title compound as a yellow oil having an *R_f* value of 0.39 (silica gel thin layer chromatography; using a 2 : 1 by volume mixture of ethyl acetate and hexane as developing solvent).

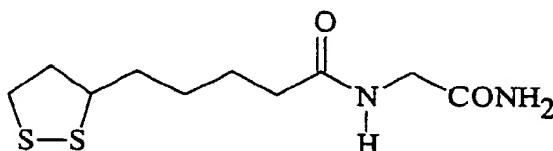
EXAMPLE 88**1-[4-(1,2-Dithiolan-3-yl)butyl]-3-(2-nitroxyethyl)urea (Compound No. 1-2661 nitrate)**

The reaction was carried out as described in Example 46, but using 500 mg of *D,L*- α -lipoic acid, 10 ml of anhydrous toluene, 0.72 ml of triethylamine, 0.56 ml of diphenylphosphoryl azide, 10 ml of anhydrous dimethylformamide and 342 mg of 2-nitroxyethylamine hydrochloride. The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was subjected to silica gel column chromatography, using 2 : 1 and 1 : 0 by volume mixtures of ethyl acetate and hexane as the eluent. The solvent was removed from the eluate by evaporation under reduced pressure, and the residue was recrystallized from a 1 : 2 by volume mixture of ethyl acetate and hexane, to obtain 58 mg of the title compound as a pale yellow powder having an *R_f* value of 0.31 (silica gel thin layer chromatography; using a 2 : 1 by volume mixture of ethyl acetate and hexane as developing solvent).

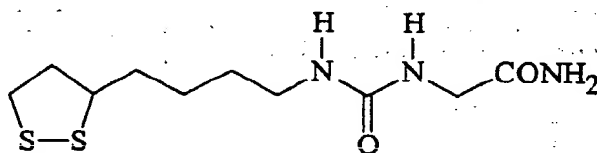
EXAMPLE 89**3-(1,2-Dithiolan-3-yl)propionic acid**

1.3 ml of 2 N aqueous hydrochloric acid were added to a solution of 500 mg of 4,6-dithioxyhexanoic acid in 5 ml of a 1 N aqueous solution of sodium hydroxide. 5 drops of a 1% w/v aqueous solution of ferric chloride were then added dropwise to the reaction solution, and the resulting mixture was stirred at room temperature for 1 hour while air was blown through the mixture. Water was then added to the reaction solution, which was then washed with ethyl acetate. The aqueous layer was neutralized by the addition of 2 N aqueous hydrochloric acid and then extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was dissolved in dioxane and then lyophilised, to obtain 388 mg of the title compound as a

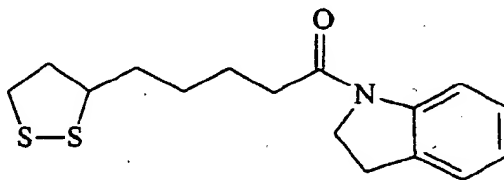
yellow oil having an R_f value of 0.59 (silica gel thin layer chromatography; using a 2 : 1 by volume mixture of ethyl acetate and hexane as developing solvent).

EXAMPLE 90**2-[5-(1,2-Dithiolan-3-yl)pentanoylamino]acetamide (Compound No. 1-46 amide)**

The reaction was carried out as described in Example 47, but using 500 mg of D,L- α -lipoic acid, 10 ml of anhydrous dimethylformamide, 422 mg of N,N'-carbonyldiimidazole, 0.36 ml of triethylamine and 265 mg of glycine hydrochloride. The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the crystals which precipitated were collected by filtration, to obtain 330 mg of the title compound as yellow crystals, melting at 105 to 108°C.

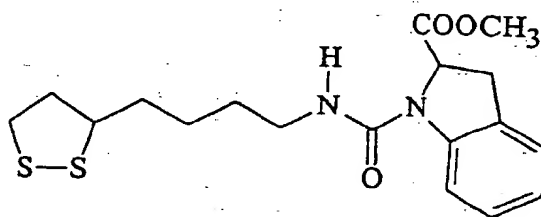
EXAMPLE 91**2-[3-[4-(1,2-Dithiolan-3-yl)butyl]ureido]acetamide (Compound No. 1-738 amide)**

The reaction was carried out as described in Example 46, but using 500 mg of D,L- α -lipoic acid, 10 ml of anhydrous toluene, 0.56 ml of triethylamine, 0.72 ml of diphenylphosphoryl azide, 10 ml of anhydrous dimethylformamide and 265 mg of glycine hydrochloride. The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was recrystallized from ethyl acetate, to obtain 149 mg of the title compound as a yellow powder, melting at 141 to 143°C.

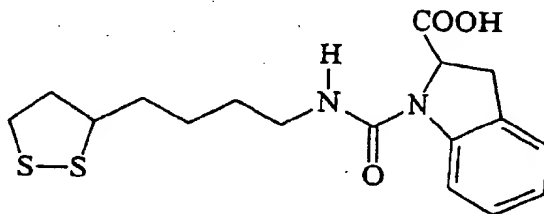
EXAMPLE 92**1-(Indolin-1-yl)-5-(1,2-dithiolan-3-yl)pentan-1-one (Compound No. 1-2674)**

The reaction was carried out as described in Example 43, but using 1.00 g of D,L- α -lipoic acid, 30 ml of anhydrous dimethylformamide, 0.86 g of N,N'-carbonyldiimidazole, 0.73 ml of triethylamine and 1.13 g of methyl indoline-2-carboxylate hydrochloride. The solvent was removed from the reaction mixture by evaporation under reduced pressure,

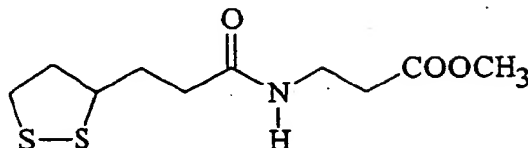
and water was added to the residue, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was subjected to silica gel column chromatography, using 1 : 4 and 1 : 2 by volume mixtures of ethyl acetate and hexane as the eluent. The residue was then subjected to reverse phase preparative silica gel column chromatography, using a 3 : 2 by volume mixture of acetonitrile and water as eluent, and the acetonitrile was removed from the solution by evaporation under reduced pressure, after which the residue was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was dissolved in dioxane and then lyophilised, to obtain 0.84 g of the title compound as a pale yellow powder, melting at 65 to 66°C.

EXAMPLE 93**Methyl 1-[4-(1,2-dithiolan-3-yl)butylcarbamoyl]indoline-2-carboxylate (Compound No. 1-2676 methyl ester)**

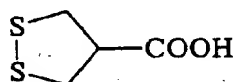
The reaction was carried out as described in Example 44, but using 1.00 g of D,L- α -lipoic acid, 25 ml of anhydrous toluene, 0.73 ml of triethylamine, 1.14 ml of diphenylphosphoryl azide and 0.94 g of methyl indoline-2-carboxylate. The reaction solution was washed with water, and the toluene layer was separated and washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The toluene was removed from the extraction solution by evaporation under reduced pressure, and the residue was subjected to silica gel column chromatography, using 1 : 2, 1 : 1 and 2 : 1 by volume mixtures of ethyl acetate and hexane as the eluent. The solvent was removed from the eluate by evaporation under reduced pressure, and the residue was recrystallized from ethyl acetate, to obtain 485 mg of the title compound as yellow crystals, melting at 86 to 89°C.

EXAMPLE 94**1-[4-(1,2-Dithiolan-3-yl)butylcarbamoyl]indoline-2-carboxylic acid (Compound No. 1-2676)**

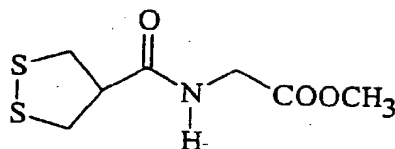
The reaction was carried out as described in Example 48, but using 200 mg of methyl 1-[4-(1,2-dithiolan-3-yl)butylcarbamoyl]indoline-2-carboxylate (prepared as described in Example 93), 4 ml of methanol, 2 ml of tetrahydrofuran and 1.0 ml of a 1 N aqueous solution of sodium hydroxide. The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue. The mixture was neutralized by the addition of 2 N aqueous hydrochloric acid and extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was dissolved in dioxane and then lyophilised, to obtain 98 mg of the title compound as a pale yellow amorphous substance having an Rf value of 0.12 (silica gel thin layer chromatography, using a 2 : 1 by volume mixture of ethyl acetate and hexane as developing solvent).

EXAMPLE 95**Methyl 3-[3-(1,2-dithiolan-3-yl)propionylamino]propionate**

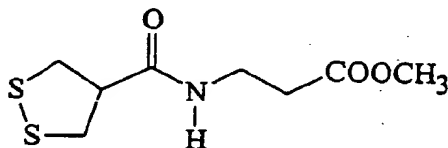
5 ml of anhydrous tetrahydrofuran were added to 6 ml of a mixture of 2.5 mmol of 3-(1,2-dithiolan-3-yl)propionic acid in a mixture of ethyl acetate and dimethylformamide. 0.6 ml of triethylamine, 349 mg of β -alanine methyl ester hydrochloride and 0.38 ml of diethyl cyanophosphate were added to the reaction solution, whilst ice-cooling, and the resulting mixture was stirred, whilst ice-cooling for 1 hour. At the end of this time, the reaction mixture was stirred at room temperature for 30 minutes, and then the solvent was removed from the reaction mixture by evaporation under reduced pressure. Water was added to the residue, and the mixture was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was subjected to silica gel column chromatography, using 2 : 1, 3 : 1 and 1 : 0 by volume mixtures of ethyl acetate and hexane as the eluent. The residue was then subjected to reverse phase preparative silica gel column chromatography, using a 2 : 3 by volume mixture of acetonitrile and water as eluent, and the acetonitrile was removed from the solution by evaporation under reduced pressure, after which the residue was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was dissolved in dioxane and then lyophilised, to obtain 229 mg of the title compound as a yellow oil having an R_f value of 0.24 (silica gel thin layer chromatography; using a 3 : 1 by volume mixture of ethyl acetate and hexane as developing solvent).

EXAMPLE 96**1,2-Dithiolane-4-carboxylic acid**

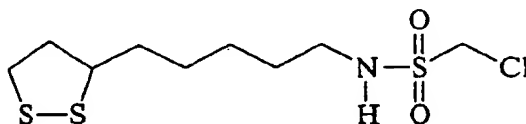
40 ml of 5 N aqueous hydrochloric acid were added to 20 ml of a solution of 3.60 g of methyl (1,3-diacetylthio) propyl-2-carboxylate in methanol, and the mixture was heated under reflux for 5 hours and 30 minutes. At the end of this time, the reaction mixture was left to stand at room temperature overnight, and then the solvent was removed from the reaction mixture by evaporation under reduced pressure. Water was added to the residue, and the mixture was extracted with ethyl acetate. The extraction solution was washed with a dilute aqueous solution of sodium hydrogen-carbonate, and then with a saturated aqueous solution of sodium chloride, after which it was dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and 150 ml of a saturated aqueous solution of sodium hydrogencarbonate and a catalytic amount of ferric chloride 6 hydrate were added to the residue, and then the mixture was stirred at room temperature for 8 hours. The reaction mixture was then left to stand at room temperature overnight, after which the solvent was removed from the reaction mixture by evaporation under reduced pressure. Water was added to the residue, and the resulting mixture was washed with ethyl acetate. The pH of the aqueous layer was adjusted to a value of 2 by the addition of aqueous hydrochloric acid, and the mixture was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was dissolved in dioxane and then lyophilised, to obtain 0.25 g of the title compound as yellow crystals having an R_f value of 0.56 (silica gel thin layer chromatography; using a 5 : 1 by volume mixture of ethyl acetate and methanol as developing solvent).

EXAMPLE 97**Methyl 1,2-dithiolan-4-ylcarbonylaminoacetate**

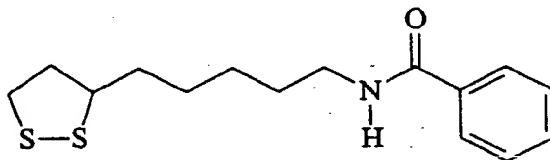
5 ml of anhydrous tetrahydrofuran, 1.01 ml of triethylamine and 398 mg of glycine methyl ester hydrochloride were added to 5 ml of a solution of 3.3 mmol of 1,2-dithiolane-4-carboxylic acid (prepared as described in Example 96) in anhydrous dimethylformamide, and 0.55 ml of diethyl cyanophosphate was then added to the resulting mixture, whilst ice-cooling, after which the mixture was stirred at room temperature for 5 hours. At the end of this time, the reaction mixture was left to stand, at room temperature overnight, after which the solvent was removed from the reaction mixture by evaporation under reduced pressure. Water was added to the residue, and the mixture was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was subjected to silica gel column chromatography, using a 2 : 1 by volume mixture of ethyl acetate and hexane as the eluent. The solvent was then removed from the eluate solution by evaporation under reduced pressure, and the residue was recrystallized from a 1 : 2 by volume mixture of ethyl acetate and hexane, to obtain 54 mg of the title compound as pale yellow crystals, melting at 73 to 75°C.

EXAMPLE 98**Methyl 3-(1,2-dithiolan-4-ylcarbonyl)aminopropionate**

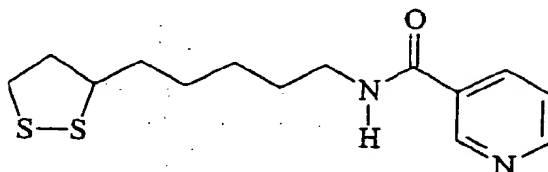
The reaction was carried out as described in Example 97, but using 5 ml of a solution of 3.3 mmol of 1,2-dithiolane-4-carboxylic acid (prepared as described in Example 96) in anhydrous dimethylformamide, 5 ml of anhydrous tetrahydrofuran, 1.01 ml of triethylamine, 502 mg of β-alanine methyl ester hydrochloride and 0.55 ml of diethyl cyanophosphate. The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was subjected to silica gel column chromatography, using a 2 : 1 by volume mixture of ethyl acetate and hexane as the eluent. The solvent was removed from the eluate solution by evaporation under reduced pressure, and the residue was recrystallized from a 2 : 1 by volume mixture of ethyl acetate and hexane, to obtain 73 mg of the title compound as pale yellow crystals having an Rf value of 0.41 (silica gel thin layer chromatography; using a 2 : 1 by volume mixture of ethyl acetate and hexane as developing solvent).

EXAMPLE 99**C-Chloro-N-[5-(1,2-dithiolan-3-yl)pentyl]methan sulphonamide (Compound No. 1-2473)**

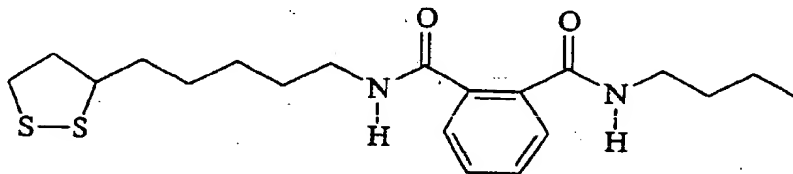
The reaction was carried out as described in Example 60, but using 3 ml of a solution of 1.6 mmol of 2-[5-(1,2-dithiolan-3-yl)pentyl]isoindoline-1,3-dione (prepared as described in Example 58) in toluene, 2 ml of methanol, 2 ml of butylamine, 5 ml of anhydrous tetrahydrofuran, 0.33 ml of triethylamine and 0.21 ml of chloromethanesulphonyl chloride. The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was subjected to silica gel column chromatography, using 2 : 5 and 2 : 3 by volume mixtures of ethyl acetate and hexane as the eluent. The ethyl acetate was removed from the eluate solution by evaporation under reduced pressure, and the residue was dissolved in dioxane and then lyophilised, to obtain 42 mg of the title compound as a brown oil having an R_f value of 0.29 (silica gel thin layer chromatography; using a 2 : 5 by volume mixture of ethyl acetate and hexane as developing solvent).

EXAMPLE 100**N-[5-(1,2-Dithiolan-3-yl)pentyl]benzamide (Compound No. 1-1923)**

2 ml of methanol and 2 ml of butylamine were added to 3 ml of a solution of 1.6 mmol of 2-[5-(1,2-dithiolan-3-yl)pentyl]isoindoline-1,3-dione (prepared as described in Example 58) in toluene, and the mixture was stirred at room temperature for 1 hour. The reaction mixture was then left to stand at room temperature for 2 days, after which the solvent was removed from the reaction mixture by evaporation under reduced pressure. Water was added to the residue, and the mixture was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and 5 ml of anhydrous tetrahydrofuran, 0.33 ml of triethylamine and 0.28 ml of benzoyl chloride were added to the residue. The mixture was then stirred at room temperature for 6 hours. At the end of this time, the solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was subjected to silica gel column chromatography, using 1 : 8, 1 : 4 and 1 : 2 by volume mixtures of ethyl acetate and hexane as the eluent. The residue was then subjected to reverse phase preparative silica gel column chromatography, using 2 : 3 and 1 : 1 by volume mixtures of acetonitrile and water as eluent, and the acetonitrile was removed from the solution by evaporation under reduced pressure, after which the residue was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was dissolved in dioxane and then lyophilised, to obtain 56 mg of the title compound as a yellow amorphous substance, melting at 58 to 59°C.

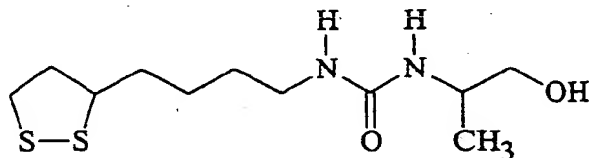
EXAMPLE 101**N-[5-(1,2-Dithiolan-3-yl)pentyl]nicotinamide (Compound No. 1-1991)**

The reaction was carried out as described in Example 100, but using 3 ml of a solution of 1.6 mmol of 2-[5-(1,2-dithiolan-3-yl)pentyl]isoindoline-1,3-dione (prepared as described in Example 58) in toluene, 2 ml of methanol, 2 ml of butylamine, 5 ml of anhydrous tetrahydrofuran, 0.33 ml of triethylamine and 427 mg of nicotinoyl chloride hydrochloride. The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was subjected to silica gel column chromatography, using 3 : 1 and 1 : 0 by volume mixtures of ethyl acetate and hexane and a 20 : 1 by volume mixture of ethyl acetate and methanol as the eluent. The solvent was removed from the eluate by evaporation under reduced pressure, and the residue was dissolved in dioxane and then lyophilised, to obtain 156 mg of the title compound as a yellow amorphous substance, melting at 41 to 44°C.

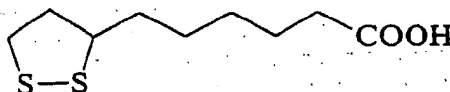
EXAMPLE 102**N-Butyl-N'-[5-(1,2-dithiolan-3-yl)pentyl]phthalamide (Compound No. 1-1936 N-butylamide)**

(a) 4 ml of methanol and 4 ml of butylamine were added to 6 ml of a solution of 3.0 mmol of 2-[5-(1,2-dithiolan-3-yl)pentyl]isoindoline-1,3-dione (prepared as described in Example 58) in toluene, and the mixture was stirred at room temperature for 1 hour. The reaction mixture was then left to stand at room temperature overnight, after which the solvent was removed from the reaction mixture by evaporation under reduced pressure. Water was added to the residue, and the mixture was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate, and then the ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, to give a residue.

(b) 584 mg of *N,N'*-carbonyldiimidazole were added to 5 ml of a solution of 770 mg of *N*-t-butoxycarbonylthiazolidine in anhydrous dimethylformamide, and the mixture was stirred at room temperature for 3 hours. A solution of the residue prepared as described in step (a) above in 3 ml of anhydrous dimethylformamide was then added to the reaction mixture, whilst ice-cooling, and the resulting mixture was stirred at room temperature for 5 hours and 30 minutes. The solvent was then removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was subjected to reverse phase preparative silica gel column chromatography, using a 1 : 1 by volume mixture of acetonitrile and water as eluent. The acetonitrile was removed from the solution by evaporation under reduced pressure, and the precipitated insolubles were collected by filtration, to obtain 118 mg of the title compound as a white powder having an *R_f* value of 0.44 (silica gel thin layer chromatography; using a 1 : 1 by volume mixture of ethyl acetate and hexane as developing solvent).

EXAMPLE 103**N-[4-(1,2-Dithiolan-3-yl)butyl]-N'-(2-hydroxy-1-methyl thyl)urea (Compound No. 1-2667)**

The reaction was carried out as described in Example 46, but using 1.00 g of D,L- α -lipoic acid, 25 ml of anhydrous toluene, 0.74 ml of triethylamine, 1.15 ml of diphenylphosphoryl azide and 0.39 ml of D,L-alaninol. The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was subjected to silica gel column chromatography, using 40 : 1 and 20 : 1 by volume mixtures of ethyl acetate and ethanol as the eluent. The solvent was removed from the eluate by evaporation under reduced pressure, and the residue was recrystallized from methanol to obtain 0.63 g of the title compound as yellow crystals, melting at 87 to 89°C.

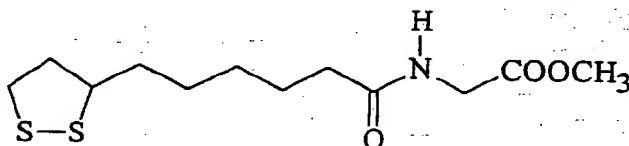
EXAMPLE 104**6-(1,2-Dithiolan-3-yl)hexanoic acid (Compound No. 1-1467)**

30 ml of water and 60 ml of aqueous hydrochloric acid were added to 7.16 g of 6-(2-oxo-1,3-dithian-4-yl)hexanenitrile, and the mixture was heated under reflux for 5 hours. The reaction mixture was then left to stand at room temperature overnight, after which it was heated under reflux for 2 hours and 30 minutes. The solvent was then removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue, which was then extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and 150 ml of a 1 N aqueous solution of sodium hydroxide, 40 ml of 2 N aqueous hydrochloric acid and 10 drops of a 1% w/v aqueous solution of ferric chloride were added to the residue. The mixture was then stirred at room temperature for 2 hours and 30 minutes while air was blown through it. The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue, after which it was washed with ethyl acetate. The aqueous layer was neutralized by the addition of 2 N aqueous hydrochloric acid, and ethyl acetate was added to the solution. The aqueous layer (a) and ethyl acetate layer were then separated from the mixture.

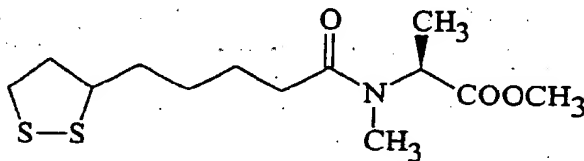
The ethyl acetate layer was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was subjected to silica gel column chromatography, using a 1 : 1 by volume mixture of ethyl acetate and hexane as the eluent. The solvent was removed from the eluate by evaporation under reduced pressure, and the residue was dissolved in 40 ml of toluene.

The ethyl acetate was evaporated from the ethyl acetate layer liberated from the above aqueous layer (a), and 90 ml of a 1 N aqueous solution of sodium hydroxide, 17 ml of 2 N aqueous hydrochloric acid and 5 drops of a 1% w/v aqueous solution of ferric chloride were added to the residue, and then the mixture was stirred at room temperature for 1 hour while air was blown through the mixture. The reaction mixture was left to stand at room temperature overnight, and the solvent was removed from the reaction mixture by evaporation under reduced pressure. Water was added to the residue, and the mixture was washed with ethyl acetate. The aqueous layer was neutralized by the addition of 2 N aqueous hydrochloric acid and extracted with ethyl acetate. The extraction solution was washed with a saturated

aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The extraction solution was combined with the above-mentioned toluene solution, and the solvent was removed from the solution by evaporation under reduced pressure. The residue was subjected to reverse phase preparative silica gel column chromatography, using 2 : 3, 1 : 1 and 3 : 2 by volume mixtures of acetonitrile and water as eluent, and acetonitrile was removed from the solution by evaporation under reduced pressure, after which the residue was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was dissolved in 50 ml of toluene. The toluene was evaporated from 2 ml of the resulting toluene solution, and the residue was dissolved in dioxane and then lyophilised, to obtain 69 mg of the title compound as a yellow oil having an R_f value of 0.39 (silica gel thin layer chromatography; using a 1 : 1 by volume mixture of ethyl acetate and hexane as developing solvent).

EXAMPLE 105**Methyl 6-(1,2-dithiolan-3-yl)hexanoylaminoacetate (Compound No. 1-1347)**

The reaction was carried out as described in Example 47, but using 5 ml of a solution of 1.6 mmol of 6-(1,2-dithiolan-3-yl)hexanoic acid (prepared as described in Example 104) in toluene, 7 ml of anhydrous dimethylformamide, 373 mg of *N,N'*-carbonyldiimidazole, 0.25 ml of triethylamine and 199 mg of glycine methyl ester hydrochloride. The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was subjected to silica gel column chromatography, using 2 : 1 and 3 : 1 by volume mixtures of ethyl acetate and hexane as the eluent. The residue was then subjected to reverse phase preparative silica gel column chromatography, using 2 : 3 and 1 : 1 by volume mixtures of acetonitrile and water as eluent, and the acetonitrile was removed from the solution by evaporation under reduced pressure, after which the residue was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was dissolved in dioxane and then lyophilised, to obtain 61 mg of the title compound as a pale yellow amorphous substance having an R_f value of 0.28 (silica gel thin layer chromatography; using a 2 : 1 by volume mixture of ethyl acetate and hexane as developing solvent).

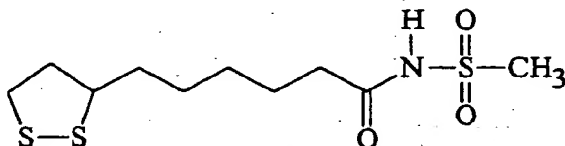
EXAMPLE 106**Methyl 2(S)-{N-[5-(1,2-dithiolan-3-yl)pentanoyl]-N-methylamino}proionate (Compound No. 1-1224)**

The reaction was carried out as described in Example 47, but using 500 mg of *D,L*-α-lipoic acid, 13 ml of anhydrous dimethylformamide, 422 mg of *N,N'*-carbonyldiimidazole, 0.36 ml of triethylamine and 399 mg of *N*-methyl-L-alanine methyl ester hydrochloride. The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate

was removed from the extraction solution by evaporation under reduced pressure, and the residue was subjected to silica gel column chromatography, using 3 : 1 and 1 : 0 by volume mixtures of ethyl acetate and hexane as the eluent. The solvent was evaporated from the eluate, and the residue was dissolved in dioxane and then lyophilised, to obtain 374 mg of the title compound as a yellow oil having an R_f value of 0.29 (silica gel thin layer chromatography; using ethyl acetate as developing solvent).

EXAMPLE 107

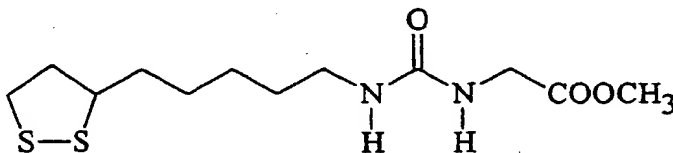
N-[6-(1,2-Dithiolan-3-yl)hexanoyl]methanesulphonamide (Compound No. 1-1796)



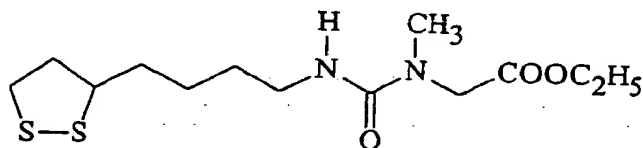
6 ml of anhydrous dimethylformamide and 276 mg of N,N'-carbonyldiimidazole were added to 10 ml of a solution of 1.5 mmol of 6-(1,2-dithiolan-3-yl)hexanoic acid (prepared as described in Example 104) in toluene, and the mixture was stirred at room temperature for 4 hours and 30 minutes. 162 mg of methanesulphonamide and 74 mg of sodium hydride (as a 55% w/w dispersion in mineral oil) were then added to the reaction solution, and the mixture was stirred at room temperature for 1 hour. The reaction mixture was then left to stand at room temperature overnight, and the solvent was removed from the reaction mixture by evaporation under reduced pressure. Water was added to the residue, and the mixture was washed with ethyl acetate and neutralized by the addition of 2 N aqueous hydrochloric acid, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was subjected to silica gel column chromatography, using a 2 : 1 by volume mixture of ethyl acetate and hexane as the eluent). The solvent was evaporated from the eluate, and the residue was again subjected to silica gel column chromatography, using 2 : 3 and 3 : 2 by volume mixtures of ethyl acetate and hexane as the eluent. The solvent was removed from the eluate by evaporation under reduced pressure, and the residue was dissolved in dioxane and then lyophilised, to obtain 98 mg of the title compound as a yellow oil having an R_f value of 0.37 (silica gel thin layer chromatography; using a 3 : 2 by volume mixture of ethyl acetate and hexane as developing solvent).

EXAMPLE 108

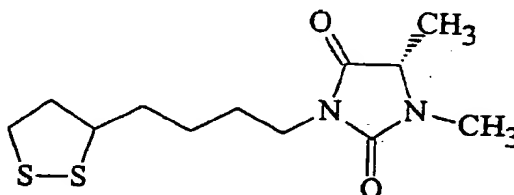
Methyl 3-[5-(1,2-dithiolan-3-yl)pentyl]ureidoacetate (Compound No. 1-2039)



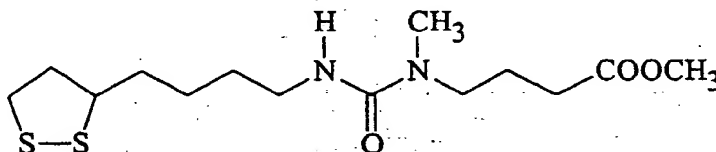
The reaction was carried out as described in Example 46, but using 10 ml of a solution of 1.5 mmol of 6-(1,2-dithiolan-3-yl)hexanoic acid (prepared as described in Example 104) in toluene, 6 ml of anhydrous toluene, 0.42 ml of triethylamine, 0.39 ml of diphenylphosphoryl azide, 6 ml of anhydrous dimethylformamide and 254 mg of glycine methyl ester hydrochloride. The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was subjected to silica gel column chromatography, using 1 : 4 and 3 : 2 by volume mixtures of ethyl acetate and hexane as the eluent. The solvent was removed from the eluate by evaporation under reduced pressure, and the residue was dissolved in dioxane and then lyophilised, to obtain 16 mg of the title compound as yellow crystals having an R_f value of 0.62 (silica gel thin layer chromatography; using a 2 : 3 by volume mixture of ethyl acetate and hexane as developing solvent).

EXAMPLE 109**Ethyl 3-[4-(1,2-dithiolan-3-yl)butyl]-1-methylureidoacetat (Compound No. 1-820 thyl ester)**

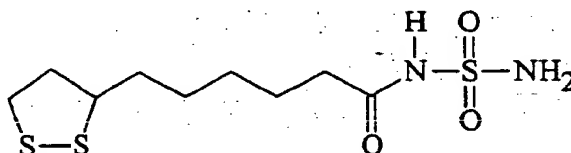
The reaction was carried out as described in Example 46, but using 500 mg of D,L- α -lipoic acid, 10 ml of anhydrous toluene, 0.73 ml of triethylamine, 0.56 ml of diphenylphosphoryl azide, 10 ml of anhydrous tetrahydrofuran and 399 mg of sarcosine ethyl ester hydrochloride. The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was subjected to silica gel column chromatography, using 2 : 1 and 1 : 0 by volume mixtures of ethyl acetate and hexane as the eluent. The residue was then subjected to reverse phase preparative silica gel column chromatography, using 7 : 13, 2 : 3 and 1 : 1 by volume mixtures of acetonitrile and water as eluent, and acetonitrile was removed from the solution by evaporation under reduced pressure, after which the residue was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was dissolved in dioxane and then lyophilised, to obtain 194 mg of the title compound as a pale yellow amorphous substance having an R_f value of 0.43 (silica gel thin layer chromatography, using ethyl acetate as developing solvent).

EXAMPLE 110**3-[4-(1,2-Dithiolan-3-yl)butyl]-1,5(S)-dimethylimidazolidine-2,4-dione (Compound No. 1-2682)**

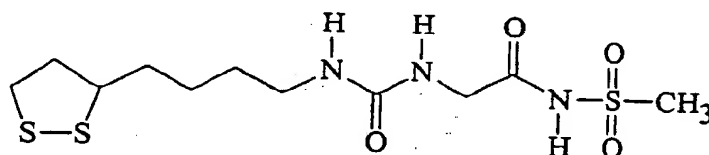
The reaction was carried out as described in Example 46, but using 500 mg of D,L- α -lipoic acid, 10 ml of anhydrous toluene, 0.73 ml of triethylamine, 0.56 ml of diphenylphosphoryl azide, 5 ml of anhydrous tetrahydrofuran, 5 ml of anhydrous dimethylformamide and 399 mg of N-methyl-L-alanine methyl ester hydrochloride. The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was subjected to silica gel column chromatography, using 3 : 2 and 1 : 1 by volume mixtures of ethyl acetate and hexane as the eluent. The residue was then subjected to reverse phase preparative silica gel column chromatography, using 2 : 3 and 1 : 1 by volume mixtures of acetonitrile and water as eluent, and the acetonitrile was removed from the solution by evaporation under reduced pressure, after which the residue was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was dissolved in dioxane and then lyophilised, to obtain 283 mg of the title compound as a yellow oil having an R_f value of 0.29 (silica gel thin layer chromatography, using a 3 : 2 by volume mixture of ethyl acetate and hexane as developing solvent).

EXAMPLE 111**Methyl 4-[3-[4-(1,2-dithiolan-3-yl)butyl]-1-methylureido]butanoate (Compound No. 1-2670 methyl ester)**

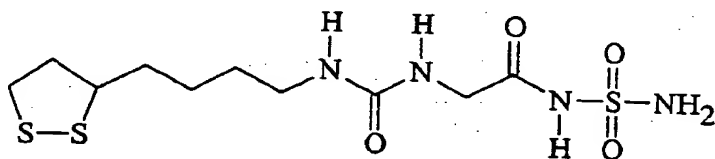
The reaction was carried out as described in Example 46, but using 500 mg of D,L- α -lipoic acid, 10 ml of anhydrous toluene, 0.73 ml of triethylamine, 0.56 ml of diphenylphosphoryl azide, 5 ml of anhydrous dimethylformamide and 477 mg of methyl 4-(methyamino)butanoate hydrochloride. The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was subjected to silica gel column chromatography, using 1 : 0 and 20 : 1 by volume mixtures of ethyl acetate and methanol as the eluent. The solvent was removed from the eluate by evaporation under reduced pressure, and the residue was dissolved in dioxane and then lyophilised, to obtain 589 mg of the title compound as a pale yellow amorphous substance having an R_f value of 0.47 (silica gel thin layer chromatography, using a 20 : 1 by volume mixture of ethyl acetate and methanol as the developing solvent).

EXAMPLE 112**N-[6-(1,2-Dithiolan-3-yl)hexanoyl]sulphamide (Compound No. 1-1839)**

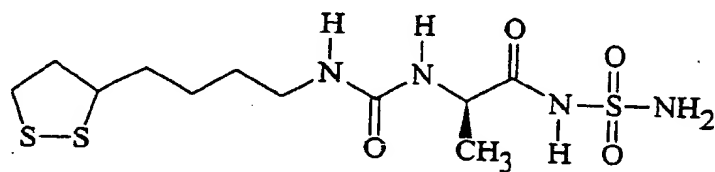
The reaction was carried out as described in Example 107, but using 5 ml of a solution of 1.6 mmol of 6-(1,2-dithiolan-3-yl)hexanoic acid (prepared as described in Example 104) in toluene, 7 ml of anhydrous dimethylformamide, 308 mg of N,N'-carbonyldiimidazole, 365 mg of sulphamide and 83 mg of sodium hydride (as a 55% w/w dispersion in mineral oil). The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was subjected to silica gel column chromatography, using 3 : 2 and 2 : 1 by volume mixtures of ethyl acetate and hexane as the eluent. The solvent was removed from the eluate by evaporation under reduced pressure, and the residue was recrystallized from a 1 : 2 by volume mixture of ethyl acetate and hexane, to obtain 92 mg of the title compound as pale yellow crystals, melting at 130 to 132°C.

EXAMPLE 113**N-[3-[4-(1,2-Dithiolan-3-yl)butyl]ureidoacetyl]methanesulphonamid (Compound No. 1-2643)**

The reaction was carried out as described in Example 73, but using 201 mg of methyl 3-[4-(1,2-dithiolan-3-yl)butyl]ureidoacetate (prepared as described in Example 46), 4 ml of anhydrous dimethylformamide, 129 mg of N,N'-carbonyldiimidazole, 76 mg of methanesulphonamide and 35 mg of sodium hydride (as a 55% w/w dispersion in mineral oil). The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue. The mixture was neutralized by the addition of 2 N aqueous hydrochloric acid, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was recrystallized from methanol, to obtain 150 mg of the title compound as white crystals, melting at 157 to 158°C.

EXAMPLE 114**N-[3-[4-(1,2-Dithiolan-3-yl)butyl]ureidoacetyl]sulphamide (Compound No. 1-2647)**

The reaction was carried out as described in Example 73, but using 0.20 g of 3-[4-(1,2-dithiolan-3-yl)butyl]ureidoacetic acid (prepared as described in Example 48), 4 ml of anhydrous dimethylformamide, 0.13 g of N,N'-carbonyldiimidazole, 0.15 g of sulphamide and 0.04 g of sodium hydride (as a 55% w/w dispersion in mineral oil). The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue. The mixture was neutralized by the addition of 2 N aqueous hydrochloric acid, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was recrystallized from a mixture of methanol and ethyl acetate, to obtain 76 mg of the title compound as yellow crystals, melting at 143 to 145°C.

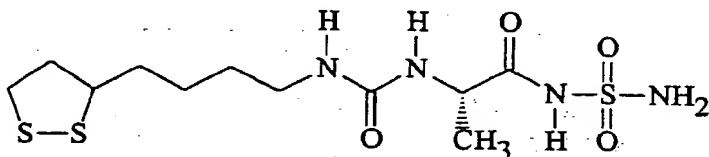
EXAMPLE 115**N-(2(R)-[3-[4-(1,2-Dithiolan-3-yl)butyl]ureido]propionyl)sulphamide (Compound No. 1-2655)**

The reaction was carried out as described in Example 73, but using 0.21 g of 2(R)-[3-[4-(1,2-dithiolan-3-yl)butyl]ureido]propionic acid (prepared as described in Example 71), 5 ml of anhydrous dimethylformamide, 0.13 g of N,N'-

carbonyldiimidazole, 0.15 g of sulphamide and 0.04 g of sodium hydride (as a 55% w/w dispersion in mineral oil). The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue. The mixture was neutralized by the addition of 2 N aqueous hydrochloric acid, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was recrystallized from ethyl acetate, to obtain 114 mg of the title compound as a pale yellow powder, melting at 156 to 157°C.

EXAMPLE 116

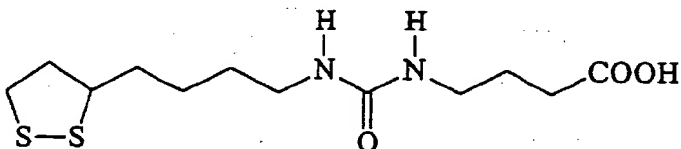
N-(2(S)-{3-[4-(1,2-Dithiolan-3-yl)butyl]ureido}propionyl)methanesulphonamide (Compound No. 1-2655)



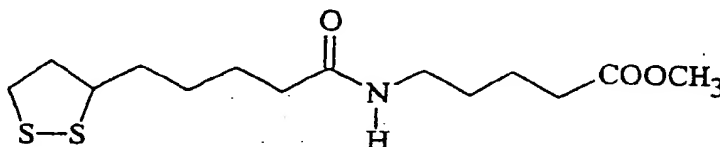
The reaction was carried out as described in Example 73, but using 0.13 g of 2(S)-{3-[4-(1,2-dithiolan-3-yl)butyl]ureido}propionic acid (prepared as described in Example 52), 4 ml of anhydrous dimethylformamide, 0.08 g of *N,N'*-carbonyldiimidazole, 0.05 g of methanesulphonamide and 0.02 g of sodium hydride (as a 55% w/w dispersion in mineral oil). The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue. The mixture was neutralized by the addition of 2 N aqueous hydrochloric acid, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was recrystallized from ethyl acetate, to obtain 80 mg of the title compound as a white powder, melting at 142 to 147°C.

EXAMPLE 117

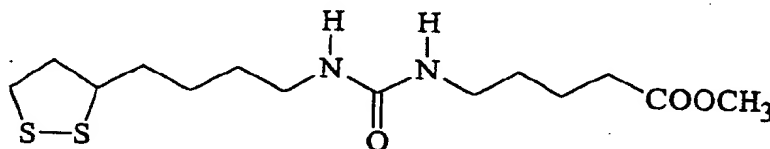
4-{3-[4-(1,2-Dithiolan-3-yl)butyl]ureido}butanoic acid (Compound No. 1-1276)



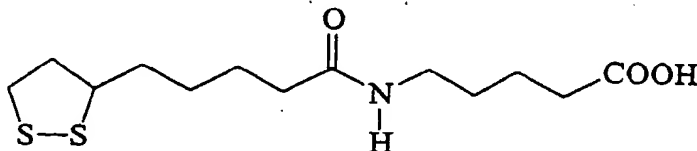
The reaction was carried out as described in Example 48, but using 0.65 g of methyl 4-{3-[4-(1,2-dithiolan-3-yl)butyl]ureido}butanoate (prepared as described in Example 75), 13 ml of methanol and 7.10 ml of a 1 N aqueous solution of sodium hydroxide. The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue. The mixture was neutralized by the addition of 2 N aqueous hydrochloric acid, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was recrystallized from ethyl acetate, to obtain 0.46 g of the title compound as a pale yellow powder, melting at 94 to 99°C.

EXAMPLE 118**Methyl 5-[5-(1,2-dithiolan-3-yl)pentanoylamino]pentanoate (Compound No. 1-2657 methyl ester)**

The reaction was carried out as described in Example 47, but using 1.00 g of D,L- α -lipoic acid, 40 ml of anhydrous dimethylformamide, 0.86 g of N,N'-carbonyldiimidazole, 0.74 ml of triethylamine and 0.89 g of methyl 5-aminopentanoate hydrochloride. The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was subjected to silica gel column chromatography, using 2 : 1 and 1 : 0 by volume mixtures of ethyl acetate and hexane as the eluent. It was then recrystallized from ethyl acetate, to obtain 1.10 g of the title compound as pale yellow crystals, melting at 60 to 62°C.

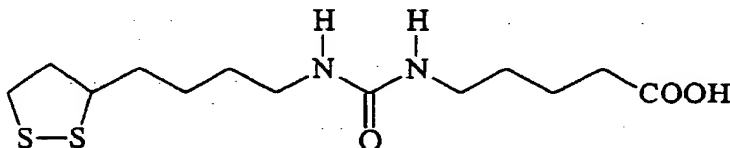
EXAMPLE 119**Methyl 5-[3-[4-(1,2-dithiolan-3-yl)butyl]ureido]pentanoate (Compound No. 1-2659 methyl ester)**

The reaction was carried out as described in Example 46, but using 1.00 g of D,L- α -lipoic acid, 20 ml of anhydrous toluene, 1.48 ml of triethylamine, 1.15 ml of diphenylphosphoryl azide and 0.81 g of methyl 5-aminopentanoate hydrochloride. The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was subjected to silica gel column chromatography, using a 2 : 1 by volume mixture of ethyl acetate and hexane, followed by a 9 : 1 by volume mixture of ethyl acetate and ethanol, as the eluent, to obtain 1.27 g of the title compound as a pale yellow powder, melting at 90 to 92°C.

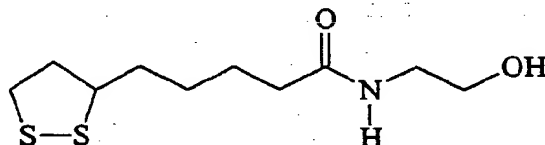
EXAMPLE 120**5-[5-(1,2-Dithiolan-3-yl)pentanoylamino]pentanoic acid (Compound No. 1-2657)**

The reaction was carried out as described in Example 49, but using 0.65 g of methyl 5-[5-(1,2-dithiolan-3-yl)pentanoylamino]pentanoate (prepared as described in Example 118), 13 ml of methanol and 5.09 ml of a 1 N aqueous solution of sodium hydroxide. The solvent was removed from the reaction mixture by evaporation under reduced pres-

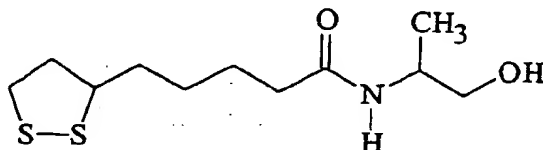
sure, and water was added to the residue. The mixture was neutralized by the addition of 2 N aqueous hydrochloric acid, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was recrystallized from ethyl acetate, to obtain 0.33 g of the title compound as pale yellowish green crystals, melting at 98 to 100°C.

EXAMPLE 121**5-{3-[4-(1,2-Dithiolan-3-yl)butyl]ureido}pentanoic acid (Compound No. 1-2659)**

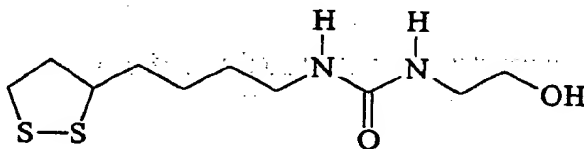
The reaction was carried out as described in Example 48, but using 0.30 g of methyl 5-{3-[4-(1,2-dithiolan-3-yl)butyl]ureido}pentanoate (prepared as described in Example 119), 10 ml of methanol and 3.14 ml of a 1 N aqueous solution of sodium hydroxide. The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue. The mixture was neutralized by the addition of 2 N aqueous hydrochloric acid, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was recrystallized from ethyl acetate, to obtain 0.23 g of the title compound as pale yellow crystals, melting at 125 to 132°C.

EXAMPLE 122**5-(1,2-Dithiolan-3-yl)-N-(2-hydroxyethyl)pentanamide (Compound No. 1-2661)**

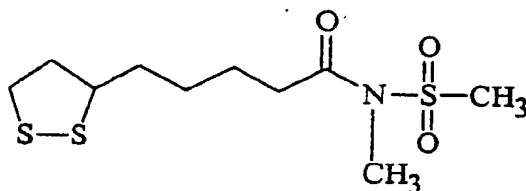
0.86 g of *N,N'*-carbonyldiimidazole was added to 20 ml of a solution of 1.00 g of *D,L*-α-lipoic acid in anhydrous dimethylformamide, and the mixture was stirred at room temperature for 1 hour and 20 minutes. 0.32 ml of 2-aminoethanol was then added to the reaction solution, and the resulting mixture was stirred at room temperature for 4 hours and 10 minutes. The solvent was then removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was subjected to silica gel column chromatography, using a 19 : 1 by volume mixture of ethyl acetate and ethanol as the eluent. The solvent was removed from the eluate by evaporation under reduced pressure, and the residue was dissolved in dioxane and then lyophilized, to obtain 0.71 g of the title compound as a yellow amorphous substance having an *R_f* value of 0.38 (silica gel thin layer chromatography, using a 19 : 1 by volume mixture of ethyl acetate and ethanol as developing solvent).

EXAMPLE 123**5-(1,2-Dithiolan-3-yl)-N-(2-hydroxy-1-methylethyl)pentanamide (Compound No. 1-2665)**

The reaction was carried out as described in Example 122, but using 1.00 g of D,L- α -lipoic acid, 20 ml of anhydrous dimethylformamide, 0.86 g of N,N'-carbonyldiimidazole and 0.42 ml of D,L-alaninol. The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was subjected to silica gel column chromatography, using ethyl acetate as the eluent. The solvent was removed from the eluate by evaporation under reduced pressure, and the residue was dissolved in dioxane and lyophilised, to obtain 0.39 g of the title compound as a yellow amorphous substance, melting at 52 to 56°C.

EXAMPLE 124**N-[4-(1,2-Dithiolan-3-yl)butyl]-N'-(2-hydroxyethyl)urea (Compound No. 1-2663)**

The reaction was carried out as described in Example 46, but using 1.00 g of D,L- α -lipoic acid, 20 ml of anhydrous toluene, 0.74 ml of triethylamine, 1.15 ml of diphenylphosphoryl azide and 0.29 ml of 2-hydroxy-1-ethylamine. The solvent was removed from the reaction mixture by evaporation under reduced pressure, and water was added to the residue, after which it was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was subjected to silica gel column chromatography, using 39:1 and 19:1 by volume mixtures of ethyl acetate and ethanol as the eluent. The solvent was removed from the eluate by evaporation under reduced pressure, and the residue was recrystallized from methanol to obtain 352 mg of the title compound as yellow crystals, melting at 50 to 65°C.

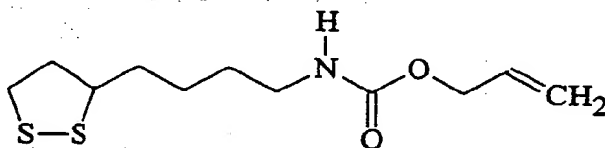
EXAMPLE 125**N-[5-(1,2-Dithiolan-3-yl)pentanoyl]-N-methylmethanesulphonamide (Compound No. 1-2672)**

40 mg of copper chloride were added to 20 ml of a solution of 1.36 g of dicyclohexylcarbodiimide in anhydrous

methanol, and the mixture was left to stand at room temperature for one and one half hours. The solvent was then removed from the mixture by distillation under reduced pressure. 20 ml of anhydrous dimethylformamide and 1.00 g of N-[5-(1,2-dithiolan-3-yl)pentanoyl]methanesulphonamide (prepared as described in Example 2) were then added to the residue, and the mixture was stirred at 70°C on an oil bath for an hour. The mixture was then left to stand at room temperature overnight, after which it was stirred at 70°C on an oil bath for 1 hour, and the solvent was removed from the reaction mixture by evaporation under reduced pressure. Water was added to the residue, and the mixture was extracted with ethyl acetate. Insoluble material in the extract was removed by filtration, and the filtrate was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the solution by evaporation under reduced pressure, and the residue was subjected to silica gel column chromatography, using 2 : 3 and 1 : 1 by volume mixtures of ethyl acetate and hexane as the eluent. The solvent was removed from the eluate by evaporation under reduced pressure, and the residue was subjected to reverse phase preparative silica gel column chromatography, using 1 : 1 and 3 : 2 by volume mixtures of acetonitrile and water as eluent. The acetonitrile was then removed from the solution by evaporation under reduced pressure, after which the residue was extracted with ethyl acetate. The extraction solution was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The ethyl acetate was removed from the extraction solution by evaporation under reduced pressure, and the residue was dissolved in dioxane and then lyophilised, to obtain 660 mg of the title compound as a pale yellow amorphous substance having an R_f value of 0.27 (silica gel thin layer chromatography, using a 2 : 3 by volume mixture of ethyl acetate and hexane as developing solvent).

EXAMPLE 126

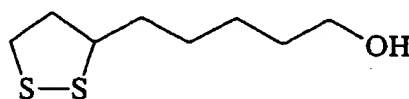
Allyl N-[4-(1,2-dithiolan-3-yl)butyl]carbamate



The reaction was carried out as described in Example 31, but using 1.00 g of D,L- α -lipoic acid, 10 ml of anhydrous toluene, 0.73 ml of triethylamine, 1.14 ml of diphenylphosphoryl azide and 2 ml of allyl alcohol. The reaction mixture was washed with water, the water layer was washed with ethyl acetate, and the ethyl acetate washings were combined with the above-mentioned toluene solution. The extract was washed with a saturated aqueous solution of sodium chloride and dried over anhydrous sodium sulphate. The solvent was removed from the extract by evaporation under reduced pressure, and the residue was subjected to silica gel column chromatography, using 1 : 4 and 1 : 2 by volume mixtures of ethyl acetate and hexane as the eluent. The solvent was removed from the eluate by evaporation under reduced pressure, and the residue was dissolved in dioxane and then lyophilised, to obtain 944 mg of the title compound as an oily yellow substance having an R_f value of 0.49 (silica gel thin layer chromatography, using a 1 : 2 by volume mixture of ethyl acetate and hexane as developing solvent).

PREPARATION 1

5-(1,2-Dithiolan-3-yl)pentanol



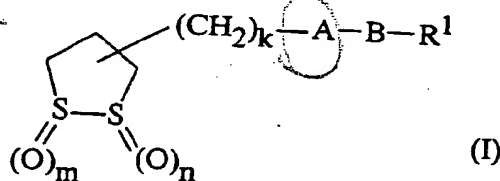
44 ml of a hexane solution containing 2.0 M of (trimethylsilyl)diazomethane was added dropwise, whilst ice-cooling, to a mixture of 15.00 g of D,L- α -lipoic acid in 15 ml of methanol and 150 ml of toluene, and then the mixture was stirred at room temperature for one hour. 11 ml of a hexane solution containing 2.0 M of (trimethylsilyl)diazomethane were then added dropwise to the reaction mixture. The resulting mixture was stirred at room temperature for 2 hours. The reaction mixture was then allowed to stand at room temperature for 2 days. The solvent was then removed by distillation under reduced pressure from the reaction mixture, to give ethyl 5-(1,2-dithiolan-3-yl)pentanoate as a yellow oil.

A solution of ethyl 5-(1,2-dithiolan-3-yl)pentanoate in 40 ml of anhydrous tetrahydrofuran was added dropwise,

1st cooling with ice and sodium chloride, to a suspension of 3.34 g of lithium aluminium hydride in 150 ml of anhydrous tetrahydrofuran. The resulting mixture was stirred at room temperature for 3 hours and 30 minutes. Sodium sulphate decahydrate was then added, whilst cooling with ice and sodium chloride, to the reaction mixture, and then the mixture was stirred at room temperature for 3 hours. The reaction mixture was allowed to stand overnight at room temperature, and then insoluble matter was filtered off using a Celite (trade mark) filter aid. The solvent was removed from the filtrate by distillation under reduced pressure. 50 ml of methanol, 25 ml of a 1 N aqueous solution of sodium hydroxide and 10 ml of 2 N aqueous hydrochloric acid were then added to the residue. Air was then blown into the resulting mixture. Five drops of a 1% aqueous solution of ferric chloride were added dropwise to the reaction mixture, and then the mixture was stirred at room temperature for one hour. The reaction mixture was allowed to stand overnight at room temperature, and then the solvent was removed by distillation under reduced pressure. Water was added to the residue, after which it was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium chloride and then dried over anhydrous sodium sulphate. Ethyl acetate was removed from the extract by distillation under reduced pressure, and the residue was subjected to silica gel column chromatography, using 1 : 2 and 1 : 1 by volume mixtures of ethyl acetate and hexane as eluent. The solvent was removed from the resulting eluate by distillation under reduced pressure, and 30 ml of toluene were added to the residue. 1 ml was taken from the resulting solution, and the solvent was removed by distillation under reduced pressure, to give 0.13 g of the title compound as a yellow oil having an R_f value of 0.39 (silica gel thin layer chromatography; using a 1 : 1 by volume mixture of ethyl acetate and hexane as the eluent).

Claims

- Compounds of formula (I):



in which:

one of m and n represents 0, and the other represents 0, 1 or 2;

k represents 0 or an integer of from 1 to 12;

R¹ represents:

a hydrogen atom,

one of substituents α , defined below, or

an alkyl group having from 1 to 12 carbon atoms which is unsubstituted or is substituted by from 1 to 3 of substituents α and/or substituents γ or such a substituted or unsubstituted alkyl group in which the carbon chain is interrupted by an oxygen atom and/or a sulphur atom;

A represents a single bond, an oxygen atom, a carbonyl group or a group of formula -N(R²)CO-, -N(R²)CS-, -N(R²)SO₂-, -CON(R²)N(R³)CO-, -CON(R²)CO-, -CON(R²)CS-, -CON(R²)SO₂-, -O-CO-, -ON(R²)CO-, -ON(R²)SO₂-, -O-CON(R²)N(R³)CO-, -O-CON(R²)CO-, -O-CON(R²)SO₂-, -CO-O-, -CO-CO-, -CO-CON(R²)N(R³)CO-, -CO-CON(R²)CO-, -CO-CON(R²)SO₂-, -N(R²)O-, -N(R²)COCO-, -N(R²)N(R³)CO-, -N(R²)N(R³)SO₂-, -N(R²)CON(R³)N(R⁴)CO-, -N(R²)CON(R³)CO-, -N(R²)CON(R³)SO₂- or -N(R²)CON(R³)SO₂N(R⁴)CO-

in which R², R³ and R⁴ are the same or different and each represents a hydrogen atom, an alkyl group having from 1 to 12 carbon atoms, an aralkyl group, an aralkyl group of which the aryl moiety is substituted with from 1 to 3 of substituents β , an acyl group or one of substituents α ;

B represents a single bond, or a group of formula $-N(R^5)-$ or $-N(R^6)N(R^5)-$

in which R^5 and R^6 are the same or different and each represents a hydrogen atom, an alkyl group having from 1 to 12 carbon atoms, an aralkyl group, an aralkyl group of which the aryl moiety is substituted with from 1 to 3 of substituents β , an acyl group or one of substituents α , or R^5 , together with R^1 and the nitrogen atom to which they are bonded, may form a heterocyclic ring having from 5 to 7 ring atoms;

or, where A represents a group of formula $-N(R^2)CO-$, $-N(R^2)CS-$, $-CON(R^2)N(R^3)CO-$, $-CON(R^2)CO-$, $-CON(R^2)CS-$, $-O-CO-$, $-ON(R^2)CO-$, $-O-CON(R^2)N(R^3)CO-$, $-O-CON(R^2)CO-$, $-CO-CON(R^2)N(R^3)CO-$, $-CO-CON(R^2)CO-$, $-N(R^2)N(R^3)CO-$, $-N(R^2)CON(R^3)N(R^4)CO-$ or $-N(R^2)CON(R^3)CO-$ [in which R^2 , R^3 and R^4 are as defined above] and B represents a single bond, R^1 may represent a group of formula $-OR^7$ (in which R^7 represents a lower alkyl group, a lower alkenyl group, an aralkyl group, an aralkyl group of which the aryl moiety is substituted with 1 to 3 of substituents β or one of substituents α);

or, where A represents a group of formula $-CON(R^2)SO_2-$, $-ON(R^2)SO_2-$, $-O-CON(R^2)SO_2-$, $-CO-CO-$, $-CO-CON(R^2)SO_2-$, $-N(R^2)COCO-$, $-N(R^2)N(R^3)SO_2-$ or $-N(R^2)CON(R^3)SO_2-$ [in which R^2 and R^3 are as defined above] and B represents a single bond, or, where A does not represent an oxygen atom, a group of formula $-CO-O-$ or $-N(R^6)O-$ and B represents $-N(R^5)-$ [in which R^5 is as defined above], R^1 may represent a hydroxy group or a group of formula $-OR^7$ (in which R^7 is as defined above);

Substituents α are selected from aryl groups, heterocyclic groups, aryl groups substituted with from 1 to 3 of substituents β , and heterocyclic groups substituted with from 1 to 3 of substituents β ;

Substituents β are selected from lower alkyl groups, halogenated lower alkyl groups, lower alkoxy groups, lower alkylthio groups, hydroxy groups, carboxy groups, carbamoyl groups of which the nitrogen atom may be substituted, lower alkoxycarbonyl groups, halogen atoms, nitro groups, amine residues, sulpho groups, sulphonamoyl groups, cyano groups, hydroxy-substituted lower alkyl groups;

Substituents γ are selected from lower alkoxy groups, lower alkylthio groups, hydroxy groups, nitrooxy groups, carboxy groups, lower alkoxycarbonyl groups, halogen atoms, sulpho groups, sulphonamoyl groups, amine residues, carbamoyl groups of which the nitrogen atom may be substituted;

PROVIDED THAT:

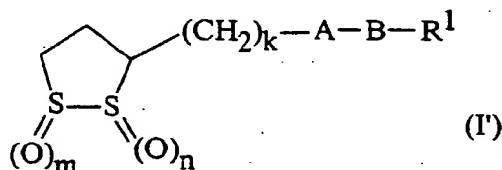
where A represents an oxygen atom, B represents a single bond or a group of formula $-N(R^5)-$ [in which R^5 is as defined above],

where A represents a group of formula $-CO-O-$ or $-N(R^2)O-$ [in which R^2 is as defined above], B represents a single bond, and

where k represents 4, the group of formula $-A-B-R^1$ does not represent a carboxyl group

and pharmaceutically acceptable salts thereof.

2. A compound according to Claim 1, represented by the formula (I'):



(in which A, B, R^1 , k , m and n are as defined in Claim 1) and salts thereof.

3. A compound according to Claim 1 or Claim 2, in which one of m and n is 0, and the other is 0 or 1.

4. A compound according to any one of Claims 1 to 3, in which k is 0 or an integer of from 1 to 8.

5. A compound according to any one of Claims 1 to 4, in which R¹ represents a heterocyclic group, an alkyl group having from 1 to 12 carbon atoms which is unsubstituted or is substituted by from 1 to 3 of substituents α and substituents γ or such a substituted or unsubstituted alkyl group in which the carbon chain is interrupted by an oxygen atom and/or a sulphur atom.
6. A compound according to any one of Claims 1 to 4, in which R¹ represents a hydroxy group or an alkoxy group having from 1 to 5 carbon atoms.
7. A compound according to any one of Claims 1 to 6, in which A represents a group of formula -CO-, -CON(R²)SO₂-, -N(R²)CO-, -N(R²)CS-, -CON(R²)CO-, -N(R²)COCO- or -N(R²)SO₂-, in which R² represents a hydrogen atom, an alkyl group having from 1 to 12 carbon atoms or a benzyl group.
8. A compound according to any one of Claims 1 to 7, in which B represents a single bond, or a group of formula -N(R⁵)- or -N(R⁵)N(R⁶)-, in which R⁵ and R⁶ are the same or different and each represents a hydrogen atom, an alkyl group having from 1 to 12 carbon atoms or a benzyl group.
9. A compound according to Claim 1 or Claim 2, in which:
 - one of m and n is 0, and the other is 0 or 1;
 - k is 0 or an integer of from 1 to 8;
 - R¹ represents a heterocyclic group, a hydroxy group, an alkoxy group having from 1 to 5 carbon atoms, an alkyl group having from 1 to 12 carbon atoms which is unsubstituted or is substituted by from 1 to 3 of substituents α and/or substituents γ or such a substituted or unsubstituted alkyl group in which the carbon chain is interrupted by an oxygen atom and/or a sulphur atom;
 - A represents a group of formula -CO-, -CON(R²)SO₂-, -N(R²)CO-, -N(R²)CS-, -CON(R²)CO-, -N(R²)COCO- or -N(R²)SO₂-, in which R² represents a hydrogen atom, an alkyl group having from 1 to 12 carbon atoms or a benzyl group; and
 - B represents a single bond, or a group of formula -N(R⁵)- or -N(R⁵)N(R⁶)-, in which R⁵ and R⁶ are the same or different and each represents a hydrogen atom, an alkyl group having from 1 to 12 carbon atoms or a benzyl group.
10. A compound according to Claim 1 or Claim 2, in which both of m and n are 0.
11. A compound according to any one of Claims 1, 2 and 10, in which k is an integer of from 2 to 6.
12. A compound according to any one of Claims 1, 2, 10 and 11, in which R¹ represents an alkyl group having from 1 to 5 carbon atoms, an alkoxycarbonylalkyl group having from 3 to 8 carbon atoms, a carboxyalkyl group having from 2 to 7 carbon atoms, a hydroxyalkyl group having from 2 to 5 carbon atoms, a heterocyclic group, an alkoxy group having from 1 to 5 carbon atoms or a hydroxy group.
13. A compound according to any one of Claims 1, 2 and 10 to 12, in which A represents a group of formula -CO-, -CON(R²)SO₂-, -N(R²)CO-, -N(R²)CS-, -CON(R²)CO-, -N(R²)COCO- or -N(R²)SO₂-, in which R² represents a hydrogen atom or an alkyl group having from 1 to 12 carbon atoms.
14. A compound according to any one of Claims 1, 2 and 10 to 13, in which B represents a single bond, or a group of formula -N(R⁵)- or -N(R⁵)N(R⁶)-, in which R⁵ and R⁶ are the same or different and each represents a hydrogen atom or an alkyl group having from 1 to 12 carbon atoms.
15. A compound according to Claim 1 or Claim 2, in which:
 - both of m and n are 0;
 - k is an integer of from 2 to 6;

R¹ represents an alkyl group having from 1 to 5 carbon atoms, an alkoxy-carbonylalkyl group having from 3 to 8 carbon atoms, a carboxyalkyl group having from 2 to 7 carbon atoms, a hydroxyalkyl group having from 2 to 5 carbon atoms, a heterocyclic group, an alkoxy group having from 1 to 5 carbon atoms or a hydroxy group;

A represents a group of formula -CO-, -CON(R²)SO₂-, -N(R²)CO-, -N(R²)CS-, -CON(R²)CO-, -N(R²)COCO- or -N(R²)SO₂-, in which R² represents a hydrogen atom or an alkyl group having from 1 to 12 carbon atoms; and

B represents a single bond, or a group of formula -N(R⁵)- or -N(R⁵)N(R⁶)-, in which R⁵ and R⁶ are the same or different and each represents a hydrogen atom or an alkyl group having from 1 to 12 carbon atoms.

16. A compound according to Claim 1 or Claim 2, in which k is 4 or 5.

17. A compound according to any one of Claims 1, 2 and 16, in which R¹ represents an alkyl group having from 1 to 5 carbon atoms, an alkoxy-carbonylalkyl group having from 3 to 8 carbon atoms, a carboxyalkyl group having from 2 to 7 carbon atoms, a hydroxyalkyl group having from 2 to 5 carbon atoms, a heterocyclic group or an alkoxy group having from 1 to 5 carbon atoms.

18. A compound according to any one of Claims 1, 2, 16 and 17, in which A represents a group of formula -CONHSO₂-, -CONCH₃SO₂-, -NHCO-, -NHCS-, -CONHCO-, -NHCO-, -NHCOCO-, -NHSO₂- or -CO-.

19. A compound according to any one of Claims 1, 2 and 16 to 18, in which B represents a single bond, or a group of formula -NH-, -NCH₃- or -NHNCH₃-.

20. A compound according to Claim 1 or Claim 2, in which:

both of m and n are 0;

k is 4 or 5;

R¹ represents an alkyl group having from 1 to 5 carbon atoms, an alkoxy-carbonylalkyl group having from 3 to 8 carbon atoms, a carboxyalkyl group having from 2 to 7 carbon atoms, a hydroxyalkyl group having from 2 to 5 carbon atoms, a heterocyclic group or an alkoxy group having from 1 to 5 carbon atoms;

A represents a group of formula -CONHSO₂-, -CONCH₃SO₂-, -NHCO-, -NHCS-, -CONHCO-, -NHCO-, -NHCOCO-, -NHSO₂- or -CO-; and

B represents a single bond, or a group of formula -NH-, -NCH₃- or -NHNCH₃-.

21. N-[5-(1,2-Dithiolan-3-yl)pentanoyl]methanesulphonamide and pharmaceutically acceptable salts thereof.

22. Methyl 3-[4-(1,2-dithiolan-3-yl)butyl]ureidoacetate and pharmaceutically acceptable salts thereof.

23. 2(S)-{3-[4-(1,2-Dithiolan-3-yl)butyl]ureido}propionic acid and pharmaceutically acceptable salts thereof.

24. Methyl 2(S)-{3-[4-(1,2-dithiolan-3-yl)butyl]ureido}propionate and pharmaceutically acceptable salts thereof.

25. Ethyl 3-[4-(1,2-dithiolan-3-yl)butyl]-1-methylureidoacetate and pharmaceutically acceptable salts thereof.

26. N-[5-(1,2-dithiolan-3-yl)pentyl]methanesulphonamide and pharmaceutically acceptable salts thereof.

27. The use of a compound according to any one of Claims 1 to 26 for the manufacture of a medicament for enhancing the activity of glutathione reductase in a mammal.

28. The use of a compound according to any one of Claims 1 to 26 for the manufacture of a medicament for the treatment or prevention of cataract in a mammal.

29. A pharmaceutical composition comprising a compound according to any one of Claims 1 to 26 in admixture with a pharmaceutically acceptable carrier or diluent.



European Patent
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EUROPEAN SEARCH REPORT

Application Number
EP 98 30 2532

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| Place of search
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28 July 1998 | Examiner
Francois, J | |
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A : technological background
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EPO FORM 1503 01/92 (P4/C01)



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EPO FORM 1503/03-82 (P04C01)



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EPO FORM 1503 03.82 (P04C01)

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